

Table XIX-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-40-1	p-I-Ph	H	H	H	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	F	F	OH	H	H
XIX-40-8	p-I-Ph	*	H	*	ⁿ Bu	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-41-1	CH ₃	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-41-2	CH ₃	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-41-5	CH ₃	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-41-8	CH ₃	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XIX-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-42-1	Et	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-42-2	Et	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-42-3	Et	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-42-5	Et	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-42-8	Et	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-43.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-43-1	ⁱ Pr	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-43-2	ⁱ Pr	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-43-8	ⁱ Pr	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-44.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-44-1	ⁱ Bu	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-44-2	ⁱ Bu	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-44-3	ⁱ Bu	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-44-4	ⁱ Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-44-5	ⁱ Bu	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-44-6	ⁱ Bu	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-44-7	ⁱ Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-44-8	ⁱ Bu	*	H	*	Bz	OCH ₃	F	F	OH	H	H

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-45.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-45-1	Ph	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-45-2	Ph	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-45-5	Ph	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-45-8	Ph	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-46-1	p-Me-Ph	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-46-2	p-Me-Ph	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-46-8	p-Me-Ph	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-47.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-47-1	p-F-Ph	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-47-2	p-F-Ph	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-47-8	p-F-Ph	*	H	*	Bz	OCH ₃	F	F	OH	H	H

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-48.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-48-1	p-Cl-Ph	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-48-2	p-Cl-Ph	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-48-8	p-Cl-Ph	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-49-1	p-Br-Ph	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-49-2	p-Br-Ph	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-49-8	p-Br-Ph	*	H	*	Bz	OCH ₃	F	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XIX-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XIX-50-1	p-I-Ph	H	H	H	Bz	OCH ₃	F	F	OH	H	H
XIX-50-2	p-I-Ph	H	H	CH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	F	F	OH	H	H
XIX-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	F	F	OH	H	H
XIX-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	F	F	OH	H	H
XIX-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	F	F	OH	H	H
XIX-50-8	p-I-Ph	*	H	*	Bz	OCH ₃	F	F	OH	H	H

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

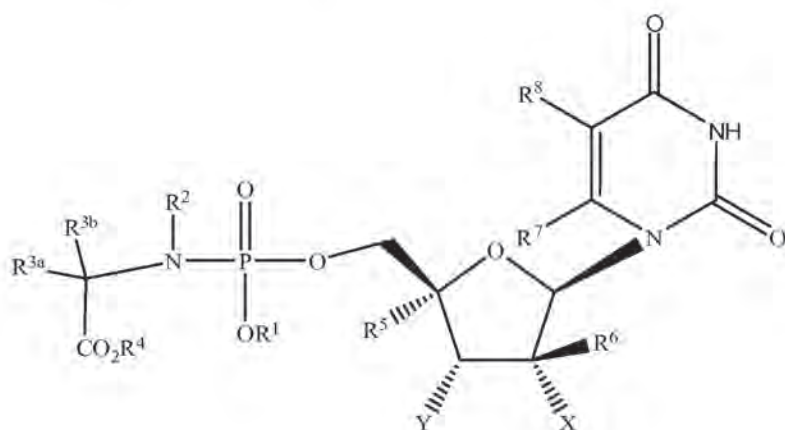
**XX**

Table XX-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-1-1	CH ₃	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-2	CH ₃	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-1-8	CH ₃	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-2-1	Et	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-2	Et	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-5	Et	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-2-8	Et	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-3-1	ⁱ Pr	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-3-8	ⁱ Pr	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-4-1	ⁱ Bu	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-2	ⁱ Bu	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-3	ⁱ Bu	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-4	ⁱ Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-5	ⁱ Bu	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-6	ⁱ Bu	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-7	ⁱ Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-4-8	ⁱ Bu	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-5-1	Ph	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-2	Ph	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-5	Ph	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-5-8	Ph	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-6-1	p-Me-Ph	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-6-8	p-Me-Ph	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-7-1	p-F-Ph	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-2	p-F-Ph	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-7-20	p-F-Ph	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-8-1	p-Cl-Ph	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-8-8	p-Cl-Ph	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-9.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-9-1	p-Br-Ph	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-9-20	p-Br-Ph	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-10.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-10-1	p-I-Ph	H	H	H	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-2	p-I-Ph	H	H	CH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	OCH ₃	H	F	OH	H	H
XX-10-8	p-I-Ph	*	H	*	CH ₃	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-11.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-11-1	CH ₃	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-11-2	CH ₃	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-11-5	CH ₃	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-11-8	CH ₃	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-12.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-12-1	Et	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-12-2	Et	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-12-3	Et	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-12-5	Et	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-12-8	Et	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-13-1	ⁱ Pr	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-13-2	ⁱ Pr	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-13-8	ⁱ Pr	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-14-1	^t Bu	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-14-2	^t Bu	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-14-5	^t Bu	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-14-8	^t Bu	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-15-1	Ph	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-15-2	Ph	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-15-3	Ph	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-15-5	Ph	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-15-8	Ph	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-16.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-16-1	p-Me-Ph	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-16-2	p-Me-Ph	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-16-8	p-Me-Ph	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-17.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-17-1	p-F-Ph	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-17-2	p-F-Ph	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-17-8	p-F-Ph	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-18-1	p-Cl-Ph	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-18-2	p-Cl-Ph	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-18-8	p-Cl-Ph	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-19-1	p-Br-Ph	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-19-2	p-Br-Ph	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-19-8	p-Br-Ph	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-20-1	p-I-Ph	H	H	H	Et	OCH ₃	H	F	OH	H	H
XX-20-2	p-I-Ph	H	H	CH ₃	Et	OCH ₃	H	F	OH	H	H
XX-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	OCH ₃	H	F	OH	H	H
XX-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	OCH ₃	H	F	OH	H	H
XX-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	OCH ₃	H	F	OH	H	H
XX-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	OCH ₃	H	F	OH	H	H
XX-20-8	p-I-Ph	*	H	*	Et	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-21-1	CH ₃	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-21-8	CH ₃	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-22-1	Et	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-2	Et	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-22-8	Et	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-23.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-23-1	ⁱ Pr	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-23-8	ⁱ Pr	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-24-1	ⁱ Bu	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-2	ⁱ Bu	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-3	ⁱ Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-4	ⁱ Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-5	ⁱ Bu	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-6	ⁱ Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-7	ⁱ Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-24-8	ⁱ Bu	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-25-1	Ph	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-2	Ph	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-25-8	Ph	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-26-1	p-Me-Ph	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-26-8	p-Me-Ph	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-27-1	p-F-Ph	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-27-8	p-F-Ph	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-29-1	p-Br-Ph	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-29-8	p-Br-Ph	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-30.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-30-1	p-I-Ph	H	H	H	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	OCH ₃	H	F	OH	H	H
XX-30-8	p-I-Ph	*	H	*	ⁱ Pr	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-31-1	CH ₃	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-31-8	CH ₃	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-32.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-32-1	Et	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-2	Et	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-32-8	Et	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-33-1	ⁱ Pr	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-33-8	ⁱ Pr	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-34-1	^t Bu	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-34-8	^t Bu	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-35-1	Ph	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-2	Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-35-8	Ph	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-36-1	p-Me-Ph	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-36-8	p-Me-Ph	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-37-1	p-F-Ph	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-37-8	p-F-Ph	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-39-1	p-Br-Ph	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-39-8	p-Br-Ph	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-40-1	p-I-Ph	H	H	H	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	OCH ₃	H	F	OH	H	H
XX-40-8	p-I-Ph	*	H	*	ⁿ Bu	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XX-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-41-1	CH ₃	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-41-2	CH ₃	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-41-5	CH ₃	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-41-8	CH ₃	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-42-1	Et	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-42-2	Et	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-42-3	Et	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-42-5	Et	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-42-8	Et	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-43-1	ⁱ Pr	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-43-2	ⁱ Pr	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-43-8	ⁱ Pr	*	H	*	Bz	OCH ₃	H	F	OH	H	H

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-44-1	^t Bu	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-44-2	^t Bu	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-44-5	^t Bu	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-44-8	^t Bu	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-45.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-45-1	Ph	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-45-2	Ph	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-45-5	Ph	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-45-8	Ph	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-46.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-46-1	p-Me-Ph	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-46-2	p-Me-Ph	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-46-8	p-Me-Ph	*	H	*	Bz	OCH ₃	H	F	OH	H	H

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-47.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-47-1	p-F-Ph	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-47-2	p-F-Ph	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-47-8	p-F-Ph	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-48-1	p-Cl-Ph	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-48-2	p-Cl-Ph	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-48-8	p-Cl-Ph	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-49-1	p-Br-Ph	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-49-2	p-Br-Ph	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H
XX-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-49-8	p-Br-Ph	*	H	*	Bz	OCH ₃	H	F	OH	H	H

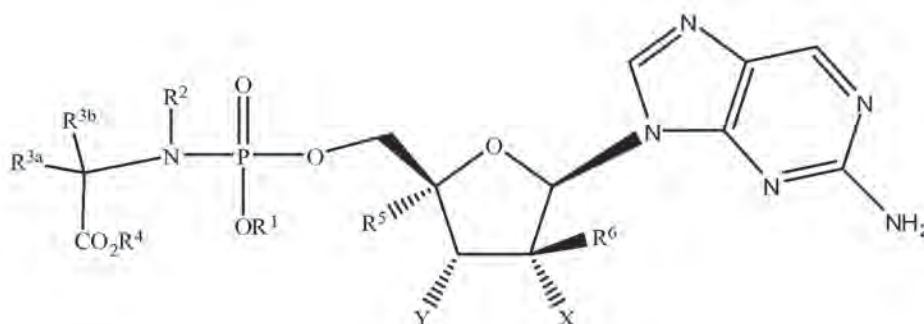
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XX-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-50-1	p-I-Ph	H	H	H	Bz	OCH ₃	H	F	OH	H	H
XX-50-2	p-I-Ph	H	H	CH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	OCH ₃	H	F	OH	H	H
XX-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	OCH ₃	H	F	OH	H	H

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y	R ⁷	R ⁸
XX-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	OCH ₃	H	F	OH	H	H
XX-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	OCH ₃	H	F	OH	H	H
XX-50-8	p-I-Ph	*	H	*	Bz	OCH ₃	H	F	OH	H	H

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



5

XXI

Table XXI-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-1-1	CH ₃	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-1-2	CH ₃	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-1-8	CH ₃	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-2-1	Et	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-2-2	Et	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-2-8	Et	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-3-1	ⁱ Pr	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-3-8	ⁱ Pr	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-4-1	^t Bu	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-4-2	^t Bu	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-4-8	^t Bu	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-5-1	Ph	H	H	H	CH ₃	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-5-2	Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-5-8	Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-6-1	p-Me-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-6-8	p-Me-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-7-1	p-F-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-7-20	p-F-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-8-1	p-Cl-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-8-8	p-Cl-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-9-1	p-Br-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-9-20	p-Br-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-10-1	p-I-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXI-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXI-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXI-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXI-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXI-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXI-10-8	p-I-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-11-1	CH ₃	H	H	H	Et	H	CH ₃	F	OH
XXI-11-2	CH ₃	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-11-8	CH ₃	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-12-1	Et	H	H	H	Et	H	CH ₃	F	OH
XXI-12-2	Et	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-12-5	Et	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-12-8	Et	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-13.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-13-1	ⁱ Pr	H	H	H	Et	H	CH ₃	F	OH
XXI-13-2	ⁱ Pr	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-13-8	ⁱ Pr	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-14-1	^t Bu	H	H	H	Et	H	CH ₃	F	OH
XXI-14-2	^t Bu	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-14-8	^t Bu	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-15-1	Ph	H	H	H	Et	H	CH ₃	F	OH
XXI-15-2	Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-15-5	Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-15-8	Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-16.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-16-1	p-Me-Ph	H	H	H	Et	H	CH ₃	F	OH
XXI-16-2	p-Me-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-16-8	p-Me-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-17.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-17-1	p-F-Ph	H	H	H	Et	H	CH ₃	F	OH
XXI-17-2	p-F-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-17-8	p-F-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-18-1	p-Cl-Ph	H	H	H	Et	H	CH ₃	F	OH
XXI-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-19-1	p-Br-Ph	H	H	H	Et	H	CH ₃	F	OH
XXI-19-2	p-Br-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-20-1	p-I-Ph	H	H	H	Et	H	CH ₃	F	OH
XXI-20-2	p-I-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXI-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXI-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXI-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXI-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXI-20-8	p-I-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-21-1	CH ₃	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-22-1	Et	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-22-2	Et	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-22-8	Et	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-24-1	ⁱ Bu	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-24-2	ⁱ Bu	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-24-3	ⁱ Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-24-4	ⁱ Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-24-5	ⁱ Bu	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-24-6	ⁱ Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-24-7	ⁱ Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-24-8	ⁱ Bu	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-25-1	Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-25-8	Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-29.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-30.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXI-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXI-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXI-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXI-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXI-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-31-1	CH ₃	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-31-8	CH ₃	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-32.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-32-1	Et	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-32-2	Et	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-32-8	Et	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-34-1	^t Bu	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-34-8	^t Bu	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-35.

N ₉	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-35-1	Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-35-8	Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-36.

N ₉	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-37.

N ₉	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-38.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXI-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXI-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXI-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXI-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXI-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-41-1	CH ₃	H	H	H	Bz	H	CH ₃	F	OH
XXI-41-2	CH ₃	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-41-8	CH ₃	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-42-1	Et	H	H	H	Bz	H	CH ₃	F	OH
XXI-42-2	Et	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-42-5	Et	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-42-8	Et	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXI-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-43-1	ⁱ Pr	H	H	H	Bz	H	CH ₃	F	OH
XXI-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-43-8	ⁱ Pr	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-44.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-44-1	^t Bu	H	H	H	Bz	H	CH ₃	F	OH
XXI-44-2	^t Bu	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-44-8	^t Bu	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-45-1	Ph	H	H	H	Bz	H	CH ₃	F	OH
XXI-45-2	Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-45-5	Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-45-8	Ph	*	H	*	Bz	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-46-1	p-Me-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXI-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-46-8	p-Mc-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-47-1	p-F-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXI-47-2	p-F-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-48-1	p-Cl-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXI-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-48-8	p-Cl-Ph	*	H	*	Bz	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-49-1	p-Br-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXI-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-49-8	p-Br-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXI-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXI-50-1	p-I-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXI-50-2	p-I-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXI-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXI-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXI-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXI-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXI-50-8	p-I-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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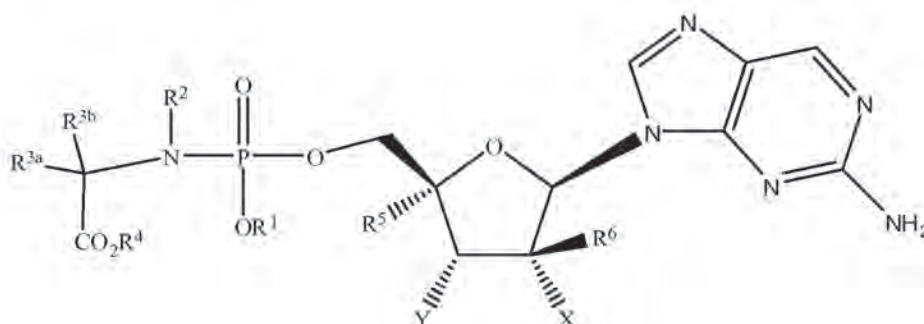
**XXII**

Table XXII-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-1-1	CH ₃	H	H	H	CH ₃	H	F	H	OH
XXII-1-2	CH ₃	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-1-8	CH ₃	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-2-1	Et	H	H	H	CH ₃	H	F	H	OH
XXII-2-2	Et	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-2-8	Et	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-3-1	ⁱ Pr	H	H	H	CH ₃	H	F	H	OH
XXII-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-3-8	ⁱ Pr	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-4-1	^t Bu	H	H	H	CH ₃	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-4-2	^t Bu	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-4-8	^t Bu	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-5-1	Ph	H	H	H	CH ₃	H	F	H	OH
XXII-5-2	Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-5-8	Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-6-1	p-Me-Ph	H	H	H	CH ₃	H	F	H	OH
XXII-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-7-1	p-F-Ph	H	H	H	CH ₃	H	F	H	OH
XXII-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-7-20	p-F-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-8-1	p-Cl-Ph	H	H	H	CH ₃	H	F	H	OH
XXII-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	H	OH
XXII-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-10-1	p-I-Ph	H	H	H	CH ₃	H	F	H	OH
XXII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXII-10-8	p-I-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-11-1	CH ₃	H	H	H	Et	H	F	H	OH
XXII-11-2	CH ₃	H	H	CH ₃	Et	H	F	H	OH
XXII-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-11-8	CH ₃	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-12-1	Et	H	H	H	Et	H	F	H	OH
XXII-12-2	Et	H	H	CH ₃	Et	H	F	H	OH
XXII-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-12-5	Et	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-12-8	Et	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-13-1	ⁱ Pr	H	H	H	Et	H	F	H	OH
XXII-13-2	ⁱ Pr	H	H	CH ₃	Et	H	F	H	OH
XXII-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-13-8	ⁱ Pr	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-14-1	^t Bu	H	H	H	Et	H	F	H	OH
XXII-14-2	^t Bu	H	H	CH ₃	Et	H	F	H	OH
XXII-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-14-8	^t Bu	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-15-1	Ph	H	H	H	Et	H	F	H	OH
XXII-15-2	Ph	H	H	CH ₃	Et	H	F	H	OH
XXII-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-15-5	Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-15-8	Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-16.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-16-1	p-Me-Ph	H	H	H	Et	H	F	H	OH
XXII-16-2	p-Me-Ph	H	H	CH ₃	Et	H	F	H	OH
XXII-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-16-8	p-Me-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-17.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-17-1	p-F-Ph	H	H	H	Et	H	F	H	OH
XXII-17-2	p-F-Ph	H	H	CH ₃	Et	H	F	H	OH
XXII-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-17-8	p-F-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-18-1	p-Cl-Ph	H	H	H	Et	H	F	H	OH
XXII-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	H	OH
XXII-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-19-1	p-Br-Ph	H	H	H	Et	H	F	H	OH
XXII-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	H	OH
XXII-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-19-8	p-Br-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-20-1	p-I-Ph	H	H	H	Et	H	F	H	OH
XXII-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	H	OH
XXII-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXII-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXII-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXII-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXII-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXII-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	H	OH
XXII-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-22-1	Et	H	H	H	ⁱ Pr	H	F	H	OH
XXII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-22-8	Et	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	F	H	OH
XXII-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-24-1	^t Bu	H	H	H	ⁱ Pr	H	F	H	OH
XXII-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-25-1	Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXII-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-25-8	Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXII-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXII-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXII-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-29.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXII-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-30.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXII-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXII-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXII-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXII-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXII-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXII-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-31-1	CH ₃	H	H	H	ⁿ Bu	H	F	H	OH
XXII-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-32.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-32-1	Et	H	H	H	ⁿ Bu	H	F	H	OH
XXII-32-2	Et	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-32-8	Et	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	F	H	OH
XXII-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-34-1	^t Bu	H	H	H	ⁿ Bu	H	F	H	OH
XXII-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-34-8	^t Bu	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-35.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-35-1	Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXII-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-35-8	Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-36.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXII-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXII-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXII-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXII-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-40.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXII-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-41-1	CH ₃	H	H	H	Bz	H	F	H	OH
XXII-41-2	CH ₃	H	H	CH ₃	Bz	H	F	H	OH
XXII-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-41-8	CH ₃	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXII-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-42-1	Et	H	H	H	Bz	H	F	H	OH
XXII-42-2	Et	H	H	CH ₃	Bz	H	F	H	OH
XXII-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-42-8	Et	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-43-1	ⁱ Pr	H	H	H	Bz	H	F	H	OH
XXII-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	H	OH
XXII-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-43-8	ⁱ Pr	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-44-1	^t Bu	H	H	H	Bz	H	F	H	OH
XXII-44-2	^t Bu	H	H	CH ₃	Bz	H	F	H	OH
XXII-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-44-8	^t Bu	*	H	*	Bz	H	F	H	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-45-1	Ph	H	H	H	Bz	H	F	H	OH
XXII-45-2	Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-45-8	Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-46-1	p-Mc-Ph	H	H	H	Bz	H	F	H	OH
XXII-46-2	p-Mc-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-46-3	p-Mc-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-46-4	p-Mc-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-46-5	p-Mc-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-46-6	p-Mc-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-46-7	p-Mc-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-46-8	p-Mc-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-47.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-47-1	p-F-Ph	H	H	H	Bz	H	F	H	OH
XXII-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-47-8	p-F-Ph	*	H	*	Bz	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-48.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-48-1	p-Cl-Ph	H	H	H	Bz	H	F	H	OH
XXII-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-49.

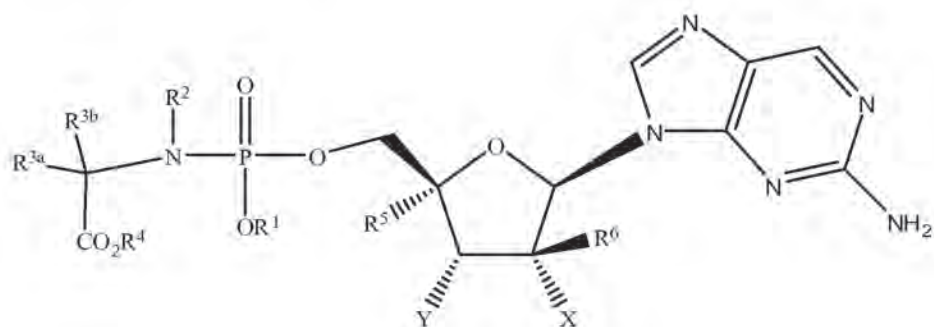
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-49-1	p-Br-Ph	H	H	H	Bz	H	F	H	OH
XXII-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-49-8	p-Br-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXII-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-50-1	p-I-Ph	H	H	H	Bz	H	F	H	OH
XXII-50-2	p-I-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXII-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXII-50-8	p-I-Ph	*	H	*	Bz	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



XXIII

Table XXIII-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-1-1	CH ₃	H	H	H	CH ₃	H	F	F	OH
XXIII-1-2	CH ₃	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-1-8	CH ₃	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-2-1	Et	H	H	H	CH ₃	H	F	F	OH
XXIII-2-2	Et	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-2-8	Et	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-3.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-3-1	ⁱ Pr	H	H	H	CH ₃	H	F	F	OH
XXIII-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-3-8	ⁱ Pr	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-4.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-4-1	^t Bu	H	H	H	CH ₃	H	F	F	OH
XXIII-4-2	^t Bu	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-4-8	^t Bu	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-5.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-5-1	Ph	H	H	H	CH ₃	H	F	F	OH
XXIII-5-2	Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-5-8	Ph	*	H	*	CH ₃	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-6-1	p-Me-Ph	H	H	H	CH ₃	H	F	F	OH
XXIII-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-7-1	p-F-Ph	H	H	H	CH ₃	H	F	F	OH
XXIII-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-7-20	p-F-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-8-1	p-Cl-Ph	H	H	H	CH ₃	H	F	F	OH
XXIII-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	F	OH
XXIII-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-10-1	p-I-Ph	H	H	H	CH ₃	H	F	F	OH
XXIII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXIII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXIII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXIII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXIII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXIII-10-8	p-I-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-11-1	CH ₃	H	H	H	Et	H	F	F	OH
XXIII-11-2	CH ₃	H	H	CH ₃	Et	H	F	F	OH
XXIII-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-11-8	CH ₃	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-12.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-12-1	Et	H	H	H	Et	H	F	F	OH
XXIII-12-2	Et	H	H	CH ₃	Et	H	F	F	OH
XXIII-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-12-5	Et	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-12-8	Et	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-13-1	ⁱ Pr	H	H	H	Et	H	F	F	OH
XXIII-13-2	ⁱ Pr	H	H	CH ₃	Et	H	F	F	OH
XXIII-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-13-8	ⁱ Pr	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-14-1	^t Bu	H	H	H	Et	H	F	F	OH
XXIII-14-2	^t Bu	H	H	CH ₃	Et	H	F	F	OH
XXIII-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-14-8	^t Bu	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-15-1	Ph	H	H	H	Et	H	F	F	OH
XXIII-15-2	Ph	H	H	CH ₃	Et	H	F	F	OH
XXIII-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-15-5	Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-15-8	Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-16-1	p-Me-Ph	H	H	H	Et	H	F	F	OH
XXIII-16-2	p-Me-Ph	H	H	CH ₃	Et	H	F	F	OH
XXIII-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-16-8	p-Me-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-17-1	p-F-Ph	H	H	H	Et	H	F	F	OH
XXIII-17-2	p-F-Ph	H	H	CH ₃	Et	H	F	F	OH
XXIII-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-17-8	p-F-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-18-1	p-Cl-Ph	H	H	H	Et	H	F	F	OH
XXIII-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	F	OH
XXIII-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-18-8	p-Cl-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-19-1	p-Br-Ph	H	H	H	Et	H	F	F	OH
XXIII-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	F	OH
XXIII-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-19-8	p-Br-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-20-1	p-I-Ph	H	H	H	Et	H	F	F	OH
XXIII-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	F	OH
XXIII-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXIII-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXIII-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXIII-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXIII-20-8	p-I-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-22-1	Et	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-22-8	Et	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-24-1	^t Bu	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-25-1	Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-25-8	Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-30.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXIII-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXIII-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXIII-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXIII-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXIII-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXIII-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-31.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-31-1	CH ₃	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-32.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-32-1	Et	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-32-2	Et	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-32-8	Et	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-34-1	^t Bu	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-34-8	^t Bu	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-35.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-35-1	Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-35-8	Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-36.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-37.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-38.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXIII-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXIII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXIII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXIII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXIII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXIII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIII-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-41-1	CH ₃	H	H	H	Bz	H	F	F	OH
XXIII-41-2	CH ₃	H	H	CH ₃	Bz	H	F	F	OH
XXIII-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-41-8	CH ₃	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-42-1	Et	H	H	H	Bz	H	F	F	OH
XXIII-42-2	Et	H	H	CH ₃	Bz	H	F	F	OH
XXIII-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-42-8	Et	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-43-1	ⁱ Pr	H	H	H	Bz	H	F	F	OH
XXIII-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	F	OH
XXIII-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-43-8	ⁱ Pr	*	H	*	Bz	H	F	F	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-44-1	^t Bu	H	H	H	Bz	H	F	F	OH
XXIII-44-2	^t Bu	H	H	CH ₃	Bz	H	F	F	OH
XXIII-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-44-8	^t Bu	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-45-1	Ph	H	H	H	Bz	H	F	F	OH
XXIII-45-2	Ph	H	H	CH ₃	Bz	H	F	F	OH
XXIII-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-45-8	Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-46-1	p-Me-Ph	H	H	H	Bz	H	F	F	OH
XXIII-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXIII-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-46-8	p-Me-Ph	*	H	*	Bz	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-47.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-47-1	p-F-Ph	H	H	H	Bz	H	F	F	OH
XXIII-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXIII-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-47-8	p-F-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-48-1	p-Cl-Ph	H	H	H	Bz	H	F	F	OH
XXIII-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXIII-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-48-8	p-Cl-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-49-1	p-Br-Ph	H	H	H	Bz	H	F	F	OH
XXIII-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXIII-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXIII-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-49-8	p-Br-Ph	*	H	*	Bz	H	F	F	OH

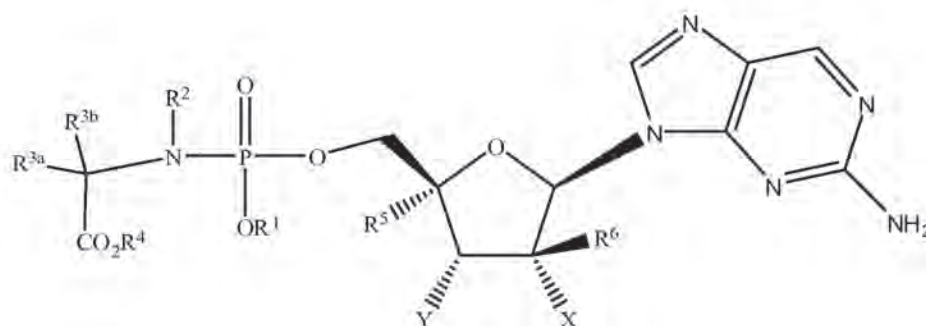
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIII-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-50-1	p-I-Ph	H	H	H	Bz	H	F	F	OH
XXIII-50-2	p-I-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXIII-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXIII-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIII-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXIII-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXIII-50-8	p-I-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



XXIV

5

Table XXIV-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-1-1	CH ₃	H	H	H	CH ₃	H	H	F	OH
XXIV-1-2	CH ₃	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-1-8	CH ₃	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-2-1	Et	H	H	H	CH ₃	H	H	F	OH
XXIV-2-2	Et	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-2-8	Et	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-3.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-3-1	<i>i</i> Pr	H	H	H	CH ₃	H	H	F	OH
XXIV-3-2	<i>i</i> Pr	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-3-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-3-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-3-5	<i>i</i> Pr	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-3-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-3-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-3-8	<i>i</i> Pr	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-4.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-4-1	<i>t</i> Bu	H	H	H	CH ₃	H	H	F	OH
XXIV-4-2	<i>t</i> Bu	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-4-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-4-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-4-5	<i>t</i> Bu	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-4-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-4-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-4-8	<i>t</i> Bu	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-5.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-5-1	Ph	H	H	H	CH ₃	H	H	F	OH
XXIV-5-2	Ph	H	H	CH ₃	CH ₃	H	H	F	OH

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-5-8	Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-6.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-6-1	p-Me-Ph	H	H	H	CH ₃	H	H	F	OH
XXIV-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-6-8	p-Me-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-7.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-7-1	p-F-Ph	H	H	H	CH ₃	H	H	F	OH
XXIV-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-7-20	p-F-Ph	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-8.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-8-1	p-Cl-Ph	H	H	H	CH ₃	H	H	F	OH
XXIV-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-8-8	p-Cl-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-9-1	p-Br-Ph	H	H	H	CH ₃	H	H	F	OH
XXIV-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-9-20	p-Br-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-10-1	p-I-Ph	H	H	H	CH ₃	H	H	F	OH
XXIV-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXIV-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXIV-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXIV-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXIV-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXIV-10-8	p-I-Ph	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-11.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-11-1	CH ₃	H	H	H	Et	H	H	F	OH
XXIV-11-2	CH ₃	H	H	CH ₃	Et	H	H	F	OH
XXIV-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-11-8	CH ₃	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-12.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-12-1	Et	H	H	H	Et	H	H	F	OH
XXIV-12-2	Et	H	H	CH ₃	Et	H	H	F	OH
XXIV-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-12-5	Et	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-12-8	Et	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-13-1	ⁱ Pr	H	H	H	Et	H	H	F	OH
XXIV-13-2	ⁱ Pr	H	H	CH ₃	Et	H	H	F	OH
XXIV-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-13-8	ⁱ Pr	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-14-1	^t Bu	H	H	H	Et	H	H	F	OH
XXIV-14-2	^t Bu	H	H	CH ₃	Et	H	H	F	OH
XXIV-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-14-8	^t Bu	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-15-1	Ph	H	H	H	Et	H	H	F	OH
XXIV-15-2	Ph	H	H	CH ₃	Et	H	H	F	OH
XXIV-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-15-5	Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-15-8	Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-16.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-16-1	p-Me-Ph	H	H	H	Et	H	H	F	OH
XXIV-16-2	p-Me-Ph	H	H	CH ₃	Et	H	H	F	OH
XXIV-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-16-8	p-Me-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-17-1	p-F-Ph	H	H	H	Et	H	H	F	OH
XXIV-17-2	p-F-Ph	H	H	CH ₃	Et	H	H	F	OH
XXIV-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-17-8	p-F-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-18-1	p-Cl-Ph	H	H	H	Et	H	H	F	OH
XXIV-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	H	F	OH
XXIV-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-18-8	p-Cl-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-19-1	p-Br-Ph	H	H	H	Et	H	H	F	OH
XXIV-19-2	p-Br-Ph	H	H	CH ₃	Et	H	H	F	OH
XXIV-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-20-1	p-I-Ph	H	H	H	Et	H	H	F	OH
XXIV-20-2	p-I-Ph	H	H	CH ₃	Et	H	H	F	OH
XXIV-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXIV-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXIV-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXIV-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXIV-20-8	p-I-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-21-1	CH ₃	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-21-8	CH ₃	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-22-1	Et	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-22-2	Et	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-22-8	Et	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-24-1	^t Bu	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-24-8	^t Bu	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-25-1	Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-25-8	Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-29.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-30.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXIV-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXIV-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXIV-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXIV-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXIV-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXIV-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-31-1	CH ₃	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-31-8	CH ₃	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-32.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-32-1	Et	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-32-2	Et	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-32-8	Et	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-34-1	^t Bu	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-34-8	^t Bu	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-35-1	Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-35-8	Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-38.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXIV-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXIV-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXIV-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXIV-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXIV-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXIV-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-41-1	CH ₃	H	H	H	Bz	H	H	F	OH
XXIV-41-2	CH ₃	H	H	CH ₃	Bz	H	H	F	OH
XXIV-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-41-8	CH ₃	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-42-1	Et	H	H	H	Bz	H	H	F	OH
XXIV-42-2	Et	H	H	CH ₃	Bz	H	H	F	OH
XXIV-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-42-5	Et	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-42-8	Et	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIV-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-43-1	ⁱ Pr	H	H	H	Bz	H	H	F	OH
XXIV-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	H	F	OH
XXIV-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-43-8	ⁱ Pr	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-44-1	^t Bu	H	H	H	Bz	H	H	F	OH
XXIV-44-2	^t Bu	H	H	CH ₃	Bz	H	H	F	OH
XXIV-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-44-8	^t Bu	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-45-1	Ph	H	H	H	Bz	H	H	F	OH
XXIV-45-2	Ph	H	H	CH ₃	Bz	H	H	F	OH
XXIV-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-45-5	Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-45-8	Ph	*	H	*	Bz	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-46-1	p-Me-Ph	H	H	H	Bz	H	H	F	OH
XXIV-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXIV-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-46-8	p-Me-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-47-1	p-F-Ph	H	H	H	Bz	H	H	F	OH
XXIV-47-2	p-F-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXIV-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-47-8	p-F-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-48-1	p-Cl-Ph	H	H	H	Bz	H	H	F	OH
XXIV-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXIV-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-48-8	p-Cl-Ph	*	H	*	Bz	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-49-1	p-Br-Ph	H	H	H	Bz	H	H	F	OH
XXIV-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXIV-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-49-8	p-Br-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIV-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIV-50-1	p-I-Ph	H	H	H	Bz	H	H	F	OH
XXIV-50-2	p-I-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXIV-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXIV-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXIV-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXIV-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXIV-50-8	p-I-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5

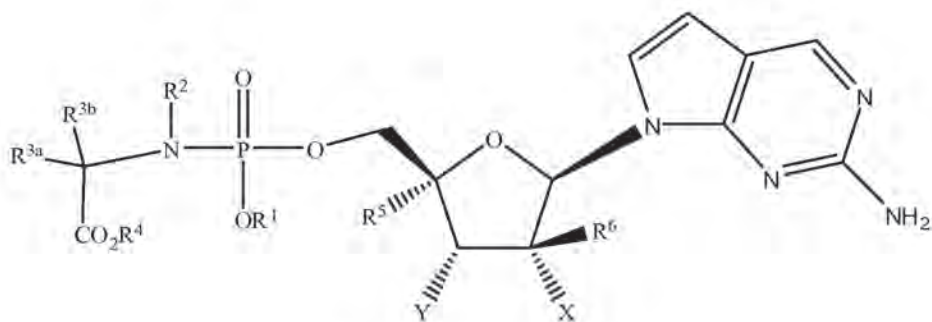
**XXV**

Table XXV-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-1-1	CH ₃	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-1-2	CH ₃	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-1-8	CH ₃	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-2-1	Et	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-2-2	Et	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-2-8	Et	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-3-1	ⁱ Pr	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-3-8	ⁱ Pr	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-4-1	^t Bu	H	H	H	CH ₃	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-4-2	^t Bu	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-4-8	^t Bu	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-5-1	Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-5-2	Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-5-8	Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-6-1	p-Me-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-6-8	p-Me-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-7-1	p-F-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-7-20	p-F-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-8-1	p-Cl-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-8-8	p-Cl-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-9-1	p-Br-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-9-20	p-Br-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-10-1	p-I-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXV-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXV-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXV-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXV-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXV-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXV-10-8	p-I-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-11-1	CH ₃	H	H	H	Et	H	CH ₃	F	OH
XXV-11-2	CH ₃	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-11-8	CH ₃	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-12-1	Et	H	H	H	Et	H	CH ₃	F	OH
XXV-12-2	Et	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-12-5	Et	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-12-8	Et	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-13-1	ⁱ Pr	H	H	H	Et	H	CH ₃	F	OH
XXV-13-2	ⁱ Pr	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-13-8	ⁱ Pr	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-14-1	^t Bu	H	H	H	Et	H	CH ₃	F	OH
XXV-14-2	^t Bu	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-14-8	^t Bu	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-15-1	Ph	H	H	H	Et	H	CH ₃	F	OH
XXV-15-2	Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-15-5	Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-15-8	Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-16-1	p-Me-Ph	H	H	H	Et	H	CH ₃	F	OH
XXV-16-2	p-Me-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-16-8	p-Me-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-17-1	p-F-Ph	H	H	H	Et	H	CH ₃	F	OH
XXV-17-2	p-F-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-17-8	p-F-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-18-1	p-Cl-Ph	H	H	H	Et	H	CH ₃	F	OH
XXV-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-19-1	p-Br-Ph	H	H	H	Et	H	CH ₃	F	OH
XXV-19-2	p-Br-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-20-1	p-I-Ph	H	H	H	Et	H	CH ₃	F	OH
XXV-20-2	p-I-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXV-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXV-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXV-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXV-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXV-20-8	p-I-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-21-1	CH ₃	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-22-1	Et	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-2	Et	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-3	Et	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-5	Et	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-6	Et	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXV-22-8	Et	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-23.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXV-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-24.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-24-1	<i>t</i> Bu	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-2	<i>t</i> Bu	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXV-24-8	<i>t</i> Bu	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-25-1	Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-25-8	Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-31.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-31-1	CH ₃	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-31-8	CH ₃	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-32.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-32-1	Et	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-32-2	Et	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-32-8	Et	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-33.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-34-1	^t Bu	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-34-8	^t Bu	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-35-1	Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-35-8	Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXV-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXV-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXV-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXV-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXV-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-41-1	CH ₃	H	H	H	Bz	H	CH ₃	F	OH
XXV-41-2	CH ₃	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-41-8	CH ₃	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXV-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-42-1	Et	H	H	H	Bz	H	CH ₃	F	OH
XXV-42-2	Et	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-42-5	Et	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-42-8	Et	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-43-1	ⁱ Pr	H	H	H	Bz	H	CH ₃	F	OH
XXV-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-43-8	ⁱ Pr	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-44-1	^t Bu	H	H	H	Bz	H	CH ₃	F	OH
XXV-44-2	^t Bu	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-44-8	^t Bu	*	H	*	Bz	H	CH ₃	F	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-45-1	Ph	H	H	H	Bz	H	CH ₃	F	OH
XXV-45-2	Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-45-5	Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-45-8	Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-46-1	p-Me-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXV-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-46-8	p-Me-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-47.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-47-1	p-F-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXV-47-2	p-F-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-48.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-48-1	p-Cl-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXV-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-48-8	p-Cl-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-49-1	p-Br-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXV-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-49-8	p-Br-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXV-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXV-50-1	p-I-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXV-50-2	p-I-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXV-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXV-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXV-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXV-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXV-50-8	p-I-Ph	*	H	*	Bz	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

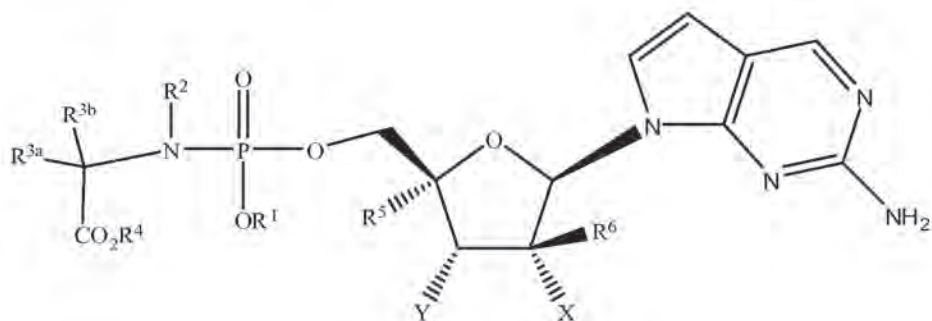
**XXVI**

Table XXVI-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-1-1	CH ₃	H	H	H	CH ₃	H	F	H	OH
XXVI-1-2	CH ₃	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-1-8	CH ₃	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-2-1	Et	H	H	H	CH ₃	H	F	H	OH
XXVI-2-2	Et	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-2-8	Et	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-3-1	<i>i</i> Pr	H	H	H	CH ₃	H	F	H	OH
XXVI-3-2	<i>i</i> Pr	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-3-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-3-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-3-5	<i>i</i> Pr	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-3-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-3-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-3-8	<i>i</i> Pr	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-4-1	<i>t</i> Bu	H	H	H	CH ₃	H	F	H	OH
XXVI-4-2	<i>t</i> Bu	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-4-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-4-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-4-5	<i>t</i> Bu	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-4-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-4-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-4-8	<i>t</i> Bu	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-5-1	Ph	H	H	H	CH ₃	H	F	H	OH
XXVI-5-2	Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-5-8	Ph	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-6-1	p-Me-Ph	H	H	H	CH ₃	H	F	H	OH
XXVI-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-7-1	p-F-Ph	H	H	H	CH ₃	H	F	H	OH
XXVI-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-7-20	p-F-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-8-1	p-Cl-Ph	H	H	H	CH ₃	H	F	H	OH
XXVI-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	H	OH
XXVI-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-10-1	p-I-Ph	H	H	H	CH ₃	H	F	H	OH
XXVI-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXVI-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXVI-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXVI-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXVI-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXVI-10-8	p-I-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-11-1	CH ₃	H	H	H	Et	H	F	H	OH
XXVI-11-2	CH ₃	H	H	CH ₃	Et	H	F	H	OH
XXVI-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-11-8	CH ₃	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-12-1	Et	H	H	H	Et	H	F	H	OH
XXVI-12-2	Et	H	H	CH ₃	Et	H	F	H	OH
XXVI-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-12-5	Et	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-12-8	Et	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-13.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-13-1	ⁱ Pr	H	H	H	Et	H	F	H	OH
XXVI-13-2	ⁱ Pr	H	H	CH ₃	Et	H	F	H	OH
XXVI-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-13-8	ⁱ Pr	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-14.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-14-1	^t Bu	H	H	H	Et	H	F	H	OH
XXVI-14-2	^t Bu	H	H	CH ₃	Et	H	F	H	OH
XXVI-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-14-8	^t Bu	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-15-1	Ph	H	H	H	Et	H	F	H	OH
XXVI-15-2	Ph	H	H	CH ₃	Et	H	F	H	OH
XXVI-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-15-5	Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-15-8	Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-16-1	p-Me-Ph	H	H	H	Et	H	F	H	OH
XXVI-16-2	p-Me-Ph	H	H	CH ₃	Et	H	F	H	OH
XXVI-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-16-8	p-Me-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-17-1	p-F-Ph	H	H	H	Et	H	F	H	OH
XXVI-17-2	p-F-Ph	H	H	CH ₃	Et	H	F	H	OH
XXVI-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-17-8	p-F-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-18-1	p-Cl-Ph	H	H	H	Et	H	F	H	OH
XXVI-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	H	OH
XXVI-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-19-1	p-Br-Ph	H	H	H	Et	H	F	H	OH
XXVI-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	H	OH
XXVI-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-19-8	p-Br-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-20.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-20-1	p-I-Ph	H	H	H	Et	H	F	H	OH
XXVI-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	H	OH
XXVI-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXVI-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXVI-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXVI-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXVI-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-22-1	Et	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-22-8	Et	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-24-1	^t Bu	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-25-1	Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-25-8	Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-29.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-30.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXVI-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXVI-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXVI-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXVI-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXVI-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXVI-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-31.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-31-1	CH ₃	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-32.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-32-1	Et	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-32-2	Et	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-32-8	Et	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-34-1	^t Bu	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-34-8	^t Bu	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-35.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-35-1	Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-35-8	Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXVI-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXVI-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXVI-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXVI-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXVI-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXVI-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVI-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-41-1	CH ₃	H	H	H	Bz	H	F	H	OH
XXVI-41-2	CH ₃	H	H	CH ₃	Bz	H	F	H	OH
XXVI-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-41-8	CH ₃	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-42-1	Et	H	H	H	Bz	H	F	H	OH
XXVI-42-2	Et	H	H	CH ₃	Bz	H	F	H	OH
XXVI-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-42-8	Et	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-43-1	ⁱ Pr	H	H	H	Bz	H	F	H	OH
XXVI-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	H	OH
XXVI-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-43-8	ⁱ Pr	*	H	*	Bz	H	F	H	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-44-1	^t Bu	H	H	H	Bz	H	F	H	OH
XXVI-44-2	^t Bu	H	H	CH ₃	Bz	H	F	H	OH
XXVI-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-44-8	^t Bu	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-45-1	Ph	H	H	H	Bz	H	F	H	OH
XXVI-45-2	Ph	H	H	CH ₃	Bz	H	F	H	OH
XXVI-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-45-8	Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-46-1	p-Me-Ph	H	H	H	Bz	H	F	H	OH
XXVI-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXVI-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-46-8	p-Me-Ph	*	H	*	Bz	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-47.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-47-1	p-F-Ph	H	H	H	Bz	H	F	H	OH
XXVI-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXVI-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-47-8	p-F-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-48-1	p-Cl-Ph	H	H	H	Bz	H	F	H	OH
XXVI-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXVI-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-49-1	p-Br-Ph	H	H	H	Bz	H	F	H	OH
XXVI-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXVI-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXVI-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-49-8	p-Br-Ph	*	H	*	Bz	H	F	H	OH

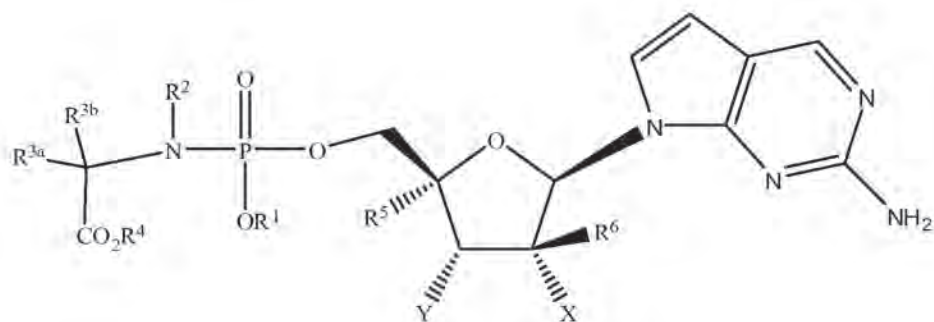
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVI-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-50-1	p-I-Ph	H	H	H	Bz	H	F	H	OH
XXVI-50-2	p-I-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXVI-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXVI-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVI-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXVI-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXVI-50-8	p-I-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



XXVII

5

Table XXVII-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-1-1	CH ₃	H	H	H	CH ₃	H	F	F	OH
XXVII-1-2	CH ₃	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-1-8	CH ₃	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-2-1	Et	H	H	H	CH ₃	H	F	F	OH
XXVII-2-2	Et	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-2-8	Et	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-3-1	ⁱ Pr	H	H	H	CH ₃	H	F	F	OH
XXVII-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-3-8	ⁱ Pr	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-4-1	^t Bu	H	H	H	CH ₃	H	F	F	OH
XXVII-4-2	^t Bu	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-4-8	^t Bu	*	H	*	CH ₃	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-5-1	Ph	H	H	H	CH ₃	H	F	F	OH
XXVII-5-2	Ph	H	H	CH ₃	CH ₃	H	F	F	OH

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-5-8	Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-6.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-6-1	p-Me-Ph	H	H	H	CH ₃	H	F	F	OH
XXVII-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-7.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-7-1	p-F-Ph	H	H	H	CH ₃	H	F	F	OH
XXVII-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-7-20	p-F-Ph	*	H	*	CH ₃	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-8.

N ₆	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-8-1	p-Cl-Ph	H	H	H	CH ₃	H	F	F	OH
XXVII-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	F	OH
XXVII-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-10-1	p-I-Ph	H	H	H	CH ₃	H	F	F	OH
XXVII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXVII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXVII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXVII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXVII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXVII-10-8	p-I-Ph	*	H	*	CH ₃	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-11-1	CH ₃	H	H	H	Et	H	F	F	OH
XXVII-11-2	CH ₃	H	H	CH ₃	Et	H	F	F	OH
XXVII-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-11-8	CH ₃	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-12-1	Et	H	H	H	Et	H	F	F	OH
XXVII-12-2	Et	H	H	CH ₃	Et	H	F	F	OH
XXVII-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-12-5	Et	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-12-8	Et	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-13.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-13-1	ⁱ Pr	H	H	H	Et	H	F	F	OH
XXVII-13-2	ⁱ Pr	H	H	CH ₃	Et	H	F	F	OH
XXVII-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-13-8	ⁱ Pr	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-14.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-14-1	^t Bu	H	H	H	Et	H	F	F	OH
XXVII-14-2	^t Bu	H	H	CH ₃	Et	H	F	F	OH
XXVII-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-14-8	^t Bu	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-15-1	Ph	H	H	H	Et	H	F	F	OH
XXVII-15-2	Ph	H	H	CH ₃	Et	H	F	F	OH
XXVII-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-15-5	Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-15-8	Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-16-1	p-Me-Ph	H	H	H	Et	H	F	F	OH
XXVII-16-2	p-Me-Ph	H	H	CH ₃	Et	H	F	F	OH
XXVII-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-16-8	p-Me-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-17.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-17-1	p-F-Ph	H	H	H	Et	H	F	F	OH
XXVII-17-2	p-F-Ph	H	H	CH ₃	Et	H	F	F	OH
XXVII-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-17-8	p-F-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-18-1	p-Cl-Ph	H	H	H	Et	H	F	F	OH
XXVII-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	F	OH
XXVII-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-18-8	p-Cl-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-19-1	p-Br-Ph	H	H	H	Et	H	F	F	OH
XXVII-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	F	OH
XXVII-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-19-8	p-Br-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-20-1	p-I-Ph	H	H	H	Et	H	F	F	OH
XXVII-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	F	OH
XXVII-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXVII-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXVII-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXVII-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXVII-20-8	p-I-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-22-1	Et	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-22-8	Et	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-23.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-24-1	^t Bu	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-25-1	Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-25-8	Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXVII-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXVII-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXVII-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXVII-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXVII-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXVII-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-31.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-31-1	CH ₃	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-32.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-32-1	Et	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-32-2	Et	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-32-8	Et	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-34-1	^t Bu	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-34-8	^t Bu	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-35-1	Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-35-8	Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-38.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXVII-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXVII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXVII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXVII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXVII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXVII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-41-1	CH ₃	H	H	H	Bz	H	F	F	OH
XXVII-41-2	CH ₃	H	H	CH ₃	Bz	H	F	F	OH
XXVII-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-41-8	CH ₃	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-42-1	Et	H	H	H	Bz	H	F	F	OH
XXVII-42-2	Et	H	H	CH ₃	Bz	H	F	F	OH
XXVII-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-42-8	Et	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVII-43.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-43-1	ⁱ Pr	H	H	H	Bz	H	F	F	OH
XXVII-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	F	OH
XXVII-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-43-8	ⁱ Pr	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-44.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-44-1	^t Bu	H	H	H	Bz	H	F	F	OH
XXVII-44-2	^t Bu	H	H	CH ₃	Bz	H	F	F	OH
XXVII-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-44-8	^t Bu	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-45-1	Ph	H	H	H	Bz	H	F	F	OH
XXVII-45-2	Ph	H	H	CH ₃	Bz	H	F	F	OH
XXVII-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-45-8	Ph	*	H	*	Bz	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-46-1	p-Me-Ph	H	H	H	Bz	H	F	F	OH
XXVII-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXVII-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-46-8	p-Mc-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-47-1	p-F-Ph	H	H	H	Bz	H	F	F	OH
XXVII-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXVII-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-47-8	p-F-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-48-1	p-Cl-Ph	H	H	H	Bz	H	F	F	OH
XXVII-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXVII-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-48-8	p-Cl-Ph	*	H	*	Bz	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-49-1	p-Br-Ph	H	H	H	Bz	H	F	F	OH
XXVII-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXVII-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-49-8	p-Br-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVII-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVII-50-1	p-I-Ph	H	H	H	Bz	H	F	F	OH
XXVII-50-2	p-I-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXVII-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXVII-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXVII-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXVII-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXVII-50-8	p-I-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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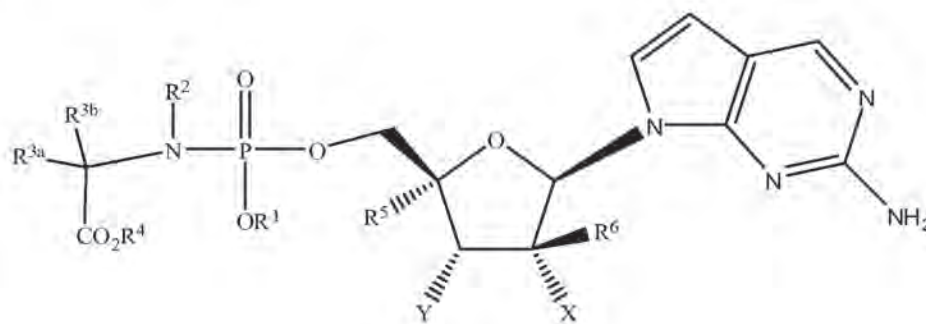
**XXVIII**

Table XXVIII-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-1-1	CH ₃	H	H	H	CH ₃	H	H	F	OH
XXVIII-1-2	CH ₃	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-1-8	CH ₃	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-2.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-2-1	Et	H	H	H	CH ₃	H	H	F	OH
XXVIII-2-2	Et	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-2-8	Et	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-3.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-3-1	ⁱ Pr	H	H	H	CH ₃	H	H	F	OH
XXVIII-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-3-8	ⁱ Pr	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-4.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-4-1	^t Bu	H	H	H	CH ₃	H	H	F	OH
XXVIII-4-2	^t Bu	H	H	CH ₃	CH ₃	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-4-8	^t Bu	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-5-1	Ph	H	H	H	CH ₃	H	H	F	OH
XXVIII-5-2	Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-5-8	Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-6-1	p-Me-Ph	H	H	H	CH ₃	H	H	F	OH
XXVIII-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-6-8	p-Me-Ph	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-7-1	p-F-Ph	H	H	H	CH ₃	H	H	F	OH
XXVIII-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-7-20	p-F-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-8-1	p-Cl-Ph	H	H	H	CH ₃	H	H	F	OH
XXVIII-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-8-8	p-Cl-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-9-1	p-Br-Ph	H	H	H	CH ₃	H	H	F	OH
XXVIII-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-9-20	p-Br-Ph	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-10-1	p-I-Ph	H	H	H	CH ₃	H	H	F	OH
XXVIII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXVIII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXVIII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXVIII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXVIII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXVIII-10-8	p-I-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-11-1	CH ₃	H	H	H	Et	H	H	F	OH
XXVIII-11-2	CH ₃	H	H	CH ₃	Et	H	H	F	OH
XXVIII-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-11-8	CH ₃	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-12-1	Et	H	H	H	Et	H	H	F	OH
XXVIII-12-2	Et	H	H	CH ₃	Et	H	H	F	OH
XXVIII-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-12-5	Et	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-12-8	Et	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-13-1	<i>i</i> Pr	H	H	H	Et	H	H	F	OH
XXVIII-13-2	<i>i</i> Pr	H	H	CH ₃	Et	H	H	F	OH
XXVIII-13-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-13-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-13-5	<i>i</i> Pr	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-13-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-13-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-13-8	<i>i</i> Pr	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-14-1	<i>t</i> Bu	H	H	H	Et	H	H	F	OH
XXVIII-14-2	<i>t</i> Bu	H	H	CH ₃	Et	H	H	F	OH
XXVIII-14-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-14-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-14-5	<i>t</i> Bu	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-14-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-14-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-14-8	<i>t</i> Bu	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-15-1	Ph	H	H	H	Et	H	H	F	OH
XXVIII-15-2	Ph	H	H	CH ₃	Et	H	H	F	OH
XXVIII-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-15-5	Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-15-8	Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-16.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-16-1	p-Me-Ph	H	H	H	Et	H	H	F	OH
XXVIII-16-2	p-Me-Ph	H	H	CH ₃	Et	H	H	F	OH
XXVIII-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-16-8	p-Me-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-17.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-17-1	p-F-Ph	H	H	H	Et	H	H	F	OH
XXVIII-17-2	p-F-Ph	H	H	CH ₃	Et	H	H	F	OH
XXVIII-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-17-8	p-F-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-18-1	p-Cl-Ph	H	H	H	Et	H	H	F	OH
XXVIII-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	H	F	OH
XXVIII-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-18-8	p-Cl-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-19-1	p-Br-Ph	H	H	H	Et	H	H	F	OH
XXVIII-19-2	p-Br-Ph	H	H	CH ₃	Et	H	H	F	OH
XXVIII-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-20-1	p-I-Ph	H	H	H	Et	H	H	F	OH
XXVIII-20-2	p-I-Ph	H	H	CH ₃	Et	H	H	F	OH
XXVIII-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXVIII-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXVIII-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXVIII-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXVIII-20-8	p-I-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-21-1	CH ₃	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-21-8	CH ₃	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-22-1	Et	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-22-8	Et	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-24-1	^t Bu	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-24-8	^t Bu	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-25-1	Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-25-8	Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXVIII-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXVIII-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXVIII-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXVIII-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXVIII-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXVIII-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-31-1	CH ₃	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-31-8	CH ₃	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-32.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-32-1	Et	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-32-2	Et	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-32-8	Et	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-34-1	^t Bu	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-34-8	^t Bu	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-35.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-35-1	Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-35-8	Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-36.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-37.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-38.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXVIII-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXVIII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXVIII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXVIII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXVIII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXVIII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-41-1	CH ₃	H	H	H	Bz	H	H	F	OH
XXVIII-41-2	CH ₃	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-41-8	CH ₃	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXVIII-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-42-1	Et	H	H	H	Bz	H	H	F	OH
XXVIII-42-2	Et	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-42-5	Et	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-42-8	Et	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-43-1	<i>i</i> Pr	H	H	H	Bz	H	H	F	OH
XXVIII-43-2	<i>i</i> Pr	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-43-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-43-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-43-5	<i>i</i> Pr	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-43-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-43-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-43-8	<i>i</i> Pr	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-44-1	<i>t</i> Bu	H	H	H	Bz	H	H	F	OH
XXVIII-44-2	<i>t</i> Bu	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-44-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-44-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-44-5	<i>t</i> Bu	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-44-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-44-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-44-8	<i>t</i> Bu	*	H	*	Bz	H	H	F	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-45-1	Ph	H	H	H	Bz	H	H	F	OH
XXVIII-45-2	Ph	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-45-5	Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-45-8	Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-46-1	p-Me-Ph	H	H	H	Bz	H	H	F	OH
XXVIII-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-46-8	p-Me-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-47.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-47-1	p-F-Ph	H	H	H	Bz	H	H	F	OH
XXVIII-47-2	p-F-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-47-8	p-F-Ph	*	H	*	Bz	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-48.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-48-1	p-Cl-Ph	H	H	H	Bz	H	H	F	OH
XXVIII-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-48-8	p-Cl-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-49.

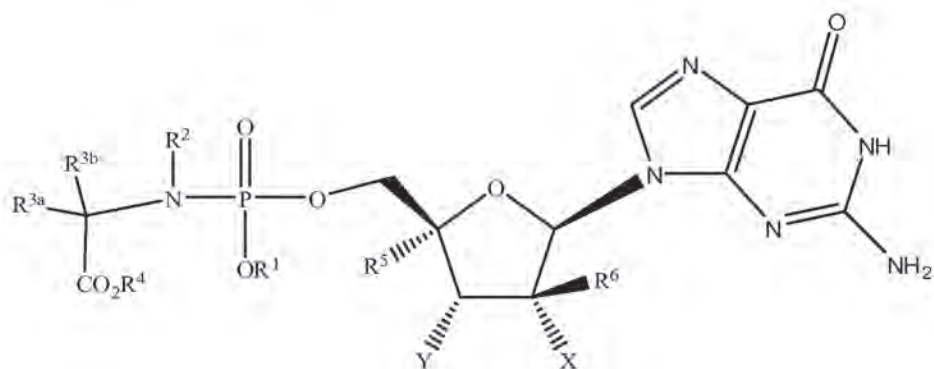
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-49-1	p-Br-Ph	H	H	H	Bz	H	H	F	OH
XXVIII-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-49-8	p-Br-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXVIII-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXVIII-50-1	p-I-Ph	H	H	H	Bz	H	H	F	OH
XXVIII-50-2	p-I-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXVIII-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXVIII-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXVIII-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXVIII-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXVIII-50-8	p-I-Ph	*	H	*	Bz	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



XXIX

Table XXIX-1.

No.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-1-1	CH ₃	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-1-2	CH ₃	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-1-8	CH ₃	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-2.

No.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-2-1	Et	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-2-2	Et	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-2-8	Et	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-3.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-3-1	<i>i</i> Pr	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-3-2	<i>i</i> Pr	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-3-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-3-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-3-5	<i>i</i> Pr	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-3-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-3-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-3-8	<i>i</i> Pr	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-4.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-4-1	<i>t</i> Bu	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-4-2	<i>t</i> Bu	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-4-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-4-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-4-5	<i>t</i> Bu	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-4-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-4-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-4-8	<i>t</i> Bu	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-5.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-5-1	Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-5-2	Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-5-8	Ph	*	H	*	CH ₃	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-6-1	p-Me-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-6-8	p-Me-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-7-1	p-F-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-7-20	p-F-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-8-1	p-Cl-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-8-8	p-Cl-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-9-1	p-Br-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-9-20	p-Br-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-10-1	p-I-Ph	H	H	H	CH ₃	H	CH ₃	F	OH
XXIX-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	CH ₃	F	OH
XXIX-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	CH ₃	F	OH
XXIX-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	CH ₃	F	OH
XXIX-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	CH ₃	F	OH
XXIX-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	CH ₃	F	OH
XXIX-10-8	p-I-Ph	*	H	*	CH ₃	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-11-1	CH ₃	H	H	H	Et	H	CH ₃	F	OH
XXIX-11-2	CH ₃	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-11-8	CH ₃	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-12-1	Et	H	H	H	Et	H	CH ₃	F	OH
XXIX-12-2	Et	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-12-5	Et	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-12-8	Et	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-13.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-13-1	ⁱ Pr	H	H	H	Et	H	CH ₃	F	OH
XXIX-13-2	ⁱ Pr	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-13-8	ⁱ Pr	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-14.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-14-1	^t Bu	H	H	H	Et	H	CH ₃	F	OH
XXIX-14-2	^t Bu	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-14-8	^t Bu	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-15-1	Ph	H	H	H	Et	H	CH ₃	F	OH
XXIX-15-2	Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-15-5	Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-15-8	Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-16-1	p-Me-Ph	H	H	H	Et	H	CH ₃	F	OH
XXIX-16-2	p-Me-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-16-8	p-Me-Ph	*	H	*	Et	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-17-1	p-F-Ph	H	H	H	Et	H	CH ₃	F	OH
XXIX-17-2	p-F-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-17-8	p-F-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-18-1	p-Cl-Ph	H	H	H	Et	H	CH ₃	F	OH
XXIX-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-18-8	p-Cl-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-19-1	p-Br-Ph	H	H	H	Et	H	CH ₃	F	OH
XXIX-19-2	p-Br-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH
XXIX-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-19-8	p-Br-Ph	*	H	*	Et	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-20.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-20-1	p-I-Ph	H	H	H	Et	H	CH ₃	F	OH
XXIX-20-2	p-I-Ph	H	H	CH ₃	Et	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	CH ₃	F	OH
XXIX-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	CH ₃	F	OH
XXIX-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	CH ₃	F	OH
XXIX-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	CH ₃	F	OH
XXIX-20-8	p-I-Ph	*	H	*	Et	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-21.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-21-1	CH ₃	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-21-8	CH ₃	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-22.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-22-1	Et	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-2	Et	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-22-8	Et	*	H	*	ⁱ Pr	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-23.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-23-1	<i>i</i> Pr	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-2	<i>i</i> Pr	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-5	<i>i</i> Pr	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-23-8	<i>i</i> Pr	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-24-1	<i>t</i> Bu	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-2	<i>t</i> Bu	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-5	<i>t</i> Bu	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-24-8	<i>t</i> Bu	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-25-1	Ph	H	H	H	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-2	Ph	H	H	CH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-3	Ph	H	H	CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-5	Ph	H	H	CH ₂ Ph	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-6	Ph	H	H	CH ₂ -indol-3-yl	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	<i>i</i> Pr	H	CH ₃	F	OH
XXIX-25-8	Ph	*	H	*	<i>i</i> Pr	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-29.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-30.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXIX-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-31.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-31-1	CH ₃	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-31-8	CH ₃	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-32.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-32-1	Et	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-2	Et	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-32-8	Et	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-34-1	^t Bu	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-34-8	^t Bu	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-35-1	Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-35-8	Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	CH ₃	F	OH
XXIX-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-41-1	CH ₃	H	H	H	Bz	H	CH ₃	F	OH
XXIX-41-2	CH ₃	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-41-8	CH ₃	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-42-1	Et	H	H	H	Bz	H	CH ₃	F	OH
XXIX-42-2	Et	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-42-5	Et	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-42-8	Et	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXIX-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-43-1	ⁱ Pr	H	H	H	Bz	H	CH ₃	F	OH
XXIX-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-43-8	ⁱ Pr	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-44-1	^t Bu	H	H	H	Bz	H	CH ₃	F	OH
XXIX-44-2	^t Bu	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-44-8	^t Bu	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-45-1	Ph	H	H	H	Bz	H	CH ₃	F	OH
XXIX-45-2	Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-45-5	Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-45-8	Ph	*	H	*	Bz	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-46-1	p-Me-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXIX-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-46-8	p-Me-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-47-1	p-F-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXIX-47-2	p-F-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-47-8	p-F-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-48-1	p-Cl-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXIX-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-48-8	p-Cl-Ph	*	H	*	Bz	H	CH ₃	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-49-1	p-Br-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXIX-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-49-8	p-Br-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXIX-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXIX-50-1	p-I-Ph	H	H	H	Bz	H	CH ₃	F	OH
XXIX-50-2	p-I-Ph	H	H	CH ₃	Bz	H	CH ₃	F	OH
XXIX-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	CH ₃	F	OH
XXIX-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	CH ₃	F	OH
XXIX-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	CH ₃	F	OH
XXIX-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	CH ₃	F	OH
XXIX-50-8	p-I-Ph	*	H	*	Bz	H	CH ₃	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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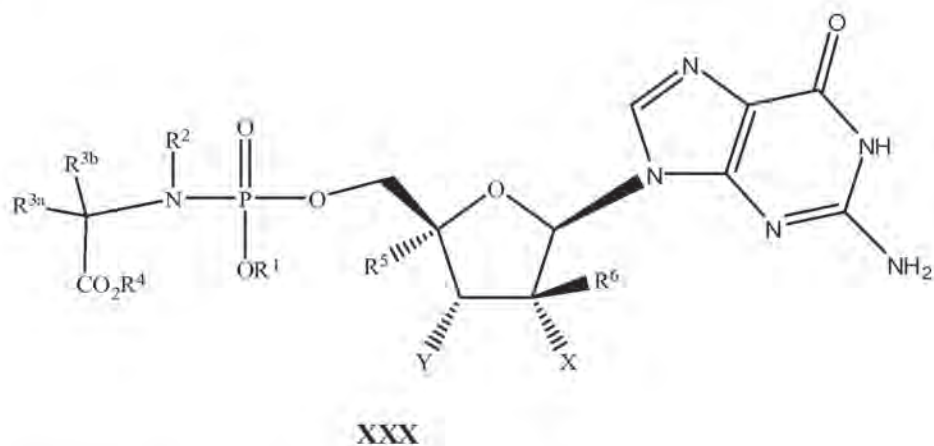


Table XXX-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-1-1	CH ₃	H	H	H	CH ₃	H	F	H	OH
XXX-1-2	CH ₃	H	H	CH ₃	CH ₃	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-1-8	CH ₃	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-2-1	Et	H	H	H	CH ₃	H	F	H	OH
XXX-2-2	Et	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-2-8	Et	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-3-1	ⁱ Pr	H	H	H	CH ₃	H	F	H	OH
XXX-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-3-8	ⁱ Pr	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
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No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-4-1	^t Bu	H	H	H	CH ₃	H	F	H	OH
XXX-4-2	^t Bu	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-4-8	^t Bu	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-5-1	Ph	H	H	H	CH ₃	H	F	H	OH
XXX-5-2	Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-5-8	Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-6-1	p-Me-Ph	H	H	H	CH ₃	H	F	H	OH
XXX-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-7-1	p-F-Ph	H	H	H	CH ₃	H	F	H	OH
XXX-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-7-20	p-F-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-8-1	p-Cl-Ph	H	H	H	CH ₃	H	F	H	OH
XXX-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	H	OH
XXX-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-10.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-10-1	p-I-Ph	H	H	H	CH ₃	H	F	H	OH
XXX-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	H	OH
XXX-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	H	OH
XXX-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	H	OH
XXX-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	H	OH
XXX-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	H	OH
XXX-10-8	p-I-Ph	*	H	*	CH ₃	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-11.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-11-1	CH ₃	H	H	H	Et	H	F	H	OH
XXX-11-2	CH ₃	H	H	CH ₃	Et	H	F	H	OH
XXX-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-11-8	CH ₃	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-12.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-12-1	Et	H	H	H	Et	H	F	H	OH
XXX-12-2	Et	H	H	CH ₃	Et	H	F	H	OH
XXX-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-12-5	Et	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-12-8	Et	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-13-1	ⁱ Pr	H	H	H	Et	H	F	H	OH
XXX-13-2	ⁱ Pr	H	H	CH ₃	Et	H	F	H	OH
XXX-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-13-8	ⁱ Pr	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-14-1	^t Bu	H	H	H	Et	H	F	H	OH
XXX-14-2	^t Bu	H	H	CH ₃	Et	H	F	H	OH
XXX-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-14-8	^t Bu	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-15-1	Ph	H	H	H	Et	H	F	H	OH
XXX-15-2	Ph	H	H	CH ₃	Et	H	F	H	OH
XXX-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-15-5	Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-15-8	Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-16-1	p-Me-Ph	H	H	H	Et	H	F	H	OH
XXX-16-2	p-Me-Ph	H	H	CH ₃	Et	H	F	H	OH
XXX-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-16-8	p-Me-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-17-1	p-F-Ph	H	H	H	Et	H	F	H	OH
XXX-17-2	p-F-Ph	H	H	CH ₃	Et	H	F	H	OH
XXX-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-17-8	p-F-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-18-1	p-Cl-Ph	H	H	H	Et	H	F	H	OH
XXX-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	H	OH
XXX-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-18-8	p-Cl-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-19.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-19-1	p-Br-Ph	H	H	H	Et	H	F	H	OH
XXX-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	H	OH
XXX-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-19-8	p-Br-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-20-1	p-I-Ph	H	H	H	Et	H	F	H	OH
XXX-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	H	OH
XXX-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	H	OH
XXX-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	H	OH
XXX-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	H	OH
XXX-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	H	OH
XXX-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	H	OH
XXX-20-8	p-I-Ph	*	H	*	Et	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	H	OH
XXX-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-22-1	Et	H	H	H	ⁱ Pr	H	F	H	OH
XXX-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-22-8	Et	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	F	H	OH
XXX-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-24-1	^t Bu	H	H	H	ⁱ Pr	H	F	H	OH
XXX-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-25-1	Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXX-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-25-8	Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXX-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-27.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXX-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-28.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXX-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXX-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	F	H	OH
XXX-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	F	H	OH
XXX-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	H	OH
XXX-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	H	OH
XXX-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	H	OH
XXX-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	H	OH
XXX-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-31.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-31-1	CH ₃	H	H	H	ⁿ Bu	H	F	H	OH
XXX-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-32.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-32-1	Et	H	H	H	ⁿ Bu	H	F	H	OH
XXX-32-2	Et	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-32-8	Et	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-33.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	F	H	OH
XXX-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-34-1	^t Bu	H	H	H	ⁿ Bu	H	F	H	OH
XXX-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-34-8	^t Bu	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-35-1	Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXX-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-35-8	Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXX-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXX-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXX-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-39.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXX-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-40.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	H	OH
XXX-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	H	OH
XXX-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	H	OH
XXX-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	H	OH
XXX-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	H	OH
XXX-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	H	OH
XXX-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-41.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-41-1	CH ₃	H	H	H	Bz	H	F	H	OH
XXX-41-2	CH ₃	H	H	CH ₃	Bz	H	F	H	OH
XXX-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-41-8	CH ₃	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXX-42.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-42-1	Et	H	H	H	Bz	H	F	H	OH
XXX-42-2	Et	H	H	CH ₃	Bz	H	F	H	OH
XXX-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-42-8	Et	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-43-1	ⁱ Pr	H	H	H	Bz	H	F	H	OH
XXX-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	H	OH
XXX-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-43-8	ⁱ Pr	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-44-1	^t Bu	H	H	H	Bz	H	F	H	OH
XXX-44-2	^t Bu	H	H	CH ₃	Bz	H	F	H	OH
XXX-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-44-8	^t Bu	*	H	*	Bz	H	F	H	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-45.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-45-1	Ph	H	H	H	Bz	H	F	H	OH
XXX-45-2	Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-45-8	Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-46.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-46-1	p-Me-Ph	H	H	H	Bz	H	F	H	OH
XXX-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-46-8	p-Me-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-47.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-47-1	p-F-Ph	H	H	H	Bz	H	F	H	OH
XXX-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-47-8	p-F-Ph	*	H	*	Bz	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-48.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-48-1	p-Cl-Ph	H	H	H	Bz	H	F	H	OH
XXX-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-48-8	p-Cl-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-49.

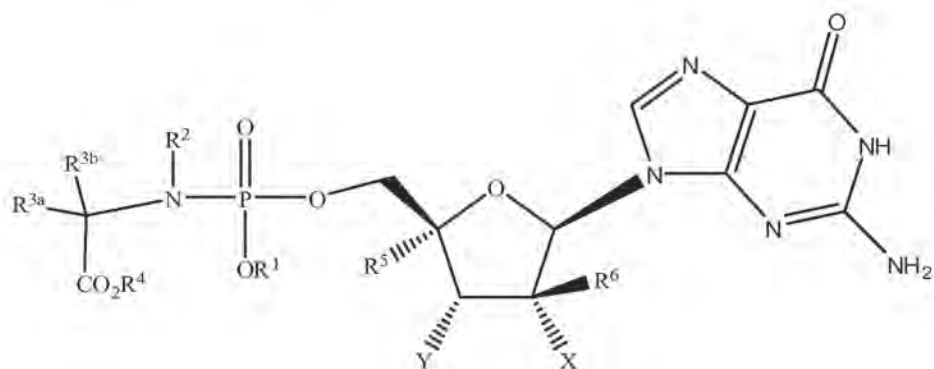
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-49-1	p-Br-Ph	H	H	H	Bz	H	F	H	OH
XXX-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-49-8	p-Br-Ph	*	H	*	Bz	H	F	H	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXX-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-50-1	p-I-Ph	H	H	H	Bz	H	F	H	OH
XXX-50-2	p-I-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-50-8	p-I-Ph	*	H	*	Bz	H	F	H	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



XXXI

Table XXXI-1.

No.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-1-1	CH ₃	H	H	H	CH ₃	H	F	F	OH
XXXI-1-2	CH ₃	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-1-8	CH ₃	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-2.

No.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-2-1	Et	H	H	H	CH ₃	H	F	F	OH
XXXI-2-2	Et	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-2-8	Et	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-3-1	ⁱ Pr	H	H	H	CH ₃	H	F	F	OH
XXXI-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-3-8	ⁱ Pr	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-4-1	^t Bu	H	H	H	CH ₃	H	F	F	OH
XXXI-4-2	^t Bu	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-4-8	^t Bu	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-5-1	Ph	H	H	H	CH ₃	H	F	F	OH
XXXI-5-2	Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-5-8	Ph	*	H	*	CH ₃	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-6-1	p-Me-Ph	H	H	H	CH ₃	H	F	F	OH
XXXI-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-6-8	p-Me-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-7-1	p-F-Ph	H	H	H	CH ₃	H	F	F	OH
XXXI-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-7-20	p-F-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-8-1	p-Cl-Ph	H	H	H	CH ₃	H	F	F	OH
XXXI-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-8-8	p-Cl-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-9-1	p-Br-Ph	H	H	H	CH ₃	H	F	F	OH
XXXI-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-9-20	p-Br-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-10-1	p-I-Ph	H	H	H	CH ₃	H	F	F	OH
XXXI-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	F	F	OH
XXXI-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	F	F	OH
XXXI-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	F	F	OH
XXXI-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	F	F	OH
XXXI-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	F	F	OH
XXXI-10-8	p-I-Ph	*	H	*	CH ₃	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-11-1	CH ₃	H	H	H	Et	H	F	F	OH
XXXI-11-2	CH ₃	H	H	CH ₃	Et	H	F	F	OH
XXXI-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-11-8	CH ₃	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-12.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-12-1	Et	H	H	H	Et	H	F	F	OH
XXXI-12-2	Et	H	H	CH ₃	Et	H	F	F	OH
XXXI-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-12-5	Et	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-12-8	Et	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-13.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-13-1	ⁱ Pr	H	H	H	Et	H	F	F	OH
XXXI-13-2	ⁱ Pr	H	H	CH ₃	Et	H	F	F	OH
XXXI-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-13-8	ⁱ Pr	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-14-1	^t Bu	H	H	H	Et	H	F	F	OH
XXXI-14-2	^t Bu	H	H	CH ₃	Et	H	F	F	OH
XXXI-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-14-8	^t Bu	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-15.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-15-1	Ph	H	H	H	Et	H	F	F	OH
XXXI-15-2	Ph	H	H	CH ₃	Et	H	F	F	OH
XXXI-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-15-5	Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-15-8	Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-16.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-16-1	p-Me-Ph	H	H	H	Et	H	F	F	OH
XXXI-16-2	p-Me-Ph	H	H	CH ₃	Et	H	F	F	OH
XXXI-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-16-8	p-Me-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-17-1	p-F-Ph	H	H	H	Et	H	F	F	OH
XXXI-17-2	p-F-Ph	H	H	CH ₃	Et	H	F	F	OH
XXXI-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-17-8	p-F-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-18.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-18-1	p-Cl-Ph	H	H	H	Et	H	F	F	OH
XXXI-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	F	F	OH
XXXI-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-18-8	p-Cl-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-19.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-19-1	p-Br-Ph	H	H	H	Et	H	F	F	OH
XXXI-19-2	p-Br-Ph	H	H	CH ₃	Et	H	F	F	OH
XXXI-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-19-8	p-Br-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-20.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-20-1	p-I-Ph	H	H	H	Et	H	F	F	OH
XXXI-20-2	p-I-Ph	H	H	CH ₃	Et	H	F	F	OH
XXXI-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	F	F	OH
XXXI-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	F	F	OH
XXXI-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	F	F	OH
XXXI-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	F	F	OH
XXXI-20-8	p-I-Ph	*	H	*	Et	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-21.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-21-1	CH ₃	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-21-8	CH ₃	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-22.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-22-1	Et	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-22-2	Et	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-22-8	Et	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-24.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-24-1	^t Bu	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-24-8	^t Bu	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-25.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-25-1	Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-25-8	Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-26.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-29.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-30.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	F	F	OH
XXXI-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	F	F	OH
XXXI-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	F	F	OH
XXXI-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	F	F	OH
XXXI-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	F	F	OH
XXXI-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	F	F	OH
XXXI-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-31.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-31-1	CH ₃	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-31-8	CH ₃	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-32.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-32-1	Et	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-32-2	Et	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-32-8	Et	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-33.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-34.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-34-1	^t Bu	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-34-8	^t Bu	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-35.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-35-1	Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-35-8	Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-38.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	F	F	OH
XXXI-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	F	F	OH
XXXI-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	F	F	OH
XXXI-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	F	F	OH
XXXI-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	F	F	OH
XXXI-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	F	F	OH
XXXI-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXI-41.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-41-1	CH ₃	H	H	H	Bz	H	F	F	OH
XXXI-41-2	CH ₃	H	H	CH ₃	Bz	H	F	F	OH
XXXI-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-41-8	CH ₃	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-42.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-42-1	Et	H	H	H	Bz	H	F	F	OH
XXXI-42-2	Et	H	H	CH ₃	Bz	H	F	F	OH
XXXI-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-42-5	Et	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-42-8	Et	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-43.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-43-1	ⁱ Pr	H	H	H	Bz	H	F	F	OH
XXXI-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	F	F	OH
XXXI-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-43-8	ⁱ Pr	*	H	*	Bz	H	F	F	OH

10 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-44.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-44-1	^t Bu	H	H	H	Bz	H	F	F	OH
XXXI-44-2	^t Bu	H	H	CH ₃	Bz	H	F	F	OH
XXXI-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-44-8	^t Bu	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-45-1	Ph	H	H	H	Bz	H	F	F	OH
XXXI-45-2	Ph	H	H	CH ₃	Bz	H	F	F	OH
XXXI-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-45-5	Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-45-8	Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-46-1	p-Me-Ph	H	H	H	Bz	H	F	F	OH
XXXI-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXXI-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-46-8	p-Me-Ph	*	H	*	Bz	H	F	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-47.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-47-1	p-F-Ph	H	H	H	Bz	H	F	F	OH
XXXI-47-2	p-F-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXXI-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-47-8	p-F-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-48-1	p-Cl-Ph	H	H	H	Bz	H	F	F	OH
XXXI-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXXI-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-48-8	p-Cl-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-49-1	p-Br-Ph	H	H	H	Bz	H	F	F	OH
XXXI-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXXI-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH
XXXI-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-49-8	p-Br-Ph	*	H	*	Bz	H	F	F	OH

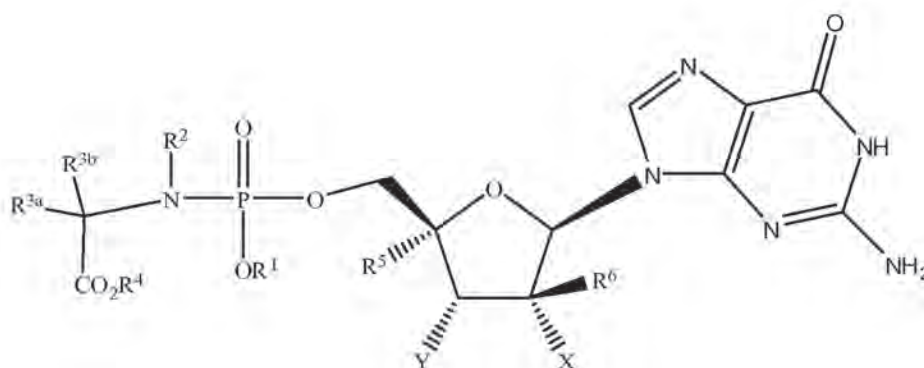
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXI-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-50-1	p-I-Ph	H	H	H	Bz	H	F	F	OH
XXXI-50-2	p-I-Ph	H	H	CH ₃	Bz	H	F	F	OH
XXXI-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	F	OH
XXXI-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	F	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXI-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	F	OH
XXXI-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	F	OH
XXXI-50-8	p-I-Ph	*	H	*	Bz	H	F	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.



XXXII

5

Table XXXII-1.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-1-1	CH ₃	H	H	H	CH ₃	H	H	F	OH
XXXII-1-2	CH ₃	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-1-3	CH ₃	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-1-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-1-5	CH ₃	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-1-6	CH ₃	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-1-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-1-8	CH ₃	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-2.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-2-1	Et	H	H	H	CH ₃	H	H	F	OH
XXXII-2-2	Et	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-2-3	Et	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-2-4	Et	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-2-5	Et	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-2-6	Et	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-2-7	Et	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-2-8	Et	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-3.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-3-1	ⁱ Pr	H	H	H	CH ₃	H	H	F	OH
XXXII-3-2	ⁱ Pr	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-3-3	ⁱ Pr	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-3-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-3-5	ⁱ Pr	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-3-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-3-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-3-8	ⁱ Pr	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-4.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-4-1	^t Bu	H	H	H	CH ₃	H	H	F	OH
XXXII-4-2	^t Bu	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-4-3	^t Bu	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-4-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-4-5	^t Bu	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-4-6	^t Bu	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-4-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-4-8	^t Bu	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-5.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-5-1	Ph	H	H	H	CH ₃	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-5-2	Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-5-3	Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-5-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-5-5	Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-5-6	Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-5-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-5-8	Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-6.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-6-1	p-Me-Ph	H	H	H	CH ₃	H	H	F	OH
XXXII-6-2	p-Me-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-6-3	p-Me-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-6-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-6-5	p-Me-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-6-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-6-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-6-8	p-Me-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-7.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-7-1	p-F-Ph	H	H	H	CH ₃	H	H	F	OH
XXXII-7-2	p-F-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-7-3	p-F-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-7-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-7-6	p-F-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-7-7	p-F-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-7-8	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-7-20	p-F-Ph	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-8.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-8-1	p-Cl-Ph	H	H	H	CH ₃	H	H	F	OH
XXXII-8-2	p-Cl-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-8-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-8-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-8-5	p-Cl-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-8-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-8-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-8-8	p-Cl-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-9.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-9-1	p-Br-Ph	H	H	H	CH ₃	H	H	F	OH
XXXII-9-2	p-Br-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-9-3	p-Br-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-9-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-9-6	p-Br-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-9-7	p-Br-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-9-8	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-9-20	p-Br-Ph	*	H	*	CH ₃	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-10.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-10-1	p-I-Ph	H	H	H	CH ₃	H	H	F	OH
XXXII-10-2	p-I-Ph	H	H	CH ₃	CH ₃	H	H	F	OH
XXXII-10-3	p-I-Ph	H	H	CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-10-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	CH ₃	H	H	F	OH
XXXII-10-5	p-I-Ph	H	H	CH ₂ Ph	CH ₃	H	H	F	OH
XXXII-10-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	CH ₃	H	H	F	OH
XXXII-10-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	CH ₃	H	H	F	OH
XXXII-10-8	p-I-Ph	*	H	*	CH ₃	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-11.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-11-1	CH ₃	H	H	H	Et	H	H	F	OH
XXXII-11-2	CH ₃	H	H	CH ₃	Et	H	H	F	OH
XXXII-11-3	CH ₃	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-11-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-11-5	CH ₃	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-11-6	CH ₃	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-11-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-11-8	CH ₃	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-12.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-12-1	Et	H	H	H	Et	H	H	F	OH
XXXII-12-2	Et	H	H	CH ₃	Et	H	H	F	OH
XXXII-12-3	Et	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-12-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-12-5	Et	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-12-6	Et	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-12-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-12-8	Et	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-13.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-13-1	ⁱ Pr	H	H	H	Et	H	H	F	OH
XXXII-13-2	ⁱ Pr	H	H	CH ₃	Et	H	H	F	OH
XXXII-13-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-13-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-13-5	ⁱ Pr	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-13-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-13-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-13-8	ⁱ Pr	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-14.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-14-1	^t Bu	H	H	H	Et	H	H	F	OH
XXXII-14-2	^t Bu	H	H	CH ₃	Et	H	H	F	OH
XXXII-14-3	^t Bu	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-14-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-14-5	^t Bu	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-14-6	^t Bu	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-14-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-14-8	^t Bu	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-15.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-15-1	Ph	H	H	H	Et	H	H	F	OH
XXXII-15-2	Ph	H	H	CH ₃	Et	H	H	F	OH
XXXII-15-3	Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-15-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-15-5	Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-15-6	Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-15-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-15-8	Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-16.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-16-1	p-Me-Ph	H	H	H	Et	H	H	F	OH
XXXII-16-2	p-Me-Ph	H	H	CH ₃	Et	H	H	F	OH
XXXII-16-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-16-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-16-5	p-Me-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-16-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-16-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-16-8	p-Me-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-17.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-17-1	p-F-Ph	H	H	H	Et	H	H	F	OH
XXXII-17-2	p-F-Ph	H	H	CH ₃	Et	H	H	F	OH
XXXII-17-3	p-F-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-17-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-17-5	p-F-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-17-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-17-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-17-8	p-F-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-18.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-18-1	p-Cl-Ph	H	H	H	Et	H	H	F	OH
XXXII-18-2	p-Cl-Ph	H	H	CH ₃	Et	H	H	F	OH
XXXII-18-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-18-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-18-5	p-Cl-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-18-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-18-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-18-8	p-Cl-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-19.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-19-1	p-Br-Ph	H	H	H	Et	H	H	F	OH
XXXII-19-2	p-Br-Ph	H	H	CH ₃	Et	H	H	F	OH
XXXII-19-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-19-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-19-5	p-Br-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-19-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-19-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-19-8	p-Br-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-20.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-20-1	p-I-Ph	H	H	H	Et	H	H	F	OH
XXXII-20-2	p-I-Ph	H	H	CH ₃	Et	H	H	F	OH
XXXII-20-3	p-I-Ph	H	H	CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-20-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Et	H	H	F	OH
XXXII-20-5	p-I-Ph	H	H	CH ₂ Ph	Et	H	H	F	OH
XXXII-20-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Et	H	H	F	OH
XXXII-20-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Et	H	H	F	OH
XXXII-20-8	p-I-Ph	*	H	*	Et	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-21.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-21-1	CH ₃	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-21-2	CH ₃	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-21-3	CH ₃	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-21-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-21-5	CH ₃	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-21-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-21-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-21-8	CH ₃	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-22.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-22-1	Et	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-22-2	Et	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-22-8	Et	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-23.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-23-1	ⁱ Pr	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-23-2	ⁱ Pr	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-23-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-23-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-23-5	ⁱ Pr	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-23-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-23-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-23-8	ⁱ Pr	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-24.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-24-1	^t Bu	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-24-8	^t Bu	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-25.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-25-1	Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-25-2	Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-25-3	Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-25-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-25-5	Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-25-6	Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-25-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-25-8	Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-26.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-26-1	p-Me-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-26-2	p-Me-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-26-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-26-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-26-5	p-Me-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-26-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-26-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-26-8	p-Me-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-27.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-27-1	p-F-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-27-2	p-F-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-27-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-27-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-27-5	p-F-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-27-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-27-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-27-8	p-F-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-28.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-28-1	p-Cl-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-28-2	p-Cl-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-28-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-28-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-28-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-28-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-28-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-28-8	p-Cl-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-29.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-29-1	p-Br-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-29-2	p-Br-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-29-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-29-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-29-5	p-Br-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-29-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-29-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-29-8	p-Br-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-30.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-30-1	p-I-Ph	H	H	H	ⁱ Pr	H	H	F	OH
XXXII-30-2	p-I-Ph	H	H	CH ₃	ⁱ Pr	H	H	F	OH
XXXII-30-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-30-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	H	F	OH
XXXII-30-5	p-I-Ph	H	H	CH ₂ Ph	ⁱ Pr	H	H	F	OH
XXXII-30-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	H	F	OH
XXXII-30-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	H	F	OH
XXXII-30-8	p-I-Ph	*	H	*	ⁱ Pr	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-31.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-31-1	CH ₃	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-31-2	CH ₃	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-31-3	CH ₃	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-31-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-31-5	CH ₃	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-31-6	CH ₃	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-31-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-31-8	CH ₃	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-32.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-32-1	Et	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-32-2	Et	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-32-3	Et	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-32-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-32-5	Et	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-32-6	Et	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-32-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-32-8	Et	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-33.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-33-1	ⁱ Pr	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-33-2	ⁱ Pr	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-33-3	ⁱ Pr	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-33-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-33-5	ⁱ Pr	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-33-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-33-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-33-8	ⁱ Pr	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-34.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-34-1	^t Bu	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-34-2	^t Bu	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-34-3	^t Bu	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-34-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-34-5	^t Bu	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-34-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-34-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-34-8	^t Bu	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-35.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-35-1	Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-35-2	Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-35-3	Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-35-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-35-5	Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-35-6	Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-35-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-35-8	Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-36.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-36-1	p-Me-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-36-2	p-Me-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-36-3	p-Me-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-36-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-36-5	p-Me-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-36-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-36-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-36-8	p-Me-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-37.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-37-1	p-F-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-37-2	p-F-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-37-3	p-F-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-37-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-37-5	p-F-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-37-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-37-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-37-8	p-F-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-38.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-38-1	p-Cl-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-38-2	p-Cl-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-38-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-38-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-38-5	p-Cl-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-38-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-38-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-38-8	p-Cl-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-39.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-39-1	p-Br-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-39-2	p-Br-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-39-3	p-Br-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-39-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-39-5	p-Br-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-39-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-39-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-39-8	p-Br-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-40.

N ₂	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-40-1	p-I-Ph	H	H	H	ⁿ Bu	H	H	F	OH
XXXII-40-2	p-I-Ph	H	H	CH ₃	ⁿ Bu	H	H	F	OH
XXXII-40-3	p-I-Ph	H	H	CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-40-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	ⁿ Bu	H	H	F	OH
XXXII-40-5	p-I-Ph	H	H	CH ₂ Ph	ⁿ Bu	H	H	F	OH
XXXII-40-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	ⁿ Bu	H	H	F	OH
XXXII-40-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	ⁿ Bu	H	H	F	OH
XXXII-40-8	p-I-Ph	*	H	*	ⁿ Bu	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-41.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-41-1	CH ₃	H	H	H	Bz	H	H	F	OH
XXXII-41-2	CH ₃	H	H	CH ₃	Bz	H	H	F	OH
XXXII-41-3	CH ₃	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-41-4	CH ₃	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-41-5	CH ₃	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-41-6	CH ₃	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-41-7	CH ₃	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-41-8	CH ₃	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-42.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-42-1	Et	H	H	H	Bz	H	H	F	OH
XXXII-42-2	Et	H	H	CH ₃	Bz	H	H	F	OH
XXXII-42-3	Et	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-42-4	Et	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-42-5	Et	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-42-6	Et	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-42-7	Et	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-42-8	Et	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

5 Table XXXII-43.

N ^o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-43-1	ⁱ Pr	H	H	H	Bz	H	H	F	OH
XXXII-43-2	ⁱ Pr	H	H	CH ₃	Bz	H	H	F	OH
XXXII-43-3	ⁱ Pr	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-43-4	ⁱ Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-43-5	ⁱ Pr	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-43-6	ⁱ Pr	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-43-7	ⁱ Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-43-8	ⁱ Pr	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-44.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-44-1	^t Bu	H	H	H	Bz	H	H	F	OH
XXXII-44-2	^t Bu	H	H	CH ₃	Bz	H	H	F	OH
XXXII-44-3	^t Bu	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-44-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-44-5	^t Bu	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-44-6	^t Bu	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-44-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-44-8	^t Bu	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-45.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-45-1	Ph	H	H	H	Bz	H	H	F	OH
XXXII-45-2	Ph	H	H	CH ₃	Bz	H	H	F	OH
XXXII-45-3	Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-45-4	Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-45-5	Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-45-6	Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-45-7	Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-45-8	Ph	*	H	*	Bz	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-46.

N _o	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-46-1	p-Me-Ph	H	H	H	Bz	H	H	F	OH
XXXII-46-2	p-Me-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXXII-46-3	p-Me-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-46-4	p-Me-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-46-5	p-Me-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-46-6	p-Me-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-46-7	p-Me-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-46-8	p-Mc-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-47.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-47-1	p-F-Ph	H	H	H	Bz	H	H	F	OH
XXXII-47-2	p-F-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXXII-47-3	p-F-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-47-4	p-F-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-47-5	p-F-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-47-6	p-F-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-47-7	p-F-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-47-8	p-F-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-48.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-48-1	p-Cl-Ph	H	H	H	Bz	H	H	F	OH
XXXII-48-2	p-Cl-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXXII-48-3	p-Cl-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-48-4	p-Cl-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-48-5	p-Cl-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-48-6	p-Cl-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-48-7	p-Cl-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-48-8	p-Cl-Ph	*	H	*	Bz	H	H	F	OH

5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-49.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-49-1	p-Br-Ph	H	H	H	Bz	H	H	F	OH
XXXII-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXXII-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-49-7	p-Br-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-49-8	p-Br-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

Table XXXII-50.

No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXXII-50-1	p-I-Ph	H	H	H	Bz	H	H	F	OH
XXXII-50-2	p-I-Ph	H	H	CH ₃	Bz	H	H	F	OH
XXXII-50-3	p-I-Ph	H	H	CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-50-4	p-I-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	H	F	OH
XXXII-50-5	p-I-Ph	H	H	CH ₂ Ph	Bz	H	H	F	OH
XXXII-50-6	p-I-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	H	F	OH
XXXII-50-7	p-I-Ph	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	H	F	OH
XXXII-50-8	p-I-Ph	*	H	*	Bz	H	H	F	OH

*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

DOSAGE, ADMINISTRATION, AND USE

- 5 A sixth embodiment of the present invention is directed to a composition for the treatment of any of the viral agents disclosed herein said composition comprising a pharmaceutically acceptable medium selected from among an excipient, carrier, diluent, and equivalent medium and a compound, that is intended to include its salts (acid or basic addition salts), hydrates, solvates, and crystalline forms can be obtained, represented by
- 10 formula I.

It is contemplated that the formulation of the sixth embodiment can contain any of the compounds contemplated in any of the aspects of the first, second, third, fourth, and fifth embodiments or those specifically recited in the tables above or exemplified herein, either alone or in combination with another compound of the present invention.

- 15 The compounds of the present invention may be formulated in a wide variety of oral administration dosage forms and carriers. Oral administration can be in the form of tablets, coated tablets, hard and soft gelatin capsules, solutions, emulsions, syrups, or

suspensions. Compounds of the present invention are efficacious when administered by suppository administration, among other routes of administration. The most convenient manner of administration is generally oral using a convenient daily dosing regimen which can be adjusted according to the severity of the disease and the patient's response to the
5 antiviral medication.

 A compound or compounds of the present invention, as well as their pharmaceutically acceptable salts, together with one or more conventional excipients, carriers, or diluents, may be placed into the form of pharmaceutical compositions and unit dosages. The pharmaceutical compositions and unit dosage forms may be comprised
10 of conventional ingredients in conventional proportions, with or without additional active compounds and the unit dosage forms may contain any suitable effective amount of the active ingredient commensurate with the intended daily dosage range to be employed. The pharmaceutical compositions may be employed as solids, such as tablets or filled capsules, semisolids, powders, sustained release formulations, or liquids such as
15 suspensions, emulsions, or filled capsules for oral use; or in the form of suppositories for rectal or vaginal administration. A typical preparation will contain from about 5% to about 95% active compound or compounds (w/w). The term "preparation" or "dosage form" is intended to include both solid and liquid formulations of the active compound and one skilled in the art will appreciate that an active ingredient can exist in different
20 preparations depending on the desired dose and pharmacokinetic parameters.

 The term "excipient" as used herein refers to a compound that is used to prepare a pharmaceutical composition, and is generally safe, non-toxic and neither biologically nor otherwise undesirable, and includes excipients that are acceptable for veterinary use as well as human pharmaceutical use. The compounds of this invention can be administered
25 alone but will generally be administered in admixture with one or more suitable pharmaceutical excipients, diluents or carriers selected with regard to the intended route of administration and standard pharmaceutical practice.

 A "pharmaceutically acceptable salt" form of an active ingredient may also initially confer a desirable pharmacokinetic property on the active ingredient which were

absent in the non-salt form, and may even positively affect the pharmacodynamics of the active ingredient with respect to its therapeutic activity in the body. The phrase

"pharmaceutically acceptable salt" of a compound as used herein means a salt that is pharmaceutically acceptable and that possesses the desired pharmacological activity of

- 5 the parent compound. Such salts include: (1) acid addition salts, formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, and the like; or formed with organic acids such as glycolic acid, pyruvic acid, lactic acid, malonic acid, malic acid, maleic acid, fumaric acid, tartaric acid, citric acid, 3-(4-hydroxybenzoyl)benzoic acid, cinnamic acid, mandelic acid, methanesulfonic acid, 10 ethanesulfonic acid, 1,2-ethane-disulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, salicylic acid, muconic acid, and the like or (2) basic addition salts formed with the conjugate bases of any of the inorganic acids listed above, wherein the conjugate bases 15 comprise a cationic component selected from among Na^+ , K^+ , Mg^{2+} , Ca^{2+} , and $\text{NH}_g\text{R}^m_{4-g}^+$, in which R^m is a C_{1-3} alkyl and g is a number selected from among 0, 1, 2, 3, or 4. It should be understood that all references to pharmaceutically acceptable salts include solvent addition forms (solvates) or crystal forms (polymorphs) as defined herein, of the same acid addition salt.

- 20 Solid form preparations include powders, tablets, pills, capsules, suppositories, and dispersible granules. A solid carrier may be one or more substances which may also act as diluents, flavoring agents, solubilizers, lubricants, suspending agents, binders, preservatives, tablet disintegrating agents, or an encapsulating material. In powders, the carrier generally is a finely divided solid which is a mixture with the finely divided active 25 component. In tablets, the active component generally is mixed with the carrier having the necessary binding capacity in suitable proportions and compacted in the shape and size desired. Suitable carriers include but are not limited to magnesium carbonate, magnesium stearate, talc, sugar, lactose, pectin, dextrin, starch, gelatin, tragacanth, methylcellulose, sodium carboxymethylcellulose, a low melting wax, cocoa butter, and 30 the like. Solid form preparations may contain, in addition to the active component, colorants, flavors, stabilizers, buffers, artificial and natural sweeteners, dispersants,

thickeners, solubilizing agents, and the like.

Liquid formulations also are suitable for oral administration include liquid formulation including emulsions, syrups, elixirs and aqueous suspensions. These include solid form preparations which are intended to be converted to liquid form preparations shortly before use. Emulsions may be prepared in solutions, for example, in aqueous propylene glycol solutions or may contain emulsifying agents such as lecithin, sorbitan monooleate, or acacia. Aqueous suspensions can be prepared by dispersing the finely divided active component in water with viscous material, such as natural or synthetic gums, resins, methylcellulose, sodium carboxymethylcellulose, and other well known suspending agents.

The compounds of the present invention may be formulated for administration as suppositories. A low melting wax, such as a mixture of fatty acid glycerides or cocoa butter is first melted and the active component is dispersed homogeneously, for example, by stirring. The molten homogeneous mixture is then poured into convenient sized molds, allowed to cool, and to solidify.

The compounds of the present invention may be formulated for vaginal administration. Pessaries, tampons, creams, gels, pastes, foams or sprays containing in addition to the active ingredient such carriers as are known in the art to be appropriate.

Suitable formulations along with pharmaceutical carriers, diluents and excipients are described in *Remington: The Science and Practice of Pharmacy* **1995**, edited by E. W. Martin, Mack Publishing Company, 19th edition, Easton, Pennsylvania, which is hereby incorporated by reference. The compounds of the present invention can also be encapsulated in liposomes, such as those disclosed in U.S. Patent Nos. 6,180,134, 5,192,549, 5,376,380, 6,060,080, 6,132,763, each of which is incorporated by reference. A skilled formulation scientist may modify the formulations within the teachings of the specification to provide numerous formulations for a particular route of administration without rendering the compositions of the present invention unstable or compromising their therapeutic activity.

The modification of the present compounds to render them more soluble in water or other vehicle, for example, may be easily accomplished by minor modifications (e.g., salt formulation), which are well within the ordinary skill in the art. It is also well within the ordinary skill of the art to modify the route of administration and dosage regimen of a particular compound in order to manage the pharmacokinetics of the present compounds for maximum beneficial effect in patients.

A seventh embodiment of the present invention is directed to a use of the compound represented by formula I in the manufacture of a medicament for the treatment of any condition the result of an infection by any one of the following viral agents: hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus and Japanese encephalitis virus.

The term "medicament" means a substance used in a method of treatment and/or prophylaxis of a subject in need thereof, wherein the substance includes, but is not limited to, a composition, a formulation, a dosage form, and the like, comprising the compound of formula I. It is contemplated that the compound of the use of the compound represented by formula I in the manufacture of a medicament for the treatment of any of the antiviral conditions disclosed herein of the seventh embodiment can be any of the compounds contemplated in any of the aspects of the first, second, third, fourth, fifth embodiments or those specifically recited in the tables above or exemplified herein, either alone or in combination with another compound of the present invention. A medicament includes, but is not limited to, any one of the compositions contemplated by the sixth embodiment of the present invention.

A eighth embodiment of the present invention is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering a therapeutically effective amount of the compound represented by formula I to the subject.

A first aspect of the eighth embodiment is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering a therapeutically effective of at least two or more different compounds falling within the scope of the compound represented by formula I to the subject.

A second aspect of the eighth embodiment is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises alternatively or concurrently administering a therapeutically effective of at least two compounds falling within the scope of the compound represented by formula I to the subject.

- 5 It is intended that a subject in need thereof is one that has any condition the result of an infection by any of the viral agents disclosed herein, which includes, but is not limited to, hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus, flaviviridae viruses or pestiviruses or hepaciviruses or a viral agent causing symptoms
10 equivalent or comparable to any of the above-listed viruses.

- The term "subject" means a mammal, which includes, but is not limited to, cattle, pigs, sheep, chicken, turkey, buffalo, llama, ostrich, dogs, cats, and humans, preferably the subject is a human. It is contemplated that in the method of treating a subject thereof of the sixth embodiment can be any of the compounds contemplated in any of the aspects
15 of the first, second, and third embodiments or those specifically recited in the tables above, either alone or in combination with another compound of the present invention.

- The term "therapeutically effective amount" as used herein means an amount required to reduce symptoms of the disease in an individual. The dose will be adjusted to the individual requirements in each particular case. That dosage can vary within wide
20 limits depending upon numerous factors such as the severity of the disease to be treated, the age and general health condition of the patient, other medicaments with which the patient is being treated, the route and form of administration and the preferences and experience of the medical practitioner involved. For oral administration, a daily dosage of between about 0.1 and about 10 g, including all values in between, such as 0.25, 0.5,
25 0.75, 1, 1.5, 2, 2.5, 3, 3.5, 4, 4.5, 5, 5.5, 6, 6.5, 7, 7.5, 8, 8.5, 9, and 9.5, per day should be appropriate in monotherapy and/or in combination therapy. A preferred daily dosage is between about 0.5 and about 7.5 g per day, more preferred 1.5 and about 6.0 g per day. Generally, treatment is initiated with a large initial "loading dose" to rapidly reduce or eliminate the virus following by a decreasing the dose to a level sufficient to prevent

resurgence of the infection. One of ordinary skill in treating diseases described herein will be able, without undue experimentation and in reliance on personal knowledge, experience and the disclosures of this application, to ascertain a therapeutically effective amount of the compounds of the present invention for a given disease and patient.

- 5 Therapeutic efficacy can be ascertained from tests of liver function including, but not limited to protein levels such as serum proteins (e.g., albumin, clotting factors, alkaline phosphatase, aminotransferases (e.g., alanine transaminase, aspartate transaminase), 5'-nucleosidase, γ -glutamyltranspeptidase, etc.), synthesis of bilirubin, synthesis of cholesterol, and synthesis of bile acids; a liver metabolic function, including,
10 but not limited to, carbohydrate metabolism, amino acid and ammonia metabolism. Alternatively the therapeutic effectiveness may be monitored by measuring HCV-RNA. The results of these tests will allow the dose to be optimized.

- A third aspect of the eighth embodiment, is directed to a method of treatment and/or prophylaxis in a subject in need thereof said method comprises administering to
15 the subject a therapeutically effective of a compound represented by formula I and a therapeutically effective amount of another antiviral agent; wherein the administration is concurrent or alternative. It is understood that the time between alternative administration can range between 1-24 hours, which includes any sub-range in between including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23
20 hours. Examples of "another antiviral agents" include, but are not limited to: HCV NS3 protease inhibitors (see WO 2008010921, WO 2008010921, EP 1881001, WO 2007015824, WO 2007014925, WO 2007014926, WO 2007014921, WO 2007014920, WO 2007014922, US 2005267018, WO 2005095403, WO 2005037214, WO 2004094452, US 2003187018, WO 200364456, WO 2005028502, and WO
25 2003006490); HCV NS5B Inhibitors (see US 2007275947, US20072759300, WO2007095269, WO 2007092000, WO 2007076034, WO 200702602, US 2005-98125, WO 2006093801, US 2006166964, WO 2006065590, WO 2006065335, US 2006040927, US 2006040890, WO 2006020082, WO 2006012078, WO 2005123087, US 2005154056, US 2004229840, WO 2004065367, WO 2004003138, WO
30 2004002977, WO 2004002944, WO 2004002940, WO 2004000858, WO 2003105770,

WO 2003010141, WO 2002057425, WO 2002057287, WO 2005021568, WO
2004041201, US 20060293306, US 20060194749, US 20060241064, US 6784166, WO
2007088148, WO 2007039142, WO 2005103045, WO 2007039145, WO 2004096210,
and WO 2003037895); HCV NS4 Inhibitors (see WO 2007070556 and WO
5 2005067900); HCV NS5a Inhibitors (see US 2006276511, WO 2006120252, WO
2006120251, WO 2006100310, WO 2006035061); Toll-like receptor agonists (see WO
2007093901); and other inhibitors (see WO 2004035571, WO 2004014852, WO
2004014313, WO 2004009020, WO 2003101993, WO 2000006529).

10 A fourth aspect of the eighth embodiment, is directed to a method of treatment in
a subject in need thereof said method comprises alternatively or concurrently
administering a therapeutically effective of a compound represented by formula I and
another antiviral agent to the subject. It is understood that the time between alternative
administration can range between 1-24 hours, which includes any sub-range in between
including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23
15 hours.

A fifth aspect of the eighth embodiment, is directed to a method of treatment
and/or prophylaxis in a subject in need thereof said method comprises administering to
the subject a therapeutically effective of at least one compound represented by formula I
and a therapeutically effective amount of another antiviral agent; wherein the
20 administration is concurrent or alternative. It is understood that the time between
alternative administration can range between 1-24 hours, which includes any sub-range in
between including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22,
and 23 hours.

25 A sixth aspect of the eighth embodiment, is directed to a method of treatment in a
subject in need thereof said method comprises alternatively or concurrently administering
a therapeutically effective of at least one compound represented by formula I and another
antiviral agent to the subject. It is understood that the time between alternative
administration can range between 1-24 hours, which includes any sub-range in between

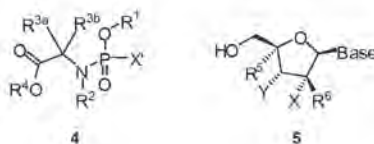
including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23 hours.

It is contemplated that the another antiviral agent includes, but is not limited to interferon- α , interferon- β , pegylated interferon- α , ribavirin, levovirin, viramidine, another nucleoside HCV polymerase inhibitor, a HCV non-nucleoside polymerase inhibitor, a HCV protease inhibitor, a HCV helicase inhibitor or a HCV fusion inhibitor. When the active compound or its derivative or salt are administered in combination with another antiviral agent the activity may be increased over the parent compound. When the treatment is combination therapy, such administration may be concurrent or sequential with respect to that of the nucleoside derivatives. "Concurrent administration" as used herein thus includes administration of the agents at the same time or at different times. Administration of two or more agents at the same time can be achieved by a single formulation containing two or more active ingredients or by substantially simultaneous administration of two or more dosage forms with a single active agent.

It will be understood that references herein to treatment extend to prophylaxis as well as to the treatment of existing conditions. Furthermore, the term "treatment" of a HCV infection, as used herein, also includes treatment or prophylaxis of a disease or a condition associated with or mediated by HCV infection, or the clinical symptoms thereof.

PROCESS FOR PREPARATION

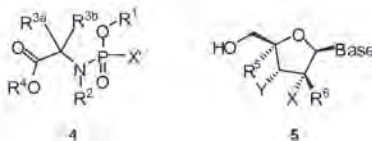
An ninth embodiment of the present invention is directed to a process for preparing the compound of formula I, which comprises reacting a suitably substituted phosphochloridate compound **4** with a nucleoside analog **5**



wherein the substituents R^1 , R^2 , R^{3a} , R^{3b} , R^4 , R^5 , X, Y, R^6 , and base have their meanings as disclosed in the Detailed Description of the Invention and X' is a leaving group, such as Cl, Br, I, tosylate, mesylate, trifluoroacetate, trifluorosulfonate, pentafluorophenoxide, p-NO₂-phenoxide, or other commonly used leaving groups as disclosed in *Advanced Organic Chemistry* by March, Fourth Edition. Leaving groups and methods that can be used to effect the formation of a phosphoramidate nucleoside conjugate are found in US 20060142238 and WO 2007095269. Preferably, the leaving group is Cl.

This reaction is performed in an anhydrous aprotic solvent such tetrahydrofuran, dioxane, or both tetrahydrofuran and dioxane, or any functional equivalent thereof, with tetrahydrofuran being the preferred solvent. The reaction is typically initiated at a temperature range from -78°C to 40°C with the preferred reaction temperature being between 0°C and room temperature. The nucleoside is first stirred with a base (5 to 12 equivalents) such as N-methylimidazole, collidine, pyridine, 2,6-lutidine, 2, 6-*t*Bu-pyridine, etc. a tertiary amine base, such as triethylamine, diisopropylethylamine, etc., or an alkyl Grignard reagent, such as *t*BuMgCl, *t*BuMgBr, MeMgCl, MeMgBr, etc. The phosphorochloridate (3-10 equivalents) is dissolved in the reaction solvent and added to the mixture of the nucleoside and base. The reaction is then allowed to stir over a period of time at a temperature between room temperature and 40°C for a period of 30 min to 24 hr. with the preferred reaction temperature being room temperature and time being 24 hr. The solvent is removed from the reaction mixture and the product is purified by chromatography on silica gel.

A tenth embodiment of the present invention is directed to a product obtained by a process which comprises reacting a suitably substituted phosphochloridate compound 4 with a nucleoside analog 5



wherein the substituents R^1 , R^2 , R^{3a} , R^{3b} , R^4 , R^5 , X, Y, R^6 , X', and base have their meanings as disclosed in the Detailed Description of the Invention.

This reaction can be performed in an anhydrous aprotic solvent or other suitable solvent, such as tetrahydrofuran, dioxane, or a mixture of tetrahydrofuran and dioxane, with tetrahydrofuran being the preferred solvent. The reaction is typically initiated at a temperature range from -78°C to 40°C with the preferred reaction temperature being between 0°C and room temperature. The nucleoside is first stirred with a base (5 to 12 equivalents) such as N-methylimidazole, a tertiary amine base or tButyl Magnesium Chloride. A phosphorochloridate (3-10 equivalents (or suitable "phosphoro-(leaving group)-date")) is dissolved in the reaction solvent and added to the mixture of the nucleoside and base. The reaction is then allowed to stir over a period of time at a temperature between room temperature and 40°C for a period of 30 min to 24 hr. with the preferred reaction temperature being room temperature and time being 24 hr. The solvent is removed from the reaction mixture and the product is purified by chromatography on silica gel.

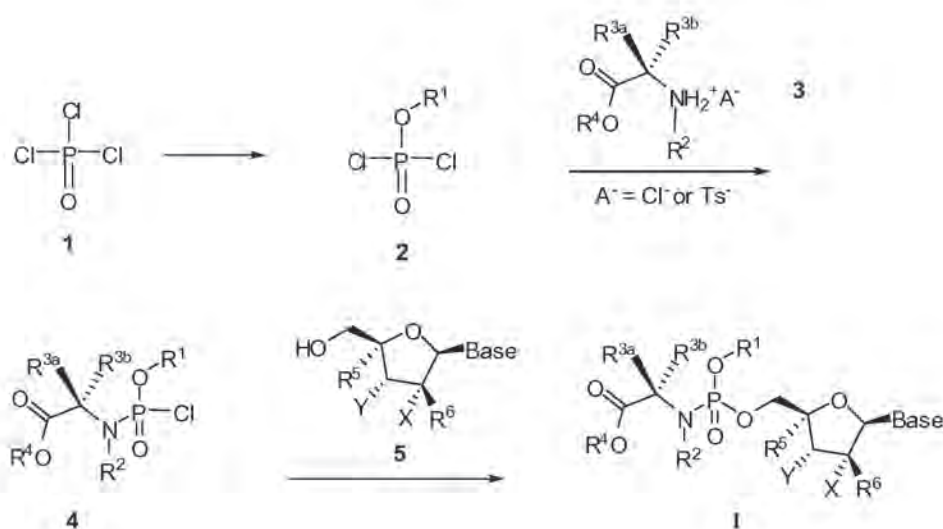
Compounds and Preparation

Phosphoramidate compounds of the present invention can be prepared by condensation of a nucleoside analog **5** with a suitably substituted phosphochloridate compound **4** (Scheme 1). The nucleoside analog is made by conventional procedures disclosed in any one of U.S. Published Application Nos. 2005/0009737, 2006/0199783, 2006/0122146, and 2007/0197463, each of which is incorporated by reference in its entirety.

Disclosed $^1\text{H-NMR}$ values were recorded on a Varian AS-400 instrument. Mass spectral data were obtain using either a Micromass-Quattromicro API or a Waters Acquity.

Thus, by way of example only, a suitably substituted phenol can be reacted with phosphorus oxychloride (**1**) to afford an aryloxy phosphorodichloridate **2** (see Example 1) which is subsequently treated with an acid addition salt of an α -amino acid ester in the presence of TEA to afford an aryloxy phosphorochloridate **4**. This arylalkoxy-amino acid phosphoramidate is reacted with the nucleoside analog to provide the product **I** (for procedure see, e.g., C. McGuigan et al. Antiviral Res. 1992 17:311-321; D. Curley et al. Antiviral Res. 1990 14:345-356; McGuigan et al. Antiviral Chem. Chemother 1990 1(2):107-113).

Scheme 1



The preparation of nucleoside phosphoramidates requires reacting an appropriately substituted phosphochloridate with a nucleoside containing a free 5'-hydroxyl moiety. In cases where only one hydroxyl group is present, preparation of the phosphoramidate usually proceeds smoothly when the phosphochloridate is reacted with

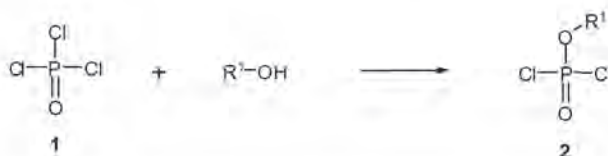
the desired nucleoside. In cases where the nucleoside contains more than one free hydroxyl group, preparation of the appropriately protected nucleoside might be required. Silyl, acetonide or other alcohol protecting groups known in the art might be warranted for protection of the sugar moiety. For protection of the nucleoside base, protecting a
5 free amino group may require amidine protection strategy.

Condensation of the phosphochloridate can be carried out on the unprotected nucleoside. Since the 5'-OH group of a nucleoside is much less hindered than the 3'-OH group, selective phosphoramidation is possible under carefully controlled conditions. After condensation to form a protected phosphoramidate nucleoside, deprotection to
10 obtain the free phosphoramidate nucleoside can be carried out using standard protocols for nucleic acid chemistry. In many cases, the desired product is readily separated from the starting material using column chromatography on silica gel. The synthetic scheme is summarized in Scheme 1.

A further understanding of the disclosed embodiments will be appreciated by
15 consideration of the following examples, which are only meant to be illustrative, and not limit the disclosed invention.

EXAMPLE 1

General Procedure for Preparation of phosphorodichloridates

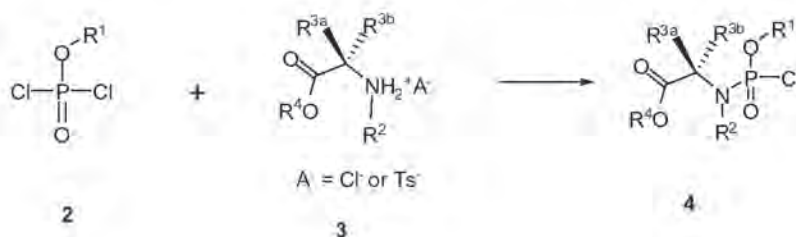


20 A solution of the appropriate phenol **R¹-OH** (1eq) and triethylamine (1 eq.) in anhydrous ether was added dropwise to a stirred solution of phosphoryl trichloride **1** (1eq) at 0 °C over a period of 3 hours under nitrogen. Then the temperature was warmed to room temperature, and the reaction was stirred overnight. The triethylamine salt was

quickly removed with suction filtration and the filtrate concentrated *in vacuo* to dryness to afford **2** as an oil which was used without further purification.

EXAMPLE 2

General Procedure for Preparation of phosphorochloridates



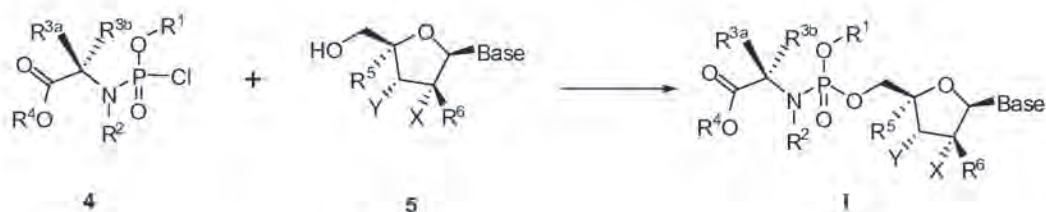
5

A solution of triethylamine (2eq) in anhydrous dichloromethane was added dropwise to a solution of aryloxy-phosphodichloridate **2** (1 eq) and the appropriate amino ester **3** (1 eq) in anhydrous dichloromethane with vigorous stirring at -78 °C over a period of 30 to 120 minutes. Then the reaction temperature was allowed to warm to room temperature and stirred over night. Solvent was removed. The residue was washed with ethyl ether and filtered, the filtrate was dried over reduced pressure to give **4**.

10

EXAMPLE 3

General Procedures for nucleoside phosphoramidate derivatives

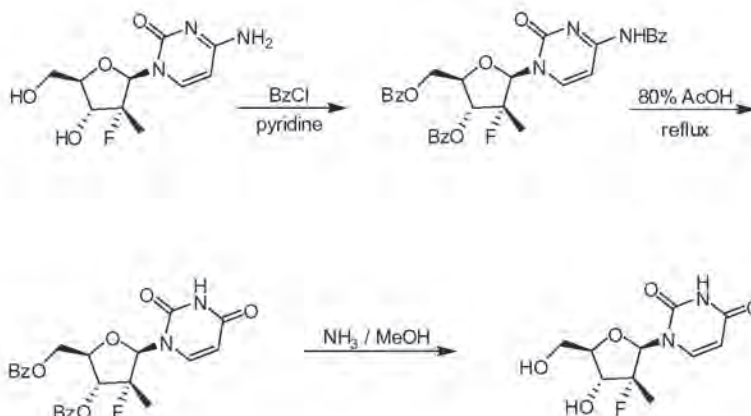


15

A solution of the appropriate phosphorochloridate **4** (6.5 equivalents) in anhydrous tetrahydrofuran (THF) was added to a mixture of nucleoside **5** (1 equivalent) and N-methylimidazole (8 equivalents) in anhydrous THF with vigorous stirring at room temperature and the reaction mixture was stirred overnight. The solvent was removed *in vacuo* and the crude was purified by column chromatography and/or preparative thin layer chromatography to give **I**.

EXAMPLE 4

Preparation of 2'-deoxy-2'-fluoro-2'-C-methyluridine



2'-Deoxy-2'-fluoro-2'-C-methylcytidine (1.0g, 1 eq) (Clark, J., et al., J. Med. Chem., 2005, 48, 5504-5508) was dissolved in 10 ml of anhydrous pyridine and concentrated to dryness *in vacuo*. The resulting syrup was dissolved in 20 ml of anhydrous pyridine under nitrogen and cooled to 0°C with stirring. The brown solution was treated with benzoyl chloride (1.63g, 3eq) dropwise over 10 min. The ice bath was removed and stirring continued for 1.5h whereby thin-layer chromatography (TLC) showed no remaining starting material. The mixture was quenched by addition of water

(0.5 ml) and concentrated to dryness. The residue was dissolved in 50 mL of dichloromethane (DCM) and washed with saturated NaHCO₃ aqueous solution and H₂O. The organic phase was dried over NaSO₄ and filtered, concentrated to dryness to give N⁴,3',5'-tribenzoyl-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (2.0 g, Yield: 91%).

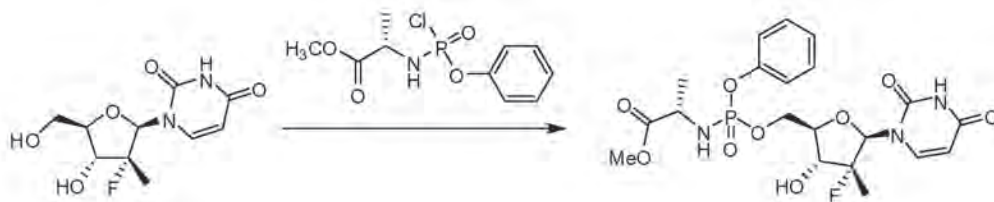
5 N⁴,3',5'-tribenzoyl-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (2.0g, 1 eq) was refluxed in 80% aqueous AcOH overnight. After cooling and standing at room temperature (15 °C), most of the product precipitated and then was filtered through a sintered funnel. White precipitate was washed with water and co-evaporated with toluene to give a white solid. The filtrate was concentrated and co-evaporated with
10 toluene to give additional product which was washed with water to give a white solid. Combining the two batches of white solid gave 1.50g of 3',5'-dibenzoyl-2'-Deoxy-2'-fluoro-2'-C-methyluridine (Yield: 91%).

To a solution of 3',5'-dibenzoyl-2'-Deoxy-2'-fluoro-2'-C-methyluridine (1.5 g, 1eq) in MeOH (10 mL) was added a solution of saturated ammonia in MeOH (20mL).
15 The reaction mixture was stirred at 0 °C for 30 min, and then warmed to room temperature slowly. After the reaction mixture was stirred for another 18 hours, the reaction mixture was evaporated under reduced pressure to give the residue, which was purified by column chromatography to afford pure compound 2'-deoxy-2'-fluoro-2'-C-methyluridine (500 mg, Yield: 60 %).

20

EXAMPLE 5

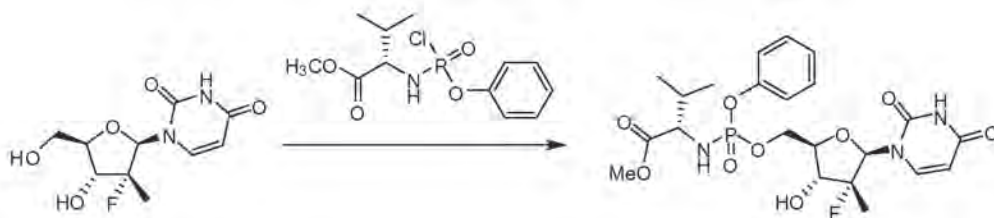
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate)



Phenyl methoxyalaninyl phosphorochloridate (1 g, 6.5 eq) dissolved in 3 mL of THF was added to a mixture of 2'-Deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq) and N-methylimidazole (0.3 g, 8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product (50.1 mg, 15.6%). ¹H NMR (DMSO-*d*₆) δ 1.20-1.27 (m, 6H), 3.58 (d, *J* = 16.0 Hz, 3H), 3.75-3.92 (m, 2H), 4.015-4.379 (m, 2H), 5.54 (t, *J* = 10.2 Hz, 1H), 5.83-5.91 (m, 1H), 6.00-6.16 (m, 1H), 7.18 (d, *J* = 8.0 Hz, 2H), 7.22 (s, 1H), 7.35 (t, *J* = 4.4 Hz, 2H), 7.55 (s, 1H), 11.52 (s, 1H); MS, *m/e* 502 (M+1)⁺.

EXAMPLE 6

Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate)

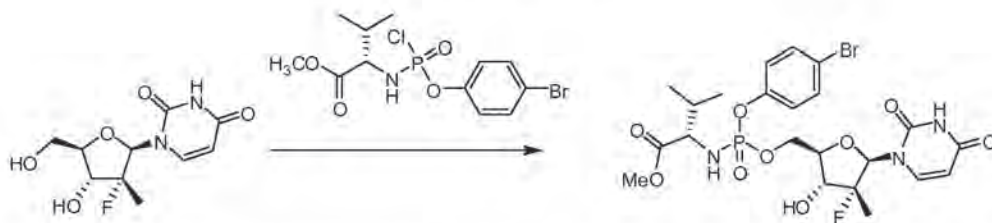


Phenyl methoxy-valyl phosphorochloridate (0.6 g, 3.6 eq) dissolved in 3 mL of THF was added to a mixture of 2'-Deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq) and N-methylimidazole (0.44 g, 9 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced

pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product (60 mg, 20%). ¹H NMR (DMSO-*d*₆) δ 0.74-0.847 (m, 6H), 1.20-1.28 (m, 3H), 1.89-1.92 (m, 1H), 3.50-3.54 (m, 1H), 3.58 (d, *J* = 10.4Hz, 3H), 3.72-3.95 (m, 1H), 4.03-4.05 (m, 1H), 4.23-4.43 (m, 2H), 5.56 (t, *J* = 16.0 Hz, 1H), 5.85-5.92 (m, 1H), 6.01-6.07 (m, 1H), 7.16-7.21 (m, 3H), 7.37 (t, *J* = 8 Hz, 2H), 7.55-7.60 (m, 1H), 11.52 (s, 1H); MS, *m/e* 530 (M+1)⁺.

EXAMPLE 7

10 Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate)

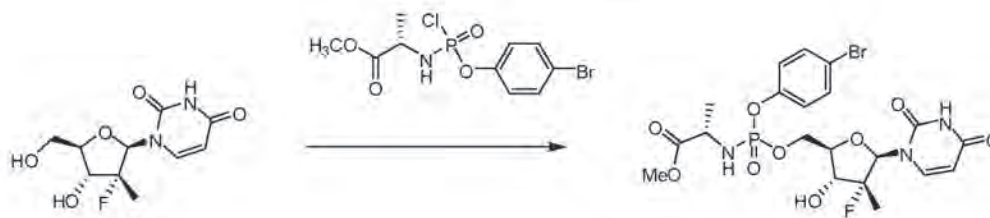


4-Bromophenyl methoxy-valyl phosphorochloridate (1 g, 3.4 eq) dissolved in 3 mL of THF was added to a mixture of 2'-deoxy-2'-fluoro-2'-C-methyluridine (0.2 g, 1 eq) and N-methylimidazole (0.35 g, 6 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed reduced pressure to give the desired product (120 mg, 26%). ¹H NMR (DMSO-*d*₆) δ 0.72-0.82 (m, 6H), 1.19-1.26 (m, 3H),

1.86-1.92 (m, 1H), 3.48-3.50 (m, 1H), 3.56 (d, $J = 12.0$ Hz, 3H), 3.72-3.89 (m, 1H), 3.96-4.03 (m, 1H), 4.22-4.37 (m, 2H), 5.54-5.60 (m, 1H), 5.85-5.91 (m, 1H), 5.98-6.13 (m, 1H), 7.15 (d, $J = 8.0$ Hz, 2H), 7.49-7.56 (m, 3H), 11.53 (s, 1H); MS, m/e 608 ($M+1$)⁺.

EXAMPLE 8

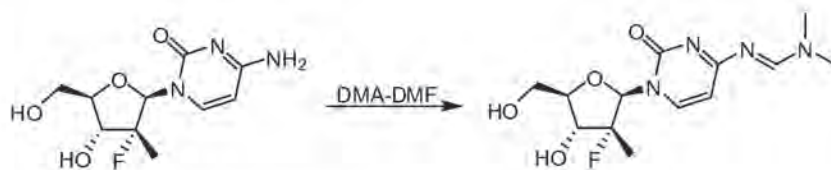
5 **Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxyalanyl phosphate)**



4-Bromophenyl methoxy-alanyl phosphorochloridate (0.6 g, 5 eq) dissolved in 3 mL of THF was added to a mixture of 2'-deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq) and N-methylimidazole (0.3 g, 7.8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the
15 desired product (40 mg, 12 %); ¹H NMR (DMSO-*d*₆) δ 1.20-1.26 (m, 6H), 3.57 (d, $J = 2.8$ Hz, 3H), 3.84 (s, 1H), 3.97-4.03 (m, 1H), 4.21-4.25 (m, 1H), 4.33-4.37 (m, 2H), 5.54-5.60 (m, 1H), 5.83-5.89 (m, 1H), 5.98-6.19 (m, 1H), 7.16 (t, $J = 10.2$ Hz, 2H), 7.52-7.57 (m, 3H), 11.52 (s, 1 H); MS, m/e 580($M+1$)⁺.

EXAMPLE 9

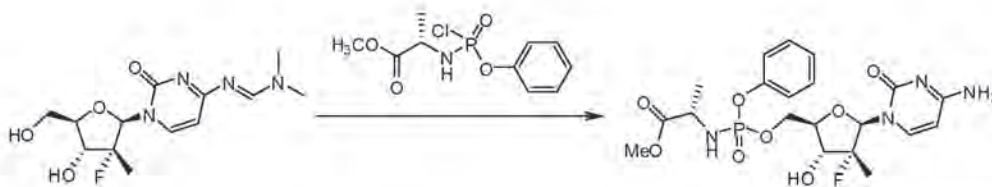
20 **Preparation of N⁴-(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine**



2'-Deoxy-2'-fluoro-2'-C-methylcytidine (500 mg, 1.9 mmol) was stirred with dimethylformamide dimethyl acetal in DMF (10 mL). The resulting mixture was stirred at room temperature overnight. After solvent removal the crude product was used for next step without further purification.

EXAMPLE 10

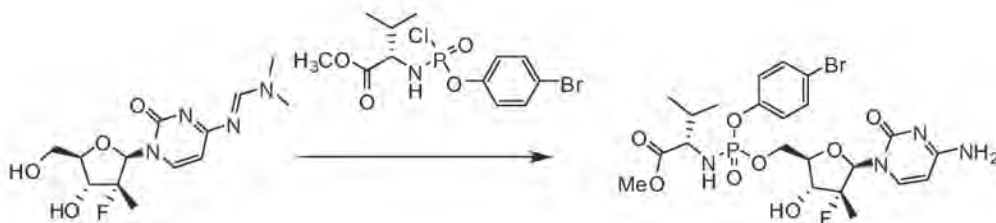
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate)



Phenyl methoxyalaninyl phosphorochloridate (0.6 g, 6 eq) dissolved in 3 mL of THF was added to a mixture of N⁴-(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine (0.15 g, 1 eq) and *N*-methylimidazole (0.3 g, 7.8 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product (62 mg, 20.6%). ¹H NMR (DMSO-*d*₆) δ 1.16 (d, *J* = 23.2 Hz, 3H), 1.22 (d, *J* = 7.2 Hz, 3H), 3.56 (s, 3H), 3.69-3.75 (d, *J* = 25.6 Hz, 1H), 3.82-3.86 (m, 1H), 3.96-3.98 (m, 1H), 4.21-4.34 (m, 2H), 5.68 (d, *J* = 7.2 Hz, 1H), 5.75-5.77 (m, 1H), 6.07-6.16 (m, 1H), 7.15-7.19 (m, 3H), 7.2 (d, *J* = 9.2 Hz, 2H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.48 (d, *J* = 9.2 Hz, 1H); MS, *m/e* 501(M+1)⁺.

EXAMPLE 11

Preparation of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate)

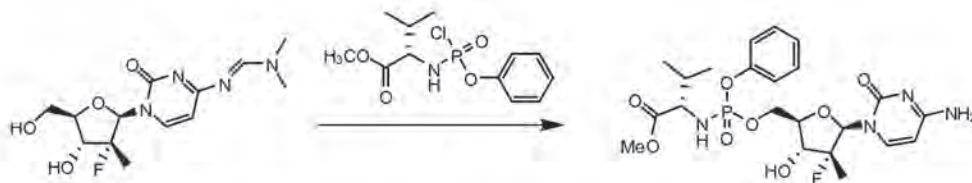


- 5 4-Bromophenyl methoxy-valyl phosphorochloridate (1.0 g, 3.4 eq.) dissolved in 3 mL of THF was added to a mixture of N^4 -(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine (0.2 g, 1 eq.) and *N*-methylimidazole (0.35 g, 6 eq.) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure. The resulting crude product was dissolved in
- 10 methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product as a white solid (59 mg, 13%); ^1H NMR (DMSO- d_6) δ 0.74-0.83 (m, 6H), 1.12-1.20 (m, 3H), 1.89-1.92 (m, 1H), 3.49-3.51 (m, 1H), 3.55 (s, 3H), 3.59-3.68 (m, 1H), 3.72-.383 (m, 1H), 4.21-4.39 (m, 2H), 5.70-
- 15 5.72 (m, 1H), 5.76-5.83 (m, 1H), 6.04-6.16 (m, 1H), 7.15 (d, $J = 13.0$ Hz, 2H), 7.26 (s, 1H), 7.33 (s, 1H), 7.46-7.55 (m, 1H), 7.56 (d, $J = 4.4$ Hz, 2H) ; MS, m/e 607 ($M+1$) $^+$.

EXAMPLE 12

Preparation of 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate)

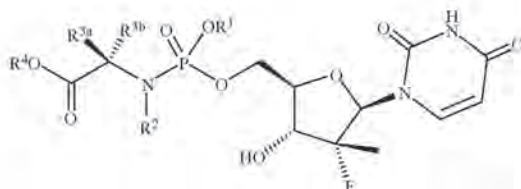
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- Phenyl methoxy-valyl phosphorochloridate (0.6 g, 6 eq) dissolved in 3 mL of THF was added to a mixture of N^4 -(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-*C*-methylcytidine (0.15 g, 1 eq) and *N*-methylimidazole (0.3 g, 7.8 eq) in 3 mL THF with
- 5 vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent was removed by reduced pressure The resulting crude product was dissolved in methanol purified by prep-HPLC on a YMC 25x30X2 mm column using a water / acetonitrile gradient elution mobile phase. The acetonitrile and water were removed under reduced pressure to give the desired product as a white solid (86 mg, 42.9 %). ^1H NMR (DMSO-
- 10 d_6) δ 0.72-0.80 (m, 6H), 1.09-1.18 (m, 3H), 1.87-1.92 (m, 1H), 3.47-3.51 (m, 1H), 3.58 (s, 3H), 3.71-3.75 (m, 1H), 3.97 (t, J = 11.2 Hz, 1H), 4.22-4.37 (m, 2H), 5.70 (d, J = 8.0 Hz, 1H), 5.76-5.84 (m, 1H), 6.01-6.15 (m, 1H), 7.13-7.18 (m, 3H), 7.27 (s, 2H), 7.34 (d, J = 4.0 Hz, 2H), 7.46-7.50 (m, 1H); MS, m/e 529 ($M+1$) $^+$.

EXAMPLES

- 15 Example numbers **13-54** and **56-66** are prepared using similar procedures described for examples **5-8**. The example number, compound identification, and NMR/MS details are shown below:



Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
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Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
13	Ph	H	H	Me	Et	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.12-1.16 (m, 3H), 1.20-1.28(m,6H), 3.70-3.90 (m, 2H), 4.00-4.08 (m, 3H), 4.18-4.45 (m, 2H), 5.52-5.58 (m, 1H), 5.85-5.98 (m, 1H), 6.00-6.20 (m, 2H), 7.16-7.23 (m, 3H), 7.37-7.40 (m, 2H), 7.54-7.60 (m, 1H), 11.54 (s,1H) ; MS, m/e 516.1 (M+1) ⁺
14	1-Naphth	H	H	Me	Bn	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.18-1.30 (m, 6H), 3.78-4.10 (m, 3H), 4.38-4.49 (m, 2H), 4.99-5.11 (m, 2H), 5.28-5.40 (m, 1H), 5.85-6.10 (m, 2H), 6.30-6.41 (m, 1H), 7.28-7.32 (m, 5H), 7.41-7.60 (m, 5H), 7.73-7.76(m, 1H) , 7.94-8.11(m, 1H) , 8.13-8.15(m, 1H) , 11.50 (s,1H) ; MS, m/e 628.4 (M+1) ⁺
15	Ph	H	H	H	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.22 (d, J=22.4 Hz, 3H), 3.59(s, 3H), 3.63-3.69 (m, 2H), 3.74-3.8(m, 1H), 4.02(d, J=11.2 Hz, 1H), 4.23-4.28(m, 1H), 4.40-4.43 (m, 1H), 5.57-5.60 (m, 1H), 5.89(d, J=6.8 Hz, 1H), 6.00-6.06(m, 2H), 7.15-7.23 (m, 3H), 7.35-7.39 (m, 2H),7.52(d, J=8 Hz, 1H), 11.52(s, 1H) ; MS, m/e 487.97 (M+1) ⁺
16	2,4-Cl-Ph	H	H	Me	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.22-1.28 (m, 6H), 3.57-3.60 (m, 3H), 3.84-3.92 (m, 2H), 4.00-4.04 (m, 1H), 4.31-4.44 (m, 2H), 5.54-5.61 (m, 1H), 5.85-6.10 (m, 2H), 6.32-6.43 (m, 1H), 7.44-7.54 (m, 3H), 7.72-7.75 (m, 1H), 11.54 (s,1H) ; MS, m/e 570.2 (M+1) ⁺
17	1-Naphth	H	H	Me	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.15-1.27 (m, 6H), 3.51-3.55 (d, 3H), 3.85-3.96 (m, 2H), 4.00-4.10(m, 1H), 4.30-4.46 (m, 2H), 5.31-5.39 (m, 1H), 5.89-6.05 (m, 2H), 6.22-6.34 (m, 1H), 7.44-7.60 (m, 5H), 7.73-7.77 (m, 1H), 7.93-7.96 (m, 1H), 8.12-8.14 (m, 1H),11.50(s,1H) ; MS, m/e 552.1 (M+1) ⁺
18	Ph	*	H	*	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.19 (d, J=22.8 Hz, 3H), 1.69-1.84 (m, 3H), 1.99-2.04 (m, 1H), 3.16-3.21 (m, 2H), 3.58 (s, 3H), 3.68-3.8 (m, 1H), 4.00 (m, 1H), 4.01-4.13 (m, 1H), 4.22-4.25 (m, 1 H), 4.5 (d, J = 11.2 Hz, 1H), 5.54 (d, J = 8.0 Hz, 1H), 5.86 (s, 1H), 5.6 (d, J = 19.6 Hz, 1H), 7.15-7.2 (m, 3H), 7.34 (t, J = 8.0 Hz, 2H), 7.51 (d, J = 8.0 Hz, 1H), 11.38 (s, 1H) ; MS, m/e 527.93(M+1) ⁺
19	Ph	H	H	Me	n-Bu	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.80-0.90 (m, 3H), 1.20-1.35 (m, 8H), 1.48-1.55 (m, 2H), 3.78-3.88 (m, 2H), 3.95-4.08 (m, 3H), 4.22-4.45 (m, 2H), 5.55-5.57(t, 1H), 5.85-6.18 (m, 3H), 7.14-7.23 (m, 3H), 7.35-7.40 (m, 2H), 7.51-7.60 (d, 1H), 11.50 (s,1H) ; MS, m/e 544.2 (M+1) ⁺
20	Ph	H	H	Me	Bn	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.20-1.30 (m, 6H), 3.72-4.05 (m, 3H), 4.23-4.27 (m, 1H), 4.32-4.45 (m, 1H), 5.07-5.10(t, 2H), 5.52-5.56(t , 1H), 5.86-6.10 (m, 2H), 6.13-6.21(m,1H), 7.15-7.21 (m, 3H), 7.29-7.40 (m, 7H), 7.51-7.56 (d, 1H), 11.50 (s,1H) ; MS, m/e 578.2 (M+1) ⁺
21	4-F-Ph	H	H	Me	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.28-1.34 (m, 6H), 3.65(d, J= 4 Hz, 3H), 3.85-3.96 (m, 2H), 4.06-4.12 (m, 1H), 4.30-4.34 (m,1H), 4.40-4.47 (m, 1H), 5.62-5.67 (m, 1H), 5.94-6.01(m, 1H), 6.09 (d, J=18.8 Hz, 1H), 6.17-6.26 (m, 1H), 7.27-7.33(m, 4H), 7.62 (d, J = 7.6 Hz, 1H), 11.61 (s, 1H) ; MS, m/e 519.94(M+1) ⁺
22	4-Cl-Ph	H	H	Me	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.22-1.28 (m, 6H), 3.58 (d, 2H), 3.70-3.95(m,2H), 3.95-4.08 (m,1H), 4.23-4.45 (m, 2H), 5.55-5.61(t , 1H),

Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
23	3,4-Cl-Ph	H	H	Me	Me	5.85-6.10 (m, 2H), 6.15-6.23(m, 1H), 7.20-7.26 (m, 2H), 7.43-7.46 (m, 2H), 7.54-7.57 (d, 1H), 11.50 (s, 1H); MS, m/e 536.1 (M+1) ⁺ 1H NMR (DMSO-d ₆) δ 1.13 (m, 6H), 3.49 (s, 3H), 3.61-3.85 (m, 2H), 3.90-3.93 (m, 1H), 4.16-4.22 (m, 1H), 4.27-4.31 (m, 1H), 5.47-5.52 (m, 1H), 5.82 (d, J = 11.6 Hz, 1H), 5.93(d, J = 19.2 Hz, 1H), 6.15-6.25 (m, 1H), 7.13 (t, J = 9.6 Hz, 1H), 7.43 (d, J = 12Hz, 2H), 7.57 (d, J = 6.0 Hz, 1H), 11.43(s, 1H); MS, m/e 569.85 (M+1) ⁺
24	Ph	H	H	Me	2-Bu	1H NMR (DMSO-d ₆) δ 0.83 (d, J = 6.8 Hz, 6H), 1.20-1.26 (m, 6H), 1.79-1.86 (m, 1H), 3.73-3.90 (m, 4H), 4.01 (t, J = 11.2 Hz, 1H), 4.21-4.28 (m, 1H), 4.33-4.42 (m, 1H), 5.54 (t, J = 7.6 Hz, 1H), 5.85-5.92 (m, 1H), 5.99-6.13 (m, 2H), 7.19 (t, J = 8 Hz, 3H), 7.36 (t, J = 7.6 Hz, 2H), 7.53 (d, J = 7.6 Hz, 1H), 11.52 (s, 1H); MS, m/e 544.00 (M+1) ⁺
25	Ph	H	H	Me	i-Pr	1H NMR (DMSO-d ₆) δ 1.13-1.28 (m, 12H), 3.74-3.81 (m, 2H), 3.95-4.08 (m, 1H), 4.20-4.45 (m, 2H), 4.83-4.87 (m, 1H), 5.52-5.58 (m, 1H), 5.84-6.15 (m, 3H), 7.17-7.23 (m, 3H), 7.35-7.39 (m, 2H), 7.54-7.57 (m, 1H), 11.50 (s, 1H); MS, m/e 530.2 (M+1) ⁺
26	4-MeO-Ph	H	H	Me	n-Bu	1HNMR (400MHz, DMSO-d ₆): δ=0.78-0.82 (m, 3H), 1.29-1.47 (m, 8H), 1.49-1.54 (m, 2H), 3.66-3.87 (m, 5H), 3.96-4.02 (m, 3H), 4.21-4.39 (m, 2H), 5.57 (t, J= 12.0Hz, 1H), 5.84-6.05 (m, 3H), 6.90 (dd, J1=8.0Hz, J2=4.0Hz, 2H), 7.09-7.14 (dd, J1=16.0Hz, J2=4.0Hz, 2H), 7.55 (d, J=8.0Hz, 1H), 11.48-11.62 (s, 1H)
27	4-F-Ph	H	H	Me	Et	1H NMR (DMSO-d ₆) δ 1.12-1.28 (m, 9H), 3.72-3.94(m,2H), 3.98-4.10 (m,3H), 4.21-4.42(m,2H), 5.55-5.61 (t, 1H), 5.85-6.20 (m, 3H), 7.18-7.25 (m,4H), 7.55-7.58 (d, 1H), 11.50 (s, 1H); MS, m/e 533.90 (M+1) ⁺
28	4-F-Ph	H	H	Me	i-Pr	1H NMR (DMSO-d ₆) δ 1.13-1.30 (m, 12H), 3.74-3.85(m,2H), 3.98-4.06 (m, 1H), 4.23-4.41(m,2H), 4.83-4.87 (m, 1H), 5.55-5.61 (t, 1H), 5.85-6.12 (m, 3H), 7.18-7.24 (m,4H), 7.55-7.58 (d, 1H), 11.50 (s, 1H); MS, m/e 547.91 (M+1) ⁺
29	4-F-Ph	H	H	Me	Bn	1H NMR (DMSO-d ₆) δ 1.10-1.23 (m, 6H), 3.65-3.89(m,3H), 4.10-4.30 (m,2H), 4.96-5.00(m,2H), 5.46-5.50 (t, 1H), 5.75-5.96 (m, 2H), 6.04-6.12(m,1H), 7.05-7.11 (m,4H), 7.20-7.24 (d, 5H), 7.42-7.45(d,1H), 11.50 (s, 1H); MS, m/e 595.94 (M+1) ⁺
30	4-MeO-Ph	H	H	Me	i-Pr	1HNMR (400MHz, DMSO-d ₆): δ=1.15-1.27 (m, 12H), 3.71-3.89 (m, 5H), 3.98-4.02 (m, 1H), 4.22-4.25 (m, 1H), 4.33-4.39 (m, 1H), 4.84-4.87 (m, 1H), 5.57 (t, J= 12.0Hz, 1H), 5.91-6.03 (m, 3H), 6.90 (d, J= 8.0Hz, 2H), 7.09-7.14 (m, 2H), 7.55 (d, J= 8.0Hz, 1H), 11.51 (s, 1H)
31	2-Cl-Ph	H	H	Me	Bn	1H NMR (DMSO-d ₆) δ 1.23 (m, 6 H), 3.93-4.00 (m, 3 H), 4.27-4.40 (m, 2H), 5.0(t, J= 7.2 Hz, 2 H), 5.53 (m, 1 H), 5.80-6.0(m, 2 H), 6.30(m, 1H), 7.15 (d, J= 2.4 Hz, 1 H), 7.27 (m, 6 H), 7.51 (m, 3 H), 11.5 (s, 1 H); MS, m/e 579.87(M+1) ⁺ / 596.78 (M+18) ⁺
32	2,4-Cl-Ph	H	H	Me	n-Bu	1H NMR (DMSO-d ₆) δ=0.82 (m, 3 H), 1.23 (m, 8 H), 1.47 (m, 2 H), 3.86 (m, 2 H), 3.84 (m, 3 H), 4.27-4.43 (m, 2H), 5.5 (m, 1 H), 6.02 (m, 2 H), 6.35(m, 1H), 7.44 (m, 3 H), 7.77 (m, 1 H), 11.5 (s, 1 H); MS, m/e 611.87(M+1) ⁺
33	4-Me-Ph	H	H	Me	i-Pr	1H NMR (DMSO-d ₆) δ 1.14-1.27 (m, 12H), 2.17-2.26 (m, 3H), 3.73-3.82 (m, 1H), 3.99-4.02 (m, 1H), 4.23-4.26 (m, 1H), 4.37-4.40 (m,

Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
						1H), 4.82-4.88 (m, 1H), 5.52-5.58 (m, 1H), 5.85-6.07 (m, 3H), 7.01-7.20 (m, 4H), 7.55 (d, J = 16Hz, 1H), 11.51 (s, 1H); MS, m/e 543.98 (M+1)+; 1108.86 (2M+23)+
34	4-F-Ph	H	H	Me	n-Bu	1H NMR (DMSO-d ₆) δ 0.82-0.89 (m, 3H), 1.20-1.31 (m, 8H), 1.48-1.53 (m, 2H), 3.77-3.90 (m, 2H), 3.95-4.10 (m, 3H), 4.21-4.45 (m, 2H), 5.56-5.61 (t, 1H), 5.83-6.20 (m, 3H), 7.18-7.25 (m, 4H), 7.55-7.58 (d, 1H), 11.50 (s, 1H); MS, m/e 584.1 (M+23)+
35	3,4-diCl-Ph	H	H	Me	Et	1H NMR (DMSO-d ₆) δ 1.12-1.31 (m, 9H), 3.77-3.92 (m, 2H), 3.95-4.08 (m, 3H), 4.21-4.45 (m, 2H), 5.56-5.62 (t, 1H), 5.80-6.11 (m, 2H), 6.18-6.33 (m, 1H), 7.18-7.25 (m, 1H), 7.49-7.56 (d, 2H), 7.62-7.67 (m, 1H), 11.50 (s, 1H); MS, m/e 606.1 (M+23)+
36	2-Cl-Ph	H	H	Me	i-Pr	1H NMR (400MHz, DMSO-d ₆): δ = 1.12-1.16 (m, 6H), 1.21-1.27 (m, 6H), 3.79-3.85 (m, 2H), 4.00-4.07 (m, 1H), 4.28-4.32 (m, 1H), 4.38-4.43 (m, 1H), 4.83-4.87 (m, 1H), 5.56 (dd, J1=16.0Hz, J2=8.0Hz, 1H), 5.85-6.12 (m, 2H), 6.20-6.33 (m, 1H), 7.19-7.22 (m, 1H), 7.33 (t, J=16.0Hz, 1H), 7.48-7.55 (m, 3H), 11.55 (s, 1H)
37	4-MeO-Ph	H	H	Me	Bn	1H NMR (400MHz, DMSO-d ₆): δ = 1.19-1.26 (m, 6H), 3.69-3.70 (s, 3H), 3.87 (m, 2H), 3.99 (m, 1H), 4.20-4.21 (m, 1H), 4.35 (m, 1H), 5.07-5.09 (m, 2H), 5.54 (t, J = 16.0Hz, 1H), 5.85-5.92 (m, 1H), 6.04-6.10 (m, 2H), 6.86 (d, J = 8.0Hz, 2H), 7.09 (dd, J1=16.0Hz, J2=4.0Hz, 2H), 7.30-7.34 (m, 5H), 7.53 (s, 1H), 11.52 (s, 1H)
38	Ph	H	H	Me	n-Pen	1H NMR (DMSO-d ₆) δ 0.79-0.81 (m, 3H), 1.17-1.23 (m, 10H), 3.74-3.81 (m, 2H), 3.94-3.96 (m, 3H), 4.19-4.36 (m, 2H), 5.49-5.54 (m, 1H), 5.87-6.08 (m, 3H), 7.14-7.33 (m, 3H), 7.31-7.35 (m, 2H), 7.51 (d, J = 8Hz, 1H), 11.51 (s, 1H); MS, m/e 557.9 (M+1)+; 1136.88 (2M+23)+
39	4-Cl-Ph	H	H	Me	i-Pr	1H NMR (DMSO-d ₆) δ 1.04-1.19 (m, 12H), 3.76-3.80 (m, 2H), 3.98-4.08 (m, 1H), 4.42-4.42 (m, 2H), 4.82-4.85 (m, 1H), 5.55-5.60 (m, 1H), 5.80-6.20 (m, 3H), 7.20-7.25 (m, 2H), 7.43 (d, J = 8.8Hz, 1H), 7.54 (d, J = 8Hz, 1H), 11.51 (s, 1H); MS, m/e 563.88 (M+1)+; 1148.73 (2M+23)+
40	4-Cl-Ph	H	H	Me	n-Bu	1H NMR (DMSO-d ₆) δ 0.85 (t, J = 7.2 Hz, 3H), 1.22-1.33 (m, 8H), 1.45-1.53 (m, 2H), 3.80-3.87 (m, 2H), 3.96-4.04 (m, 3H), 4.24-4.27 (m, 1H), 4.35-4.39 (m, 1H), 5.56-5.61 (m, 1H), 5.82-6.11 (m, 2H), 6.15-6.18 (m, 1H), 7.20-7.56 (m, 4H), 7.51-7.57 (m, 1H), 11.54 (s, 1H); MS, m/e 577.95 (M+1)+
41	4-Cl-Ph	H	H	Me	Et	1H NMR (DMSO-d ₆) δ 1.14 (t, J = 7.0Hz, 3H), 1.20-1.28 (m, 6H), 3.77-3.88 (m, 2H), 3.99-4.07 (m, 3H), 4.24-4.28 (m, 1H), 4.34-4.43 (m, 1H), 5.56-5.61 (m, 1H), 5.86-6.13 (m, 2H), 6.15-6.24 (m, 1H), 7.20-7.26 (m, 2H), 7.44 (d, J = 7.6Hz, 2H), 7.55 (d, J = 7.6Hz, 1H), 11.55 (s, 1H); MS, m/e 549.11 (M+1)+
42	4-Me-Ph	H	H	Me	n-Bu	1H NMR (DMSO-d ₆) δ 0.79-0.83 (m, 3H), 1.17-1.28 (m, 8H), 1.45-1.47 (m, 2H), 2.22 (d, J = 2.8Hz, 1H), 3.70-3.90 (m, 2H), 3.95-3.98 (m, 3H), 4.10-4.40 (m, 2H), 5.51 (t, 1H), 5.80-5.90 (m, 1H), 5.95-6.05 (m, 2H), 7.02-7.06 (m, 2H), 7.51 (t, J = 4.2Hz, 4H), 7.51 (d, 1H), 11.51 (s, 1H); MS, m/e 557.99 (M+1)+; 1136.84 (2M+23)+

Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
43	4-Mc-Phe	H	H	Me	Bn	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.16-1.24 (m, 6H), 2.22 (s, 3H), 3.65-4.03 (m, 3H), 4.11-4.38 (m, 2H), 5.04-5.05 (m, 2H), 5.48-5.50 (m, 1H), 5.77-5.87 (m, 1H), 5.90-6.11 (m, 2H), 6.98-7.10 (m, 4H), 7.28-7.32 (m, 5H), 7.50 (t, 1H), 11.48 (s, 1H); MS, <i>m/e</i> 592.00 (M+1) ⁺ .
44	Ph	H	H	Et	Me	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.70-0.80 (m, 3H), 1.11-1.26 (m, 3H), 1.42-1.61 (m, 2H), 3.50-3.54 (m, 3H), 3.58-3.80 (m, 2H), 3.91-4.02 (m, 1H), 4.12-4.38 (m, 2H), 5.47-5.52 (m, 1H), 5.90-6.03 (m, 2H), 7.08-7.16 (m, 3H), 7.26-7.35 (m, 2H), 7.48 (t, 1H), 11.45 (s, 1H); MS, <i>m/e</i> 515.95 (M+1) ⁺ ; 1052.82 (2M+23) ⁺ .
45	Ph	H	H	Me	4-F-Bn	¹ H NMR (400MHz, DMSO- <i>d</i> ₆) δ 1.20-1.26 (m, 6H), 3.80-3.93 (m, 2H), 3.98 (s, 1H), 4.25-4.26 (m, 1H), 4.36-4.37 (m, 1H), 5.07 (s, 2H), 5.52-5.55 (m, 1H), 5.86-5.87 (m, 1H), 5.98-6.04 (m, 1H), 6.14-6.17 (m, 1H), 7.15-7.20 (m, 5H), 7.36 (dd, <i>J</i> = 20.0, 8.0 Hz, 4H), 7.54 (s, 1H), 11.55 (s, 1H).
46	4-Cl-Ph	H	H	Me	n-Bu	¹ H NMR (400MHz, DMSO- <i>d</i> ₆) δ 1.21-1.28 (m, 6H), 3.71-3.88 (m, 1H), 3.91-3.98 (m, 1H), 4.00-4.01 (m, 1H), 4.23-4.27 (m, 1H), 4.35-4.38 (m, 1H), 5.08 (d, <i>J</i> = 4.0 Hz, 2H), 5.57 (dd, <i>J</i> = 12.0, 8.0 Hz, 1H), 5.91 (d, <i>J</i> = 8.0 Hz, 1H), 6.01 (d, <i>J</i> = 8.0 Hz, 1H), 6.22-6.24 (m, 1H), 7.17-7.23 (m, 2H), 7.31-7.40 (m, 7H), 7.53 (s, 1H), 11.50 (s, 1H).
47	Ph	H	H	Me	3-Me-1-Bu	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.80-0.82 (m, 6H), 1.18-1.40 (m, 8H), 1.50-1.58 (m, 1H), 3.71-3.82 (m, 3H), 3.97-4.01 (m, 3H), 4.21-4.40 (m, 2H), 5.30 (t, <i>J</i> = 8.6 Hz, 1H), 5.81-6.10 (m, 3H), 7.15-7.20 (m, 3H), 7.32-7.36 (m, 2H), 7.48 (d, <i>J</i> = 8.4 Hz, 1H), 11.38 (s, 1H); MS, <i>m/e</i> 557.98 (M+1) ⁺ ; 1136.88 (2M+23) ⁺ .
48	3,4-diCl-Ph	H	H	Me	Bn	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.05-1.37 (m, 6H), 3.71-3.82 (m, 1H), 3.87-4.02 (m, 2H), 4.28-4.29 (m, 1H), 4.36-4.38 (m, 1H), 5.04 (d, <i>J</i> = 5.2 Hz, 2H), 5.55-5.64 (m, 1H), 5.85-5.94 (m, 1H), 6.00-6.05 (m, 1H), 6.29-6.40 (m, 1H), 7.17-7.24 (m, 1H), 7.30-7.41 (m, 5H), 7.45-7.58 (m, 2H), 7.61 (d, <i>J</i> = 4.0 Hz, 1H), 11.53 (s, 1H); MS, <i>m/e</i> 545.80 (M+1) ⁺ .
49	Ph	H	H	Me	c-Hex	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.18-1.41 (m, 12H), 1.59-1.67 (m, 4H), 3.74-13.80 (m, 1H), 3.96-4.02 (m, 1H), 4.19-4.26 (m, 1H), 4.31-4.39 (m, 1H), 4.60 (s, 1H), 5.52 (t, <i>J</i> = 7.8 Hz, 1H), 5.80-6.09 (m, 3H), 7.15-7.20 (m, 3H), 7.32-7.36 (m, 2H), 7.52 (d, <i>J</i> = 8 Hz, 1H), 11.50 (s, 1H); MS, <i>m/e</i> 569.98 (M+1) ⁺ ; 592.14 (M+23) ⁺ .
50	Ph	H	Me	H	n-Bu	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.76 (t, <i>J</i> = 7.2 Hz, 3H), 1.10-1.22 (m, 8H), 1.38-1.43 (m, 2H), 3.72-3.75 (m, 2H), 3.87-3.93 (m, 3H), 4.14-4.21 (m, 1H), 4.23-4.33 (m, 1H), 5.46-5.54 (m, 1H), 5.84-6.11 (m, 3H), 7.09-7.14 (m, 2H), 7.27-7.32 (m, 2H), 7.34-7.51 (m, 1H), 11.47 (s, 1H); MS, <i>m/e</i> 543.98 (M+1) ⁺ .
51	Ph	H	Me	H	i-Pr	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.39 (d, <i>J</i> = 7.2 Hz, 6H), 1.19-1.29 (m, 6H), 3.65-3.75 (m, 2H), 3.95-4.05 (m, 1H), 4.20-4.22 (m, 1H), 4.31-4.33 (m, 1H), 4.79-4.82 (m, 1H), 5.48-5.57 (m, 1H), 5.84-5.91 (m, 1H), 5.96-6.07 (m, 2H), 7.12-7.35 (m, 5H), 7.44-7.54 (m, 1H), 11.49 (s, 1H); MS, <i>m/e</i> 529.96 (M+1) ⁺ .
52	Ph	H	Me	H	Bn	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.18-1.28 (m, 6H), 3.70-3.83 (m, 1H), 3.87-3.94 (m, 1H), 3.99-4.01 (m, 1H), 4.23-4.26 (m, 1H), 4.33-4.37 (m,

Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
53	2-Cl-Ph	H	H	Me	n-Bu	¹ H), 5.03-5.12 (m, 2H), 5.51-5.59 (m, 1H), 5.87-5.90 (m, 1H), 5.95-6.07 (m, 1H), 6.10-6.27 (m, 1H), 7.15-7.23 (m, 3H), 7.31-7.38 (m, 7H), 7.47-7.56 (m, 1H), 11.50 (s, 1H); MS, <i>m/e</i> 577.99 (M+1) ⁺ ¹ H NMR (400MHz, DMSO- <i>d</i> ₆): δ 0.81-0.86 (m, 3H), 1.21-1.31 (m, 8H), 1.46-1.52 (m, 2H), 3.84-3.90 (m, 2H), 3.97-4.04 (m, 3H), 4.27-4.41 (m, 2H), 5.53-5.58 (m, 1H), 5.82-5.95 (m, 1H), 5.96-6.10 (m, 1H), 6.27-6.31 (m, 1H), 7.19-7.22 (m, 1H), 7.34 (dd, <i>J</i> = 8.0, 4.0 Hz, 1H), 7.47-7.55 (m, 3H), 11.55 (s, 1H)
54	4-Br-Ph	H	H	Me	i-Pr	¹ H NMR (400MHz, DMSO- <i>d</i> ₆): δ 1.10-1.14 (m, 6H), 1.20-1.27 (m, 6H), 3.74-3.81 (m, 2H), 3.99-4.01 (m, 1H), 4.21-4.25 (m, 1H), 4.37-4.38 (m, 1H), 4.81-4.85 (m, 1H), 5.58 (dd, <i>J</i> = 8.0, 4.0 Hz, 1H), 5.82-5.95 (m, 1H), 5.96-6.09 (m, 1H), 6.10-6.13 (m, 1H), 7.18 (dd, <i>J</i> = 12.0, 8.0 Hz, 2H), 7.53-7.57 (m, 3H), 11.52 (s, 1H)
55	4-F-Ph	H	H	Me	c-Hex	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.20-1.44 (m, 12H), 1.60-1.71 (m, 4H), 3.75-4.02 (m, 2H), 3.94-4.02 (m, 1H), 4.19-4.26 (m, 2H), 4.59-4.61 (m, 1H), 5.57 (t, <i>J</i> = 8.4 Hz, 1H), 5.85-6.06 (m, 3H), 7.17-7.23 (m, 4H), 7.54 (d, <i>J</i> = 8.4 Hz, 1H), 11.50 (s, 1H); MS, <i>m/e</i> 587.92 (M+1) ⁺
56	4-Br-Ph	H	H	Me	c-Hex	¹ H NMR (400MHz, DMSO- <i>d</i> ₆): δ 1.18-1.46 (m, 12H), 1.61-1.69 (m, 4H), 3.75-3.82 (m, 2H), 3.95-4.08 (m, 1H), 4.25-4.28 (m, 1H), 4.38 (s, 1H), 4.60-4.62 (m, 1H), 5.56-5.60 (m, 1H), 5.82-5.95 (m, 1H), 6.02-6.20 (m, 2H), 7.09-7.20 (m, 2H), 7.53-7.57 (m, 3H), 11.52 (s, 1H) MS, <i>m/e</i> 650.0 (M+3) ⁺
57	Ph	H	H	Et	i-Pr	¹ H NMR (400MHz, DMSO- <i>d</i> ₆): δ 0.75-0.82 (m, 3H), 1.12-1.26 (m, 9H), 1.52-1.59 (m, 2H), 3.55-3.68 (m, 1H), 3.72-3.85 (m, 1H), 3.95-4.08 (m, 1H), 4.18-4.28 (m, 1H), 4.32-4.41 (m, 1H), 4.83-4.86 (m, 1H), 5.55 (m, <i>J</i> = 7.6Hz, 1H), 5.99-6.04 (m, 2H), 6.05-6.10 (m, 1H), 7.14-7.21 (m, 3H), 7.33-7.37 (m, 2H), 7.52-7.54 (m, 1H), 11.53 (s, 1H); MS, <i>m/e</i> 566.07 (M+23) ⁺
58	Ph	H	H	Et	c-Hex	¹ H NMR (400MHz, DMSO- <i>d</i> ₆): δ 0.75-0.88 (m, 3H), 1.26-1.46 (m, 9H), 1.52-1.69 (m, 6H), 3.60-3.63 (m, 1H), 3.72-3.90 (m, 1H), 4.02-4.03 (m, 1H), 4.24-4.27 (m, 1H), 4.37-4.38 (m, 1H), 4.63-4.65 (m, 1H), 5.55 (dd, <i>J</i> = 8.0Hz, 4.4Hz, 1H), 5.80-5.95 (m, 1H), 6.00-6.07 (m, 2H), 7.15-7.22 (m, 3H), 7.34-7.38 (m, 2H), 7.54 (d, <i>J</i> = 8.0Hz, 1H), 11.55 (s, 1H); MS, <i>m/e</i> 584.01 (M+1) ⁺ , 606.17 (M+23) ⁺
59	4-F-Ph	H	H	Et	c-Hex	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.75-0.84 (m, 3H), 1.24 (d, <i>J</i> = 22.8Hz, 3H), 1.29-1.47 (m, 6H), 1.51-1.70 (m, 6H), 3.59-3.66 (m, 1H), 3.77-3.84 (m, 1H), 3.98-4.04 (m, 1H), 4.21-4.27 (m, 1H), 4.34-4.41 (m, 1H), 4.60-4.65 (m, 1H), 5.56-5.60 (m, 1H), 5.84-5.90 (m, 1H), 6.00-6.08 (m, 2H), 7.20-7.24 (m, 4H), 7.56 (d, <i>J</i> = 8.0Hz, 1H), 11.49 (s, 1H); MS, <i>m/e</i> 602.00 (M+1) ⁺
60	Ph	H	H	Me	F-CH ₂ -CH ₂ -	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.18-1.25 (m, 6H), 3.71-3.89 (m, 2H), 3.92-3.99 (m, 1H), 4.19-4.27 (m, 4H), 4.48-4.61 (m, 2H), 3.94-3.98 (m, 2H), 4.11-4.23 (m, 4H), 5.47-5.52 (m, 1H), 6.01-6.11 (m, 1H), 5.90-6.14

Ex.	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	NMR/MS
						(m, 2H), 7.15-7.21 (m, 3H), 7.32-7.36 (m, 2H), 7.46-7.57 (m, 1H), 11.49 (s, 1H); MS, <i>m/e</i> 533.86 (M+1) ⁺
61	Ph	H	H	Me	F ₂ CH-CH ₂ -	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.17-1.24 (m, 6H), 3.67-3.81 (m, 1H), 3.89-3.98 (m, 2H), 4.21-4.36 (m, 4H), 5.48-5.53 (m, 1H), 5.82-6.05 (m, 2H), 6.18-6.22 (m, 2H), 7.15-7.20 (m, 3H), 7.32-7.36 (m, 2H), 7.51 (s, 1H), 11.50 (s, 1H); MS, <i>m/e</i> 551.92 (M+1) ⁺ ;
62	Ph	H	H	Me	(CF ₃) ₂ -CH-	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.13-1.29 (m, 6H), 3.67-3.81 (m, 1H), 3.94-4.32 (m, 4H), 5.47 (t, <i>J</i> = 8 Hz 1H), 5.82-6.01 (m, 2H), 6.33-6.36 (m, 1H), 6.70-6.78 (m, 1H), 7.09-7.15 (m, 3H), 7.28-7.32 (m, 2H), 7.43-7.46 (m, 1H), 11.44 (s, 1H); MS, <i>m/e</i> 637.90 (M+1) ⁺
63	Ph	H	H	Me	(CH ₂ F) ₂ -CH-	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.20-1.29 (m, 6H), 3.70-3.90 (m, 1H), 3.91-4.12 (m, 2H), 4.20-4.33 (m, 1H), 4.35-4.48 (m, 1H), 4.52-4.55 (m, 2H), 4.63-4.67 (m, 2H), 5.20-5.35 (m, 1H), 5.56 (t, <i>J</i> = 8.4 Hz, 1H), 5.80-5.95 (m, 1H), 5.95-6.10 (m, 1H), 6.18-6.21 (m, 1H), 7.18-7.23 (m, 3H), 7.35-7.39 (m, 2H), 7.54 (s, 1H), 11.55 (s, 1H); MS, <i>m/e</i> 565.98 (M+1) ⁺
64	Ph	H	H	Me	c-Pr-CH ₂ -	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.20-0.24 (m, 2H), 0.47-0.48 (m, 2H), 0.76-0.84 (m, 3H), 1.03-1.05 (m, 1H), 1.23 (dd, <i>J</i> = 22.4 6.8 Hz 3H), 1.55-1.60 (m, 2H), 3.61-3.68 (m, 1H), 3.81-3.89 (m, 3H), 3.98-4.03 (m, 1H), 4.23-4.29 (m, 1H), 4.35-4.41 (m, 1H), 5.56-6.00 (m, 1H), 5.88-5.91 (m, 1H), 6.04-6.10 (m, 2H), 7.20-7.24 (m, 4H), 7.55 (d, <i>J</i> = 7.6 Hz 1H), 11.53 (s, 1H); MS, <i>m/e</i> 573.17 (M+1) ⁺
65	Ph	H	H	Et	c-Pen	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.75-0.83 (m, 3H), 1.20-1.28 (m, 3H), 1.49-1.63 (m, 8H), 1.76-1.80 (m, 2H), 3.58-3.60 (m, 1H), 3.70-3.82 (m, 1H), 3.98-4.05 (m, 1H), 4.24-4.26 (m, 1H), 4.37-4.42 (m, 1H), 5.03 (s, 1H), 5.54-5.57 (m, 1H), 5.90-6.00 (m, 1H), 6.02-6.07 (m, 2H), 7.15-7.22 (m, 3H), 7.35-7.39 (m, 2H), 7.55 (d, <i>J</i> = 8.0 Hz, 1H), 11.55 (s, 1H); MS, <i>m/e</i> 570.03 (M+1) ⁺

*R² and R^{3b} together are -(CH₂)₃- as derived from L-proline

The purification procedure by Prep-HPLC:

Crude products were dissolved in methanol. Injection volumes of these solutions were 5 mL.

The preparative HPLC system including 2 sets of Gilson 306 pumps, a Gilson 156 UV/Vis detector, a Gilson 215 injector & fraction collector, with Unipoint control software. A Ymc 25×30×2 mm column was used. The mobile phase was HPLC grade

water (A), and HPLC grade acetonitrile (B). Fractions were collected into 100*15mm glass tubes.

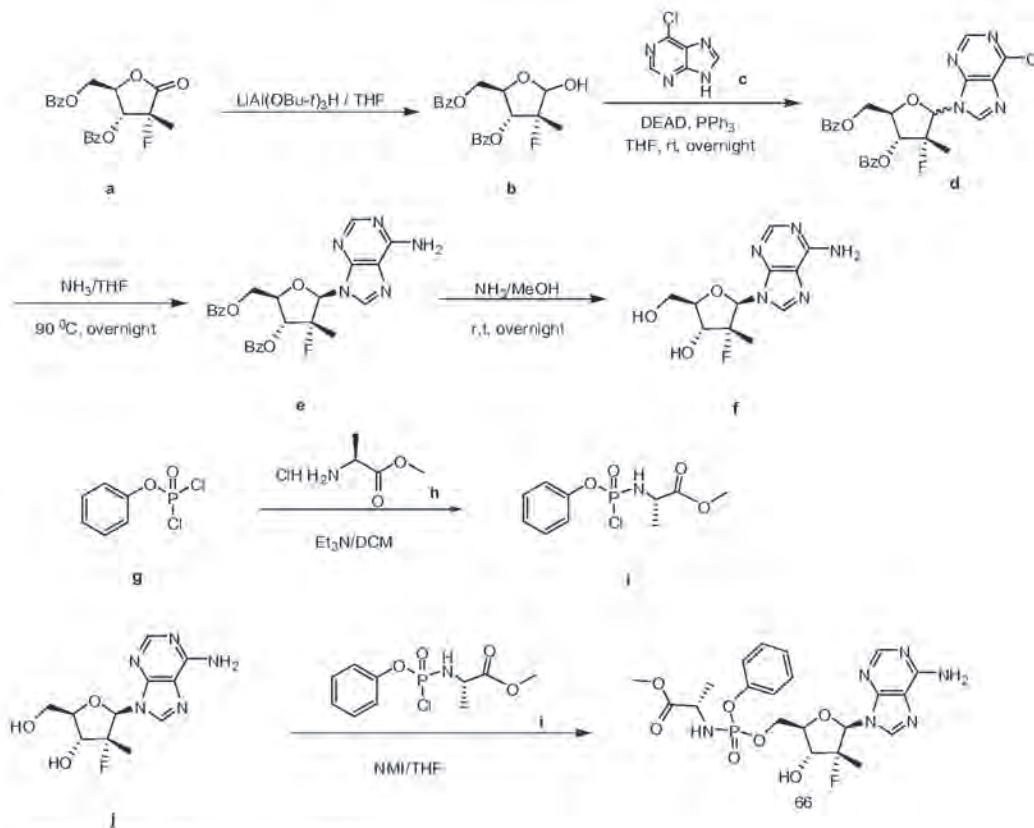
HPLC gradient is shown in Table 1. Once the gradient was selected, acetonitrile solution was injected into HPLC system, and then fractions collected according to UV peaks. After the separation, each glass tubes were run MS test to collect the desired compounds. The fractions with target MS were combined in a well-weighted flask. Most of acetonitrile was removed under reduce pressure and the remaining solution was freeze-dried to give desired compound.

10 **Table 1:**

Preparative HPLC gradient			
Time (min)	Flow rate (mL/min)	% A	% B
0	15	90	10
30	15	60	40

Preparation of Example 66

Scheme



Preparation of compound (b)

To a solution of compound **a** (1 g, 2.69 mmol) in anhydrous THF (30 mL) was added dropwise 1 M solution of $\text{LiAl}(\text{OBu-}t)_3\text{H}$ in THF (2.69 mL, 2.69 mmol) at -20°C .

- 5 The reaction mixture was stirred for 2-3 h at the same temperature. EtOAc (100 mL) was added followed by saturated NH_4Cl solution (10 mL) and reaction mixture was slowly brought to room temperature. Reaction mixture was extracted with EtOAc and washed with 1N HCl and water. Combined organic phase was evaporated to give 0.8 g of crude compound **b** as transparent oil, which was used directly for next reaction.

10 Preparation of compound (d)

To a solution of compound **b** (0.8 g, 2.1 mmol), compound **c** (0.45 g, 2.5 mmol) and Ph_3P (0.56 g, 2.1 mmol) in anhydrous THF (20 mL) under nitrogen atmosphere was added DEAD (1.8 mL). The reaction mixture was stirred at room temperature overnight. The reaction solution was concentrated under reduce pressure. The residue was separated

by preparative layer chromatography (hexanes:EtOAc = 3:1) to give crude compound **d** (0.8 g). The crude compound **d** was used to the next step without further purification.

Preparation of compound (e)

Compound **d** (0.8 g, 1.57 mmol) was dissolved in THF (2 mL) and THF saturated with ammonia (5 mL) was then added to this solution. The reaction mixture was heated to 90 °C overnight. After 18 hours, the solution was cooled to room temperature by ice water, then the solvent was removed under reduced pressure and the residue was purified by column to give compound **e** (0.75 g) for the next step.

Preparation of compound (f)

Compound **e** (0.5 g, 1.01 mmol) was dissolved in methanol (2 mL) and methanol was saturated with ammonia (5 mL) was then added to this solution. The reaction mixture was stirred at room temperature overnight. After 18 hours, the solvent was removed under reduced pressure and the residue was purified by column to give crude compound **f** (0.15 g) for the next step.

Preparation of compound (i)

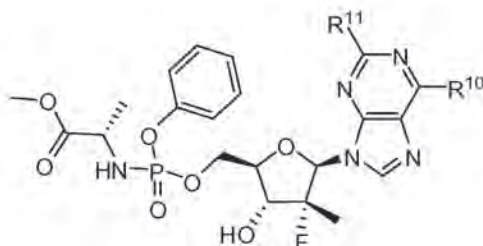
A solution of triethylamine (1.07 g, 10.6 mmol) in anhydrous dichloromethane (15 mL) was added dropwise to a solution of compound **g** (1.16 g, 5.3 mmol) and compound **h** (1.31 g, 5.3 mmol) in dichloromethane (10 mL) with vigorous stirring at -78 °C over a period of 2 hours. After completion of addition, the reaction temperature was allowed to warm to room temperature gradually and stirred over night. Then the solvent was removed under vacuum and anhydrous ether 20 mL was added and the precipitated salt was filtered and the precipitate was washed with ether. The combined organic phase was concentrated to give the colorless oil of compound **i** (1.0 g).

Preparation of Compound 66

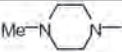
To a solution of compound **j** (0.1 g, 0.35 mmol) dissolved in 10 mL of anhydrous THF, stirred and added 0.4g NMI till the solution became clear, added compound **i** (0.8 g, 2.89 mmol) in 10 mL THF dropwise, stirred at r.t. overnight. Compound purity and identification was confirmed by LCMS. The solvent was evaporated and purified by Prep-HPLC to afford **66**. (25 mg, Yield: 13.6%). ¹H NMR (DMSO-*d*₆) δ 1.08 (d, *J* = 22.8 Hz, 3H), 1.17-1.24 (m, 3H), 3.50-3.52 (m, 3H), 3.78-3.83 (m, 1H), 4.10-4.13 (m,

1H), 4.24-4.44 (m, 2H), 5.85-5.92 (m, 1H), 6.01-6.11 (m, 1H), 6.2-6.27 (m, 1H), 7.08-7.19 (m, 4H), 7.31-7.38 (m, 3H), 8.15 (s, 1H), 8.26 (s, 1H); MS, *m/e* 525 (M+1)⁺.

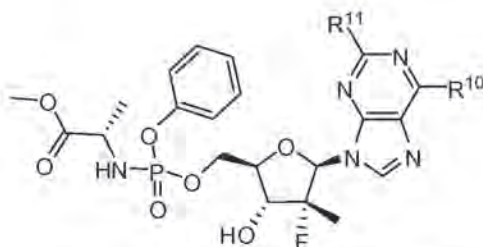
- 5 Example numbers **67-74**, identified below, were prepared using similar procedures disclosed for Example **66**, above.

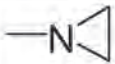
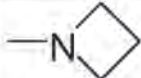


Example	R ¹¹	R ¹⁰	NMR/MS
67	OH	NH ₂	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.06-1.13 (m, 3H), 1.20-1.24 (m, 3H), 3.27-3.33(m, 3H), 3.56 (s, 1H), 3.82-3.88 (m, 1H), 4.07-4.13 (m, 1H), 4.25-4.40 (m, 2H), 5.85-5.87 (m, 1H), 5.98-6.09 (m, 2H), 6.59 (s, 32H), 7.14-7.37 (m, 3H), 7.35-7.37 (m, 2H), 7.79 (d, <i>J</i> =7.2 Hz, 1H), 10.69 (s, 1H); MS, <i>m/e</i> 541 (M+1) ⁺ ;
68	NH ₂	NH ₂	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.07 (d, <i>J</i> =22.8 Hz, 3H), 1.19 (d, <i>J</i> =7.2 Hz, 3H), 3.51 (s, 3H), 3.62 (s, 1H), 3.75-3.81 (m, 1H), 4.05-4.11 (m, 1H), 4.27-4.42 (m, 2H), 5.79-5.83 (m, 1H), 5.92 (s, 2H), 6.00-6.09 (m, 2H), 6.75 (s, 2H), 7.08-7.17 (m, 3H), 7.31-7.35 (m, 2H), 7.78 (s, 1H); MS, <i>m/e</i> 540 (M+1) ⁺ ;
69	NH ₂	c-Pentyl-NH-	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.05 (d, <i>J</i> =22.8 Hz, 3H), 1.09-1.19 (m, 3H), 1.48 (s, 4H), 1.66 (s, 1H), 1.86 (s, 1H), 3.54 (d, <i>J</i> =14 Hz, 3H), 3.65 (s, 1H), 4.25-4.43 (m, 4H), 5.71-5.82 (m, 1H), 5.94-6.04 (m, 4H), 7.11-7.24 (m, 3H), 7.26-7.34 (m, 2H), 7.77(d, <i>J</i> =3.6 Hz, 1H); MS, <i>m/e</i> 608(M+1) ⁺
70	NH ₂		¹ H NMR (DMSO- <i>d</i> ₆) δ 1.07 (d, <i>J</i> =22.4 Hz, 3H), 2.35-2.38 (m, 2H), 3.54 (d, <i>J</i> =9.2 Hz, 3H), 3.59-3.62 (m, 2H), 3.65 (s, 1H), 3.75-3.82 (m, 1H), 4.01-4.13 (m, 2H), 4.22-4.40 (m, 6H), 5.75-5.85 (m, 1H), 6.00-6.07 (m, 4H), 7.15-7.21 (m, 3H), 7.32-7.35 (m, 2H), 7.79 (d, <i>J</i> =4.0 Hz, 1H); MS, <i>m/e</i> 580 (M+1) ⁺
71	NH ₂	Et ₂ N-	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.06-1.28 (m, 12H), 3.55 (d, <i>J</i> =4.8 Hz, 3H), 3.79-3.87 (m, 4H), 4.07-4.12 (m, 2H), 4.29-4.42 (m,

Example	R ¹¹	R ^{10a}	NMR/MS
			3H), 5.75-5.82 (m, 1H), 5.94 (s, 2H), 6.04-6.10 (m, 2H), 7.14-7.22 (m, 3H), 7.31-7.37 (m, 2H), 7.82 (d, <i>J</i> =4.4 Hz, 1H); MS, <i>m/e</i> 596 (M+1) ⁺
72	NH ₂	n-Propyl-NH-	¹ H NMR (DMSO- <i>d</i> ₆) δ 0.84 (t, <i>J</i> =7.2 Hz, 3H), 1.01-1.01 (m, 3H), 1.09-1.12 (m, 3H), 1.51-1.56 (m, 2H), 3.48 (d, <i>J</i> =15.2 Hz, 3H), 3.79-3.82 (m, 1H), 4.04-4.05 (m, 1H), 4.27-4.38 (m, 3H), 5.72-5.79 (m, 1H), 5.98-6.04 (m, 4H), 7.13-7.20 (m, 3H), 7.26-7.32 (m, 2H), 7.76 (d, <i>J</i> =5.2 Hz, 1H); MS, <i>m/e</i> 582 (M+1) ⁺
73	NH ₂	c-Butyl-NH-	¹ H NMR (DMSO- <i>d</i> ₆) δ 1.02-1.08 (m, 3H), 1.18 (d, <i>J</i> =4.8 Hz, 3H), 1.44-1.61 (m, 2H), 2.02-2.17 (m, 4H), 3.51 (d, <i>J</i> =10.8 Hz, 3H), 3.78-3.83 (m, 1H), 4.03-4.06 (m, 1H), 4.27-4.38 (m, 2H), 4.53-4.62 (m, 1H), 5.68-5.79 (m, 1H), 5.95-6.04 (m, 4H), 7.11-7.18 (m, 3H), 7.29-7.35 (m, 2H), 7.51-7.58 (m, 1H), 7.78 (d, <i>J</i> =5.2 Hz, 1H); MS, <i>m/e</i> 594 (M+1) ⁺
74	NH ₂		¹ H NMR (DMSO- <i>d</i> ₆) δ 0.97-1.20 (m, 6H), 2.18 (s, 3H), 2.19 (s, 4H), 3.43-3.47 (m, 3H), 3.75 (s, 1H), 4.01-4.06 (m, 4H), 4.22-4.35 (m, 3H), 5.69-5.75 (m, 1H), 5.98-6.05 (m, 3H), 7.09-7.15 (m, 3H), 7.25-7.29 (m, 2H), 7.77 (d, <i>J</i> =3.6 Hz, 1H); MS, <i>m/e</i> 623 (M+1) ⁺

Example numbers 75-80 are prepared using similar procedures disclosed for Example **66**, above.



Example	R ¹¹	R ¹⁰
75	H	n-propyl-NH-
76	H	c-Butyl-NH-
77	H	c-Pentyl-NH-
78	H	
79	H	
80	H	

EXAMPLE 81

- Certain exemplified compounds were obtained as mixture of diastereomers because of the chirality at phosphorous. The diastereomers were separated on a Chiralpak-AS-H (2 X 25 cm) column under Supercritical Fluid Chromatography (SFC) conditions using 20% methanol in carbon dioxide as solvent. The absolute stereochemistry of the P-chiral center of the diastereomers were not determined. However, chromatographic resolution of these two diastereomers provides for isomers that are characterized as fast eluting and slow eluting isomers. Some examples are shown below.

Compound	EC90 (uM)
Example 15 (Diastereomeric mixture)	0.86
Fast Moving isomer of Example 15	1.35
Slow Moving isomer of Example 15	0.26

Compound	EC90 (uM)
Example 39 (Diastereomeric mixture)	0.47
Fast Moving isomer of Example 39	0.78
Slow Moving isomer of Example 39	0.02
Example 49 (Diastereomeric mixture)	0.126
Fast Moving isomer of Example 49	0.03
Slow Moving isomer of Example 49	5.78

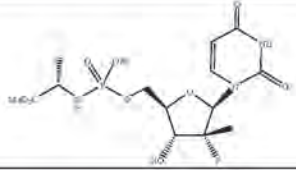
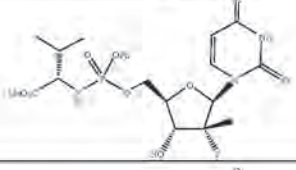
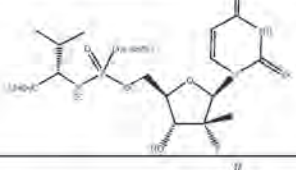
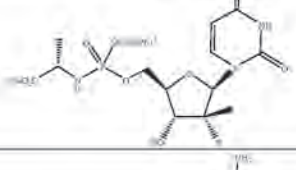
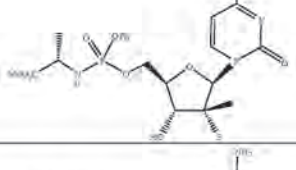
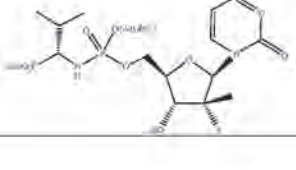
EXAMPLE 82

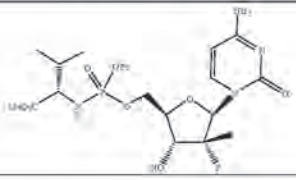
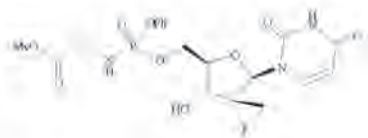
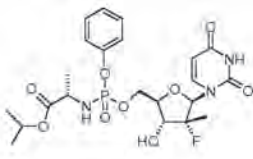
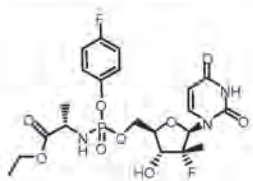
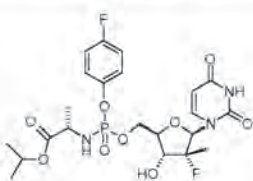
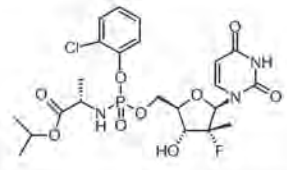
HCV replicon assay. HCV replicon RNA-containing Huh7 cells (clone A cells; Apath, LLC, St. Louis, Mo.) were kept at exponential growth in Dulbecco's modified Eagle's medium (high glucose) containing 10% fetal bovine serum, 4 mM L-glutamine and 1 mM sodium pyruvate, 1× nonessential amino acids, and G418 (1,000 µg/ml). Antiviral assays were performed in the same medium without G418. Cells were seeded in a 96-well plate at 1,500 cells per well, and test compounds were added immediately after seeding. Incubation time 4 days. At the end of the incubation step, total cellular RNA was isolated (RNeasy 96 kit; Qiagen). Replicon RNA and an internal control (TaqMan rRNA control reagents; Applied Biosystems) were amplified in a single-step multiplex RT-PCR protocol as recommended by the manufacturer. The HCV primers and probe were designed with Primer Express software (Applied Biosystems) and covered highly conserved 5'-untranslated region (UTR) sequences (sense, 5'-AGCCATGGCGTTAGTA(T)GAGTGT-3', and antisense, 5'-TTCCGCAGACCACTATGG-3'; probe, 5'-FAM-CCTCCAGGACCCCCCTCCC-TAMRA-3').

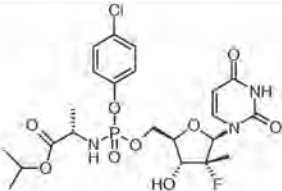
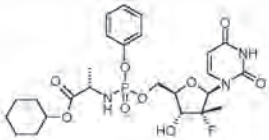
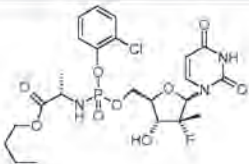
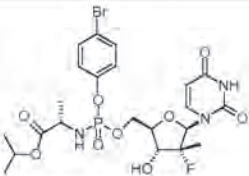
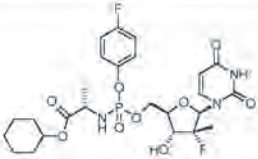
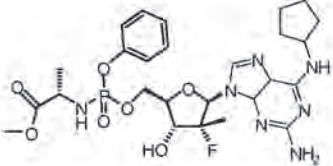
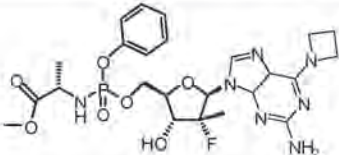
To express the antiviral effectiveness of a compound, the threshold RT-PCR cycle of the test compound was subtracted from the average threshold RT-PCR cycle of the no-drug control (ΔC_{tHCV}). A ΔC_t of 3.3 equals a 1-log 10 reduction (equal to the 90%)

effective concentration [EC₉₀]) in replicon RNA levels. The cytotoxicity of the test compound could also be expressed by calculating the ΔC_{tRNA} values. The $\Delta\Delta C_t$ specificity parameter could then be introduced ($\Delta C_{tHCV} - \Delta C_{tRNA}$), in which the levels of HCV RNA are normalized for the rRNA levels and calibrated against the no-drug

5 control.

Ex #	Compound	Log10 Reduction at 50 μ M	EC90 (μ M)
5		-1.21	3.0
6		-0.45	ND
7		0.31	ND
8		-1.48	2.11
10		-1.25	19.15
11		-0.55	ND

Ex #	Compound	Log10 Reduction at 50 μ M	EC90 (μ M)
12		0.31	ND
15		ND	0.86
25		-2.22	0.39
27		-2.25	0.66
28		-2.16	0.75
36		-1.64	21.9

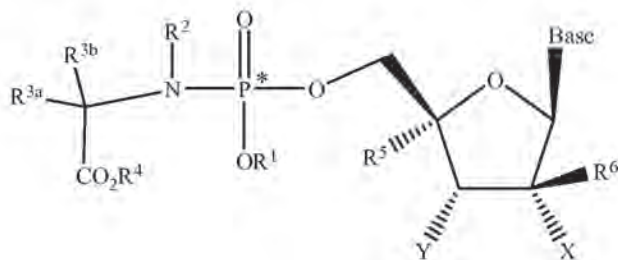
Ex #	Compound	Log10 Reduction at 50µM	EC90 (µM)
39		-1.78	0.47
49		-2.69	0.126
53		-1.33	<0.3
54		-1.55	0.57
55		-2.38	<0.3
69		-2.25	< 0.3
70		-2.25	<0.3

¹(4-BrPh); 4-bromo-phenyl.

The entire contents of U.S. Provisional Application Nos. 60/909,315, filed March 30, 2007, and 60/982,309, filed October 24, 2007, are hereby incorporated by reference
5 in the present application so far as needed to supplement the present disclosure and/or
rectify any errors. Moreover, the patent and non-patent references disclosed herein are
incorporated by reference. In the event that the incorporated patent and non-patent
reference contains a term that conflicts with a term disclosed in either one of the two
Provisional Applications or the present application text, the meaning of the term
10 contained in the present application text and the two Provisional Applications controls
provided that the overall meaning of the incorporated subject matter is not lost.

We claim:

1. A compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, represented by formula I:



I

wherein

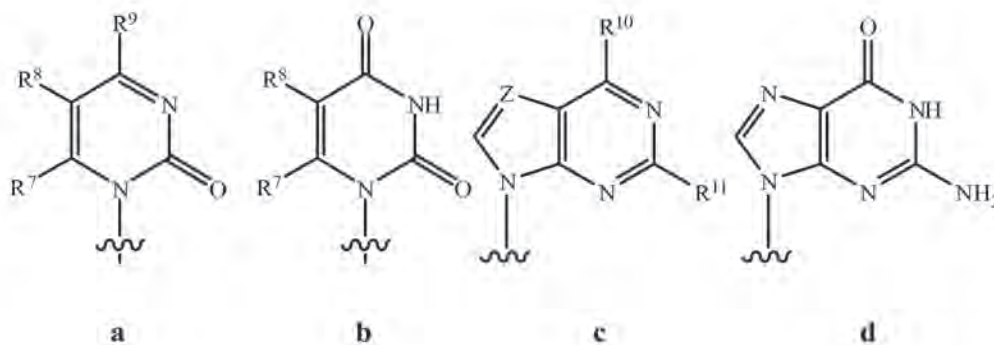
- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹, and -SO₂C₁₋₆ alkyl; (R¹ is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹ is -OR¹ or -N(R¹)₂);
- (b) R² is hydrogen, C₁₋₁₀ alkyl, R³ᵃ or R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR³ᵃR³ᵇNHR¹, where n is 2 to 4 and R¹, R³ᵃ, and R³ᵇ,
- (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³)₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_cCOR³, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that

- includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 ,
5 $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H ,
10 $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$;
15 (d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl,
20 i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;
25 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
(g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;
(h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$

- alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂,
 5 NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:

15



wherein

Z is N or CR¹²;

- R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂,
 20 NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-

C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to,
5 an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R'
10 comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆,
15 halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

2. A compound its stereoisomer, salt, hydrate, solvate, or crystalline form
20 thereof selected from among

5 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate ((S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);

25 6 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate ((S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methylbutyric acid methyl ester);

30 7 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methylbutyric acid methyl ester);

8 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate (((S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-

pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester);

9 N⁴-(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine;

10 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate
5 (((S)-2-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);

11 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate (2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-[(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butyrac acid methyl ester);

12 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate ((S)-2-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butyrac acid methyl ester);

13 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid ethyl ester;

20 14 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid benzyl ester;

25 15 [(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-acetic acid methyl ester;

16 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

30 17 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid methyl ester;

18 (S)-1-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl]-pyrrolidine-2-carboxylic acid methyl ester;

35 19 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;

40 20 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;

21 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid methyl ester;

45 22 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

- 23 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 24 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid sec-butyl ester;
- 25 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid isopropyl ester;
- 26 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 27 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid ethyl ester;
- 28 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 29 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 30 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 31 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid benzyl ester;
- 32 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 33 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid isopropyl ester;
- 34 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 35 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 36 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 37 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid benzyl ester;

- 38 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid pentyl ester;
- 5 39 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 40 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 10 41 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 42 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid butyl ester;
- 15 43 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid benzyl ester;
- 44 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid methyl ester;
- 20 45 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 4-fluoro-benzyl ester;
- 25 46 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 47 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 3-methyl-butyl ester;
- 30 48 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 49 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester;
- 35 50 (R)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;
- 40 51 (R)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 52 (R)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
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- 53 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 5 54 (S)-2-[(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 55 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 10 56 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 57 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid isopropyl ester;
- 15 58 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid cyclohexyl ester;
- 59 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-butyric acid cyclohexyl ester;
- 20 60 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-butyric acid isopropyl ester;
- 25 61 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 2,2-difluoro-ethyl ester;
- 62 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;
- 30 63 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;
- 64 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid cyclopropyl methyl ester;
- 35 65 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid cyclopentyl ester;
- 40 66 (S)-2-[[[(2R,3R,4R,5R)-5-(6-Amino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 67 (S)-2-[[[(2R,3R,4R,5R)-5-(2-Amino-6-hydroxy-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;

68 (S)-2-((R)-[(2R,3R,4R,5R)-5-(2,6-Diamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;

69 (S)-2-((2R,3R,4R,5R)-5-(2-Amino-6-cyclopentylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy)-phenoxy-phosphorylamino)-propionic acid methyl ester;

70 (S)-2-((2R,3R,4R,5R)-5-(2-Amino-6-azetidin-1-yl-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy)-phenoxy-phosphorylamino)-propionic acid methyl ester;

71 (S)-2-((2R,3R,4R,5R)-5-(2-Amino-6-diethylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy)-phenoxy-phosphorylamino)-propionic acid methyl ester;

72 (S)-2-((2R,3R,4R,5R)-5-(2-Amino-6-propylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy)-phenoxy-phosphorylamino)-propionic acid methyl ester;

73 (S)-2-((2R,3R,4R,5R)-5-(2-Amino-6-cyclobutylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy)-phenoxy-phosphorylamino)-propionic acid methyl ester;

74 (S)-2-((2R,3R,4R,5R)-5-[2-Amino-6-(4-methyl-piperazin-1-yl)-purin-9-yl]-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy)-phenoxy-phosphorylamino)-propionic acid methyl ester;

75 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(propylamino)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

76 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclobutylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

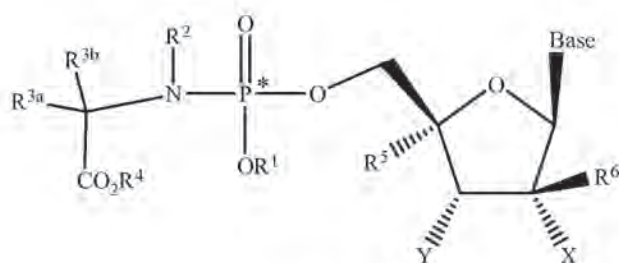
78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

79 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate.

3. A composition for the treatment and/or prophylaxis of any of the viral agents disclosed herein said composition comprising a pharmaceutically acceptable medium selected from among an excipient, carrier, diluent, and equivalent medium and a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, represented

by formula I:



I

wherein

- 5 (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹, and -SO₂C₁₋₆ alkyl; (R¹ is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹ is -OR' or -N(R¹)₂);
- (b) R² is hydrogen, C₁₋₁₀ alkyl, R³ᵃ or R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR³ᵃR³ᵇNHR¹, where n is 2 to 4 and R¹, R³ᵃ, and R³ᵇ;
- 15 (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³)₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_cCOR³, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring; (iv) R³ᵃ is hydrogen and R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R³ᵇ is hydrogen and R³ᵃ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1
- 20

to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
5 $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -
10 $CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where R^3 is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$;

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl,
15 cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen,
20 including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

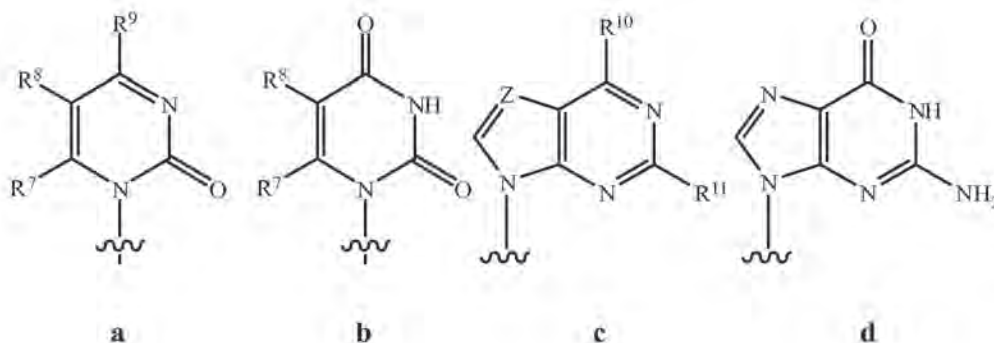
(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;

25 (h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), O(C_{1-10} acyl), O(C_{1-4} alkyl), O(C_{2-4} alkenyl), S(C_{1-4} acyl), S(C_{1-4} alkyl), S(C_{2-4} alkynyl), S(C_{2-4} alkenyl), SO(C_{1-4} acyl), SO(C_{1-4} alkyl),

SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl),
 SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂,
 NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄
 5 acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN,
 one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄
 4 alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl),
 S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄
 alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄
 alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄
 10 alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

the base is a naturally occurring or modified purine or pyrimidine base
 represented by the following structures:



15 wherein

Z is N or CR¹²;

R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂,
 NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower
 alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-
 20 C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-

C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

4. A composition for the treatment and/or prophylaxis of any of the viral agents disclosed herein said composition comprising a pharmaceutically acceptable medium selected from among an excipient, carrier, diluent, and equivalent medium and a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, selected from among

5 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester);

6 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-3-methylbutyric acid methyl ester;

- 7 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-((4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-3-methyl-butyric acid methyl ester);
- 5 8 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate (((S)-2-((4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-propionic acid methyl ester);
- 10 9 N⁴-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine;
- 10 10 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate (((S)-2-((2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester);
- 15 11 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate (2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-((4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-3-methyl-butyric acid methyl ester);
- 20 12 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate ((S)-2-(((2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-3-methyl-butyric acid methyl ester);
- 25 13 (S)-2-(((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid ethyl ester;
- 14 (S)-2-(((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-[naphthalen-1-yloxy]-phosphorylamino)-propionic acid benzyl ester;
- 30 15 (((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-acetic acid methyl ester;
- 35 16 (S)-2-((2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-propionic acid methyl ester;
- 40 17 (S)-2-(((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-[naphthalen-1-yloxy]-phosphorylamino)-propionic acid methyl ester;
- 18 (S)-1-(((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl)-pyrrolidine-2-carboxylic acid methyl ester;
- 45 19 (S)-2-(((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid butyl ester;
- 20 (S)-2-(((2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid benzyl ester;

- 21 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid methyl ester;
- 5 22 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 23 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 10 24 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid sec-butyl ester;
- 25 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid isopropyl ester;
- 15 26 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 27 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid ethyl ester;
- 20 28 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 25 29 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 30 30 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 31 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid benzyl ester;
- 32 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 35 33 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid isopropyl ester;
- 40 34 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 35 35 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 45

- 36 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 5 37 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 38 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid pentyl ester;
- 10 39 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 40 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 15 41 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 42 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid butyl ester;
- 20 43 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid benzyl ester;
- 25 44 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid methyl ester;
- 45 45 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 4-fluoro-benzyl ester;
- 46 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 47 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 3-methyl-butyl ester;
- 35 48 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 40 49 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid cyclohexyl ester;
- 45 50 (R)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid butyl ester;

- 51 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 5 52 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 53 (S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 10 54 (S)-2-{(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 55 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 15 56 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 57 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;
- 20 58 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;
- 25 59 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-butyric acid cyclohexyl ester;
- 60 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-butyric acid isopropyl ester;
- 30 61 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2-difluoro-ethyl ester;
- 62 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo- 3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;
- 35 63 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;
- 40 64 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo- 3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclopropyl methyl ester;
- 65 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclopentyl ester;
- 45

66 (S)-2-([(2R,3R,4R,5R)-5-(6-Amino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

67 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-hydroxy-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

68 (S)-2-[(R)-[(2R,3R,4R,5R)-5-(2,6-Diamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

69 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclopentylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

70 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-azetidin-1-yl-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

71 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-diethylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

72 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-propylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

73 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclobutylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;

74 (S)-2-([(2R,3R,4R,5R)-5-[2-Amino-6-(4-methyl-piperazin-1-yl)-purin-9-yl]-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;

75 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(propylamino)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

76 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclobutylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

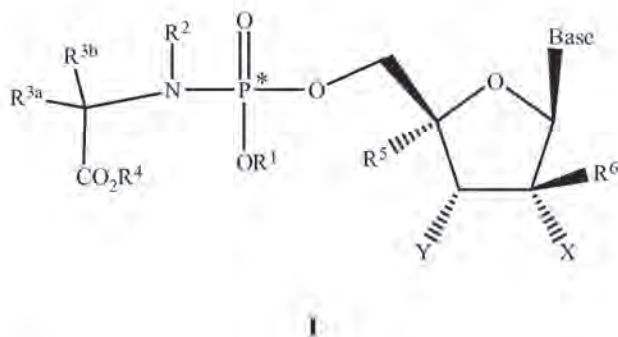
78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

79 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate.

5. A use of the compound represented by formula I in the manufacture of a medicament for the treatment of any condition the result of an infection by hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus.

- 5 wherein the compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, are represented by formula I:



wherein

- 10 (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹')₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹')₂, COR¹'', and -SO₂C₁₋₆ alkyl; (R¹' is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹'' is -OR' or -N(R¹')₂);

(b) R² is hydrogen, C₁₋₁₀ alkyl, R³ᵃ or R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR³ᵃR³ᵇNHR¹, where n is 2 to 4 and R¹, R³ᵃ, and R³ᵇ;

- 20 (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³')₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_cCOR³'', aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a

group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

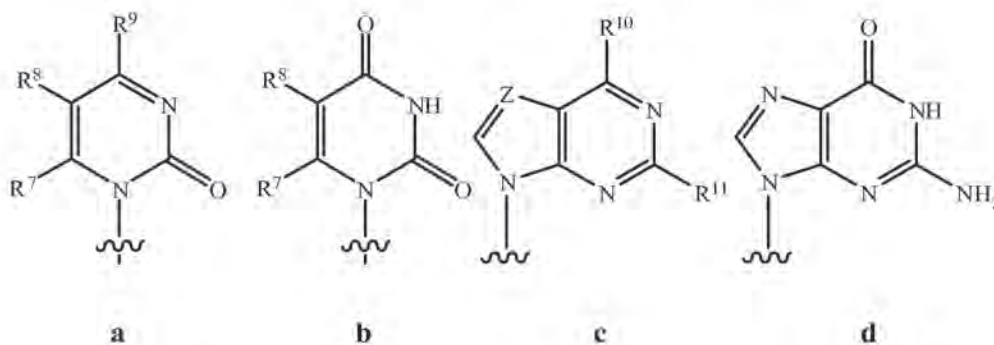
(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, halogen, NH₂, or N₃;

- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:



wherein

- Z is N or CR¹²;

R^7 , R^8 , R^9 , R^{10} , and R^{11} are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

R^{12} is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R^{11} being hydrogen, R^{12} is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

6. A use of

a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, selected from among

- 5 **5** 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate ((S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester);
- 30 **6** 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate ((S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-

hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butiric acid methyl ester;

7 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-[(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butiric acid methyl ester);

8 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate (((S)-2-[(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester);

9 N⁴-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine;

10 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate (((S)-2-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);

11 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate (2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-[(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butiric acid methyl ester);

12 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate ((S)-2-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butiric acid methyl ester;

13 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid ethyl ester;

14 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid benzyl ester;

15 [(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-acetic acid methyl ester;

16 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;

17 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid methyl ester;

18 (S)-1-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl]-pyrrolidine-2-carboxylic acid methyl ester;

19 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;

- 20 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 5 21 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid methyl ester;
- 22 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;
- 10 23 (S)-2-{(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;
- 24 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid sec-butyl ester;
- 15 25 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 26 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 20 27 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid ethyl ester;
- 25 28 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 29 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 30 30 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 31 (S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid benzyl ester;
- 35 32 (S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 40 33 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino)-propionic acid isopropyl ester;
- 34 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 45

- 35 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 5 36 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 37 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 10 38 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid pentyl ester;
- 39 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 15 40 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 41 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 20 42 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid butyl ester;
- 25 43 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid benzyl ester;
- 44 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid methyl ester;
- 30 45 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 4-fluoro-benzyl ester;
- 46 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 35 47 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 3-methyl-butyl ester;
- 40 48 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 49 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid cyclohexyl ester;
- 45

- 50 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;
- 5 51 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 52 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 10 53 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 54 (S)-2-[(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 15 55 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 56 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 20 57 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;
- 25 58 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;
- 59 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-butyric acid cyclohexyl ester;
- 30 60 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-butyric acid isopropyl ester;
- 61 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2-difluoro-ethyl ester;
- 35 62 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo- 3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;
- 40 63 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;
- 64 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo- 3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclopropyl methyl ester;
- 45

- 65 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclopentyl ester;
- 5 66 (S)-2-([(2R,3R,4R,5R)-5-(6-Amino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 67 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-hydroxy-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 10 68 (S)-2-[(R)-[(2R,3R,4R,5R)-5-(2,6-Diamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 69 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclopentylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 15 70 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-azetidin-1-yl-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 71 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-diethylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 20 72 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-propylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 73 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclobutylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 25 74 (S)-2-([(2R,3R,4R,5R)-5-[2-Amino-6-(4-methyl-piperazin-1-yl)-purin-9-yl]-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;
- 30 75 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(propylamino)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;
- 76 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclobutylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-
- 35 77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;
- 78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-
- 40 79 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

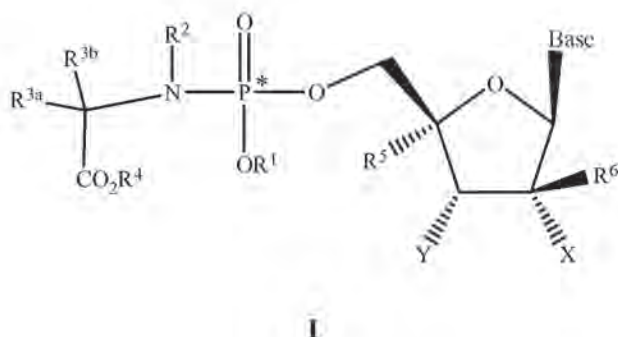
80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate

- 5 in the manufacture of a medicament for the treatment of any condition the result of an infection by hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus.

- 10 7. A method of treatment in a subject in need thereof, which comprises:

 administering a therapeutically effective amount of the compound represented by formula I to the subject;

 wherein the compound or its stereoisomer, salt, hydrate, solvate, or crystalline form thereof represented by formula I:



 wherein

- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are
- 20 optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹', and -SO₂C₁₋₆ alkyl; (R¹' is independently hydrogen or alkyl,

which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1'} is -OR' or -N(R^{1'})₂;

(b) R² is hydrogen, C₁₋₁₀ alkyl, R^{3a} or R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR^{3a}R^{3b}NHR¹, where
5 n is 2 to 4 and R¹, R^{3a}, and R^{3b};

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a
10 group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1
15 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-
20 Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or
25 alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl,

cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

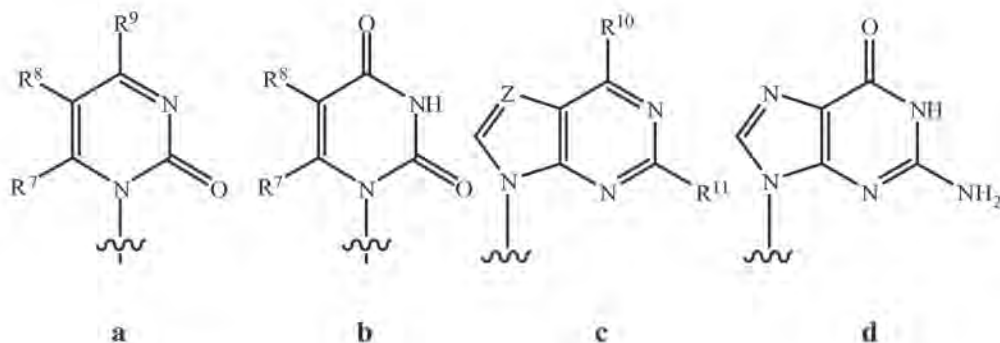
- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

- 10 (g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;

- (h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-18}$ acyl) $_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-4}$ acyl) $_2$;

- 25 the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:



wherein

Z is N or CR¹²;

- R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

- wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

- R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂,

CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

8. A method of treatment in a subject in need thereof, which comprises:

5 administering a therapeutically effective amount of a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, selected from among

5 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);

10 6 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butiric acid methyl ester);

15 7 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butiric acid methyl ester);

20 8 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate (((S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester);

9 N⁴-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine;

25 10 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate (((S)-2-([(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);

30 11 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate (2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-{(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butiric acid methyl ester);

35 12 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butiric acid methyl ester);

40 13 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid ethyl ester;

14 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid benzyl ester;

15 **15** {[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-acetic acid methyl ester;

5 **16** (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;

17 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid methyl ester;

10 **18** (S)-1-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl]-pyrrolidine-2-carboxylic acid methyl ester;

15 **19** (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;

20 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;

20 **21** (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid methyl ester;

22 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;

25 **23** (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;

30 **24** (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid sec-butyl ester;

25 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;

35 **26** (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid butyl ester;

27 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid ethyl ester;

40 **28** (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;

45 **29** (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid benzyl ester;

- 30 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 5 31 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid benzyl ester;
- 32 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 10 33 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid isopropyl ester;
- 34 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 15 35 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 20 36 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 37 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 25 38 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid pentyl ester;
- 39 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 30 40 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 41 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 35 42 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid butyl ester;
- 40 43 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino]-propionic acid benzyl ester;
- 44 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid methyl ester;
- 45

- 45 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 4-fluoro-benzyl ester;
- 5 46 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 47 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 3-methyl-butyl ester;
- 10 48 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 49 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester;
- 15 50 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;
- 51 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 20 52 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 25 53 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 54 (S)-2-[(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 30 55 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 56 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 35 57 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;
- 40 58 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;
- 45 59 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-butyric acid cyclohexyl ester;

- 60 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-butyric acid isopropyl ester;
- 5 61 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 2,2-difluoro-ethyl ester;
- 62 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;
- 10 63 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;
- 64 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid cyclopropyl methyl ester;
- 15 65 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-butyric acid cyclopentyl ester;
- 66 (S)-2-[(2R,3R,4R,5R)-5-(6-Amino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 20 67 (S)-2-[(2R,3R,4R,5R)-5-(2-Amino-6-hydroxy-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 68 (S)-2-[(R)-[(2R,3R,4R,5R)-5-(2,6-Diamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 25 69 (S)-2-[(2R,3R,4R,5R)-5-(2-Amino-6-cyclopentylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 30 70 (S)-2-[(2R,3R,4R,5R)-5-(2-Amino-6-azetidin-1-yl-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 71 (S)-2-[(2R,3R,4R,5R)-5-(2-Amino-6-diethylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 35 72 (S)-2-[(2R,3R,4R,5R)-5-(2-Amino-6-propylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 73 (S)-2-[(2R,3R,4R,5R)-5-(2-Amino-6-cyclobutylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 40 74 (S)-2-[(2R,3R,4R,5R)-5-[2-Amino-6-(4-methyl-piperazin-1-yl)-purin-9-yl]-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;

75 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(propylamino)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

76 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclobutylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

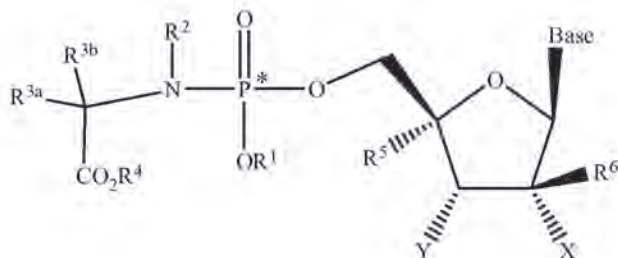
77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

79 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate.

9. A process for preparing a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, represented by formula I:



I

wherein

(a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹ᶜ, and -SO₂C₁₋₆ alkyl; (R¹ᶜ is independently hydrogen or alkyl,

which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1'} is -OR' or -N(R^{1'})₂;

(b) R² is hydrogen, C₁₋₁₀ alkyl, R^{3a} or R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR^{3a}R^{3b}NHR¹, where
5 n is 2 to 4 and R¹, R^{3a}, and R^{3b};

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a
10 group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1
15 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-
20 Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or
25 alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl,

cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

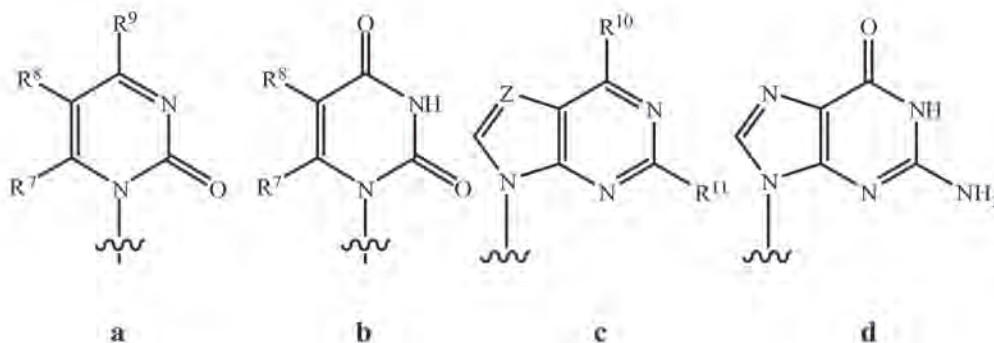
- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

- 10 (g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;

- (h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), O(C_{1-10} acyl), O(C_{1-4} alkyl), O(C_{2-4} alkenyl), S(C_{1-4} acyl), S(C_{1-4} alkyl), S(C_{2-4} alkynyl), S(C_{2-4} alkenyl), SO(C_{1-4} acyl), SO(C_{1-4} alkyl), SO(C_{2-4} alkynyl), SO(C_{2-4} alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-18}$ acyl) $_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), O(C_{1-4} acyl), O(C_{1-4} alkyl), O(C_{2-4} alkenyl), S(C_{1-4} acyl), S(C_{1-4} alkyl), S(C_{2-4} alkynyl), S(C_{2-4} alkenyl), SO(C_{1-4} acyl), SO(C_{1-4} alkyl), SO(C_{2-4} alkynyl), SO(C_{2-4} alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl) $_2$, $N(C_{1-4}$ acyl) $_2$;

- 25 the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:



wherein

Z is N or CR¹²;

R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂,
 5 NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower
 alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-
 C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-
 C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR',
 CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

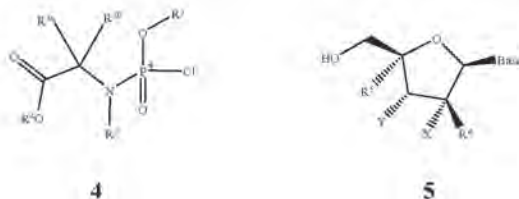
10 wherein R' is an optionally substituted alkyl, which includes, but is not limited to,
 an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally
 substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted
 alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally
 substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl),
 15 C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R'
 comprise at least one C atom that are joined to form a heterocycle comprising at least two
 carbon atoms; and

R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂,
 NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl
 20 of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆,
 halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F,
 Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂,

CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂;

said process comprising:

reacting a substituted phosphochloridate compound **4** with a nucleoside analog **5**



10. A process for preparing a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, selected from among

5 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester);

6 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-3-methyl-butyric acid methyl ester);

7 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-([(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-3-methyl-butyric acid methyl ester);

8 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate (((S)-2-([(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-propionic acid methyl ester);

9 N^d-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine;

10 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate (((S)-2-([(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester);

11 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate (2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-([(4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino)-3-methyl-butyric acid methyl ester);

- 12 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate ((S)-2-[[[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butyric acid methyl ester;
- 5 13 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid ethyl ester;
- 10 14 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid benzyl ester;
- 15 15 [[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-acetic acid methyl ester;
- 20 16 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 25 17 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid methyl ester;
- 30 18 (S)-1-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl]-pyrrolidine-2-carboxylic acid methyl ester;
- 35 19 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid butyl ester;
- 40 20 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid benzyl ester;
- 45 21 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid methyl ester;
- 22 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 23 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 24 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid sec-butyl ester;
- 25 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid isopropyl ester;
- 26 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid butyl ester;

- 27 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid ethyl ester;
- 5 28 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 29 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 10 30 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 31 (S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid benzyl ester;
- 15 32 (S)-2-{(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 33 (S)-2-{(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid isopropyl ester;
- 20 34 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 25 35 (S)-2-{(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 36 (S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 30 37 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 38 (S)-2-{[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid pentyl ester;
- 35 39 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 40 40 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 41 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 45

- 42 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid butyl ester;
- 5 43 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid benzyl ester;
- 44 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid methyl ester;
- 10 45 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 4-fluoro-benzyl ester;
- 46 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 15 47 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 3-methyl-butyl ester;
- 48 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 20 49 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester;
- 25 50 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;
- 51 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 30 52 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 53 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 35 54 (S)-2-[(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 40 55 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 45 56 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;

- 57 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;
- 5 58 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;
- 59 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-butyric acid cyclohexyl ester;
- 10 60 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-butyric acid isopropyl ester;
- 61 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2-difluoro-ethyl ester;
- 15 62 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;
- 63 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;
- 20 64 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclopropyl methyl ester;
- 25 65 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclopentyl ester;
- 66 (S)-2-([(2R,3R,4R,5R)-5-(6-Amino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 30 67 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-hydroxy-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 68 (S)-2-[(R)-[(2R,3R,4R,5R)-5-(2,6-Diamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino]-propionic acid methyl ester;
- 35 69 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclopentylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 70 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-azetidin-1-yl-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;
- 40 71 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-diethylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;

72 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-propylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;

5 73 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclobutylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;

74 (S)-2-([(2R,3R,4R,5R)-5-[2-Amino-6-(4-methyl-piperazin-1-yl)-purin-9-yl]-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;

10 75 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(propylamino)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

15 76 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclobutylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

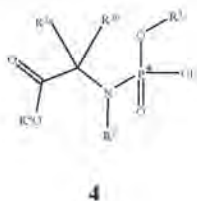
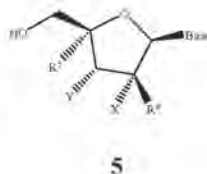
20 78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

79 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

25 80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate

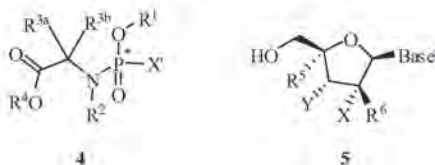
said process comprising:

30 reacting a substituted phosphochloridate compound **4** with a nucleoside analog **5**



11. A product its stereoisomer, salt, hydrate, solvate or crystalline form thereof, prepared a process comprising:

reacting a substituted phosphochloridate compound **4** with a nucleoside analog **5**



wherein

(a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^1)_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_2$, $COR^{1'}$, and $-SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{1''}$ is $-OR'$ or $-N(R^{1'})_2$);

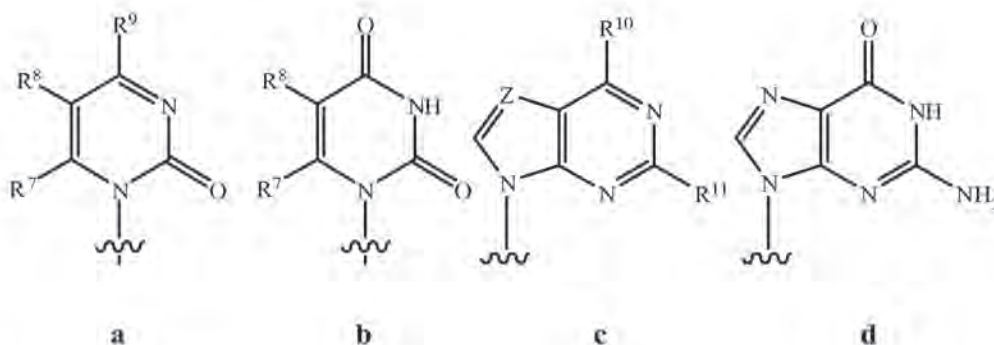
(b) R^2 is hydrogen, C_{1-10} alkyl, R^{3a} or R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} ;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, -

- CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -
- 5 CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;
- (d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, 10 cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
- (e) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, 15 CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;
- 20 (g) X is H, OH, F, OMe, halogen, NH₂, or N₃;
- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), 25 SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN,

one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:



wherein

Z is N or CR¹²;

R⁷, R⁸, R⁹, R¹⁰, and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted

alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

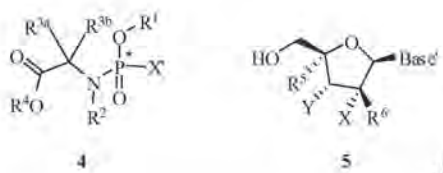
R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂ and

wherein X' is a leaving group, such as, Cl.

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12. A product its stereoisomer, salt, hydrate, solvate or crystalline form thereof, prepared a process comprising:

reacting a substituted phosphochloridate compound 4 with a nucleoside analog 5



20

wherein the product comprises a compound, its stereoisomer, salt, hydrate, solvate, or crystalline form thereof, selected from among

5 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate ((S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);

25

- 6 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-valyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butyrac acid methyl ester);
- 5 7 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-((4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butyrac acid methyl ester);
- 8 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-alanyl phosphate (((S)-2-((4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester);
- 10 9 N⁴-(N,N-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine;
- 10 10 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate (((S)-2-([(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester);
- 15 11 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(4-bromophenyl methoxy-valyl phosphate (2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxy-valyl phosphate ((S)-2-((4-bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-3-methyl-butyrac acid methyl ester);
- 20 12 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate ((S)-2-([(2R,3R,4R,5R)-5-(2-oxo-3-amino-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-3-methyl-butyrac acid methyl ester);
- 25 13 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid ethyl ester;
- 30 14 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid benzyl ester;
- 15 15 [(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-acetic acid methyl ester;
- 35 16 (S)-2-((2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid methyl ester;
- 40 17 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(naphthalen-1-yloxy)-phosphorylamino]-propionic acid methyl ester;
- 18 (S)-1-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphoryl)-pyrrolidine-2-carboxylic acid methyl ester;

- 19 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;
- 5 20 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 21 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid methyl ester;
- 10 22 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 15 23 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid methyl ester;
- 24 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid sec-butyl ester;
- 20 25 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 26 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 25 27 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid ethyl ester;
- 28 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 30 29 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 30 30 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid isopropyl ester;
- 35 31 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid benzyl ester;
- 40 32 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 45 33 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino)-propionic acid isopropyl ester;

- 34 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid butyl ester;
- 5 35 (S)-2-[(3,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 36 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 10 37 (S)-2-[[[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-methoxy-phenoxy)-phosphorylamino]-propionic acid benzyl ester;
- 38 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid pentyl ester;
- 15 39 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid isopropyl ester;
- 40 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 20 41 (S)-2-[(4-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid ethyl ester;
- 25 42 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid butyl ester;
- 43 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-p-tolyloxy-phosphorylamino}-propionic acid benzyl ester;
- 30 44 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid methyl ester;
- 45 45 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 4-fluoro-benzyl ester;
- 46 (S)-2-[(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino]-propionic acid butyl ester;
- 40 47 (S)-2-[(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 3-methyl-butyl ester;
- 48 (S)-2-[(2,4-Dichloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid ethyl ester;
- 45

- 49 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclohexyl ester;
- 5 50 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid butyl ester;
- 51 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid isopropyl ester;
- 10 52 (R)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid benzyl ester;
- 53 (S)-2-{(2-Chloro-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid butyl ester;
- 15 54 (S)-2-{(4-Bromo-phenoxy)-[(2R,3R,4R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-propionic acid isopropyl ester;
- 55 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 20 56 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-bromo-phenoxy)-phosphorylamino]-propionic acid cyclohexyl ester;
- 25 57 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid isopropyl ester;
- 58 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclohexyl ester;
- 30 59 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-(4-fluoro-phenoxy)-phosphorylamino]-butyric acid cyclohexyl ester;
- 60 (S)-2-{(4-Chloro-phenoxy)-[(2R,3R,4 R,5R)-5-(2,4-dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phosphorylamino}-butyric acid isopropyl ester;
- 35 61 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2-difluoro-ethyl ester;
- 40 62 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo- 3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2,2,2-trifluoro-1-trifluoromethyl-ethyl ester;
- 63 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid 2-fluoro-1-fluoromethyl-ethyl ester;
- 45

- 64 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid cyclopropyl methyl ester;
- 5 65 (S)-2-([(2R,3R,4R,5R)-5-(2,4-Dioxo-3,4-dihydro-2H-pyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-butyric acid cyclopentyl ester;
- 66 (S)-2-([(2R,3R,4R,5R)-5-(6-Amino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 10 67 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-hydroxy-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 68 (S)-2-[(R)-[(2R,3R,4R,5R)-5-(2,6-Diamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 15 69 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclopentylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 70 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-azetidin-1-yl-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 20 71 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-diethylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 72 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-propylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 25 73 (S)-2-([(2R,3R,4R,5R)-5-(2-Amino-6-cyclobutylamino-purin-9-yl)-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino}-propionic acid methyl ester;
- 30 74 (S)-2-([(2R,3R,4R,5R)-5-[2-Amino-6-(4-methyl-piperazin-1-yl)-purin-9-yl]-4-fluoro-3-hydroxy-4-methyl-tetrahydro-furan-2-ylmethoxy]-phenoxy-phosphorylamino)-propionic acid methyl ester;
- 75 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(propylamino)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;
- 35 76 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclobutylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;
- 77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;
- 40 78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

79 (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

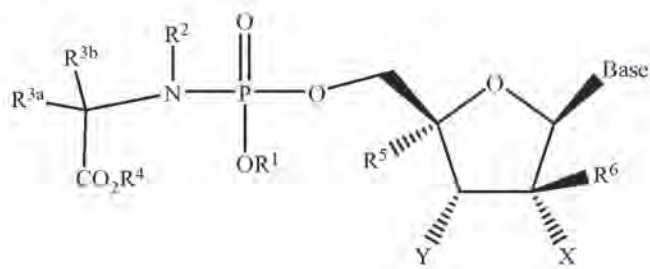
80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate

wherein Base' is as recited in each of compounds 5-74; and

wherein X' is a leaving group, such as, Cl.

ABSTRACT

Disclosed herein are phosphoramidate prodrugs of nucleoside derivatives for the treatment of viral infections in mammals, which is a compound, its stereoisomer, salt (acid or basic addition salt), hydrate, solvate, or crystalline form thereof, represented by the following structure:



I

Also disclosed are methods of treatment, uses, and processes for preparing each of which utilize the compound represented by formula **I**.

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PATENT APPLICATION FEE DETERMINATION RECORD Substitute for Form PTO-875					Application or Docket Number 13/609,614		Filing Date 09/11/2012		☐ To be Mailed	
APPLICATION AS FILED – PART I										
(Column 1)			(Column 2)		SMALL ENTITY ☐		OR		OTHER THAN SMALL ENTITY	
FOR	NUMBER FILED	NUMBER EXTRA	RATE (\$)	FEE (\$)		RATE (\$)	FEE (\$)			
☒ BASIC FEE (37 CFR 1.16(a), (b), or (c))	N/A	N/A	N/A			N/A	380			
☒ SEARCH FEE (37 CFR 1.16(k), (l), or (m))	N/A	N/A	N/A			N/A	620			
☒ EXAMINATION FEE (37 CFR 1.16(o), (p), or (q))	N/A	N/A	N/A			N/A	250			
TOTAL CLAIMS (37 CFR 1.16(i))	12 minus 20 =	* 0	X \$ =		OR	X \$60 =	0			
INDEPENDENT CLAIMS (37 CFR 1.16(h))	12 minus 3 =	* 9	X \$ =			X \$250 =	2250			
☐ APPLICATION SIZE FEE (37 CFR 1.16(s))			If the specification and drawings exceed 100 sheets of paper, the application size fee due is \$250 (\$125 for small entity) for each additional 50 sheets or fraction thereof. See 35 U.S.C. 41(a)(1)(G) and 37 CFR 1.16(s).							
☐ MULTIPLE DEPENDENT CLAIM PRESENT (37 CFR 1.16(j))										
* If the difference in column 1 is less than zero, enter "0" in column 2.			TOTAL			TOTAL			3500	
APPLICATION AS AMENDED – PART II										
(Column 1)			(Column 2)		(Column 3)		SMALL ENTITY		OR OTHER THAN SMALL ENTITY	
AMENDMENT	09/11/2012	CLAIMS REMAINING AFTER AMENDMENT		HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE (\$)	ADDITIONAL FEE (\$)		RATE (\$)	ADDITIONAL FEE (\$)
	Total (37 CFR 1.16(i))	+ 12	Minus	** 20	= 0	X \$ =		OR	X \$60 =	0
	Independent (37 CFR 1.16(h))	+ 12	Minus	*** 12	= 0	X \$ =		OR	X \$250 =	0
	☐ Application Size Fee (37 CFR 1.16(s))							OR		
	☐ FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))							OR		
						TOTAL ADD'L FEE		OR	TOTAL ADD'L FEE	0
AMENDMENT		CLAIMS REMAINING AFTER AMENDMENT		HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE (\$)	ADDITIONAL FEE (\$)		RATE (\$)	ADDITIONAL FEE (\$)
	Total (37 CFR 1.16(i))	+	Minus	**	=	X \$ =		OR	X \$ =	
	Independent (37 CFR 1.16(h))	+	Minus	***	=	X \$ =		OR	X \$ =	
	☐ Application Size Fee (37 CFR 1.16(s))							OR		
	☐ FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))							OR		
						TOTAL ADD'L FEE		OR	TOTAL ADD'L FEE	
* If the entry in column 1 is less than the entry in column 2, write "0" in column 3. ** If the "Highest Number Previously Paid For" IN THIS SPACE is less than 20, enter "20". *** If the "Highest Number Previously Paid For" IN THIS SPACE is less than 3, enter "3". The "Highest Number Previously Paid For" (Total or Independent) is the highest number found in the appropriate box in column 1.										

Legal Instrument Examiner:
/ROBERT TALBERT/

This collection of information is required by 37 CFR 1.16. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 12 minutes to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

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APPLICATION NUMBER	FILING OR 371(C) DATE	FIRST NAMED APPLICANT	ATTY. DOCKET NO./TITLE
13/609,614	09/11/2012	Michael Joseph Sofia	03956.053800.2

CONFIRMATION NO. 5143

FORMALITIES LETTER



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104538

Fitzpatrick Cella Harper & Scinto (Gilead)
1290 Avenue of the Americas
New York, NY 10104

Date Mailed: 09/26/2012

NOTICE TO FILE CORRECTED APPLICATION PAPERS

Filing Date Granted

An application number and filing date have been accorded to this application. The application is informal since it does not comply with the regulations for the reason(s) indicated below. Applicant is given TWO MONTHS from the date of this Notice within which to correct the informalities indicated below. Extensions of time may be obtained by filing a petition accompanied by the extension fee under the provisions of 37 CFR 1.136(a).

The required item(s) identified below must be timely submitted to avoid abandonment:

- A substitute specification in compliance with 37 CFR 1.52, 1.121(b)(3), and 1.125, is required. The substitute specification must be submitted with markings and be accompanied by a clean version (without markings) as set forth in 37 CFR 1.125(c) and a statement that the substitute specification contains no new matter (see 37 CFR 1.125(b)). The specification, claims, and/or abstract page(s) submitted is not acceptable and cannot be scanned or properly stored because:
 - The line spacing on the specification, claims, and/or abstract is not 1½ or double spaced (see 37 CFR 1.52(b)).
- This application does not contain, as a separate part of the disclosure on paper copy, a "Sequence Listing" as required by 37 CFR 1.821(c). Applicant must provide an initial paper or compact disc copy of the "Sequence Listing", **as well as an amendment specifically directing its entry into the application** and a statement that the content of the sequence listing information recorded in computer readable form is identical to the written (on paper or compact disc) sequence listing and, where applicable, includes no new matter, as required by 37 CFR 1.821(e), 1.821(f), 1.821(g), 1.825(b), or 1.825(d). If the effective filing date is on or after September 8, 2000, see the final rulemaking notice published in the Federal Register at 65 FR 54604 (September 8, 2000) and 1238 OG 145 (September 19, 2000).
- A copy of the "Sequence Listing" in computer readable form has not been submitted as required by 37 CFR 1.821(e). If the effective filing date is on or after September 8, 2000, see the final rulemaking notice published in the Federal Register at 65 FR 54604 (September 8, 2000) and 1238 OG 145 (September 19, 2000). Applicant must provide an initial computer readable form (CRF) copy of the "Sequence Listing" and a statement that the content of the sequence listing information recorded in computer readable form is identical to the written (on paper or compact disc) sequence listing and, where applicable, includes no new matter, as required by 37 CFR 1.821(e), 1.821(f), 1.821(g), 1.825(b), or 1.825(d). If applicant desires the sequence listing in the instant application to be identical with that of another application on file in the U.S. Patent and Trademark Office, such request in accordance with 37 CFR 1.821(e) may be submitted in lieu of a new CRF.

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For questions regarding compliance to these requirements, please contact:

- For Rules interpretation, call (571) 270-5300
- For CRF submission help, call (571) 272-2510
- For PatentIn software program support technical assistance, call (866)217-9197.
- Send e-mail correspondence for PatentIn software program help to ebc@uspto.gov

Applicant is cautioned that correction of the above items may cause the specification and drawings page count to exceed 100 pages. If the specification and drawings exceed 100 pages, applicant will need to submit the required application size fee.

Replies should be mailed to:

Mail Stop Missing Parts
Commissioner for Patents
P.O. Box 1450
Alexandria VA 22313-1450

Registered users of EFS-Web may alternatively submit their reply to this notice via EFS-Web.
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If you are not using EFS-Web to submit your reply, you must include a copy of this notice.

/ygizaw/

Office of Data Management, Application Assistance Unit (571) 272-4000, or (571) 272-4200, or 1-888-786-0101

PATENT APPLICATION FEE DETERMINATION RECORD Substitute for Form PTO-875						Application or Docket Number 13/609,614			
APPLICATION AS FILED - PART I									
(Column 1)		(Column 2)		SMALL ENTITY		OR OTHER THAN SMALL ENTITY			
FOR	NUMBER FILED	NUMBER EXTRA	RATE(\$)	FEE(\$)		RATE(\$)	FEE(\$)		
BASIC FEE <small>(37 CFR 1.16(a), (b), or (c))</small>	N/A	N/A	N/A			N/A	380		
SEARCH FEE <small>(37 CFR 1.16(k), (l), or (m))</small>	N/A	N/A	N/A			N/A	620		
EXAMINATION FEE <small>(37 CFR 1.16(o), (p), or (q))</small>	N/A	N/A	N/A			N/A	250		
TOTAL CLAIMS <small>(37 CFR 1.16(i))</small>	12	minus 20 =				x 60 =	0.00		
INDEPENDENT CLAIMS <small>(37 CFR 1.16(h))</small>	12	minus 3 =	9			x 250 =	2250		
APPLICATION SIZE FEE <small>(37 CFR 1.16(s))</small>	If the specification and drawings exceed 100 sheets of paper, the application size fee due is \$310 (\$155 for small entity) for each additional 50 sheets or fraction thereof. See 35 U.S.C. 41(a)(1)(G) and 37 CFR 1.16(s).						3100		
MULTIPLE DEPENDENT CLAIM PRESENT (37 CFR 1.16(j))							0.00		
				TOTAL		TOTAL	6600		
* If the difference in column 1 is less than zero, enter "0" in column 2.									
APPLICATION AS AMENDED - PART II									
(Column 1)		(Column 2)		(Column 3)		SMALL ENTITY		OR OTHER THAN SMALL ENTITY	
AMENDMENT A	CLAIMS REMAINING AFTER AMENDMENT	HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE(\$)	ADDITIONAL FEE(\$)		RATE(\$)	ADDITIONAL FEE(\$)	
	Total <small>(37 CFR 1.16(i))</small>	* Minus **	=	x =		OR	x =		
	Independent <small>(37 CFR 1.16(h))</small>	* Minus ***	=	x =		OR	x =		
	Application Size Fee (37 CFR 1.16(s))					OR			
	FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))					OR			
				TOTAL ADD'L FEE		TOTAL ADD'L FEE			
AMENDMENT B	CLAIMS REMAINING AFTER AMENDMENT	HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE(\$)	ADDITIONAL FEE(\$)		RATE(\$)	ADDITIONAL FEE(\$)	
	Total <small>(37 CFR 1.16(i))</small>	* Minus **	=	x =		OR	x =		
	Independent <small>(37 CFR 1.16(h))</small>	* Minus ***	=	x =		OR	x =		
	Application Size Fee (37 CFR 1.16(s))					OR			
	FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))					OR			
				TOTAL ADD'L FEE		TOTAL ADD'L FEE			
* If the entry in column 1 is less than the entry in column 2, write "0" in column 3. ** If the "Highest Number Previously Paid For" IN THIS SPACE is less than 20, enter "20". *** If the "Highest Number Previously Paid For" IN THIS SPACE is less than 3, enter "3". The "Highest Number Previously Paid For" (Total or Independent) is the highest found in the appropriate box in column 1.									

MULTIPLE DEPENDENT CLAIM FEE CALCULATION SHEET Substitute for Form PTO-1360 (For use with Form PTO/SB/06)								Application Number: 13609614		Filing Date:		
								Applicant(s): Michael Sofia				
								* May be used for additional claims or amendments				
CLAIMS	AS FILED		AFTER FIRST AMENDMENT		AFTER SECOND AMENDMENT							
	Indep	Depend	Indep	Depend	Indep	Depend	Indep	Depend	Indep	Depend	Indep	Depend
1	1											
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Total Depend	0		0		0							
Total Claims	12		0		0							
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APPLICATION NUMBER	FILING OR 371(C) DATE	FIRST NAMED APPLICANT	ATTY. DOCKET NO./TITLE
13/609,614	09/11/2012	Michael Joseph Sofia	03956.053800.2

104538
Fitzpatrick Cella Harper & Scinto (Gilead)
1290 Avenue of the Americas
New York, NY 10104

CONFIRMATION NO. 5143
POA ACCEPTANCE LETTER



Date Mailed: 09/26/2012

NOTICE OF ACCEPTANCE OF POWER OF ATTORNEY

This is in response to the Power of Attorney filed 09/11/2012.

The Power of Attorney in this application is accepted. Correspondence in this application will be mailed to the above address as provided by 37 CFR 1.33.

/s/agoitom/

Office of Data Management, Application Assistance Unit (571) 272-4000, or (571) 272-4200, or 1-888-786-0101



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Alexandria, Virginia 22313-1450
www.uspto.gov

APPLICATION NUMBER	FILING or 371(c) DATE	GRP ART UNIT	FIL FEE REC'D	ATTY DOCKET NO	TOT CLAIMS	IND CLAIMS
13/609,614	09/11/2012	1629	6600	03956.053800.2	12	12

CONFIRMATION NO. 5143

104538

Fitzpatrick Cella Harper & Scinto (Gilead)
1290 Avenue of the Americas
New York, NY 10104

FILING RECEIPT



0000000056744034

Date Mailed: 09/26/2012

Receipt is acknowledged of this non-provisional patent application. The application will be taken up for examination in due course. Applicant will be notified as to the results of the examination. Any correspondence concerning the application must include the following identification information: the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. **If an error is noted on this Filing Receipt, please submit a written request for a Filing Receipt Correction. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections**

Inventor(s)

Michael Joseph Sofia, Doylestown, PA;
Jinfa Du, New Hope, PA;
Peiyuan Wang, Totowa, NJ;
Dhanapalan Nagarathnam, Bethany, CT;

Applicant(s)

Michael Joseph Sofia, Doylestown, PA;
Jinfa Du, New Hope, PA;
Peiyuan Wang, Totowa, NJ;
Dhanapalan Nagarathnam, Bethany, CT;

Assignment For Published Patent Application

GILEAD PHARMASSET LLC, Foster City, CA

Power of Attorney: The patent practitioners associated with Customer Number 104538

Domestic Priority data as claimed by applicant

This application is a CON of 13/099,671 05/03/2011
which is a CON of 12/053,015 03/21/2008 PAT 7964580
which claims benefit of 60/982,309 10/24/2007
and claims benefit of 60/909,315 03/30/2007

Foreign Applications (You may be eligible to benefit from the **Patent Prosecution Highway** program at the USPTO. Please see <http://www.uspto.gov> for more information.)

If Required, Foreign Filing License Granted: 09/21/2012

The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is **US 13/609,614**

Projected Publication Date: To Be Determined - pending completion of Corrected Papers

Non-Publication Request: No

Early Publication Request: No
Title

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Preliminary Class

514

PROTECTING YOUR INVENTION OUTSIDE THE UNITED STATES

Since the rights granted by a U.S. patent extend only throughout the territory of the United States and have no effect in a foreign country, an inventor who wishes patent protection in another country must apply for a patent in a specific country or in regional patent offices. Applicants may wish to consider the filing of an international application under the Patent Cooperation Treaty (PCT). An international (PCT) application generally has the same effect as a regular national patent application in each PCT-member country. The PCT process **simplifies** the filing of patent applications on the same invention in member countries, but **does not result** in a grant of "an international patent" and does not eliminate the need of applicants to file additional documents and fees in countries where patent protection is desired.

Almost every country has its own patent law, and a person desiring a patent in a particular country must make an application for patent in that country in accordance with its particular laws. Since the laws of many countries differ in various respects from the patent law of the United States, applicants are advised to seek guidance from specific foreign countries to ensure that patent rights are not lost prematurely.

Applicants also are advised that in the case of inventions made in the United States, the Director of the USPTO must issue a license before applicants can apply for a patent in a foreign country. The filing of a U.S. patent application serves as a request for a foreign filing license. The application's filing receipt contains further information and guidance as to the status of applicant's license for foreign filing.

Applicants may wish to consult the USPTO booklet, "General Information Concerning Patents" (specifically, the section entitled "Treaties and Foreign Patents") for more information on timeframes and deadlines for filing foreign patent applications. The guide is available either by contacting the USPTO Contact Center at 800-786-9199, or it can be viewed on the USPTO website at <http://www.uspto.gov/web/offices/pac/doc/general/index.html>.

For information on preventing theft of your intellectual property (patents, trademarks and copyrights), you may wish to consult the U.S. Government website, <http://www.stopfakes.gov>. Part of a Department of Commerce initiative, this website includes self-help "toolkits" giving innovators guidance on how to protect intellectual property in specific countries such as China, Korea and Mexico. For questions regarding patent enforcement issues, applicants may call the U.S. Government hotline at 1-866-999-HALT (1-866-999-4158).

LICENSE FOR FOREIGN FILING UNDER
Title 35, United States Code, Section 184
Title 37, Code of Federal Regulations, 5.11 & 5.15

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The applicant has been granted a license under 35 U.S.C. 184, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" followed by a date appears on this form. Such licenses are issued in all applications where the conditions for issuance of a license have been met, regardless of whether or not a license may be required as set forth in 37 CFR 5.15. The scope and limitations of this license are set forth in 37 CFR 5.15(a) unless an earlier license has been issued under 37 CFR 5.15(b). The license is subject to revocation upon written notification. The date indicated is the effective date of the license, unless an earlier license of similar scope has been granted under 37 CFR 5.13 or 5.14.

This license is to be retained by the licensee and may be used at any time on or after the effective date thereof unless it is revoked. This license is automatically transferred to any related applications(s) filed under 37 CFR 1.53(d). This license is not retroactive.

The grant of a license does not in any way lessen the responsibility of a licensee for the security of the subject matter as imposed by any Government contract or the provisions of existing laws relating to espionage and the national security or the export of technical data. Licensees should apprise themselves of current regulations especially with respect to certain countries, of other agencies, particularly the Office of Defense Trade Controls, Department of State (with respect to Arms, Munitions and Implements of War (22 CFR 121-128)); the Bureau of Industry and Security, Department of Commerce (15 CFR parts 730-774); the Office of Foreign Assets Control, Department of Treasury (31 CFR Parts 500+) and the Department of Energy.

NOT GRANTED

No license under 35 U.S.C. 184 has been granted at this time, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" DOES NOT appear on this form. Applicant may still petition for a license under 37 CFR 5.12, if a license is desired before the expiration of 6 months from the filing date of the application. If 6 months has lapsed from the filing date of this application and the licensee has not received any indication of a secrecy order under 35 U.S.C. 181, the licensee may foreign file the application pursuant to 37 CFR 5.15(b).

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The United States represents the largest, most dynamic marketplace in the world and is an unparalleled location for business investment, innovation and commercialization of new technologies. The USA offers tremendous resources and advantages for those who invest and manufacture goods here. Through SelectUSA, our nation works to encourage, facilitate, and accelerate business investment. To learn more about why the USA is the best country in the world to develop technology, manufacture products, and grow your business, visit SelectUSA.gov.

SCORE Placeholder Sheet for IFW Content

Application Number: 13609614

Document Date: 10/10/2012

The presence of this form in the IFW record indicates that the following document type was received in electronic format on the date identified above. This content is stored in the SCORE database.

- **Sequence Listing**

Since this was an electronic submission, there is no physical artifact folder, no artifact folder is recorded in PALM, and no paper documents or physical media exist. The TIFF images in the IFW record were created from the original documents that are stored in SCORE.

To access the documents in the SCORE database, refer to instructions developed by SIRA.

At the time of document entry (noted above):

- Examiners may access SCORE content via the eDAN interface.
- Other USPTO employees can bookmark the current SCORE URL (<http://es/ScoreAccessWeb/>).
- External customers may access SCORE content via the Public and Private PAIR interfaces.

Form Revision Date: February 8, 2006

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:	)	
	:	Examiner: Unassigned
MICHAEL JOSEPH SOFIA ET AL.	)	
	:	Group Art Unit: Unassigned
Application No.: 13/609,614	)	
	:	Confirmation No.: 5143
Filed: September 11, 2012	)	
	:	
For: NUCLEOSIDE	)	
PHOSPHORAMIDATES	:	
	)	
	:	October 10, 2012

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

SUPPLEMENTAL PRELIMINARY AMENDMENT

Sir:

Prior to examination on the merits, please amend the application as follows. No fee is believed due. However, please charge any fee due in connection with this paper or credit any overpayment to Deposit Account 50-3939.

Amendments to the Claims begin on page **2** of this paper.

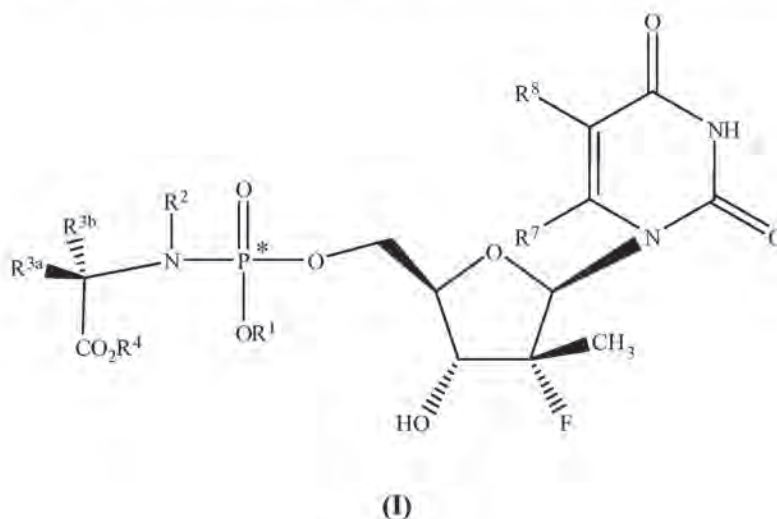
Remarks begin on page **7** of this paper.

Amendments to the Claims:

The following listing of the claims replaces all prior versions and listings.

1.-12. (Cancelled).

13. (New): A compound, including stereoisomers thereof, represented by formula (I):



wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;

(b) R² is hydrogen or CH₃;

(c-i) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl, or

(c-ii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂,

CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl; and

(e) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R¹ is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

14. (New): The compound according to claim 13, wherein:

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromophenyl, *p*-chloro-phenyl, *p*-fluorophenyl; and

(c-i) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl.

15. (New): The compound according to claim 13, wherein:

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromophenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

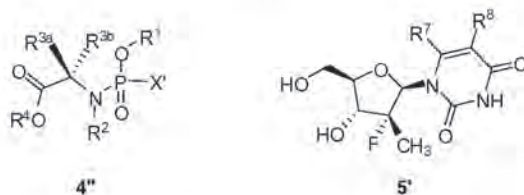
(c-i) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl; and

(e) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

16. (New): The compound according to claim 13, wherein:

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromophenyl, *p*-chlorophenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c-i) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl; and
- (e) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.
17. (New): The compound according to claim 13, wherein:
- (a) R^1 is hydrogen, methyl, phenyl, *p*-bromophenyl, *p*-chlorophenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c-i) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl; and
- (e) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.
18. (New): A composition comprising the compound according to claim 13.
19. (New): A composition comprising the compound according to claim 13 and a pharmaceutically acceptable medium.
20. (New): The composition according to claim 19 comprising an effective amount of the compound according to claim 13 to treat a hepatitis C virus infection.
21. (New): A method of treating a subject infected by a virus selected from among hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus, which comprises administering to the subject an effective amount of the compound according to claim 13.

22. (New): The method of claim 21, wherein the virus is hepatitis C virus.
23. (New): The method of claim 22, which further comprises administering to the subject an effective amount of another antiviral agent.
24. (New): The method of claim 22, wherein the subject is a human.
25. (New): A process for preparing the compound according to claim 13 comprising reacting a compound 4'' with a nucleoside analog 5':



wherein

- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;
- (b) R² is hydrogen or CH₃;
- (c-i) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl, or
- (c-ii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;
- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-

pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C_{2-C6} alkenyl, a C_{2-C6} alkynyl; and

(f) X' is a leaving group.

REMARKS

Claims 1-12 have been cancelled. New claims 13-25 have been added.

Support for new claims 13-17 is found, for example, on pages 44-48 and 224-240 of the application as filed on September 11, 2012. Support for new claims 18-20 is found, for example, on pages 641-645 of the application as filed. Support for new claims 21-24 is found, for example, on pages 645-649 of the application as filed. Support for new claim 25 is found, for example, on pages 649-669 of the application as filed. It is believed that no new matter has been added by the new claims.

Applicants respectfully request entry of the foregoing Supplemental Preliminary Amendment and favorable consideration of the application.

Applicants' undersigned attorneys may be reached in their New York office by telephone at (212) 218-2100. All correspondence should be directed to the address given below.

Respectfully submitted,

/Alicia A. Russo /

Alicia A. Russo
Registration No.: 46,192

Erin J.D. Austin
Registration No.: 66,532

Attorneys for Applicants

FITZPATRICK, CELLA, HARPER & SCINTO
1290 Avenue of the Americas
New York, New York 10104
Facsimile: (212) 218-2200

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:	)	
	:	Examiner: Unassigned
MICHAEL JOSEPH SOFIA ET AL.	)	
	:	Group Art Unit: Unassigned
Application No.: 13/609,614	)	
	:	Confirmation No.: 5143
Filed: September 11, 2012	)	
	:	
For: NUCLEOSIDE	)	
PHOSPHORAMIDATES	:	
	)	
	:	October 10, 2012

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

RESPONSE TO NOTICE TO FILE CORRECTED APPLICATION PAPERS

Sir:

Applicants respond to the Notice to File Corrected Application Papers dated September 26, 2012. Please charge any fee due in connection with this paper or credit any overpayment to Deposit Account 50-3939.

Amendments to the Specification begin on page 2 of this paper.

Remarks begin on page 4 of this paper.

Amendments to the Specification:

Please add, after the paragraph reciting the priority claim added by the Preliminary Amendment filed September 11, 2012 and before the subheading "FIELD OF THE INVENTION" at page 1, the following subheading and paragraph:

INCORPORATION OF SEQUENCE LISTING

The Sequence Listing filed on October 10, 2012, created on September 30, 2012, named 039560538002_ST25.txt, having a size in bytes of 1,091 B, is hereby incorporated by reference in its entirety.

The paragraph beginning at line 3 and ending at line 17 on page 675 of the original specification submitted on September 11, 2012 (which corresponds to page 675, lines 3-17, of the substitute specification submitted herewith) is amended as follows:

--HCV replicon assay. HCV replicon RNA-containing Huh7 cells (clone A cells; Apath, LLC, St. Louis, Mo.) were kept at exponential growth in Dulbecco's modified Eagle's medium (high glucose) containing 10% fetal bovine serum, 4 mM L-glutamine and 1 mM sodium pyruvate, 1× nonessential amino acids, and G418 (1,000 µg/ml). Antiviral assays were performed in the same medium without G418. Cells were seeded in a 96-well plate at 1,500 cells per well, and test compounds were added immediately after seeding. Incubation time 4 days. At the end of the incubation step, total cellular RNA was isolated (RNeasy 96 kit; Qiagen). Replicon RNA and an internal control (TaqMan rRNA control reagents; Applied Biosystems) were amplified in a single-step multiplex RT-PCR protocol as recommended by the manufacturer. The HCV primers and probe were designed with Primer Express software (Applied Biosystems) and covered highly conserved 5'-untranslated region (UTR) sequences

(sense[[,]] primer: 5'-AGCCATGGCGTTAGTA(T)GAGTGT-3' (SEQ ID NO:1)[[, and]];

antisense[[,]] primer: 5'-TTCCGCAGACCACTATGG-3' (SEQ ID NO:2); and probe[[,]]:

5'-FAM-CCTCCAGGACCCCCCTCCC-TAMRA-3' (SEQ ID NO:3).--

REMARKS

This application has been reviewed in light of the Notice to File Corrected Application Papers dated September 26, 2012.

Line Spacing

The Office required a substitute specification (both marked-up and clean versions) because the specification submitted on September 11, 2012 contained line spacing that was not 1 ½ or double spaced as required by 37 CFR 1.52(b). Applicants submit herewith both marked-up and clean versions of the specification correcting single-spaced portions of the application as originally filed. Specifically, the text of claims 2, 4, 6, 8, 10 and 12 as originally filed is 1 ½ spaced in the concurrently submitted substitute specification.

The substitute specification submitted herewith also reflects the amendment to page 1 submitted in the Preliminary Amendment filed on September 11, 2012.

Sequence Listing

The Office stated that a Sequence Listing and an amendment directing its entry into the application are required pursuant to 37 CFR 1.821. Applicants submit herewith a Sequence Listing for the sequences disclosed on page 675 of the application. Applicants also request entry of the foregoing amendments to pages 1 and 675 of the specification (which are reflected in the concurrently submitted substitute specification), incorporating and referencing the submitted Sequence Listing.

Conclusion

In view of the foregoing amendments, the Applicants assert that the issues raised in the Notice to File Corrected Application Papers have been addressed. Favorable consideration of the application is earnestly solicited.

Applicants' undersigned attorneys may be reached in their New York office by telephone at (212) 218-2100. All correspondence should be directed to the address given below.

Respectfully submitted,

/ Alicia A. Russo/

Alicia A. Russo
Registration No.: 46,192

Erin J.D. Austin
Registration No.: 66,532

Attorneys for Applicants

FITZPATRICK, CELLA, HARPER & SCINTO
1290 Avenue of the Americas
New York, New York 10104
Facsimile: (212) 218-2200

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

This application is a continuation of U.S. Patent Application No. 13/099,671, filed May 3, 2011, which is a continuation of U.S. Patent Application No. 12/053,015, filed March 21, 2008, now U.S. Patent No. 7,964,580, which claims priority under 35 U.S.C. § 119(e) to U.S. Provisional Application Nos. 60/909,315, filed March 30, 2007, and 60/982,309, filed October 24, 2007, all of which are hereby incorporated by reference in their entireties.

Incorporation of Sequence Listing

The Sequence Listing filed on October 10, 2012, created on September 30, 2012, named 039560538002_ST25.txt, having a size in bytes of 1,091 B, is hereby incorporated by reference in its entirety.

Field of Invention

The present invention pertains to nucleoside phosphoramidates and their use as agents for treating viral diseases. These compounds are inhibitors of RNA-dependent RNA viral replication and are useful as inhibitors of HCV NS5B polymerase, as inhibitors of HCV replication and for treatment of hepatitis C infection in mammals. The invention provides novel chemical compounds, and the use of these compounds alone or in combination with other antiviral agents for treating HCV infection.

Background

Hepatitis C virus (HCV) infection is a major health problem that leads to chronic liver disease, such as cirrhosis and hepatocellular carcinoma, in a substantial number of infected individuals, estimated to be 2-15% of the world's population. There are an estimated 4.5 million infected people in the United States alone, according to the U.S. Center for Disease Control. According to the World Health Organization, there are more than 200 million infected individuals worldwide, with at least 3 to 4 million people being infected each year. Once infected, about 20% of people clear the virus, but the rest can harbor HCV the rest of their lives. Ten to twenty percent of chronically infected individuals eventually develop liver-destroying cirrhosis or cancer. The viral disease is transmitted parenterally by contaminated blood and blood products, contaminated needles, or sexually and vertically from infected mothers or carrier mothers to their offspring. Current treatments for HCV infection, which are restricted to immunotherapy with recombinant interferon- α alone or in combination with the nucleoside analog ribavirin, are of limited clinical benefit. Moreover, there is no established vaccine for HCV. Consequently, there is an urgent need for improved therapeutic agents that effectively combat chronic HCV infection.

The HCV virion is an enveloped positive-strand RNA virus with a single oligoribonucleotide genomic sequence of about 9600 bases which encodes a polyprotein of about 3,010 amino acids. The protein products of the HCV gene consist of the structural proteins C, E1, and E2, and the non-structural proteins NS2, NS3, NS4A and NS4B, and NS5A and NS5B. The nonstructural (NS) proteins are believed to provide the catalytic machinery for viral replication. The NS3 protease releases NS5B, the RNA-dependent RNA polymerase from the polyprotein chain. HCV NS5B polymerase is

required for the synthesis of a double-stranded RNA from a single-stranded viral RNA that serves as a template in the replication cycle of HCV. Therefore, NS5B polymerase is considered to be an essential component in the HCV replication complex (K. Ishi, et al, *Heptology*, 1999, 29: 1227-1235; V. Lohmann, et al., *Virology*, 1998, 249: 108-118).

- 5 Inhibition of HCV NS5B polymerase prevents formation of the double-stranded HCV RNA and therefore constitutes an attractive approach to the development of HCV-specific antiviral therapies.

HCV belongs to a much larger family of viruses that share many common features.

10 *Flaviviridae Viruses*

- The Flaviviridae family of viruses comprises at least three distinct genera: *pestiviruses*, which cause disease in cattle and pigs; *flaviviruses*, which are the primary cause of diseases such as dengue fever and yellow fever; and *hepaciviruses*, whose sole member is HCV. The flavivirus genus includes more than 68 members separated into
- 15 groups on the basis of serological relatedness (Calisher et al., *J. Gen. Virol*, 1993, 70, 37-43). Clinical symptoms vary and include fever, encephalitis and hemorrhagic fever (*Fields Virology*, Editors: Fields, B. N., Knipe, D. M., and Howley, P. M., Lippincott-Raven Publishers, Philadelphia, PA, 1996, Chapter 31, 931-959). Flaviviruses of global concern that are associated with human disease include the Dengue Hemorrhagic Fever
- 20 viruses (DHF), yellow fever virus, shock syndrome and Japanese encephalitis virus (Halstead, S. B., *Rev. Infect. Dis.*, 1984, 6, 251-264; Halstead, S. B., *Science*, 239:476-481, 1988; Monath, T. P., *New Eng. J. Med*, 1988, 319, 641-643).

The pestivirus genus includes bovine viral diarrhea virus (BVDV), classical swine fever virus (CSFV, also called hog cholera virus) and border disease virus (BDV) of sheep (Moennig, V. et al. *Adv. Vir. Res.* 1992, 41, 53-98). Pestivirus infections of domesticated livestock (cattle, pigs and sheep) cause significant economic losses worldwide. BVDV causes mucosal disease in cattle and is of significant economic importance to the livestock industry (Meyers, G. and Thiel, H.J., *Advances in Virus Research*, 1996, 47, 53-118; Moennig V., et al, *Adv. Vir. Res.* 1992, 41, 53-98). Human pestiviruses have not been as extensively characterized as the animal pestiviruses. However, serological surveys indicate considerable pestivirus exposure in humans.

Pestiviruses and hepaciviruses are closely related virus groups within the Flaviviridae family. Other closely related viruses in this family include the GB virus A, GB virus A-like agents, GB virus-B and GB virus-C (also called hepatitis G virus, HGV). The hepacivirus group (hepatitis C virus; HCV) consists of a number of closely related but genotypically distinguishable viruses that infect humans. There are at least 6 HCV genotypes and more than 50 subtypes. Due to the similarities between pestiviruses and hepaciviruses, combined with the poor ability of hepaciviruses to grow efficiently in cell culture, bovine viral diarrhea virus (BVDV) is often used as a surrogate to study the HCV virus.

The genetic organization of pestiviruses and hepaciviruses is very similar. These positive stranded RNA viruses possess a single large open reading frame (ORF) encoding all the viral proteins necessary for virus replication. These proteins are expressed as a polyprotein that is co- and post-translationally processed by both cellular and virus-encoded proteinases to yield the mature viral proteins. The viral proteins responsible for

the replication of the viral genome RNA are located within approximately the carboxy-terminal. Two-thirds of the ORF are termed nonstructural (NS) proteins. The genetic organization and polyprotein processing of the nonstructural protein portion of the ORF for pestiviruses and hepaciviruses is very similar. For both the pestiviruses and

5 hepaciviruses, the mature nonstructural (NS) proteins, in sequential order from the amino-terminus of the nonstructural protein coding region to the carboxy-terminus of the ORF, consist of p7, NS2, NS3, NS4A, NS4B, NS5A, and NS5B.

The NS proteins of pestiviruses and hepaciviruses share sequence domains that are characteristic of specific protein functions. For example, the NS3 proteins of viruses

10 in both groups possess amino acid sequence motifs characteristic of serine proteinases and of helicases (Gorbalenya et al., *Nature*, 1988, 333, 22; Bazan and Fletterick *Virology*, 1989, 171, 637-639; Gorbalenya et al., *Nucleic Acid Res.*, 1989, 17, 3889-3897). Similarly, the NS5B proteins of pestiviruses and hepaciviruses have the motifs characteristic of RNA-directed RNA polymerases (Koonin, E.V. and Dolja, V.V., *Crit.*

15 *Rev. Biochem. Molec. Biol.* 1993, 28, 375-430).

The actual roles and functions of the NS proteins of pestiviruses and hepaciviruses in the lifecycle of the viruses are directly analogous. In both cases, the NS3 serine proteinase is responsible for all proteolytic processing of polyprotein precursors downstream of its position in the ORF (Wiskerchen and Collett, *Virology*, 1991, 184, 341-350; Bartenschlager et al., *J. Virol.* 1993, 67, 3835-3844; Eckart et al. *Biochem. Biophys. Res. Comm.* 1993, 192, 399-406; Grakoui et al., *J. Virol.* 1993, 67, 2832-2843; Grakoui et al., *Proc. Natl. Acad. Sci. USA* 1993, 90, 10583-10587; Hijikata et al., *J. Virol.* 1993, 67, 4665-4675; Tome et al., *J. Virol.*, 1993, 67, 4017-4026). The NS4A protein, in

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both cases, acts as a cofactor with the NS3 serine protease (Bartenschlager et al., *J. Virol.* 1994, 68, 5045-5055; Failla et al., *J. Virol.* 1994, 68, 3753-3760; Xu et al., *J. Virol.*, 1997, 71:53 12-5322). The NS3 protein of both viruses also functions as a helicase (Kim et al., *Biochem. Biophys. Res. Comm.*, 1995, 215, 160-166; Jin and Peterson, *Arch. Biochem. Biophys.*, 1995, 323, 47-53; Warrenner and Collett, *J. Virol.* 1995, 69, 1720-1726). Finally, the NS5B proteins of pestiviruses and hepaciviruses have the predicted RNA-directed RNA polymerases activity (Behrens et al., *EMBO*, 1996, 15, 12-22; Lechmann et al., *J. Virol.*, 1997, 71, 8416-8428; Yuan et al., *Biochem. Biophys. Res. Comm.* 1997, 232, 231-235; Hagedorn, PCT WO 97/12033; Zhong et al, *J. Virol.*, 1998, 72, 9365-9369).

Currently, there are limited treatment options for individuals infected with hepatitis C virus. The current approved therapeutic option is the use of immunotherapy with recombinant interferon- α alone or in combination with the nucleoside analog ribavirin. This therapy is limited in its clinical effectiveness and only 50% of treated patients respond to therapy. Therefore, there is significant need for more effective and novel therapies to address the unmet medical need posed by HCV infection.

A number of potential molecular targets for drug development of direct acting antivirals as anti -HCV therapeutics have now been identified including, but not limited to, the NS2-NS3 autoprotease, the N3 protease, the N3 helicase and the NS5B polymerase. The RNA-dependent RNA polymerase is absolutely essential for replication of the single-stranded, positive sense, RNA genome and this enzyme has elicited significant interest among medicinal chemists.

Inhibitors of HCV NS5B as potential therapies for HCV infection have been reviewed: Tan, S.-L., et al., *Nature Rev. Drug Discov.*, 2002, 1, 867-881; Walker, M.P. et al., *Exp. Opin. Investigational Drugs*, 2003, 12, 1269-1280; Ni, Z.-J., et al., *Current Opinion in Drug Discovery and Development*, 2004, 7, 446-459; Beaulieu, P. L., et al.,
5 *Current Opinion in Investigational Drugs*, 2004, 5, 838-850; Wu, J., et al., *Current Drug Targets-Infectious Disorders*, 2003, 3, 207-219; Griffith, R.C., et al., *Annual Reports in Medicinal Chemistry*, 2004, 39, 223-237; Carrol, S., et al., *Infectious Disorders-Drug Targets*, 2006, 6, 17-29. The potential for the emergence of resistant HCV strains and the
10 need to identify agents with broad genotype coverage supports the need for continuing efforts to identify novel and more effective nucleosides as HCV NS5B inhibitors.

Nucleoside inhibitors of NS5B polymerase can act either as a non-natural substrate that results in chain termination or as a competitive inhibitor which competes with nucleotide binding to the polymerase. To function as a chain terminator the nucleoside analog must be taken up by the cell and converted *in vivo* to a triphosphate to
15 compete for the polymerase nucleotide binding site. This conversion to the triphosphate is commonly mediated by cellular kinases which imparts additional structural requirements on a potential nucleoside polymerase inhibitor. Unfortunately, this limits the direct evaluation of nucleosides as inhibitors of HCV replication to cell-based assays capable of *in situ* phosphorylation.

20 In some cases, the biological activity of a nucleoside is hampered by its poor substrate characteristics for one or more of the kinases needed to convert it to the active triphosphate form. Formation of the monophosphate by a nucleoside kinase is generally viewed as the rate limiting step of the three phosphorylation events. To circumvent the

need for the initial phosphorylation step in the metabolism of a nucleoside to the active triphosphate analog, the preparation of stable phosphate prodrugs has been reported. Nucleoside phosphoramidate prodrugs have been shown to be precursors of the active nucleoside triphosphate and to inhibit viral replication when administered to viral

5 infected whole cells (McGuigan, C., et al., *J. Med. Chem.*, 1996, 39, 1748-1753; Valette, G., et al., *J. Med. Chem.*, 1996, 39, 1981-1990; Balzarini, J., et al., *Proc. National Acad Sci USA*, 1996, 93, 7295-7299; Siddiqui, A. Q., et al., *J. Med. Chem.*, 1999, 42, 4122-4128; Eisenberg, E. J., et al., *Nucleosides, Nucleotides and Nucleic Acids*, 2001, 20, 1091-1098; Lee, W.A., et al., *Antimicrobial Agents and Chemotherapy*, 2005, 49, 1898);

10 US 2006/0241064; and WO 2007/095269.

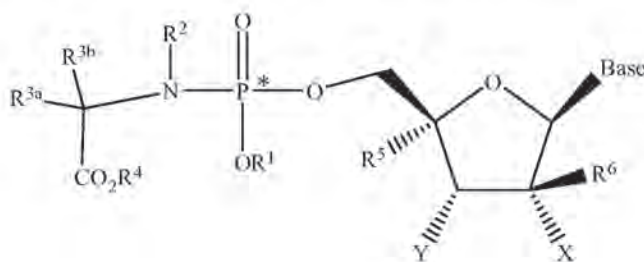
Also limiting the utility of nucleosides as viable therapeutic agents is their sometimes poor physicochemical and pharmacokinetic properties. These poor properties can limit the intestinal absorption of an agent and limit uptake into the target tissue or cell. To improve on their properties prodrugs of nucleosides have been employed. It has

15 been demonstrated that preparation of nucleoside phosphoramidates improves the systemic absorption of a nucleoside and furthermore, the phosphoramidate moiety of these "pronucleotides" is masked with neutral lipophilic groups to obtain a suitable partition coefficient to optimize uptake and transport into the cell dramatically enhancing the intracellular concentration of the nucleoside monophosphate analog relative to

20 administering the parent nucleoside alone. Enzyme-mediated hydrolysis of the phosphate ester moiety produces a nucleoside monophosphate wherein the rate limiting initial phosphorylation is unnecessary.

SUMMARY OF THE INVENTION

The present invention is directed toward novel phosphoramidate prodrugs of nucleoside derivatives for the treatment of viral infections in mammals, which is a compound, its stereoisomers, salts (acid or basic addition salts), hydrates, solvates, or crystalline forms thereof, represented by the following structure:



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wherein

- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R¹)₂, COR¹, and -SO₂C₁₋₆ alkyl; (R¹ is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R¹ is -OR¹ or -N(R¹)₂);
- (b) R² is hydrogen, C₁₋₁₀ alkyl, R³ᵃ or R³ᵇ and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, C(O)CR³ᵃR³ᵇNHR¹, where n is 2 to 4 and R¹, R³ᵃ, and R³ᵇ;
- (c) R³ᵃ and R³ᵇ are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR³)₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR³, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R³ᵃ and R³ᵇ both are C₁₋₆ alkyl; (iii) R³ᵃ and R³ᵇ together are (CH₂)_f so as to form a spiro ring;

- (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^3 is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where R^3 is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$;

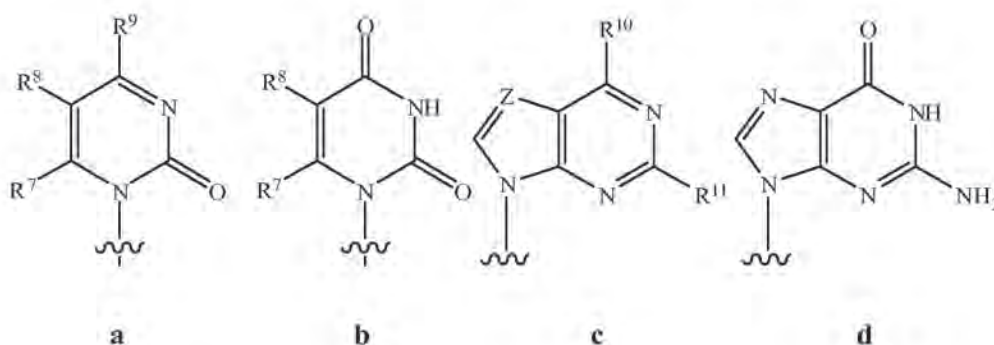
(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;

- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:



wherein

- Z is N or CR¹²;

R^7 , R^8 , R^9 , R^{10} , and R^{11} are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

R^{12} is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R^{11} being hydrogen, R^{12} is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

DEFINITIONS

The phrase "a" or "an" entity as used herein refers to one or more of that entity; for example, a compound refers to one or more compounds or at least one compound. As such, the terms "a" (or "an"), "one or more", and "at least one" can be used interchangeably herein.

The phrase "as defined herein above" refers to the first definition provided in the Summary of the Invention.

The terms "optional" or "optionally" as used herein means that a subsequently described event or circumstance may but need not occur, and that the description includes instances where the event or circumstance occurs and instances in which it does not. For example, "optional bond" means that the bond may or may not be present, and that the description includes single, double, or triple bonds.

The term "independently" is used herein to indicate that a variable is applied in any one instance without regard to the presence or absence of a variable having that same or a different definition within the same compound. Thus, in a compound in which R appears twice and is defined as "independently carbon or nitrogen", both R's can be carbon, both R's can be nitrogen, or one R' can be carbon and the other nitrogen.

The term "alkenyl" refers to an unsubstituted hydrocarbon chain radical having from 2 to 10 carbon atoms having one or two olefinic double bonds, preferably one olefinic double bond. The term " C_{2-N} alkenyl" refers to an alkenyl comprising 2 to N carbon atoms, where N is an integer having the following values: 3, 4, 5, 6, 7, 8, 9, or 10. The term " C_{2-10} alkenyl" refers to an alkenyl comprising 2 to 10 carbon atoms. The term " C_{2-4} alkenyl" refers to an alkenyl comprising 2 to 4 carbon atoms. Examples include, but are not limited to, vinyl, 1-propenyl, 2-propenyl (allyl) or 2-butenyl (crotyl).

The term "halogenated alkenyl" refers to an alkenyl comprising at least one of F, Cl, Br, and I.

The term "alkyl" refers to an unbranched or branched chain, saturated, monovalent hydrocarbon residue containing 1 to 30 carbon atoms. The term " C_{1-M} alkyl" refers to an alkyl comprising 1 to M carbon atoms, where M is an integer having the following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. The term " C_{1-4} alkyl" refers to an alkyl containing 1 to 4 carbon atoms. The term "lower alkyl" denotes a straight or branched chain hydrocarbon residue comprising 1 to 6 carbon atoms. " C_{1-20} alkyl" as used herein refers to an alkyl comprising 1 to 20 carbon atoms. " C_{1-10} alkyl" as used herein refers to an alkyl comprising 1 to 10 carbons. Examples of alkyl groups include, but are not limited to, lower alkyl groups include methyl, ethyl, propyl, *i*-propyl, *n*-butyl, *i*-butyl, *t*-butyl or

pentyl, isopentyl, neopentyl, hexyl, heptyl, and octyl. The term (ar)alkyl or (heteroaryl)alkyl indicate the alkyl group is optionally substituted by an aryl or a heteroaryl group respectively.

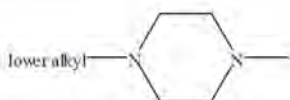
5 The term "cycloalkyl" refers to an unsubstituted or substituted carbocycle, in which the carbocycle contains 3 to 10 carbon atoms; preferably 3 to 8 carbon atoms; more preferably 3 to 6 carbon atoms (i.e., lower cycloalkyls). Examples of cycloalkyl groups include, but are not limited to, cyclopropyl, 2-methyl-cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

10 The term "cycloalkyl alkyl" refers to an additionally unsubstituted or substituted alkyl substituted by a lower cycloalkyl. Examples of cycloalkyl alkyls include, but are not limited to, any one of methyl, ethyl, propyl, i-propyl, n-butyl, i-butyl, t-butyl or pentyl, isopentyl, neopentyl, hexyl, heptyl, and octyl that is substituted with cyclopropyl, 2-methyl-cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

15 The term "cycloheteroalkyl" refers to an unsubstituted or substituted heterocycle, in which the heterocycle contains 2 to 9 carbon atoms; preferably 2 to 7 carbon atoms; more preferably 2 to 5 carbon atoms. Examples of cycloheteroalkyls include, but are not limited to, aziridin-2-yl, *N*-C₁₋₃-alkyl-aziridin-2-yl, azetidiny, *N*-C₁₋₃-alkyl-azetidin-m'-yl, pyrrolidin-m'-yl, *N*-C₁₋₃-alkyl-pyrrolidin-m'-yl, piperidin-m'-yl, and *N*-C₁₋₃-alkyl-piperidin-m'-yl, where m' is 2, 3, or 4 depending on the cycloheteroalkyl. Specific
20 examples of *N*-C₁₋₃-alkyl-cycloheteroalkyls include, but are not limited to, *N*-methyl-aziridin-2-yl, *N*-methyl-azetidin-3-yl, *N*-methyl-pyrrolidin-3-yl, *N*-methyl-pyrrolidin-4-yl, *N*-methyl-piperidin-2-yl, *N*-methyl-piperidin-3-yl, and *N*-methyl-piperidin-4-yl. In the instance of R⁴, the point of attachment between the cycloheteroalkyl ring carbon and the oxygen occurs at any one of m'

25 The term "heterocycle" refers to an unsubstituted or substituted heterocycle containing carbon, hydrogen, and at least one of N, O, and S, where the C and N can be trivalent or tetravalent, i.e., sp²- or sp³-hybridized. Examples of heterocycles include, but are not limited to, aziridine, azetidine, pyrrolidine, piperidine, imidazole, oxazole, piperazine, etc. In the instance of piperazine, as related to R¹⁰ for NR'₂, the

corresponding opposite nitrogen atom of the piperazinyl is substituted by a lower alkyl represented by the following structure:



Preferably, the opposite nitrogen of the piperazinyl is substituted by a methyl group.

5 The term "halogenated alkyl" (or "haloalkyl") refers to an unbranched or branched chain alkyl comprising at least one of F, Cl, Br, and I. The term " C_{1-M} haloalkyl" refers to an alkyl comprising 1 to M carbon atoms that comprises at least one of F, Cl, Br, and I, where M is an integer having the following values: 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, or 30. " C_{1-3} haloalkyl" refers to a haloalkyl comprising 1 to 3 carbons and at least one of F, Cl, Br, and I. The term
10 "halogenated lower alkyl" (or "lower haloalkyl") refers to a haloalkyl comprising 1 to 6 carbon atoms and at least one of F, Cl, Br, and I. Examples include, but are not limited to, fluoromethyl, chloromethyl, bromomethyl, iodomethyl, difluoromethyl, dichloromethyl, dibromomethyl, diiodomethyl, trifluoromethyl, trichloromethyl, tribromomethyl, triiodomethyl, 1-fluoroethyl, 1-chloroethyl, 1-bromoethyl, 1-iodoethyl,
15 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2-dichloroethyl, 2,2-dibromomethyl, 2,2-diiodomethyl, 3-fluoropropyl, 3-chloropropyl, 3-bromopropyl, 2,2,2-trifluoroethyl or 1,1,2,2,2-pentafluoroethyl.

20 The term "alkynyl" refers to an unbranched or branched hydrocarbon chain radical having from 2 to 10 carbon atoms, preferably 2 to 5 carbon atoms, and having one triple bond. The term " C_{2-N} alkynyl" refers to an alkynyl comprising 2 to N carbon atoms, where N is an integer having the following values: 3, 4, 5, 6, 7, 8, 9, or 10. The term " C_{2-4} alkynyl" refers to an alkynyl comprising 2 to 4 carbon atoms. The term " C_{2-10} alkynyl" refers to an alkynyl comprising 2 to 10 carbons. Examples include, but are
25 limited to, ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne or 3-butyne.

The term "halogenated alkynyl" refers to an unbranched or branched hydrocarbon chain radical having from 2 to 10 carbon atoms, preferably 2 to 5 carbon atoms, and having one triple bond and at least one of F, Cl, Br, and I.

5 The term "cycloalkyl" refers to a saturated carbocyclic ring comprising 3 to 8 carbon atoms, i.e. cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl. The term " C_{3-7} cycloalkyl" as used herein refers to a cycloalkyl comprising 3 to 7 carbons in the carbocyclic ring.

10 The term "alkoxy" refers to an -O-alkyl group or an -O-cycloalkyl group, wherein alkyl and cycloalkyl are as defined above. Examples of -O-alkyl groups include, but are not limited to, methoxy, ethoxy, *n*-propyloxy, *i*-propyloxy, *n*-butyloxy, *i*-butyloxy, *t*-butyloxy. "Lower alkoxy" as used herein denotes an alkoxy group with a "lower alkyl" group as previously defined. " C_{1-10} alkoxy" refers to an -O-alkyl wherein alkyl is C_{1-10} . Examples of -O-cycloalkyl groups include, but are not limited to, -O-*c*-propyl, -O-*c*-butyl, -O-*c*-pentyl, and -O-*c*-hexyl.

15 The term "halogenated alkoxy" refers to an -O-alkyl group in which the alkyl group comprises at least one of F, Cl, Br, and I.

The term "halogenated lower alkoxy" refers to an -O-(lower alkyl) group in which the lower alkyl group comprises at least one of F, Cl, Br, and I.

20 The term "amino acid" includes naturally occurring and synthetic α , β , γ or δ amino acids, and includes but is not limited to, amino acids found in proteins, i.e. glycine, alanine, valine, leucine, isoleucine, methionine, phenylalanine, tryptophan, proline, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartate, glutamate, lysine, arginine and histidine. In a preferred embodiment, the amino acid is in the L-configuration. Alternatively, the amino acid can be a derivative of alanyl, valinyl, 25 leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaroyl, lysinyl, argininyl, histidinyl, β -alanyl, β -valinyl, β -leucinyl, β -isoleucinyl, β -prolinyl, β -phenylalaninyl, β -tryptophanyl, β -methioninyl, β -glycyl, β -serinyl, β -threoninyl, β -

cysteiny, β -tyrosiny, β -asparaginy, β -glutaminy, β -aspartoy, β -glutaro, β -lysiny, β -argininy or β -histidiny. When the term amino acid is used, it is considered to be a specific and independent disclosure of each of the esters of α , β γ or δ glycine, alanine, valine, leucine, isoleucine, methionine, phenylalanine, tryptophan, proline, serine, 5 threonine, cysteine, tyrosine, asparagine, glutamine, aspartate, glutamate, lysine, arginine and histidine in the D and L-configurations.

The term "aminoacyl" includes N,N-unsubstituted, N,N-monosubstituted, and N,N-disubstituted derivatives of naturally occurring and synthetic α , β γ or δ amino acyls, where the amino acyls are derived from amino acids. The amino-nitrogen can be 10 substituted or unsubstituted. When the amino-nitrogen is substituted, the nitrogen is either mono- or di-substituted, where the substituent bound to the amino-nitrogen is a lower alkyl or an alkaryl. In the instance of its use for Y, the expression "O(aminoacyl)" is used. It is understood that the C3' carbon of the ribose is bound to the oxygen "O", which is then bound to the carbonyl carbon of the aminoacyl.

15 The terms "alkylamino" or "arylamino" refer to an amino group that has one or two alkyl or aryl substituents, respectively.

The term "protected," as used herein and unless otherwise defined, refers to a group that is added to an oxygen, nitrogen, or phosphorus atom to prevent its further reaction or for other purposes. A wide variety of oxygen and nitrogen protecting groups 20 are known to those skilled in the art of organic synthesis. Non-limiting examples include: C(O)-alkyl, C(O)Ph, C(O)aryl, CH₃, CH₂-alkyl, CH₂-alkenyl, CH₂Ph, CH₂-aryl, CH₂O-alkyl, CH₂O-aryl, SO₂-alkyl, SO₂-aryl, *tert*-butyldimethylsilyl, *tert*-butyldiphenylsilyl, and 1,3-(1,1,3,3-tetraisopropylidisiloxanylidene).

The term "aryl," as used herein, and unless otherwise specified, refers to 25 substituted or unsubstituted phenyl (Ph), biphenyl, or naphthyl, preferably the term aryl refers to substituted or unsubstituted phenyl. The aryl group can be substituted with one or more moieties selected from among hydroxyl, F, Cl, Br, I, amino, alkylamino, arylamino, alkoxy, aryloxy, nitro, cyano, sulfonic acid, sulfate, phosphonic acid, phosphate, and phosphonate, either unprotected, or protected as necessary, as known to

those skilled in the art, for example, as taught in T.W. Greene and P.G. M. Wuts, "Protective Groups in Organic Synthesis," 3rd ed., John Wiley & Sons, 1999.

5 The terms "alkaryl" or "alkylaryl" refer to an alkyl group with an aryl substituent, such as benzyl. The terms "aralkyl" or "arylalkyl" refer to an aryl group with an alkyl substituent.

10 The term "di(lower alkyl)amino-lower alkyl" refers to a lower alkyl substituted by an amino group that is itself substituted by two lower alkyl groups. Examples include, but are not limited to, $(\text{CH}_3)_2\text{NCH}_2$, $(\text{CH}_3)_2\text{NCH}_2\text{CH}_2$, $(\text{CH}_3)_2\text{NCH}_2\text{CH}_2\text{CH}_2$, etc. The examples above show lower alkyls substituted at the terminus carbon atom with an N,N-dimethyl-amino substituent. These are intended as examples only and are not intended to limit the meaning of the term "di(lower alkyl)amino-lower alkyl" so as to require the same. It is contemplated that the lower alkyl chain can be substituted with an N,N-di(lower alkyl)-amino at any point along the chain, e.g., $\text{CH}_3\text{CH}(\text{N}-(\text{lower alkyl})_2)\text{CH}_2\text{CH}_2$.

15 The term "halo," as used herein, includes chloro, bromo, iodo and fluoro.

20 The term "acyl" refers to a substituent containing a carbonyl moiety and a non-carbonyl moiety. The carbonyl moiety contains a double-bond between the carbonyl carbon and a heteroatom, where the heteroatom is selected from among O, N and S. When the heteroatom is N, the N is substituted by a lower alkyl. The non-carbonyl moiety is selected from straight, branched, and cyclic alkyl, which includes, but is not limited to, a straight, branched, or cyclic C_{1-20} alkyl, C_{1-10} alkyl, or lower alkyl; alkoxyalkyl, including methoxymethyl; aralkyl, including benzyl; aryloxyalkyl, such as phenoxymethyl; or aryl, including phenyl optionally substituted with halogen (F, Cl, Br, I), hydroxyl, C_1 to C_4 alkyl, or C_1 to C_4 alkoxy, sulfonate esters, such as alkyl or aralkyl
25 sulphonyl, including methanesulfonyl, the mono, di or triphosphate ester, trityl or monomethoxytrityl, substituted benzyl, trialkylsilyl (e.g. dimethyl-t-butylsilyl) or diphenylmethylsilyl. When at least one aryl group is present in the non-carbonyl moiety, it is preferred that the aryl group comprises a phenyl group.

The term "lower acyl" refers to an acyl group in which the non-carbonyl moiety is lower alkyl.

The term "purine" or "pyrimidine" base includes, but is not limited to, adenine, N⁶-alkylpurines, N⁶-acylpurines (wherein acyl is C(O)(alkyl, aryl, alkylaryl, or arylalkyl), N⁶-benzylpurine, N⁶-halopurine, N⁶-vinylpurine, N⁶-acetylenic purine, N⁶-acyl purine, N⁶-hydroxyalkyl purine, N⁶-allylaminopurine, N⁶-thioalkyl purine, N²-alkylpurines, N²-alkyl-6-thiopurines, thymine, cytosine, 5-fluorocytosine, 5-methylcytosine, 6-azapyrimidine, including 6-azacytosine, 2- and/or 4-mercaptopyrimidine, uracil, 5-halouracil, including 5-fluorouracil, C⁵-alkylpyrimidines, C⁵-benzylpyrimidines, C⁵-halopyrimidines, C⁵-vinylpyrimidine, C⁵-acetylenic pyrimidine, C⁵-acyl pyrimidine, C⁵-hydroxyalkyl purine, C⁵-amidopyrimidine, C⁵-cyanopyrimidine, C⁵-iodopyrimidine, C⁶-iodo-pyrimidine, C⁵-Br-vinyl pyrimidine, C⁶-Br-vinyl pyrimidine, C⁵-nitropyrimidine, C⁵-amino-pyrimidine, N²-alkylpurines, N²-alkyl-6-thiopurines, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrrolopyrimidinyl, and pyrazolopyrimidinyl. Purine bases include, but are not limited to, guanine, adenine, hypoxanthine, 2,6-diaminopurine, and 6-chloropurine. Functional oxygen and nitrogen groups on the base can be protected as necessary or desired. Suitable protecting groups are well known to those skilled in the art, and include trimethylsilyl, dimethylhexylsilyl, *t*-butyldimethylsilyl, and *t*-butyldiphenylsilyl, trityl, alkyl groups, and acyl groups such as acetyl and propionyl, methanesulfonyl, and *p*-toluenesulfonyl.

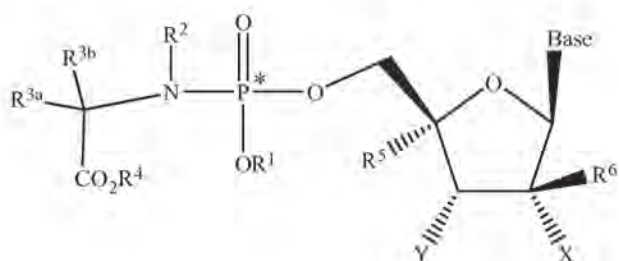
The term "tautomerism" and "tautomers" have their accepted plain meanings.

The term "P*" means that the phosphorous atom is chiral and that it has a corresponding Cahn-Ingold-Prelog designation of "R" or "S" which have their accepted plain meanings. It is contemplated that compounds of the formula I are racemic because the chirality at phosphorous. Applicants contemplate use of the racemate and/or the resolved enantiomers. In some instances, an asterisk does not appear next to the phosphoroamidate phosphorous atom. In these instances, it is understood that the phosphorous atom is chiral and that one of ordinary skill understands this to be so unless

the substituents bound to the phosphorous exclude the possibility of chirality at phosphorous, such as in $P(O)Cl_3$.

DETAILED DESCRIPTION OF THE INVENTION

- An aspect of the invention is directed to a compound, its salts, hydrates, solvates,
 5 crystalline forms, and the like represented by formula I:



wherein

- (a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are
 10 optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^{1'})_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^{1'})_2$, $COR^{1''}$, and $-SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{1''}$ is $-OR^1$ or $-N(R^{1'})_2$);
- 15 (b) R^2 is hydrogen, C_{1-10} alkyl, R^{3a} or R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} ;
- (c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a}
- 20

and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^3 is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where R^3 is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$;

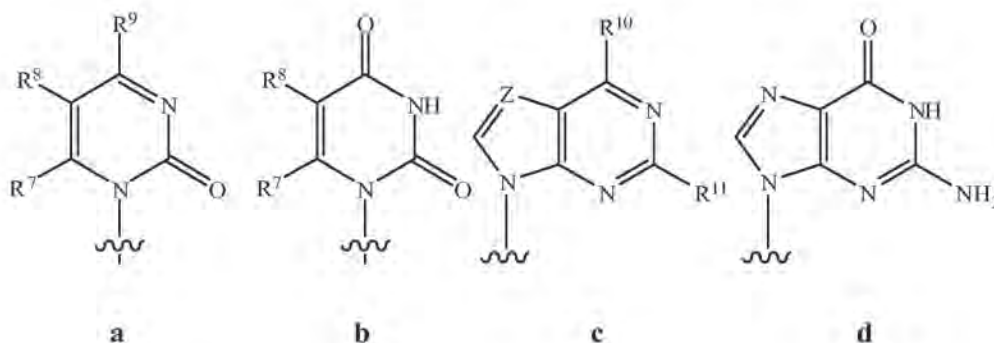
(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;

- (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- the base is a naturally occurring or modified purine or pyrimidine base represented by the following structures:



wherein

- Z is N or CR¹²;

R^7 , R^8 , R^9 , R^{10} , and R^{11} are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R',

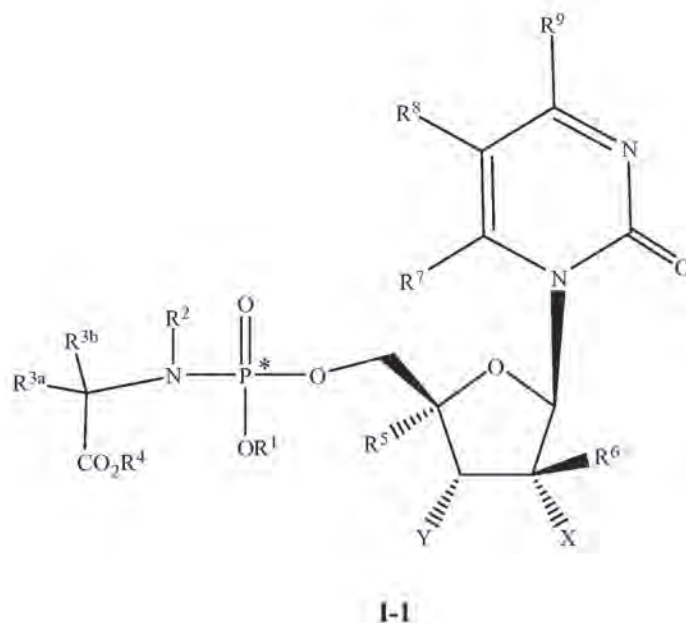
wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

R^{12} is H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'; with the proviso that when base is represented by the structure c with R¹¹ being hydrogen, R¹² is not a: (i) -C≡C-H, (ii) -C=CH₂, or (iii) -NO₂.

As can be appreciated from the structure represented by formula I above, there are myriad ways to express the several embodiments and aspects of each embodiment of the present invention. As seen below, the inventors have disclosed certain embodiments directed to the compound of formula I, each having several aspects, based on the identity of the modified purine or pyrimidine base. This is not intended to be an explicit or implicit admission that the three embodiments are independent or distinct nor should it be interpreted as such. Rather, it is intended to convey information so that the full breadth of the present invention can be understood. Furthermore, the following embodiments,

and aspects thereof, are not meant to be limiting on the full breadth of the invention as recited by the structure of formula I.

A first embodiment of the invention is directed to a compound represented by formula I-1:



wherein

- (a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^{1'})_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^{1'})_2$, $COR^{1''}$, and $-SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{1''}$ is $-OR'$ or $-N(R^{1'})_2$);
- (b) R^2 is hydrogen, C_{1-10} alkyl, R^{3a} or R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} ;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$;

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)-amino, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is

H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, NH₂, or N₃;

- 5 (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;
- 10 (i) R⁷, R⁸, R⁹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C₂-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.
- 15
- 20

A first aspect of the first embodiment is directed to a compound represented by formula **I-1**

25 wherein

(a) R^1 is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl;

(b) R^2 is hydrogen or CH_3 ;

5 (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR^1$ or $-N(R^{3'})_2$; (vi)
 10 R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, -
 15 $CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, or CH_2SH and R^{3b} is H;

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy, di(lower alkyl)-amino, or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl,
 20 cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R^5 is H, CN, CH_3 , vinyl, OCH_3 , OCH_2CH_3 , CH_2OH , $CH_2(halo)$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot
 25 be N_3 ;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, NH_2 , or N_3 ;

(h) Y is OH, H, C₁₋₄ alkyl, vinyl, N₃, CN, Cl, Br, F, I, O(C₁₋₆ acyl), O(C₁₋₄ alkyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₄ haloalkyl, O(aminoacyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, or N(C₁₋₄ acyl)₂;

(i) R⁷, R⁸, R⁹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂, NHR', NR'₂, lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C₂-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

15 A second aspect of the first embodiment is directed to a compound represented by formula **I-1**

wherein

(a) R¹ is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a C₁₋₃ alkyl, a C₁₋₃ alkoxy, F, Cl, Br, I, nitro, cyano, and a C₁₋₃ haloalkyl;

(b) R² is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R³ is independently hydrogen or C₁₋₆ alkyl and R^{3'} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,

CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂,
 5 CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), or CH₂SH and R^{3b} is H;

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower
 10 haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;

(e) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R⁶ is H, R⁵ cannot be N₃;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

15 (g) X is H, OH, F, OCH₃, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂, or O(aminoacyl);

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂,
 20 NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂; and

(j) R⁹ is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C1-20 alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C1-20 alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and
 25 N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A third aspect of the first embodiment is directed to a compound represented by formula **I-1**

wherein

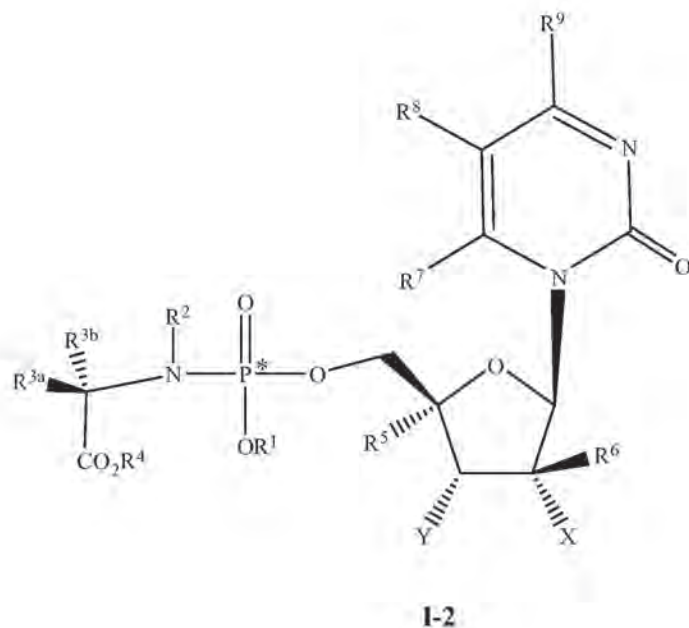
- (a) R^1 is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the
5 substituent of the substituted phenyl is at least one of a CH_3 , OCH_3 , F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH ,
10 $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl or R^{3a} is CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-$
15 $Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;
- 20 (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , $CH_2(halo)$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 ;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , NH_2 , or N_3 ;

(h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂, or O(aminoacyl);

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl; and

(j) R⁹ is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A fourth aspect of the first embodiment is directed to a compound represented by formula **I-2**.



wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH_3 , OCH_3 , F, Cl, Br, I, nitro, cyano, and a $CH_{3-q}X_q$, where X is F, Cl, Br, or I, and q is 1-3;
- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl or R^{3a} is CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H;
- (d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
- (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 ;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , Cl, Br, I, NH_2 , or N_3 ;
- (h) Y is OH, H, CH_3 , vinyl, N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 , NH_2 , $NHCH_3$, $NH(vinyl)$, $NH(acetyl)$, $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$, or O(aminoacyl);

(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl; and

- 5 (j) R^9 is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃), which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

- 10 A fifth aspect of the first embodiment is directed to a compound represented by formula **I-2**

wherein

(a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

- 15 (b) R^2 is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

- 20 (d) R^4 is hydrogen, CH₃, Et, *i*Pr, *n*Pr, *n*Bu, 2-butyl, *t*Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, di(lower alkyl)amino-lower alkyl, or aminoacyl;

- (e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or
25 I;

(f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

- (g) X is H, OH, F, OCH₃, NH₂, or N₃;
- (h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, or O(aminoacyl);
- (i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R¹ is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl; and
- (j) R⁹ is selected from among OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.
- A sixth aspect of the first embodiment is directed to a compound represented by formula **I-2**
- wherein
- (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, p-tolyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R² is hydrogen or CH₃;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- (d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;
- (e) R⁵ is H, OMe, CN, CH₂F, F, Cl, Br, or I;

- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, F, OCH_3 , F, Cl, Br, I, or N_3 ;
- (h) Y is H, OH, CH_3 , F, Cl, Br, I, or N_3 , OCH_3 , $OC(O)CH_3$, or O(aminoacyl);
- (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , CH_3-qX_q , where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$; and
- (j) R^9 is selected from among OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, $OC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $OC(O)(CH_2)_sCH_3$, $NHC(O)(C_{1-20} \text{ alkyl})$, which include but are not limited to $NHC(O)(CH_2)_sCH_3$, and $N(C(O)(CH_2)_sCH_3)_2$, which include but is not limited to $N(C(O)(CH_2)_sCH_3)_2$, where s is an integer selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A seventh aspect of the first embodiment is directed to a compound represented by formula **I-2**

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, *i*Pr, *n*Pr, *n*Bu, 2-butyl, *t*Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

- (g) X is H, OH, OCH₃, F, or N₃;
- (h) Y is OH, OCH₃, OC(O)CH₃, or O(aminoacyl);
- (i) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂; and
- 5 (j) R⁹ is selected from among OH, OCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from among 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.
- 10 An eighth aspect of the first embodiment is directed to a compound represented by formula **I-2**
- wherein
- (a) R¹ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- 15 (b) R² is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower
- 20 haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R⁵ is H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F₄
- (g) X is H, OH, OCH₃, F, or N₃;

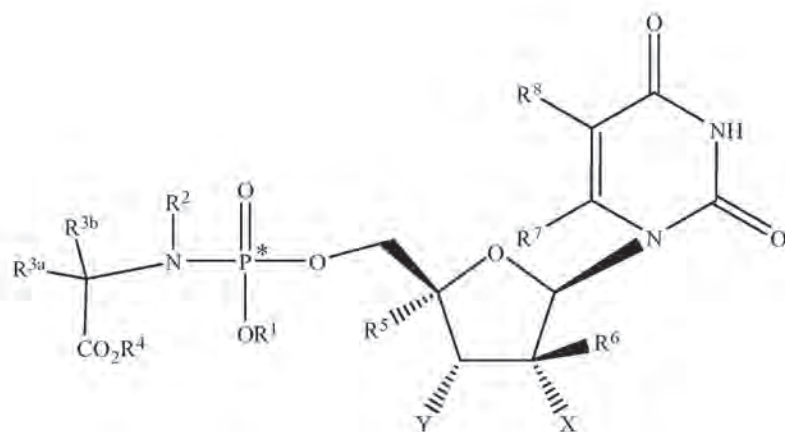
(h) Y is OH, OCH₃, OC(O)CH₃, or O(aminoacyl);

(i) R⁷ and R⁸ are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂;

(j) R⁹ is selected from among OH, OCH₃, NH₂, NHCH₃, N(CH₃)₂, OC(O)(C₁₋₂₀ alkyl), which include but are not limited to OC(O)(CH₂)_sCH₃, NHC(O)(C₁₋₂₀ alkyl), which include but are not limited to NHC(O)(CH₂)_sCH₃, and N(C(O)(CH₂)_sCH₃)₂, which include but is not limited to N(C(O)(CH₂)_sCH₃)₂, where s is an integer selected from among 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, and 19.

A second embodiment of the invention is directed to a compound represented by formula **I** in which the base is a structure represented by formula **b** above, wherein R¹, R², R^{3a}, R^{3b}, R⁴, R⁵, R⁶, X, Y, R⁷, and R⁸ are defined in the Summary of the Invention section above.

A first aspect of the second embodiment is directed to a compound represented by formula **I-3**



I-3

wherein

(a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are

optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R^{1'})₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N(R^{1'})₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; (R^{1'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂);

(b) R² is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, -(CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, -(CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl,

cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

- 10 (g) X is H, OH, F, OMe, Cl, Br, I, NH_2 , or N_3 ;

- (h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), $O(C_{1-10}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)₂, or $N(C_{1-18}$ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{1-4}$ alkyl), $C(O)O(C_{2-4}$ alkynyl), $C(O)O(C_{2-4}$ alkenyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)₂, or $N(C_{1-4}$ acyl)₂;

- (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH_2 , NHR' , NR'_2 , lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C_2 - C_6 ,

CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

The second aspect of the second embodiment is directed to a compound represented by formula **I-3**

5 wherein

(a) R¹ is hydrogen, n-alkyl or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl;

(b) R² is hydrogen or CH₃;

10 (c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where R^{3c} is independently hydrogen or C₁₋₆ alkyl and R^{3c} is -OR' or -N(R^{3c})₂; (vi)
 15 R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -
 20 CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), or CH₂SH and R^{3b} is H;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

25

(e) R^5 is H, CN, CH_3 , vinyl, OCH_3 , OCH_2CH_3 , CH_2OH , $CH_2(halo)$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

5 (g) X is H, OH, F, OMe, halogen, NH_2 , or N_3 ;

(h) Y is OH, H, C_{1-4} alkyl, vinyl, N_3 , CN, Cl, Br, F, I, $O(C_{1-6}$ acyl), $O(C_{1-4}$ alkyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)₂, $N(C_{1-18}$ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), $O(C_{1-4}$ acyl), $O(C_{1-4}$ alkyl), $O(C_{2-4}$ alkenyl), $S(C_{1-4}$ acyl), $S(C_{1-4}$ alkyl), $S(C_{2-4}$ alkynyl), $S(C_{2-4}$ alkenyl), $SO(C_{1-4}$ acyl), $SO(C_{1-4}$ alkyl), $SO(C_{2-4}$ alkynyl), $SO(C_{2-4}$ alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), $OS(O)_2(C_{1-4}$ acyl), $OS(O)_2(C_{1-4}$ alkyl), $OS(O)_2(C_{2-4}$ alkenyl), NH_2 , $NH(C_{1-4}$ alkyl), $NH(C_{2-4}$ alkenyl), $NH(C_{2-4}$ alkynyl), $NH(C_{1-4}$ acyl), $N(C_{1-4}$ alkyl)₂, $N(C_{1-4}$ acyl)₂;

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(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OR' , SH, SR' , NH_2 , NHR' , NR'_2 , lower alkyl, halogenated (F, Cl, Br, I) lower alkyl, lower alkenyl of C_2 - C_6 , CO_2H , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, wherein R' is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2 - C_6 alkenyl, a C_2 - C_6 alkynyl.

20 The third aspect of the second embodiment is directed to a compound represented by formula **I-3**

wherein

(a) R^1 is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a C_{1-3} alkyl, a C_{1-3} alkoxy, F, Cl, Br, I, nitro, cyano, and a C_{1-3} haloalkyl;

25

(b) R^2 is hydrogen, CH_3 , R^{3a} or R^{3b} and R^2 together are $(CH_2)_3$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} are as defined herein;

(c) R^{3a} and R^{3b} are independently (i) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 3 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR^1$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H;

(d) R^4 is hydrogen, CH_3 , Et, ^iPr , ^nPr , ^nBu , 2-butyl, ^tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , $CH_2(halo)$, such as CH_2F , N_3 , CH_2CN , CH_2N_3 , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, halogen, including F, Cl, Br, or I;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OCH_3 , halogen, NH_2 , or N_3

(h) Y is OH, H, CH_3 , vinyl, N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 , NH_2 , $NHCH_3$, $NH(vinyl)$, $NH(acetyl)$, $NH(C(O)CH_3)$, $N(CH_3)_2$, $N(C(O)CH_3)_2$;

(i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

The fourth aspect of the second embodiment is directed to a compound
5 represented by formula **I-3**

wherein

(a) R^1 is hydrogen, n-alkyl or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;

10 (b) R^2 is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl or R^{3a} is CH₃,
15 CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;

(d) R^4 is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

20

(e) R^5 is H, CN, CH₃, OCH₃, CH₂OH, CH₂(halo), such as CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;

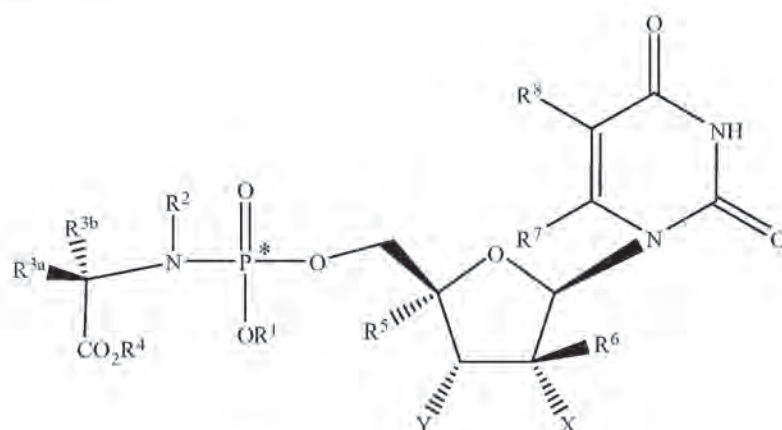
25 (f) R^6 is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂;

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R¹ is a C₁₋₂₀ alkyl; a C₁₋₂₀ cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl.

The fifth aspect of the second embodiment is directed to a compound represented by formula **I-4**



I-4

wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, or a substituted or unsubstituted phenyl, where the substituent of the substituted phenyl is at least one of a CH₃, OCH₃, F, Cl, Br, I, nitro, cyano, and a CH_{3-q}X_q, where X is F, Cl, Br, or I, and q is 1-3;

(b) R² is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH,

CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl or R^{3a} is CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -

5 CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H;

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower

10 haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, N₃, CH₂CN, CH₂N₃, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, halogen, including F, Cl, Br, or I;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;

15 (h) Y is OH, H, CH₃, vinyl, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃, NH₂, NHCH₃, NH(vinyl), NH(acetyl), NH(C(O)CH₃), N(CH₃)₂, N(C(O)CH₃)₂;

(i) R⁷ and R⁸ are independently H, F, Cl, Br, I, OH, OCH₃, SH, SCH₃, NH₂, NHCH₃, N(CH₃)₂, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂H, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂, wherein R' is a C₁₋₂₀ alkyl; a C₁₋₂₀

20 cycloalkyl; a C₂-C₆ alkenyl, a C₂-C₆ alkynyl,

The sixth aspect of the second embodiment is directed to a compound represented by formula **I-4**

wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-

25 bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

- (b) R^2 is hydrogen or CH_3 ;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, i Pr, n Pr, n Bu, 2-butyl, i Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , halogen, including F, Cl, Br, or I;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;
- (g) X is H, OH, F, OCH_3 , NH_2 , or N_3 ;
- (h) Y is OH, H, CH_3 , vinyl, NH_2 , N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 ;
- 15 (i) R^7 and R^8 are independently H, F, Cl, Br, I, OH, OCH_3 , SH, SCH_3 , NH_2 , $NHCH_3$, $N(CH_3)_2$, CH_3 , CH_3-qX_q , where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2H , CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$, wherein R^1 is a C_{1-20} alkyl; a C_{1-20} cycloalkyl; a C_2 - C_6 alkenyl, a C_2 - C_6 alkynyl.

The seventh aspect of the second embodiment is directed to a compound
20 represented by formula **I-4**

wherein

- (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R^2 is hydrogen or CH_3 ;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, OMe, CN, CH_2F , F, Cl, Br, or I;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F_4 ;

(g) X is H, OH, F, OCH₃, F, Cl, Br, I, NH₂ or N₃;

10 (h) Y is H, OH, CH_3 , F, Cl, Br, I, NH₂ or N₃, OCH₃, or OC(O)CH₃;

(i) R^7 and R^8 are independently H, F, Br, SCH₃, CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂;

The eighth aspect of the second embodiment is directed to a compound represented by formula **I-4**

15 wherein

(a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R^2 is hydrogen;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

- (e) R^5 is H;
 - (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
 - (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
 - (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- 5 (i) R^7 and R^8 are independently H, F, Br, SCH_3 , CH_3 , $CH_{3-q}X_q$, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO_2CH_3 , $CONH_2$, $CONHCH_3$, or $CON(CH_3)_2$.

The ninth aspect of the second embodiment is directed to a compound represented by formula **I-4**

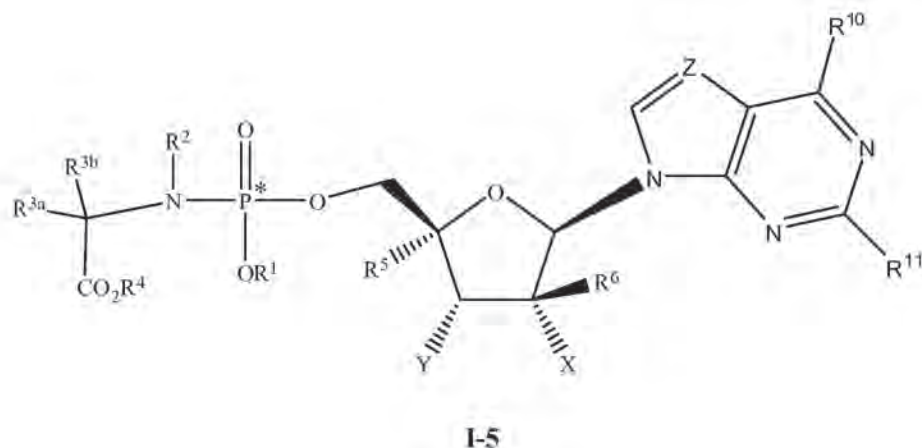
wherein

- 10 (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;
- 15 (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R^5 is H;
- 20 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, or N_3 ;
- (h) Y is OH, OCH_3 , or $OC(O)CH_3$;

(i) R^7 and R^8 are independently H, F, Br, SCH₃, CH₃, CH_{3-q}X_q, where X is F, Cl, Br, or I and q is 1 to 3, vinyl, CO₂CH₃, CONH₂, CONHCH₃, or CON(CH₃)₂.

A third embodiment of the invention is directed to a compound represented by formula **I** in which the base is a structure represented by formula **c** above, wherein R^1 , R^2 , R^{3a} , R^{3b} , R^4 , R^5 , R^6 , X, Y, Z, R^{10} , R^{11} , and R^{12} are defined in the Summary of the Invention section above; with the proviso that R^{11} is not H.

A first aspect of the third embodiment is directed to a compound represented by formula **I-5**



wherein

(a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N($R^{1'}$)₂, C₁₋₆ acylamino, -NHSO₂C₁₋₆ alkyl, -SO₂N($R^{1'}$)₂, COR^{1''}, and -SO₂C₁₋₆ alkyl; ($R^{1'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, $R^{1''}$ is -OR' or -N($R^{1'}$)₂);

(b) R^2 is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C_{1-10} alkyl, cycloalkyl, $-(CH_2)_c(NR^{3'})_2$, C_{1-6} hydroxyalkyl, $-CH_2SH$, $-(CH_2)_2S(O)_dMe$, $-(CH_2)_3NHC(=NH)NH_2$, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, $-(CH_2)_eCOR^{3''}$, aryl and aryl C_{1-3} alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C_{1-10} alkyl, C_{1-6} alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C_{1-6} alkyl; (iii) R^{3a} and R^{3b} together are $(CH_2)_f$ so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where $R^{3'}$ is independently hydrogen or C_{1-6} alkyl and $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$; (vi) R^{3a} is H and R^{3b} is from H, CH_3 , CH_2CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl; or (viii) R^{3a} is CH_3 , $-CH_2CH_3$, $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl and R^{3b} is H, where $R^{3'}$ is independently hydrogen or alkyl, which includes, but is not limited to, C_{1-20} alkyl, C_{1-10} alkyl, or C_{1-6} alkyl, $R^{3''}$ is $-OR'$ or $-N(R^{3'})_2$;

(d) R^4 is hydrogen, C_{1-10} alkyl, C_{1-10} alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C_{1-10} haloalkyl, C_{3-10} cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is

H, R⁵ cannot be N₃ and when X is OH, R⁶ is CH₃ or CH₂F and B is a purine base, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OMe, halogen, NH₂, or N₃;

5 (h) Y is OH, H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, vinyl, N₃, CN, Cl, Br, F, I, NO₂, OC(O)O(C₁₋₄ alkyl), OC(O)O(C₁₋₄ alkyl), OC(O)O(C₂₋₄ alkynyl), OC(O)O(C₂₋₄ alkenyl), OC₁₋₁₀ haloalkyl, O(aminoacyl), O(C₁₋₁₀ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl), S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl),
 10 SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₁₈ acyl)₂, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N₃, CN, one to three halogen (Cl, Br, F, I), NO₂, C(O)O(C₁₋₄ alkyl), C(O)O(C₁₋₄ alkyl), C(O)O(C₂₋₄ alkynyl), C(O)O(C₂₋₄ alkenyl), O(C₁₋₄ acyl), O(C₁₋₄ alkyl), O(C₂₋₄ alkenyl), S(C₁₋₄ acyl),
 15 S(C₁₋₄ alkyl), S(C₂₋₄ alkynyl), S(C₂₋₄ alkenyl), SO(C₁₋₄ acyl), SO(C₁₋₄ alkyl), SO(C₂₋₄ alkynyl), SO(C₂₋₄ alkenyl), SO₂(C₁₋₄ acyl), SO₂(C₁₋₄ alkyl), SO₂(C₂₋₄ alkynyl), SO₂(C₂₋₄ alkenyl), OS(O)₂(C₁₋₄ acyl), OS(O)₂(C₁₋₄ alkyl), OS(O)₂(C₂₋₄ alkenyl), NH₂, NH(C₁₋₄ alkyl), NH(C₂₋₄ alkenyl), NH(C₂₋₄ alkynyl), NH(C₁₋₄ acyl), N(C₁₋₄ alkyl)₂, N(C₁₋₄ acyl)₂;

(i) R¹⁰ and R¹¹ are independently H, F, Cl, Br, I, OH, OR', SH, SR', NH₂,
 20 NHR', NR'₂, lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆ such as C≡CH, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso that when R¹⁰ is OH and R¹¹
 25 is not NH₂;

wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted

alkynyl of C₂-C₆, an optionally substituted lower alkenyl of C₂-C₆, or optionally substituted acyl, which includes but is not limited to C(O) alkyl, C(O)(C₁₋₂₀ alkyl), C(O)(C₁₋₁₀ alkyl), or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two

5 carbon atoms; and

(j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆,
10 halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, lower alkoxy of C₁-C₆, halogenated (F, Cl, Br, I) lower alkoxy of C₁-C₆, CO₂H, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

A second aspect of the third embodiment is directed to a compound represented by formula **I-5**

15 wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen or CH₃;

(c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃,
20 CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-
25 methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H.

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OCH_3 , Cl, Br, I, NH_2 , or N_3 ;

5 (h) Y is OH, H, CH_3 , vinyl, NH_2 , N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 ;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

10 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

15 A third aspect of the third embodiment is directed to a compound represented by formula **I-5**

wherein

20 (a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R^2 is hydrogen or CH_3 ;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, i Pr, n Pr, n Bu, 2-butyl, i Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

5 (e) R^5 is H, CN, CH_2F , F, Cl, Br, or I; with the proviso that X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

(g) X is H, OH, F, OCH_3 , F, Cl, Br, I, NH_2 or N_3 ;

(h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;

10 (i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)$ (lower alkyl) or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined
15 to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1 - C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

20 A fourth aspect of the third embodiment is directed to a compound represented by formula **I-5**

wherein

(a) R^1 is hydrogen, methyl, ethyl, n -propyl, i -propyl, phenyl, p -tolyl, p -bromo-phenyl, p -chloro-phenyl, p -fluorophenyl;

25 (b) R^2 is hydrogen;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, iBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

10 (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;

(h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

15 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

20 R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

A fifth aspect of the third embodiment is directed to a compound represented by formula **I-5**

wherein

- (a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R^2 is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
5 CH_2Ph , or lower cycloalkyl;
- (d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- 10 (e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;
- (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;
- (g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;
- (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;
- 15 (i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;
- wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined
20 to form a heterocycle comprising at least two carbon atoms; and
- (j) Z is N or CR^{12} ; and
- R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1 - C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

A sixth aspect of the third embodiment is directed to a compound represented by

formula **I-5**

wherein

(a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

5 (b) R^2 is hydrogen or CH_3 ;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , CH_2 -indol-3-yl, $-CH_2CH_2SCH_3$, CH_2CO_2H , $CH_2C(O)NH_2$, CH_2CH_2COOH , $CH_2CH_2C(O)NH_2$, $CH_2CH_2CH_2CH_2NH_2$, $-CH_2CH_2CH_2NHC(NH)NH_2$, CH_2 -imidazol-4-yl, CH_2OH , $CH(OH)CH_3$, $CH_2((4'-OH)-Ph)$, CH_2SH , or lower cycloalkyl;

10 (d) R^4 is hydrogen, CH_3 , Et, i Pr, n Pr, n Bu, 2-butyl, t Bu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, CN, CH_3 , OCH_3 , CH_2OH , CH_2F , halogen, including F, Cl, Br, or
15 I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H.

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OCH_3 , Cl, Br, I, NH_2 , or N_3 ;

(h) Y is OH, H, CH_3 , vinyl, NH_2 , N_3 , CN, Cl, Br, F, I, $OC(O)CH_3$, OCH_3 ;

(i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' ,
20 $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)$ (lower alkyl) or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

A seventh aspect of the third embodiment is directed to a compound represented by formula **I-5**

5 wherein

(a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R^2 is hydrogen or CH_3 ;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$,
10 CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, tBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

15 (e) R^5 is H, CN, CH_2F , F, Cl, Br, or I; with the proviso that X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

(g) X is H, OH, F, OCH_3 , F, Cl, Br, I, NH_2 or N_3 ;

(h) Y is H, OH, CH_3 , F, Cl, Br, I, NH_2 or N_3 , OCH_3 , or $OC(O)CH_3$;

20 (i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

An eighth aspect of the third embodiment is directed to a compound represented
5 by formula **I-5**

wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen;

10 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;

(d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower
15 haloalkyl;

(e) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

(f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F;

(g) X is H, OH, OCH₃, F, NH₂ or N₃;

20 (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

(i) R¹⁰ is NH₂ and R¹¹ is H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R';

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

- 5 R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

A ninth aspect of the third embodiment is directed to a compound represented by formula I-5

wherein

- 10 (a) R¹ is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;
- (b) R² is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- 15 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, or N-methyl-pyrrolidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;
- (e) R⁵ is H, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵
- 20 cannot be H;
- (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, or F₄;
- (g) X is H, OH, OCH₃, F, NH₂ or N₃;
- (h) Y is OH, NH₂, OCH₃, or OC(O)CH₃;

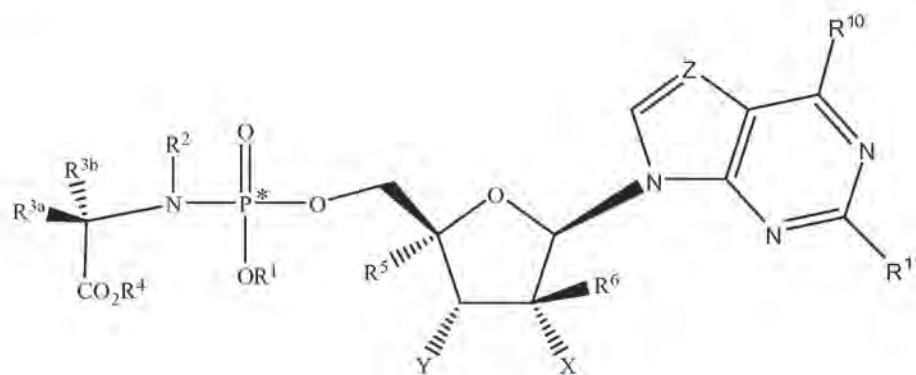
(i) R^{10} is NH_2 and R^{11} is H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1 - C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

A tenth aspect of the third embodiment is directed to a compound represented by formula I-6



I-6

wherein

(a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^1)_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_2$, $COR^{1'}$, and $-SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl,

which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂;

(b) R² is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, - (CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, - (CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;

(e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, base is cytosine and R^6 is H, R^5 cannot be N_3 and when X is OH, R^6 is CH_3 or CH_2F and B is a purine base, R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(g) X is H, OH, F, OMe, Cl, Br, I, NH_2 , or N_3 ;

(h) Y is OH, H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, vinyl, N_3 , CN, Cl, Br, F, I, NO_2 , $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{1-4}$ alkyl), $OC(O)O(C_{2-4}$ alkynyl), $OC(O)O(C_{2-4}$ alkenyl), OC_{1-10} haloalkyl, O(aminoacyl), O(C_{1-10} acyl), O(C_{1-4} alkyl), O(C_{2-4} alkenyl), S(C_{1-4} acyl), S(C_{1-4} alkyl), S(C_{2-4} alkynyl), S(C_{2-4} alkenyl), SO(C_{1-4} acyl), SO(C_{1-4} alkyl), SO(C_{2-4} alkynyl), SO(C_{2-4} alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), OS(O) $_2$ (C_{1-4} acyl), OS(O) $_2$ (C_{1-4} alkyl), OS(O) $_2$ (C_{2-4} alkenyl), NH_2 , NH(C_{1-4} alkyl), NH(C_{2-4} alkenyl), NH(C_{2-4} alkynyl), NH(C_{1-4} acyl), N(C_{1-4} alkyl) $_2$, N(C_{1-18} acyl) $_2$, wherein alkyl, alkynyl, alkenyl and vinyl are optionally substituted by N_3 , CN, one to three halogen (Cl, Br, F, I), NO_2 , C(O)O(C_{1-4} alkyl), C(O)O(C_{1-4} alkyl), C(O)O(C_{2-4} alkynyl), C(O)O(C_{2-4} alkenyl), O(C_{1-4} acyl), O(C_{1-4} alkyl), O(C_{2-4} alkenyl), S(C_{1-4} acyl), S(C_{1-4} alkyl), S(C_{2-4} alkynyl), S(C_{2-4} alkenyl), SO(C_{1-4} acyl), SO(C_{1-4} alkyl), SO(C_{2-4} alkynyl), SO(C_{2-4} alkenyl), $SO_2(C_{1-4}$ acyl), $SO_2(C_{1-4}$ alkyl), $SO_2(C_{2-4}$ alkynyl), $SO_2(C_{2-4}$ alkenyl), OS(O) $_2$ (C_{1-4} acyl), OS(O) $_2$ (C_{1-4} alkyl), OS(O) $_2$ (C_{2-4} alkenyl), NH_2 , NH(C_{1-4} alkyl), NH(C_{2-4} alkenyl), NH(C_{2-4} alkynyl), NH(C_{1-4} acyl), N(C_{1-4} alkyl) $_2$, N(C_{1-4} acyl) $_2$;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', NH_2 , NHR', NR' $_2$, CO $_2$ R', CONH $_2$, CONHR', CONR' $_2$, CH=CHCO $_2$ H, or CH=CHCO $_2$ R', with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR' $_2$, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR¹²; and

R¹² is an H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

An eleventh aspect of the third embodiment is directed to a compound represented
5 by formula **I-6**

wherein

(a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R² is hydrogen or CH₃;

10 (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl;

15 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ⁱBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R⁵ is H, CN, CH₃, OCH₃, CH₂OH, CH₂F, halogen, including F, Cl, Br, or I, with the provisos that when X is OH, R⁶ is CH₃ or CH₂F, R⁵ cannot be H;

20 (f) R⁶ is H, CH₃, CH₂F, CHF₂, CF₃, F, or CN;

(g) X is H, OH, F, OCH₃, Cl, Br, I, NH₂, or N₃;

(h) Y is OH, H, CH₃, vinyl, NH₂, N₃, CN, Cl, Br, F, I, OC(O)CH₃, OCH₃;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR', NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)(\text{lower alkyl})$ or
5 alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR', NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

10

A twelvth aspect of the third embodiment is directed to a compound represented by formula **I-6**

wherein

(a) R^1 is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-
15 bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;

(b) R^2 is hydrogen or CH_3 ;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, iBu , benzyl, cyclopropyl,
20 cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, CN, CH_2F , F, Cl, Br, or I, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

25 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

- (g) X is H, OH, F, OCH₃, F, Cl, Br, I, NH₂ or N₃;
- (h) Y is H, OH, CH₃, F, Cl, Br, I, NH₂ or N₃, OCH₃, or OC(O)CH₃;
- (i) R¹⁰ and R¹¹ are independently H, F, Br, I, OH, OR', NH₂, NHR', NR'₂, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R', with the proviso
- 5 that when R¹⁰ is OH and R¹¹ is not NH₂;

wherein R' is a lower alkyl, a lower cycloalkyl, or C(O)(lower alkyl) or alternatively, in the instance of NR'₂, each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

- (j) Z is N or CR¹²; and
- 10 R¹² is a H, halogen (including F, Cl, Br, I), OR', NH₂, NHR', NR'₂, NO₂, lower alkyl of C₁-C₆, CO₂R', CONH₂, CONHR', CONR'₂, CH=CHCO₂H, or CH=CHCO₂R'.

A thirteenth aspect of the third embodiment is directed to a compound represented by formula **I-6**

wherein

- 15 (a) R¹ is hydrogen, methyl, ethyl, *n*-propyl, *i*-propyl, phenyl, *p*-tolyl, *p*-bromo-phenyl, *p*-chloro-phenyl, *p*-fluorophenyl;
- (b) R² is hydrogen;
- (c) R^{3a} is H and R^{3b} is H, CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, or lower cycloalkyl;
- 20 (d) R⁴ is hydrogen, CH₃, Et, ⁱPr, ⁿPr, ⁿBu, 2-butyl, ^tBu, benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

(g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;

5 (h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso that when R^{10} is OH and R^{11} is not NH_2 ;

10 wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)$ (lower alkyl) or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1-C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

15 A fourteenth aspect of the third embodiment is directed to a compound represented by formula **I-6**

wherein

(a) R^1 is hydrogen, methyl, phenyl, p-bromo-phenyl, p-chloro-phenyl, p-fluorophenyl;

20 (b) R^2 is hydrogen;

(c) R^{3a} is H and R^{3b} is H, CH_3 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2Ph , or lower cycloalkyl;

(d) R^4 is hydrogen, CH_3 , Et, iPr , nPr , nBu , 2-butyl, iBu , benzyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, N-methyl-aziridin-2-yl, N-methyl-azetidin-3-yl, N-

methyl-pyrrolidin-3-yl, N-methyl-pyrrolidin-4-yl, N-methyl-piperidin-4-yl, lower haloalkyl, or di(lower alkyl)amino-lower alkyl;

(e) R^5 is H, with the provisos that when X is OH, R^6 is CH_3 or CH_2F , R^5 cannot be H;

5 (f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , or F;

(g) X is H, OH, OCH_3 , F, NH_2 or N_3 ;

(h) Y is OH, NH_2 , OCH_3 , or $OC(O)CH_3$;

(i) R^{10} and R^{11} are independently H, F, Br, I, OH, OR' , NH_2 , NHR' , NR'_2 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$, with the proviso
10 that when R^{10} is OH and R^{11} is not NH_2 ;

wherein R' is a lower alkyl, a lower cycloalkyl, or $C(O)$ (lower alkyl) or alternatively, in the instance of NR'_2 , each R' comprise at least one C atom that are joined to form a heterocycle comprising at least two carbon atoms; and

(j) Z is N or CR^{12} ; and

15 R^{12} is an H, halogen (including F, Cl, Br, I), OR' , NH_2 , NHR' , NR'_2 , NO_2 , lower alkyl of C_1 - C_6 , CO_2R' , $CONH_2$, $CONHR'$, $CONR'_2$, $CH=CHCO_2H$, or $CH=CHCO_2R'$.

A fifteenth aspect of the third embodiment is directed to a compound represented by formula **I-6**

wherein

20 (a) R^1 is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, F, Cl, Br, I, nitro, cyano, C_{1-6} haloalkyl, $-N(R^1)_2$, C_{1-6} acylamino, $-NHSO_2C_{1-6}$ alkyl, $-SO_2N(R^1)_2$, $COR^{1'}$, and $-SO_2C_{1-6}$ alkyl; ($R^{1'}$ is independently hydrogen or alkyl,

which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{1''} is -OR' or -N(R^{1'})₂;

(b) R² is hydrogen or CH₃;

(c) R^{3a} and R^{3b} are (i) independently selected from hydrogen, C₁₋₁₀ alkyl, cycloalkyl, -(CH₂)_c(NR^{3'})₂, C₁₋₆ hydroxyalkyl, -CH₂SH, -(CH₂)₂S(O)_dMe, - (CH₂)₃NHC(=NH)NH₂, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, - (CH₂)_eCOR^{3''}, aryl and aryl C₁₋₃ alkyl, said aryl groups optionally substituted with a group selected from hydroxyl, C₁₋₁₀ alkyl, C₁₋₆ alkoxy, halogen, nitro and cyano; (ii) R^{3a} and R^{3b} both are C₁₋₆ alkyl; (iii) R^{3a} and R^{3b} together are (CH₂)_f so as to form a spiro ring; (iv) R^{3a} is hydrogen and R^{3b} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms (v) R^{3b} is hydrogen and R^{3a} and R² together are (CH₂)_n so as to form a cyclic ring that includes the adjoining N and C atoms, where c is 1 to 6, d is 0 to 2, e is 0 to 3, f is 2 to 5, n is 2 to 4, and where R^{3'} is independently hydrogen or C₁₋₆ alkyl and R^{3''} is -OR' or -N(R^{3'})₂; (vi) R^{3a} is H and R^{3b} is H, CH₃, CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl; or (viii) R^{3a} is CH₃, -CH₂CH₃, CH(CH₃)₂, CH₂CH(CH₃)₂, CH(CH₃)CH₂CH₃, CH₂Ph, CH₂-indol-3-yl, -CH₂CH₂SCH₃, CH₂CO₂H, CH₂C(O)NH₂, CH₂CH₂COOH, CH₂CH₂C(O)NH₂, CH₂CH₂CH₂CH₂NH₂, -CH₂CH₂CH₂NHC(NH)NH₂, CH₂-imidazol-4-yl, CH₂OH, CH(OH)CH₃, CH₂((4'-OH)-Ph), CH₂SH, or lower cycloalkyl and R^{3b} is H, where R^{3'} is independently hydrogen or alkyl, which includes, but is not limited to, C₁₋₂₀ alkyl, C₁₋₁₀ alkyl, or C₁₋₆ alkyl, R^{3''} is -OR' or -N(R^{3'})₂;

(d) R⁴ is hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkyl optionally substituted with a lower alkyl, alkoxy or halogen, C₁₋₁₀ haloalkyl, C₃₋₁₀ cycloalkyl, cycloalkyl alkyl, cycloheteroalkyl, aminoacyl, di(lower alkyl)amino-lower alkyl, aryl, such as phenyl, heteroaryl, such as, pyridinyl, substituted aryl, or substituted heteroaryl;