

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 ₉	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 ₉	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 ₉	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 ^o	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 ^o	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 ^o	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

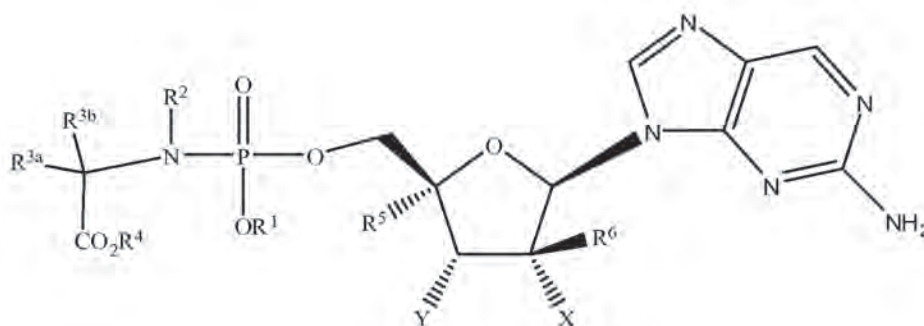
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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 ₂	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 ₂	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 ₂	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.

5

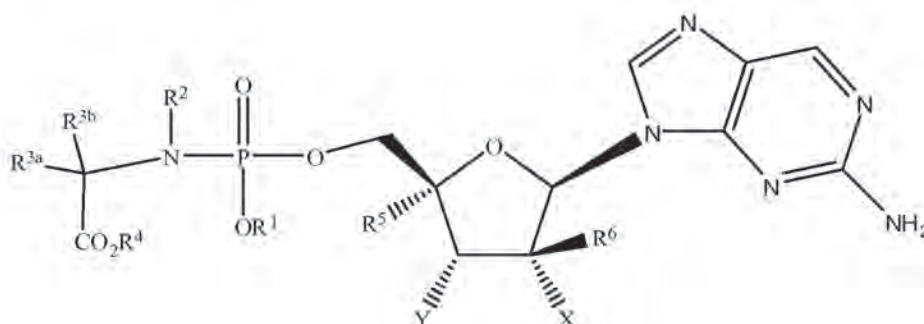
**XXII**

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 ₂	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 ₂	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 ₂	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.

No	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.

No	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.

No	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.

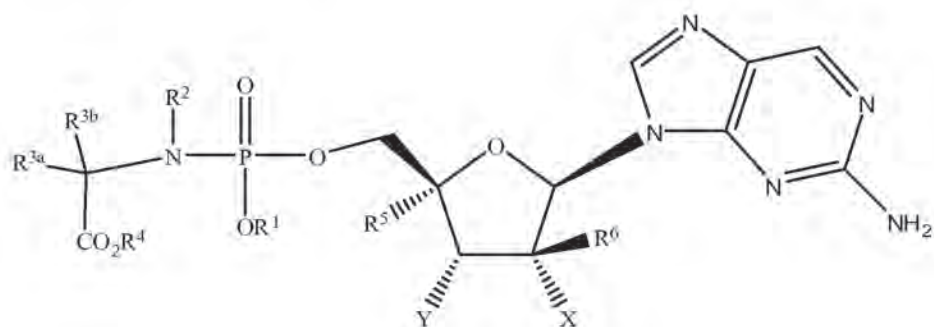
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXII-49-1	p-Br-Ph	H	H	H	Bz	H	F	H	OH
XXII-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXII-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXII-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXII-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F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

N ₉	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.

N ₉	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.

N ₉	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.

No	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.

No	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.

No	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.

N ₂	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.

N ₂	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.

N ₂	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

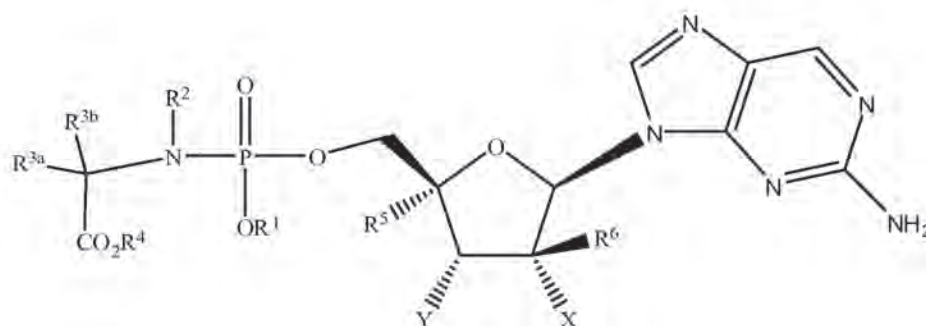
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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.

No	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.

No	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.

No	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.

N ^o	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.

N ^o	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.

N ^o	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

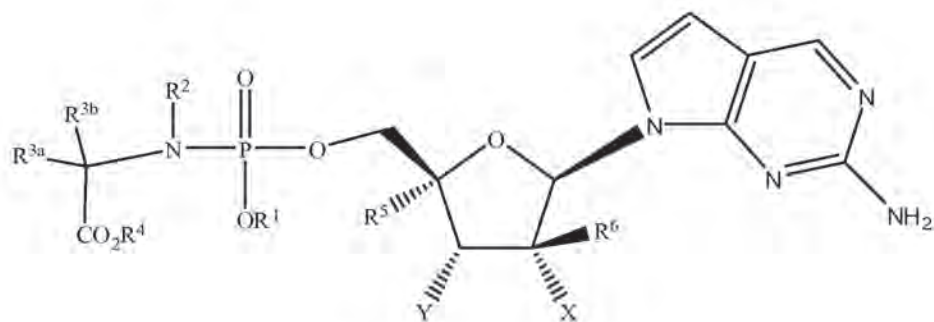
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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 Pr	H	CH ₃	F	OH
XXV-22-2	Et	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-22-3	Et	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-22-4	Et	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-22-5	Et	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-22-6	Et	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-22-7	Et	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-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 XXV-23.

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

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	^t Bu	H	H	H	ⁱ Pr	H	CH ₃	F	OH
XXV-24-2	^t Bu	H	H	CH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-24-3	^t Bu	H	H	CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-24-4	^t Bu	H	H	CH ₂ CH(CH ₃) ₂	ⁱ Pr	H	CH ₃	F	OH
XXV-24-5	^t Bu	H	H	CH ₂ Ph	ⁱ Pr	H	CH ₃	F	OH
XXV-24-6	^t Bu	H	H	CH ₂ -indol-3-yl	ⁱ Pr	H	CH ₃	F	OH
XXV-24-7	^t Bu	H	H	CH ₂ CH ₂ SCH ₃	ⁱ Pr	H	CH ₃	F	OH
XXV-24-8	^t Bu	*	H	*	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.

No	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.

No	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.

No	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.

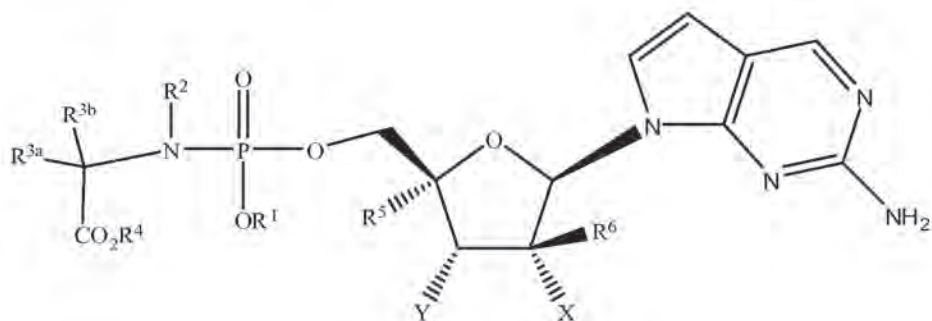
**XXVI**

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.

N ₂	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.

N ₂	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.

N ₂	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.

No	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.

No	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.

No	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 _o	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 _o	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 _o	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

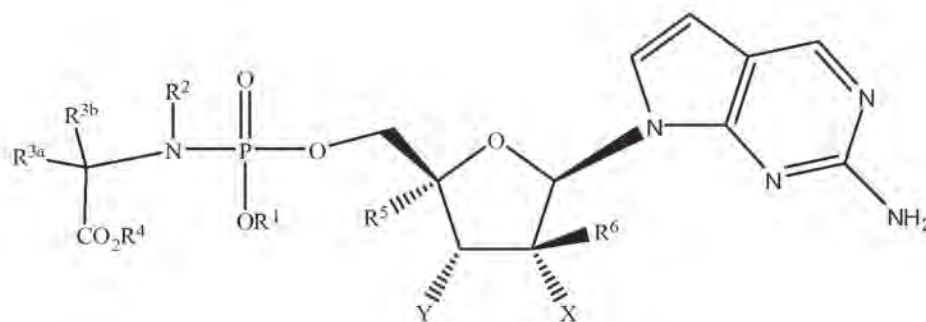
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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 ₂	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 ₂	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 ₂	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 ₂	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.

N ₂	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.

N ₂	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.

N ₂	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.

No	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.

No	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.

No	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.

N ₂	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.

N ₂	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.

N ₂	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

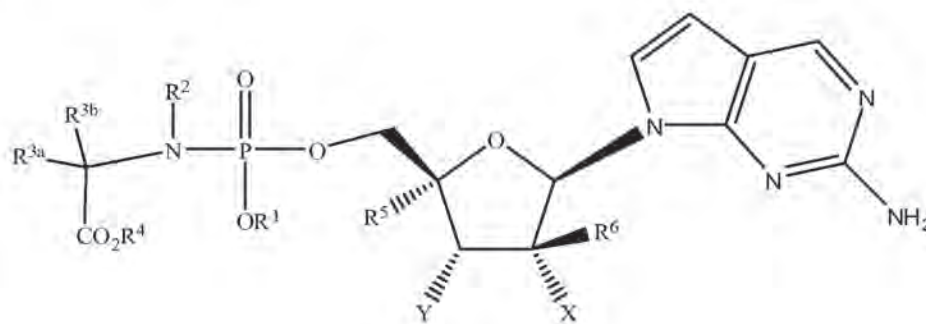
*R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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.

5



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 ₂	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 ₂	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 ₂	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.

No	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.

No	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.

No	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.

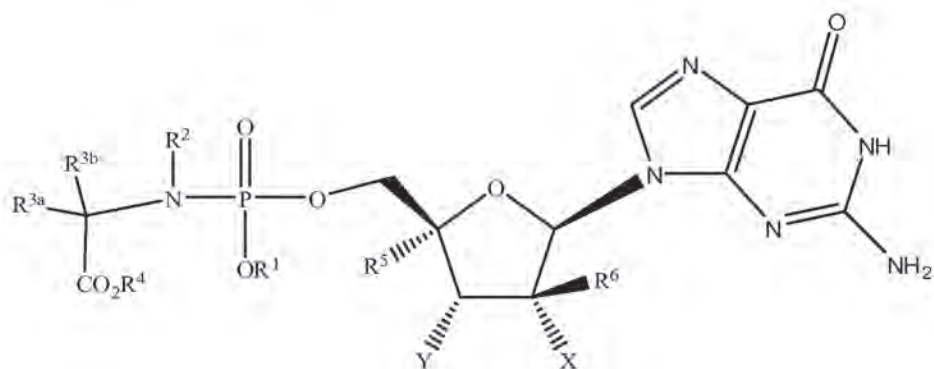
**XXIX**

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.

No	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.

No	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.

No	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.

No	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.

No	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.

No	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.

N ₂	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.

N ₂	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.

N ₂	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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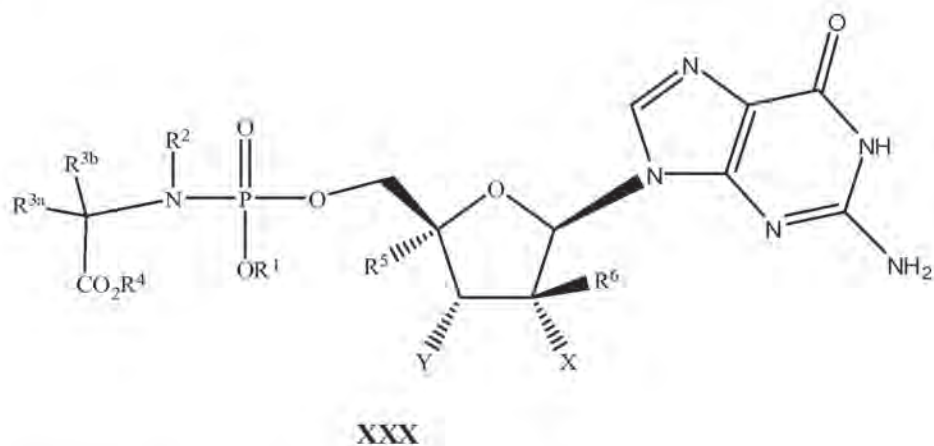


Table XXX-1.

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 ₂	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 ₂	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 ₂	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 ₂	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 ₂	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 ₂	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 ^o	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 ^o	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 ^o	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>i</i> Pr	H	H	H	Bz	H	F	H	OH
XXX-43-2	<i>i</i> Pr	H	H	CH ₃	Bz	H	F	H	OH
XXX-43-3	<i>i</i> Pr	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-43-4	<i>i</i> Pr	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-43-5	<i>i</i> Pr	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-43-6	<i>i</i> Pr	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-43-7	<i>i</i> Pr	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-43-8	<i>i</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	<i>t</i> Bu	H	H	H	Bz	H	F	H	OH
XXX-44-2	<i>t</i> Bu	H	H	CH ₃	Bz	H	F	H	OH
XXX-44-3	<i>t</i> Bu	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-44-4	<i>t</i> Bu	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-44-5	<i>t</i> Bu	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-44-6	<i>t</i> Bu	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-44-7	<i>t</i> Bu	H	H	CH ₂ CH ₂ SCH ₃	Bz	H	F	H	OH
XXX-44-8	<i>t</i> 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.

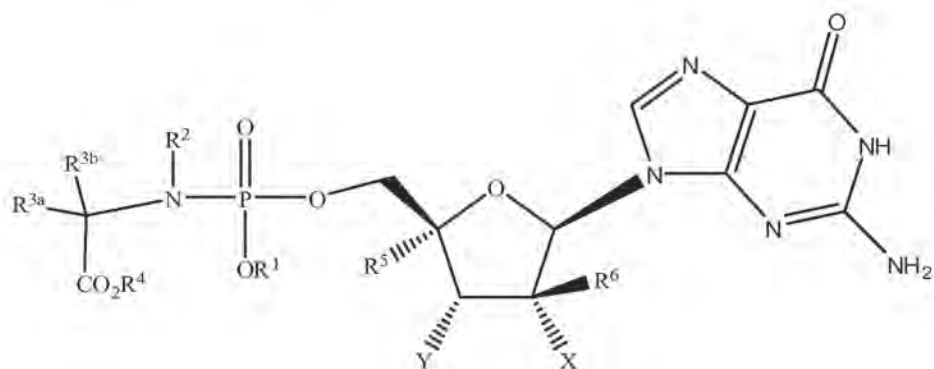
No	R ¹	R ²	R ^{3a}	R ^{3b}	R ⁴	R ⁵	R ⁶	X	Y
XXX-49-1	p-Br-Ph	H	H	H	Bz	H	F	H	OH
XXX-49-2	p-Br-Ph	H	H	CH ₃	Bz	H	F	H	OH
XXX-49-3	p-Br-Ph	H	H	CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-49-4	p-Br-Ph	H	H	CH ₂ CH(CH ₃) ₂	Bz	H	F	H	OH
XXX-49-5	p-Br-Ph	H	H	CH ₂ Ph	Bz	H	F	H	OH
XXX-49-6	p-Br-Ph	H	H	CH ₂ -indol-3-yl	Bz	H	F	H	OH
XXX-49-7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

N ₂	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.

N ₂	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.

N ₂	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

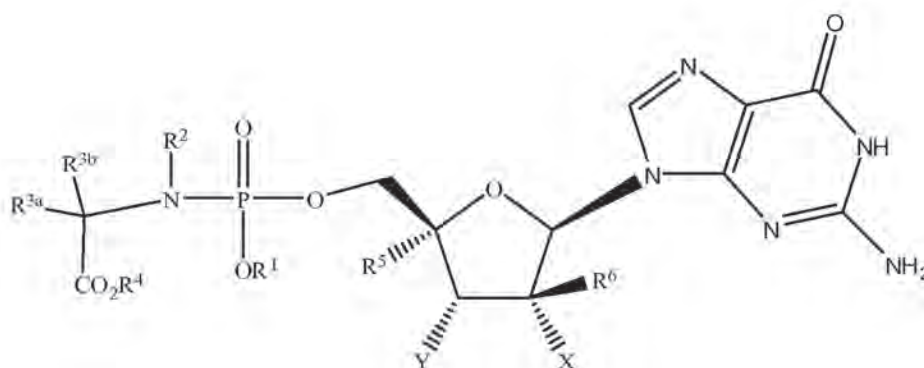
5 *R² and R^{3b} joined together by (CH₂)₃ to form five-membered ring.

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.

No	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.

No	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.

No	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.

N ₂	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.

N ₂	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.

N ₂	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

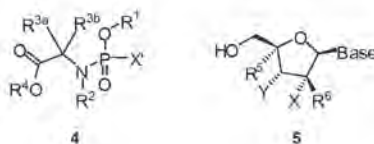
including, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, and 23 hours.

It is contemplated that the another antiviral agent includes, but is not limited to interferon- α , interferon- β , pegylated interferon- α , ribavirin, levovirin, viremide, 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

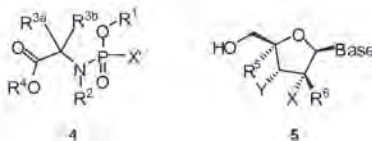
An ninth embodiment of the present invention is directed to a process for preparing the compound of formula I, which comprises reacting a suitably substituted phosphochloridate compound **4** with a nucleoside analog **5**



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-'Bu-pyridine, etc. a tertiary amine base, such as triethylamine, diisopropylethylamine, etc., or an alkyl Grignard reagent, such as tBuMgCl, tBuMgBr, 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.

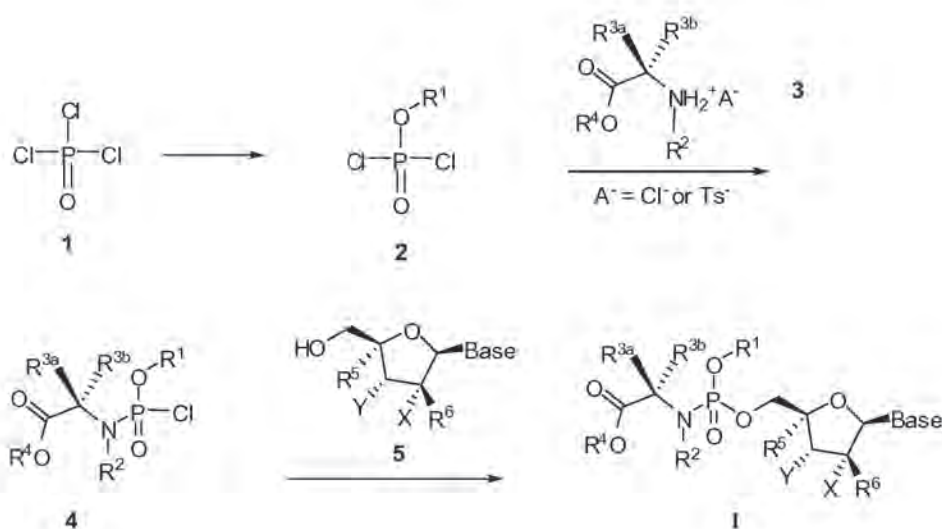
Compounds and Preparation

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

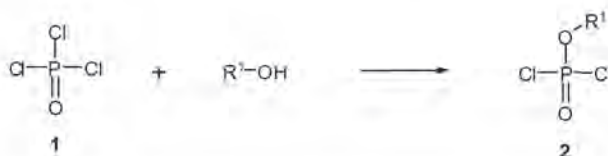
the desired nucleoside. In cases where the nucleoside contains more than one free hydroxyl group, preparation of the appropriately protected nucleoside might be required. Silyl, acetonide or other alcohol protecting groups known in the art might be warranted for protection of the sugar moiety. For protection 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

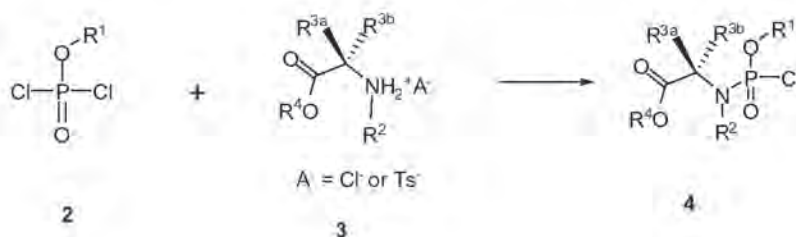


20 A solution of the appropriate phenol **R¹-OH** (1eq) and triethylamine (1 eq.) in anhydrous ether was added dropwise to a stirred solution of phosphoryl trichloride **1** (1eq) at 0 °C over a period of 3 hours under nitrogen. Then the temperature was warmed to room temperature, and the reaction was 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

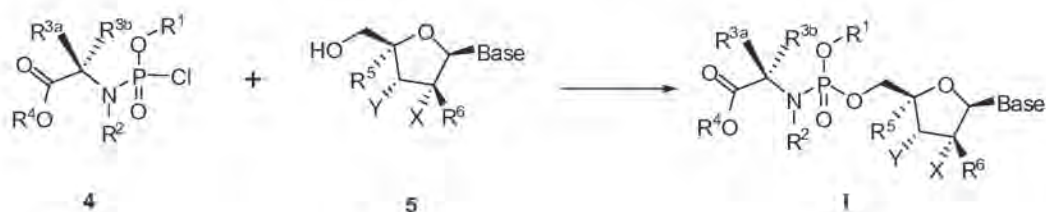
General Procedure for Preparation of phosphorochloridates



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**.

EXAMPLE 3

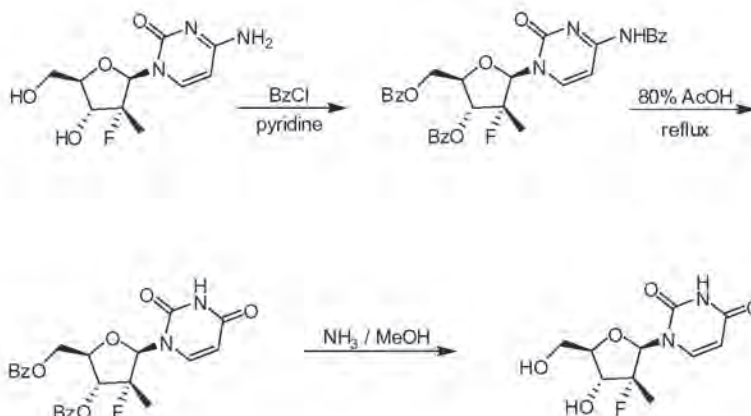
General Procedures for nucleoside phosphoramidate derivatives



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%).

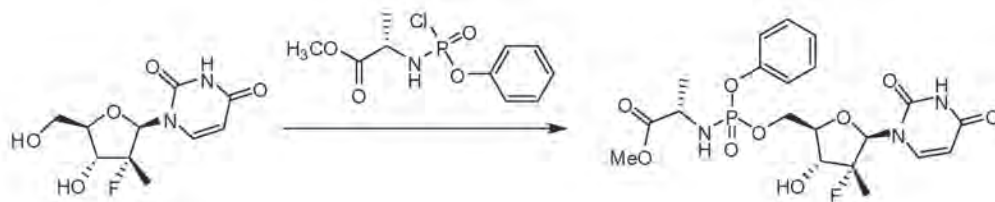
5 N⁴,3',5'-tribenzoyl-2'-Deoxy-2'-fluoro-2'-C-methylcytidine (2.0g, 1 eq) was refluxed in 80% aqueous AcOH overnight. After cooling and standing at room temperature (15 °C), most of the product precipitated and then was filtered through a sintered funnel. White precipitate was washed with water and co-evaporated 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

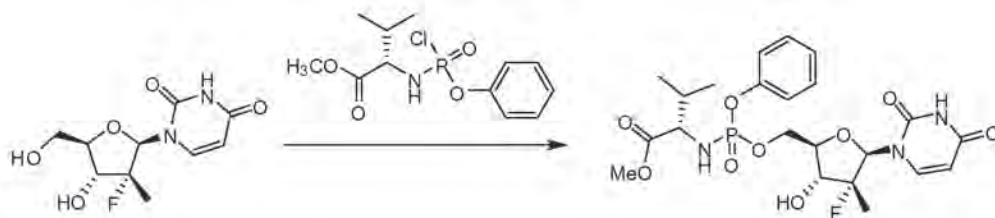
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(phenyl methoxy-alanyl phosphate)



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)

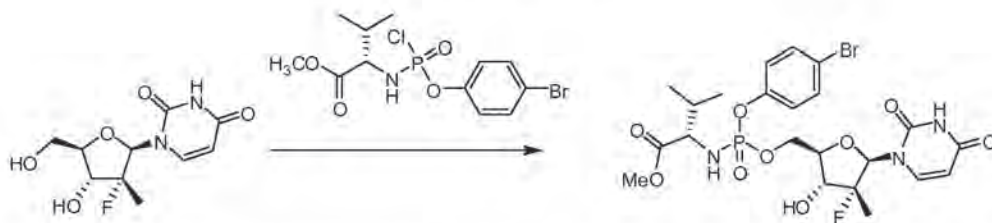


Phenyl methoxy-valyl phosphorochloridate (0.6 g, 3.6 eq) dissolved in 3 mL of THF was added to a mixture of 2'-Deoxy-2'-fluoro-2'-C-methyluridine (0.15 g, 1 eq) and N-methylimidazole (0.44 g, 9 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent 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)

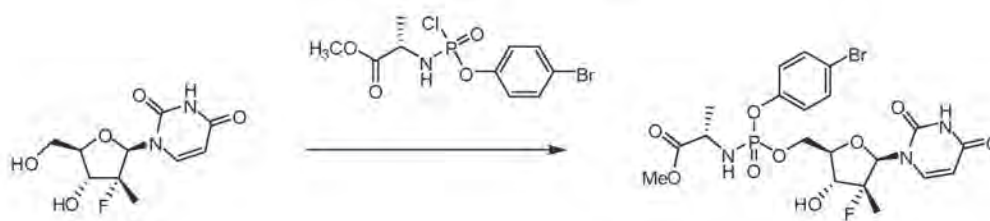


4-Bromophenyl methoxy-valyl phosphorochloridate (1 g, 3.4 eq) dissolved in 3 mL of THF was added to a mixture of 2'-deoxy-2'-fluoro-2'-C-methyluridine (0.2 g, 1 eq) and N-methylimidazole (0.35 g, 6 eq) in 3 mL THF with vigorous stirring at room temperature, then the reaction was stirred overnight. Solvent 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

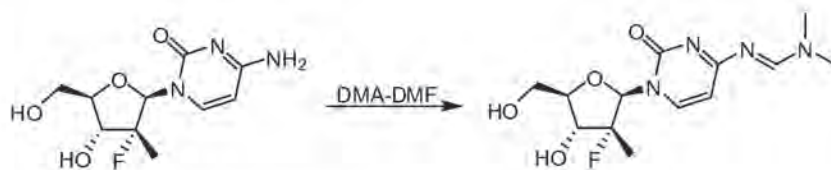
5 Preparation of 2'-Deoxy-2'-fluoro-2'-C-methyluridine 5'-(4-bromophenyl methoxyalanyl phosphate)



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 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

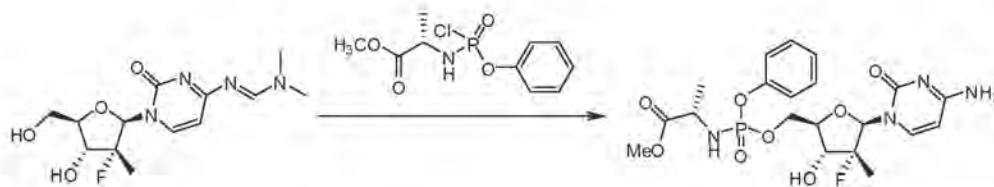
20 Preparation of N⁴-(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine



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

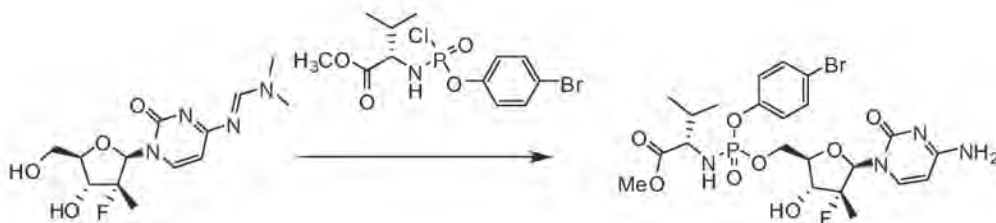
Preparation of 2'-Deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-alanyl phosphate)



Phenyl methoxyalanyl 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)

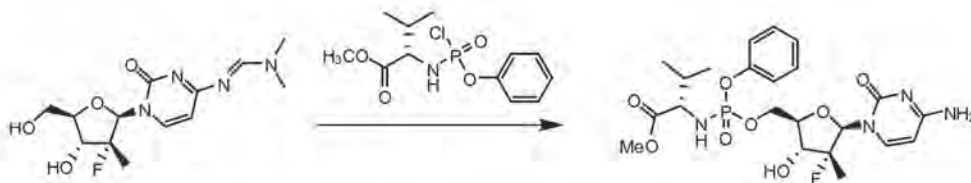


- 5 4-Bromophenyl methoxy-valyl phosphorochloridate (1.0 g, 3.4 eq.) dissolved in 3 mL of THF was added to a mixture of N^4 -(*N,N*-dimethylformamidinyl)-2'-deoxy-2'-fluoro-2'-C-methylcytidine (0.2 g, 1 eq.) and *N*-methylimidazole (0.35 g, 6 eq.) in 3 mL THF with vigorous stirring at room temperature, 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 ($\text{DMSO}-d_6$) 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 ($\text{M}+1$) $^+$.

EXAMPLE 12

Preparation of 2'-deoxy-2'-fluoro-2'-C-methylcytidine 5'-(phenyl methoxy-valyl phosphate)

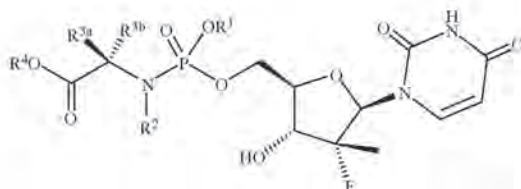
20



- Phenyl methoxy-valyl 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 as a white solid (86 mg, 42.9 %). ¹H NMR (DMSO-*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

- 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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- <i>d</i> 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.

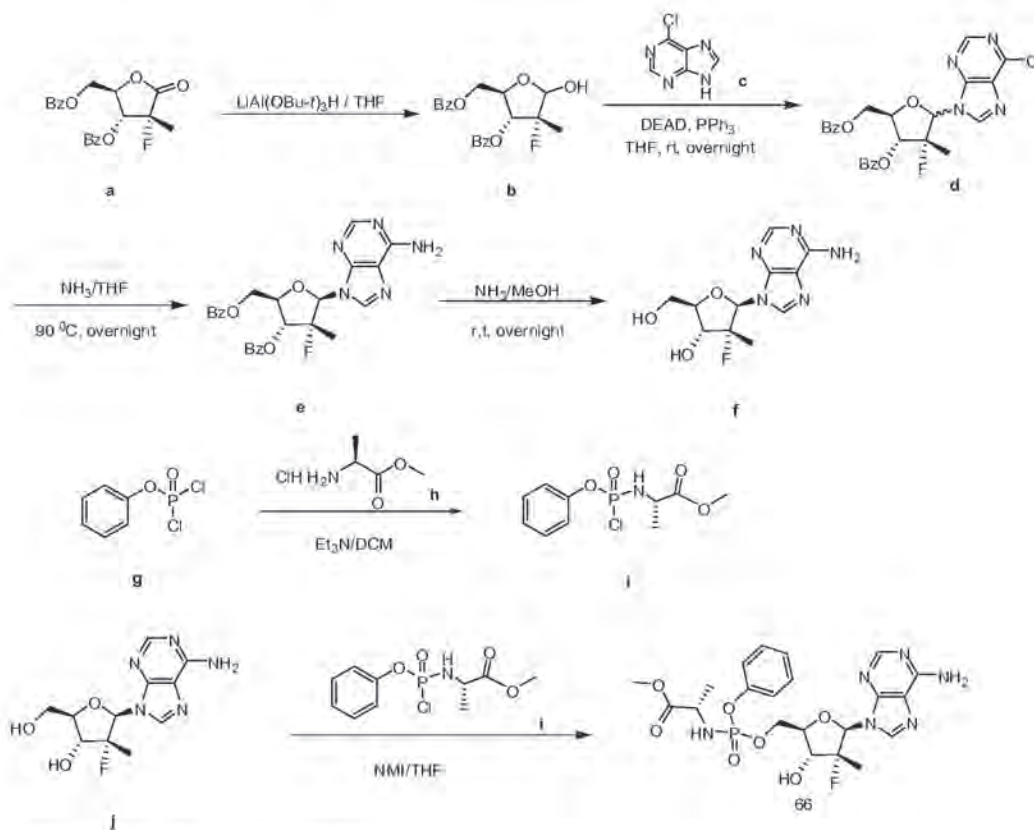
- 5 HPLC gradient is shown in Table 1. Once the gradient was selected, acetonitrile solution was injected into HPLC system, and then fractions collected according to UV peaks. After the separation, each glass tubes were run MS test to collect the desired compounds. The fractions with target MS were combined 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 $\text{LiAl}(\text{OBu-}i>t\text{)}_3\text{H}$ 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)

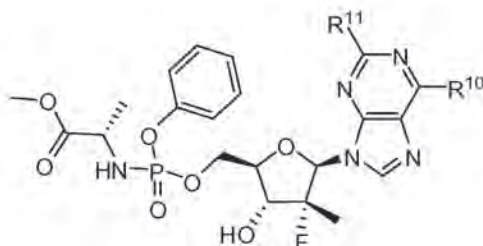
A solution of triethylamine (1.07 g, 10.6 mmol) in anhydrous dichloromethane (15 mL) was added dropwise to a solution of compound **g** (1.16 g, 5.3 mmol) and compound **h** (1.31 g, 5.3 mmol) in dichloromethane (10 mL) with vigorous stirring at -78 °C over a period of 2 hours. After completion of addition, 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

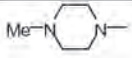
To a solution of compound **j** (0.1 g, 0.35 mmol) dissolved in 10 mL of anhydrous THF, stirred and added 0.4g NMI till the solution became clear, added compound **i** (0.8 g, 2.89 mmol) in 10 mL THF dropwise, stirred at r.t. overnight. Compound purity and identification was confirmed by LCMS. The solvent 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)⁺.

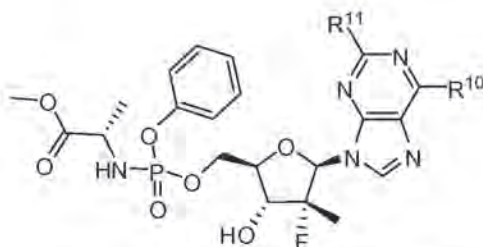
- 5 Example numbers **67-74**, identified below, were prepared using similar procedures disclosed for Example **66**, above.



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'-

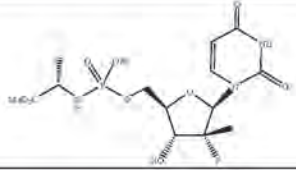
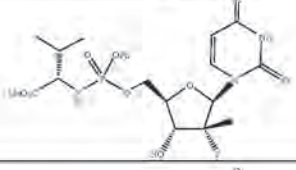
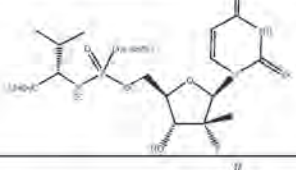
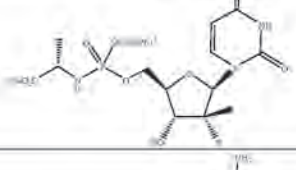
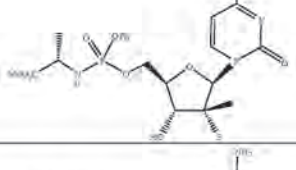
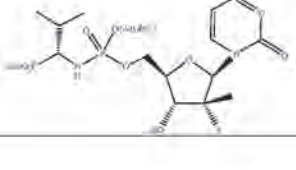
AGCCATGGCGTTAGTA(T)GAGTGT-3', and antisense, 5'-

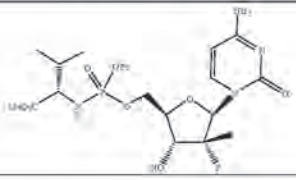
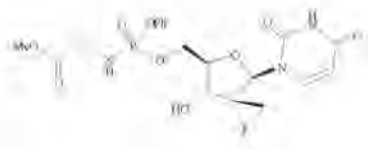
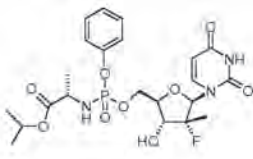
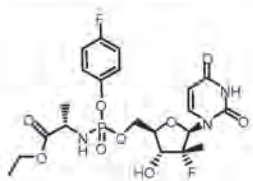
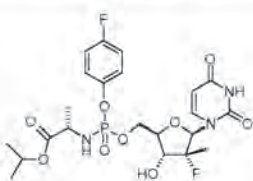
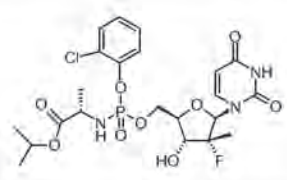
TTCCGCAGACCACTATGG-3'; probe, 5'-FAM-CCTCCAGGACCCCCCTCCC-TAMRA-3').

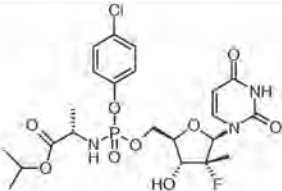
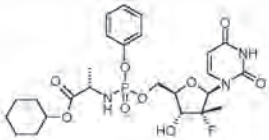
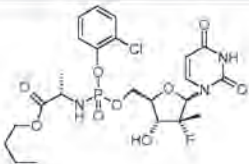
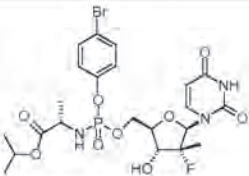
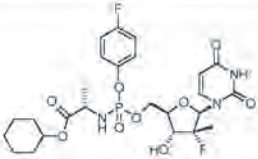
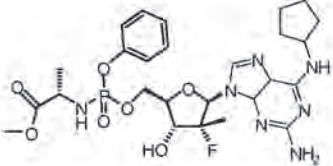
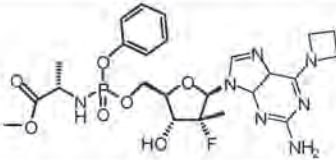
To express the antiviral effectiveness of a compound, the threshold RT-PCR cycle of the test compound was subtracted from the average threshold RT-PCR cycle of the no-drug control (ΔC_{tHCV}). A ΔC_t of 3.3 equals a 1-log 10 reduction (equal to the 90%

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

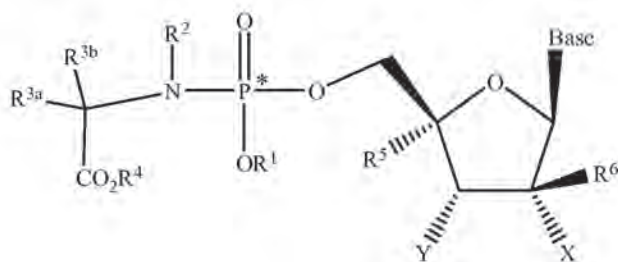
Ex #	Compound	Log10 Reduction at 50µM	EC90 (µM)
39		-1.78	0.47
49		-2.69	0.126
53		-1.33	<0.3
54		-1.55	0.57
55		-2.38	<0.3
69		-2.25	< 0.3
70		-2.25	<0.3

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

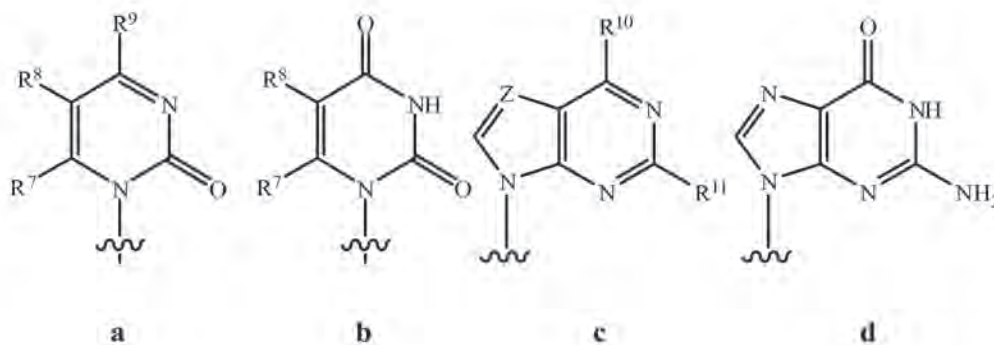
- (a) R¹ is hydrogen, n-alkyl; branched alkyl; cycloalkyl; or aryl, which includes, but is not limited to, phenyl or naphthyl, where phenyl or naphthyl are optionally substituted with at least one of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, F, Cl, Br, I, nitro, cyano, C₁₋₆ haloalkyl, -N(R¹)₂, 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 , $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, 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 $-N(R^{3'})_2$;
- 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, 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;
- 20 (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;
- 5 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;
- 10 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;
- 15 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;
- 20 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;
- 25 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;
- 30 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 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;
- 40 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;
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- 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;

5 **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;

10 **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;

15 **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;

20 **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;

25 **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;

30 **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;

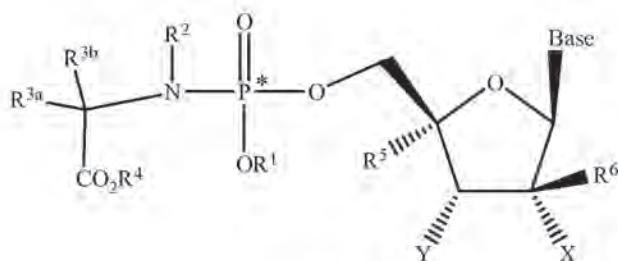
35 **79** (2S)-methyl 2-((((2R,3R,4R,5R)-4-fluoro-3-hydroxy-4-methyl-5-(6-(piperidin-1-yl)-9H-purin-9-yl)tetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate; and

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.

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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;

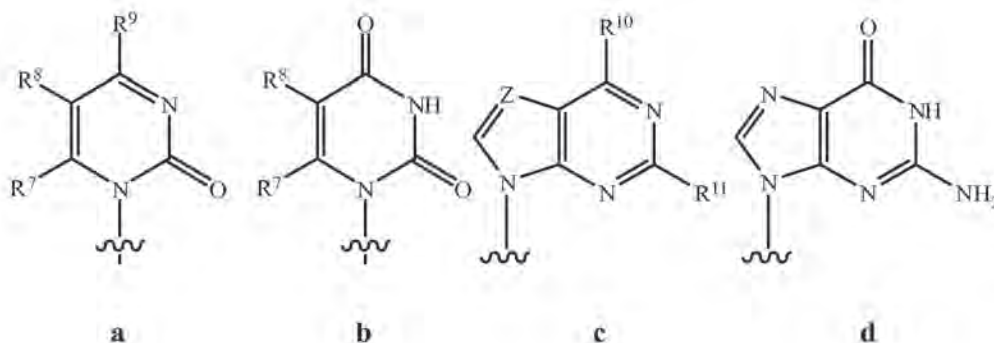
(f) R^6 is H, CH_3 , CH_2F , CHF_2 , CF_3 , F, or CN;

(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 , $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{1-4} \text{ alkyl})$, $OC(O)O(C_{2-4} \text{ alkynyl})$, $OC(O)O(C_{2-4} \text{ alkenyl})$, $OC_{1-10} \text{ haloalkyl}$, 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);
- 9 N¹-(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);
- 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);
- 15 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;
- 20 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;
- 25 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;
- 30 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;
- 35 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;
- 40 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;
- 45 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;

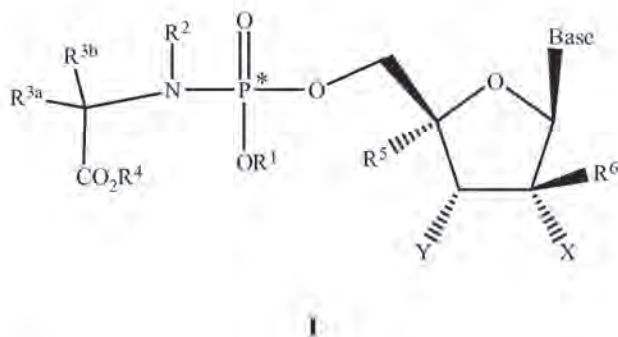
78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

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;

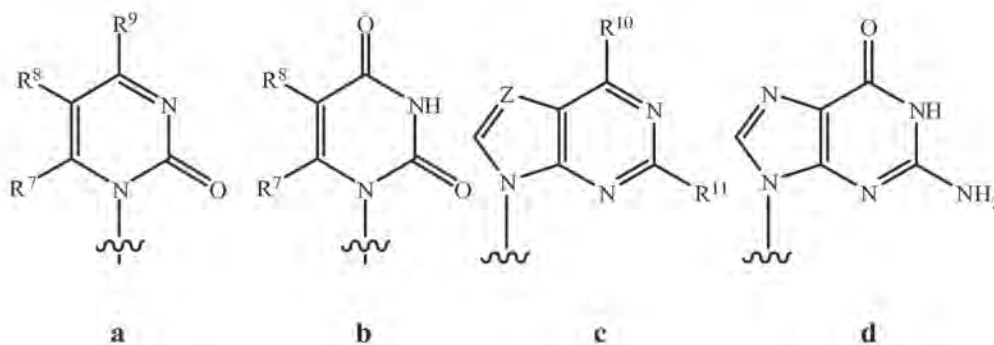
(e) R⁵ is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., -(CH₂)_pOH, where p is 1-6, including hydroxyl methyl (CH₂OH), CH₂F, N₃, CH₂CN, CH₂NH₂, CH₂NHCH₃, CH₂N(CH₃)₂, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos that when X is OH, 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

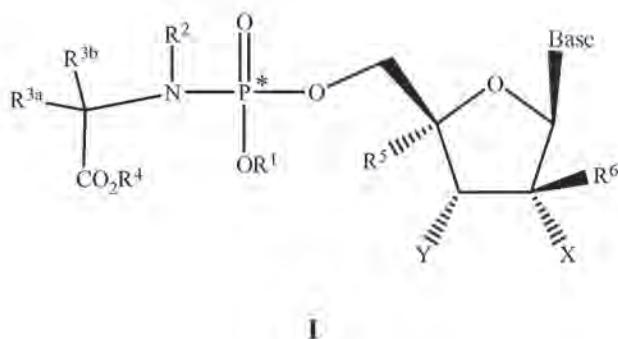
80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate

- 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 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,
- 20

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;

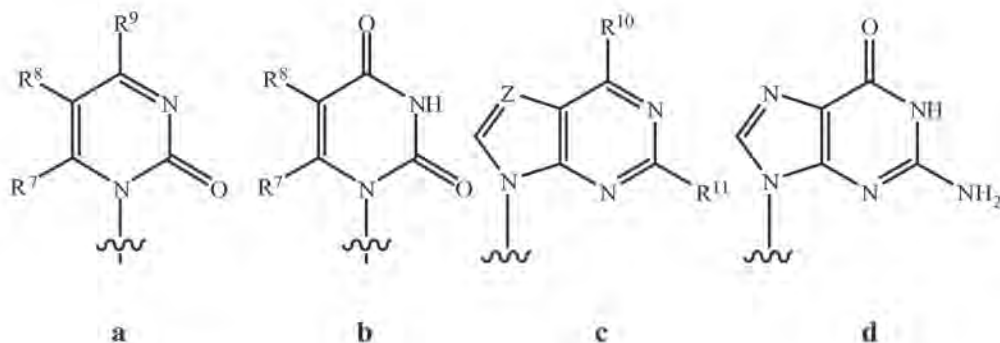
- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos 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,

$\text{CH}=\text{CHCO}_2\text{H}$, or $\text{CH}=\text{CHCO}_2\text{R}'$; with the proviso that when base is represented by the structure c with R^{11} being hydrogen, R^{12} is not a: (i) $-\text{C}\equiv\text{C}-\text{H}$, (ii) $-\text{C}=\text{CH}_2$, or (iii) $-\text{NO}_2$.

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-butyrac 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-butyrac 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 N^4 -(*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-butyrac 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-butyrac 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;

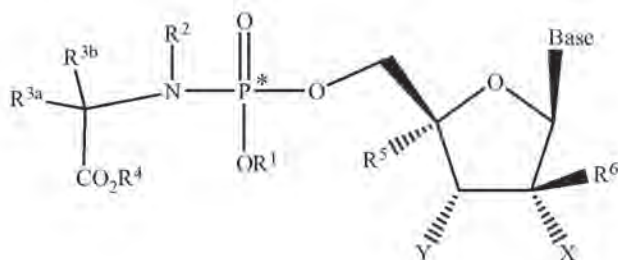
77 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(cyclopentylamino)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

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;

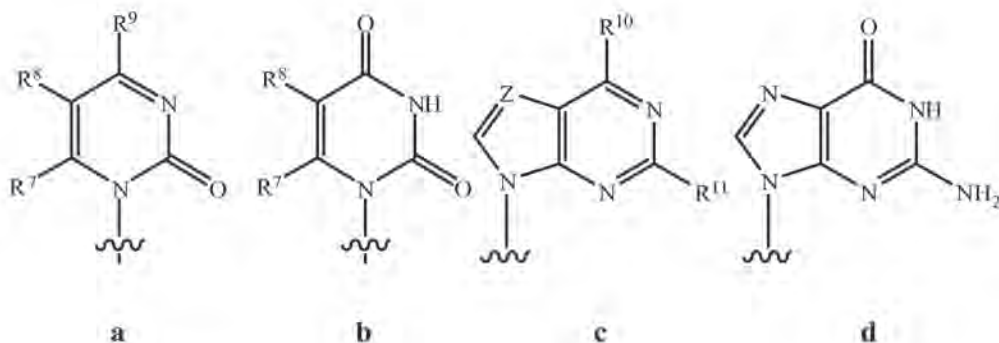
- (e) R^5 is H, a lower alkyl, CN, vinyl, O-(lower alkyl), hydroxyl lower alkyl, i.e., $-(CH_2)_pOH$, where p is 1-6, including hydroxyl methyl (CH_2OH), CH_2F , N_3 , CH_2CN , CH_2NH_2 , CH_2NHCH_3 , $CH_2N(CH_3)_2$, alkyne (optionally substituted), or halogen, including F, Cl, Br, or I, with the provisos 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, 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',

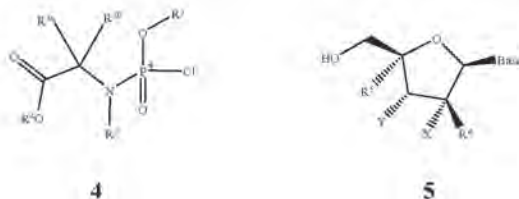
- wherein R' is an optionally substituted alkyl, which includes, but is not limited to, an optionally substituted C₁₋₂₀ alkyl, an optionally substituted C₁₋₁₀ alkyl, an optionally substituted lower alkyl; an optionally substituted cycloalkyl; an optionally substituted alkynyl of C₂-C₆, an optionally 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;

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;

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;

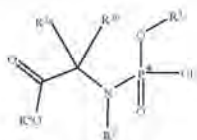
78 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(aziridin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate;

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

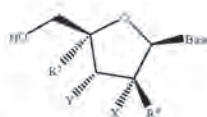
80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate

said process comprising:

30 reacting a substituted phosphochloridate compound **4** with a nucleoside analog **5**



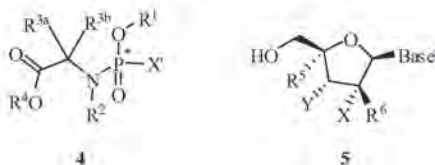
4



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 $-N(R^1)_2$);

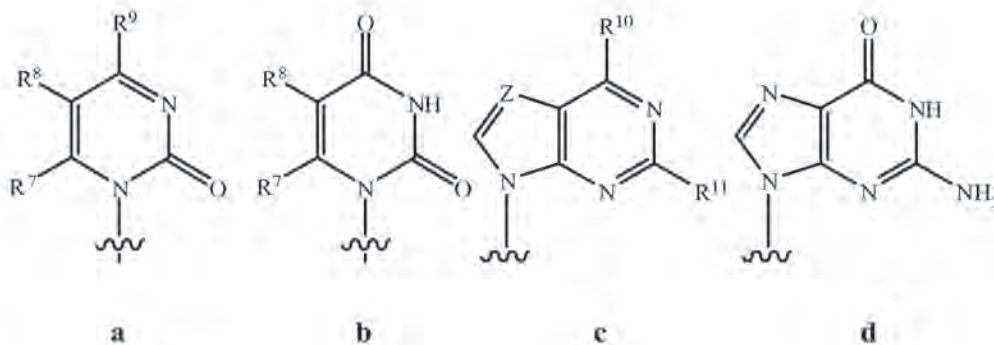
(b) R^2 is hydrogen, C_{1-10} alkyl, R^{3a} or R^{3b} and R^2 together are $(CH_2)_n$ so as to form a cyclic ring that includes the adjoining N and C atoms, $C(O)CR^{3a}R^{3b}NHR^1$, where n is 2 to 4 and R^1 , R^{3a} , and R^{3b} ;

(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

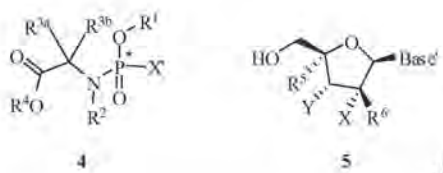
R¹² is an H, halogen (including F, Cl, Br, I), OH, OR', SH, SR', NH₂, NHR', NR'₂, NO₂ lower alkyl of C₁-C₆, halogenated (F, Cl, Br, I) lower alkyl of C₁-C₆, lower alkenyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkenyl of C₂-C₆, lower alkynyl of C₂-C₆, halogenated (F, Cl, Br, I) lower alkynyl of C₂-C₆, 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.

15

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);

- 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

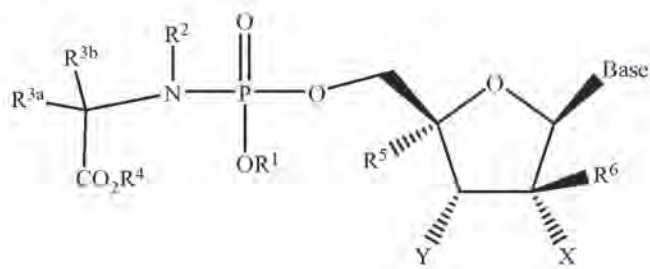
80 (2S)-methyl 2-((((2R,3R,4R,5R)-5-(6-(azetidin-1-yl)-9H-purin-9-yl)-4-fluoro-3-hydroxy-4-methyltetrahydrofuran-2-yl)methoxy)(phenoxy)phosphorylamino)propanoate

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**.

Electronic Patent Application Fee Transmittal				
Application Number:				
Filing Date:				
Title of Invention:		NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS		
First Named Inventor/Applicant Name:		Jinfa Du		
Filer:		Lawrence F. Rozsnyai/Sylvia Brennan		
Attorney Docket Number:		PH3080,PC4C-TRK1		
Filed as Large Entity				
Filing Fees for Track I Prioritized Examination - Nonprovisional Application under 35 USC 111(a)				
Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Basic Filing:				
Request for Prioritized Examination	1817	1	4000	4000
Pages:				
Claims:				
Miscellaneous-Filing:				
Petition:				
Patent-Appeals-and-Interference:				
Post-Allowance-and-Post-Issuance:				

Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Extension-of-Time:				
Miscellaneous:				
Total in USD (\$)				4000

Electronic Acknowledgement Receipt	
EFS ID:	21757004
Application Number:	14656546
International Application Number:	
Confirmation Number:	4415
Title of Invention:	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
First Named Inventor/Applicant Name:	Jinfa Du
Customer Number:	124963
Filer:	Lawrence F. Rozsnyai/Sylvia Brennan
Filer Authorized By:	Lawrence F. Rozsnyai
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Receipt Date:	12-MAR-2015
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<p>The Director of the USPTO is hereby authorized to charge indicated fees and credit any overpayment as follows:</p> <p>Charge any Additional Fees required under 37 C.F.R. Section 1.16 (National application filing, search, and examination fees)</p> <p>Charge any Additional Fees required under 37 C.F.R. Section 1.17 (Patent application and reexamination processing fees)</p>	

Charge any Additional Fees required under 37 C.F.R. Section 1.19 (Document supply fees)

Charge any Additional Fees required under 37 C.F.R. Section 1.20 (Post Issuance fees)

Charge any Additional Fees required under 37 C.F.R. Section 1.21 (Miscellaneous fees and charges)

File Listing:

Document Number	Document Description	File Name	File Size(Bytes)/ Message Digest	Multi Part /.zip	Pages (if appl.)
1	Transmittal of New Application	PH3080PC4CTRK1_2015_03_12_Track_One_Req_As_Filed.PDF	81074 a687b01077301700054b105500b679adac1b653	no	1
Warnings:					
Information:					
2	Transmittal of New Application	PH3080PC4CTRK1_2015_03_12_Utility_Trans_as_Filed.PDF	89074 a3681112971ce85ba35bbf6226d74aa56beb2370	no	1
Warnings:					
Information:					
3	Application Data Sheet	PH3080PC4C_2015_03_12_AD_S_as_Filed.PDF	123349 600057a36019102375222708ee1042200b2a051	no	5
Warnings:					
Information:					
This is not an USPTO supplied ADS fillable form					
4	Preliminary Amendment	PH3080PC4CTRK1_2015_Preliminary_Amend_As_Filed.PDF	166397 80a057708ee705104754110e4081204f71c004	no	7
Warnings:					
Information:					
5	Oath or Declaration filed	PH3080PC4CTRK1_2015_03_12_Executed_Decs_as_Filed.PDF	483049 b85e2d0b661146b2676e594a8b32d0b10fdd6	no	4
Warnings:					
Information:					
6	Specification	PH3080PC4CTRK1_2015_03_12_Part1_Spec_as_Filed.PDF	18886134 a1731ba75b0ff11ee0b5d085008898405481	no	368
Warnings:					
Information:					
7		PH3080PC4CTRK1_2015_03_12_Part2_Spec_as_Filed.PDF	20343790 4248714c742dc9811fad0391eac710c503736d	yes	368
Multipart Description/PDF files in .zip description					
	Document Description	Start	End		

	Specification		1		311
	Claims		312		367
	Abstract		368		368
Warnings:					
Information:					
8.	Fee Worksheet (SB06)	fee-info.pdf	30383	no	2
			1a1d1955b029e650ba019987c387a59acdfc8d4		
Warnings:					
Information:					
Total Files Size (in bytes):			40203250		
<p>This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.</p> <p><u>New Applications Under 35 U.S.C. 111</u> If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.</p> <p><u>National Stage of an International Application under 35 U.S.C. 371</u> If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.</p> <p><u>New International Application Filed with the USPTO as a Receiving Office</u> If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.</p>					



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APPLICATION NUMBER	FILING or 371(c) DATE	GRP ART UNIT	FIL FEE REC'D	ATTY DOCKET NO	TOT CLAIMS	IND CLAIMS
14/656,546	03/12/2015	1653	5600	PH3080.PC4C-TRK1	10	1

CONFIRMATION NO. 4415

FILING RECEIPT



124963
Gilead Pharmasset LLC
c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, CA 94404

Date Mailed: 03/31/2015

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)

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Applicant(s)

Gilead Pharmasset LLC, Foster City, CA

Power of Attorney: None

Domestic Priority data as claimed by applicant

This application is a CON of 14/013,237 08/29/2013
which is a CON of 13/609,614 09/11/2012 PAT 8580765
which is a CON of 13/099,671 05/03/2011 PAT 8334270
which is a CON of 12/053,015 03/21/2008 PAT 7964580
which claims benefit of 60/909,315 03/30/2007
and claims benefit of 60/982,309 10/24/2007

Foreign Applications for which priority is claimed (You may be eligible to benefit from the **Patent Prosecution Highway** program at the USPTO. Please see <http://www.uspto.gov> for more information.) - None.

Foreign application information must be provided in an Application Data Sheet in order to constitute a claim to foreign priority. See 37 CFR 1.55 and 1.76.

If Required, Foreign Filing License Granted: 03/26/2015

The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is **US 14/656,546**

Projected Publication Date: To Be Determined - pending completion of Sequence Disclosures

Non-Publication Request: No

Early Publication Request: No

Title

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Preliminary Class

435

Statement under 37 CFR 1.55 or 1.78 for AIA (First Inventor to File) Transition Applications: No

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 (1-866-999-4258).

LICENSE FOR FOREIGN FILING UNDER
Title 35, United States Code, Section 184
Title 37, Code of Federal Regulations, 5.11 & 5.15

GRANTED

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).

SelectUSA

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 U.S. offers tremendous resources and advantages for those who invest and manufacture goods here. Through SelectUSA, our nation works to promote and facilitate business investment. SelectUSA provides information assistance to the international investor community; serves as an ombudsman for existing and potential investors; advocates on behalf of U.S. cities, states, and regions competing for global investment; and counsels U.S. economic development organizations on investment attraction best practices. To learn more about why the United States is the best country in the world to develop technology, manufacture products, deliver services, and grow your business, visit <http://www.SelectUSA.gov> or call +1-202-482-6800.

PATENT APPLICATION FEE DETERMINATION RECORD Substitute for Form PTO-875						Application or Docket Number 14/656,546			
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	280		
SEARCH FEE <small>(37 CFR 1.16(k), (l), or (m))</small>	N/A	N/A	N/A			N/A	600		
EXAMINATION FEE <small>(37 CFR 1.16(o), (p), or (q))</small>	N/A	N/A	N/A			N/A	720		
TOTAL CLAIMS <small>(37 CFR 1.16(i))</small>	10	minus 20 =				x 80 =	0.00		
INDEPENDENT CLAIMS <small>(37 CFR 1.16(h))</small>	1	minus 3 =				x 420 =	0.00		
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).						4000		
MULTIPLE DEPENDENT CLAIM PRESENT (37 CFR 1.16(j))							0.00		
				TOTAL		TOTAL	5600		
* 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 =			x =		
	Independent <small>(37 CFR 1.16(h))</small>	* Minus ***	=	x =			x =		
	Application Size Fee (37 CFR 1.16(s))								
	FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))								
				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.									



UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

APPLICATION NUMBER	FILING OR 371(C) DATE	FIRST NAMED APPLICANT	ATTY. DOCKET NO./TITLE
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1

CONFIRMATION NO. 4415

FORMALITIES LETTER



124963
Gilead Pharmasset LLC
c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, CA 94404

Date Mailed: 03/31/2015

**NOTICE TO COMPLY WITH REQUIREMENTS FOR PATENT
APPLICATIONS CONTAINING NUCLEOTIDE SEQUENCE
AND/OR AMINO ACID SEQUENCE DISCLOSURES**

Filing Date Granted

Applicant is given **TWO MONTHS FROM THE DATE OF THIS NOTICE** within which to comply with the sequence rules, 37 CFR §§ 1.821-1.825. Failure to comply with these requirements will result in ABANDONMENT of the application under 37 CFR § 1.821(g). Extension of time may be obtained by filing a petition accompanied by the extension fee under the provisions of 37 CFR § 1.136.

- 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.

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.

For questions regarding compliance to these requirements, please contact:

- For Rules interpretation, call (571) 272-2510
- 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
- Patent software is available at <http://www.uspto.gov/patents/resources/tools/checker/patentinrel.jsp>.

Replies must be received in the USPTO within the set time period or must include a proper Certificate of Mailing or Transmission under 37 CFR 1.8 with a mailing or transmission date within the set time period. For more information and a suggested format, see Form PTO/SB/92 and MPEP 512.

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, including a copy of this Notice and selecting the document description "Applicant response to Pre-Exam Formalities Notice".
<https://sportal.uspto.gov/authenticate/AuthenticateUserLocalEPF.html>

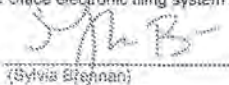
For more information about EFS-Web please call the USPTO Electronic Business Center at **1-866-217-9197** or visit our website at <http://www.uspto.gov/ebc>.

If you are not using EFS-Web to submit your reply, you must include a copy of this notice.

/s/

Office of Data Management, Application Assistance Unit (571) 272-4000, or (571) 272-4200, or 1-888-786-0101

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.8(a)(4).

Dated: 04/12/2015 Signature: 

(Sylvia Steinhilber)

Docket No.: PH3080.PC4C-TRK I
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jinfa Du et al.

Application No.: 14/656,546

Confirmation No.: 4415

Filed: March 12, 2015

Art Unit: 1653

For: NUCLEOSIDE PHOSPHORAMIDATE
PRODRUGS

Examiner: Not Yet Assigned

37 C.F.R. § 1.825(a) AMENDMENT TO SEQUENCE LISTING

MS Sequence
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Madam:

In response to the Notice to Comply mailed March 31, 2015, please amend the application as follows:

IN THE SPECIFICATION:

Please amend the specification as shown:

Please insert the following on page 1, after the title:

Sequence Listing

The instant application contains a Sequence Listing which has been submitted electronically in ASCII format and is hereby incorporated by reference in its entirety.

Please delete the paragraph on page 675, lines 1-17 and replace it with the following paragraph:

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, 4mM L-glutamine and 1mM 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' (SEQ ID NO: 1), and antisense, 5'-TTCCGCAGACCACTATGG-3' (SEQ ID NO: 2); probe, 5'-FAM-CCTCCAGGACCCCCCTCCC-TAMRA-3' (SEQ ID NO: 3)).

REMARKS

The foregoing amendments are made to insert the required SEQ ID NO identifiers associated with each listed sequence, as well as to incorporate by reference the Sequence Listing filed concurrently herewith.

Applicants believe that the present application is now in condition for allowance. Favorable reconsideration of the application as amended is respectfully requested.

The Examiner is invited to contact the undersigned by telephone if it is felt that a telephone interview would advance the prosecution of the present application.

The Commissioner is hereby authorized to charge any additional fees which may be required regarding this application under 37 C.F.R. §§ 1.16-1.17, or credit any overpayment, to Deposit Account No. 50-6480 with order number PH3080.PC4C-TRK1. If any additional extensions of time are needed for timely acceptance of papers submitted herewith, applicant hereby petitions for such extension under 37 C.F.R. §1.136 and authorizes payment of any such extensions fees to Deposit Account No. 50-6480.

Dated: April 23, 2015

Respectfully submitted,

By L. F. Rozsnyai
Lawrence F. Rozsnyai
Registration No.: 58,427
GILEAD PHARMASSET LLC
Correspondence Customer Number: 124963
(650) 577-6841
Attorney For Applicant

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.6(a)(4).

Dated: 04/23/2015

Signature

(Sylvia Greenham)

Docket No.: PH3080.PC4C-TRK1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jinfa Du et al.

Application No.: 14/656,546

Confirmation No.: 4415

Filed: March 12, 2015

Art Unit: 1653

For: NUCLEOSIDE PHOSPHORAMIDATE
PRODRUGS

Examiner: Not Yet Assigned

DECLARATION PURSUANT TO 37 C.F.R. § 1.821(f)

MS Sequence
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Madam:

I, Lawrence F. Rozsnyai, declare as follows:

1. That the content of the paper and computer readable copies of the Sequence Listing, submitted in accordance with 37 C.F.R. § 1.821(c) and (e), respectively, and PCT Rule 5.2, are the same in compliance with 37 C.F.R. § 1.821(f).
2. That all statements made herein of my own knowledge are true and that all statements were made on information and belief and are believed to be true, and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

454660.1

Application No.: 14/656,546

Docket No.: PH3080.PC4C-TRK1

Dated: April 23, 2015

Respectfully submitted,

By f. F. Rozsnyai

Lawrence F. Rozsnyai

Registration No.: 58,427

GILEAD PHARMASSET LLC

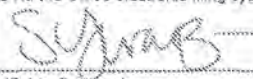
Correspondence Customer Number: 124963

(650) 577-6841

Attorney For Applicant

454660.1

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.6(a)(4).

Dated: 04/23/2015 Signature: 
(Sylvia Brennan)

Docket No.: PH3080.PC4C-TRK1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jinfa Du et al.

Application No.: 14/656,546

Confirmation No.: 4415

Filed: March 12, 2015

Art Unit: 1653

For: NUCLEOSIDE PHOSPHORAMIDATE
PRODRUGS

Examiner: Not Yet Assigned

TRANSMITTAL OF NOTICE TO COMPLY WITH REQUIREMENTS FOR PATENT
APPLICATIONS CONTAINING NUCLEOTIDE SEQUENCE AND/OR AMINO ACID
SEQUENCE DISCLOSURES

Mail Stop Missing Parts
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Commissioner:

In response to the Notice to Comply with Requirements for Patent Applications Containing Nucleotide Sequence and/or Amino Acid Sequence Disclosures mailed March 31, 2015 in the above-identified patent application, transmitted herewith are the items to complete the filing of the subject patent application. The Notice provided two-months to respond. This Response is timely filed on April 20, 2015.

454786.1

Enclosed are:

- [X] Copy of Notice to Comply with Requirements for Patent Applications Containing Nucleotide Sequence and/or Amino Acid Sequence Disclosures (2 pages)
- [X] Declaration Pursuant to 37 C.F.R. § 1.821(f) (2 pages)
- [X] Amendment in Response to Notice under 37 C.F.R. §§1.821-1.825 (3 pages)
- [X] Sequence Listing in ASCII format (2 pages)
- [X] Sequence Listing in PDF format (2 pages)

The Commissioner is hereby authorized to charge any additional fees which may be required regarding this application under 37 C.F.R. §§ 1.16-1.17, or credit any overpayment, to Deposit Account No. 50-6480. If any additional extensions of time are needed for timely acceptance of papers submitted herewith, applicant hereby petitions for such extension under 37 C.F.R. §1.136 and authorizes payment of any such extensions fees to Deposit Account No. 50-6480.

Respectfully submitted,

Dated: April 23, 2015

Respectfully submitted,

By f. F. Rozsnyai
Lawrence F. Rozsnyai
Registration No.: 58,427
GILEAD PHARMASSET LLC
Correspondence Customer Number: 124963
(650) 577-6841
Attorney For Applicant

454784_1
SEQUENCE LISTING

<110> DU, JINFA
NAGARATHNAM, DHANAPALAN
SOFIA, MICHAEL JOSEPH
WANG, PEIYUAN

<120> NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

<130> PH3080.PC4C-TRK1

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Page 1

454784_1

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<223> 3'-TAMRA

<400> 3

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20



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UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
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APPLICATION NUMBER	FILING OR 371(C) DATE	FIRST NAMED APPLICANT	ATTY. DOCKET NO./TITLE
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1

CONFIRMATION NO. 4415

FORMALITIES LETTER



124963
Gilead Pharmasset LLC
c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, CA 94404

Date Mailed: 03/31/2015

**NOTICE TO COMPLY WITH REQUIREMENTS FOR PATENT
APPLICATIONS CONTAINING NUCLEOTIDE SEQUENCE
AND/OR AMINO ACID SEQUENCE DISCLOSURES**

Filing Date Granted

Applicant is given **TWO MONTHS FROM THE DATE OF THIS NOTICE** within which to comply with the sequence rules, 37 CFR §§ 1.821-1.825. Failure to comply with these requirements will result in ABANDONMENT of the application under 37 CFR § 1.821(g). Extension of time may be obtained by filing a petition accompanied by the extension fee under the provisions of 37 CFR § 1.136.

- 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.

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.

For questions regarding compliance to these requirements, please contact:

- For Rules interpretation, call (571) 272-2510
- 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
- Patent software is available at <http://www.uspto.gov/patents/resources/tools/checker/patentinrel.jsp>.

Replies must be received in the USPTO within the set time period or must include a proper Certificate of Mailing or Transmission under 37 CFR 1.8 with a mailing or transmission date within the set time period. For more information and a suggested format, see Form PTO/SB/92 and MPEP 512.

Replies should be mailed to:

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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, including a copy of this Notice and selecting the document description "Applicant response to Pre-Exam Formalities Notice".
<https://portal.uspto.gov/authenticate/AuthenticateUserLocalEPF.html>

For more information about EFS-Web please call the USPTO Electronic Business Center at **1-866-217-9197** or visit our website at <http://www.uspto.gov/ebc>.

If you are not using EFS-Web to submit your reply, you must include a copy of this notice.

/s/

Office of Data Management, Application Assistance Unit (571) 272-4000, or (571) 272-4200, or 1-888-786-0101

Electronic Acknowledgement Receipt	
EFS ID:	22154000
Application Number:	14656546
International Application Number:	
Confirmation Number:	4415
Title of Invention:	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
First Named Inventor/Applicant Name:	Jinfa Du
Customer Number:	124963
Filer:	Lawrence F. Rozsnyai/Sylvia Brennan
Filer Authorized By:	Lawrence F. Rozsnyai
Attorney Docket Number:	PH3080.PC4C-TRK1
Receipt Date:	23-APR-2015
Filing Date:	12-MAR-2015
Time Stamp:	17:51:47
Application Type:	Utility under 35 USC 111(a)

Payment information:

Submitted with Payment	no
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File Listing:

Document Number	Document Description	File Name	File Size(Bytes)/ Message Digest	Multi Part /.zip	Pages (if appl.)
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Warnings:

Information:

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Information:					
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Warnings:					
Information:					
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Warnings:					
Information:					
Total Files Size (in bytes):			475213		
<p>This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.</p> <p><u>New Applications Under 35 U.S.C. 111</u> If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.</p> <p><u>National Stage of an International Application under 35 U.S.C. 371</u> If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.</p> <p><u>New International Application Filed with the USPTO as a Receiving Office</u> If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.</p>					

SCORE Placeholder Sheet for IFW Content

Application Number: 14656546

Document Date: 04/23/2015

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.

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.

- Sequence Listing

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Sequence Listing was accepted.

If you need help call the Patent Electronic Business Center at (866) 217-9197 (toll free).

Reviewer: Anjum, Durreshwar (CGI Federal)

Timestamp: [year=2015; month=4; day=28; hr=10; min=4; sec=4; ms=179;]

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Validated By CRFValidator v 1.0.4

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WANG, PEIYUAN

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PATENT APPLICATION FEE DETERMINATION RECORD Substitute for Form PTO-875						Application or Docket Number 14/656,546			
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	280		
SEARCH FEE (37 CFR 1.16(k), (l), or (m))	N/A	N/A	N/A			N/A	600		
EXAMINATION FEE (37 CFR 1.16(o), (p), or (q))	N/A	N/A	N/A			N/A	720		
TOTAL CLAIMS (37 CFR 1.16(i))	10	minus 20 =				x 80 =	0.00		
INDEPENDENT CLAIMS (37 CFR 1.16(h))	1	minus 3 =				x 420 =	0.00		
APPLICATION SIZE FEE (37 CFR 1.16(s))	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).						4000		
MULTIPLE DEPENDENT CLAIM PRESENT (37 CFR 1.16(j))							0.00		
			TOTAL			TOTAL	5600		
* 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 (37 CFR 1.16(i))	Minus **	=	x	=		x	=	
	Independent (37 CFR 1.16(h))	Minus ***	=	x	=		x	=	
	Application Size Fee (37 CFR 1.16(s))								
	FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))								
			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.									



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APPLICATION NUMBER	FILING or 371(c) DATE	GRP ART UNIT	FIL FEE REC'D	ATTY DOCKET NO.	TOT CLAIMS	IND CLAIMS
14/656,546	03/12/2015	1653	5600	PH3080.PC4C-TRK1	10	1

CONFIRMATION NO. 4415

UPDATED FILING RECEIPT



0000000074924905

124963

Gilead Pharmasset LLC
c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, CA 94404

Date Mailed: 05/12/2015

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)

Jinfa Du, Redwood City, CA;
Dhanapalan Nagarathnam, Bethany, CT;
Michael J. Sofia, Doylestown, PA;
Peiyuan Wang, San Mateo, CA;

Applicant(s)

Gilead Pharmasset LLC, Foster City, CA;

Power of Attorney: None

Domestic Priority data as claimed by applicant

This application is a CON of 14/013,237 08/29/2013
which is a CON of 13/609,614 09/11/2012 PAT 8580765
which is a CON of 13/099,671 05/03/2011 PAT 8334270
which is a CON of 12/053,015 03/21/2008 PAT 7964580
which claims benefit of 60/909,315 03/30/2007
and claims benefit of 60/982,309 10/24/2007

Foreign Applications for which priority is claimed (You may be eligible to benefit from the **Patent Prosecution Highway** program at the USPTO. Please see <http://www.uspto.gov> for more information.) - None.

Foreign application information must be provided in an Application Data Sheet in order to constitute a claim to foreign priority. See 37 CFR 1.55 and 1.76.

If Required, Foreign Filing License Granted: 03/26/2015

The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is **US 14/656,546**

Projected Publication Date: 08/20/2015

Non-Publication Request: No

Early Publication Request: No

Title

NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Preliminary Class

435

Statement under 37 CFR 1.55 or 1.78 for AIA (First Inventor to File) Transition Applications: No

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 (1-866-999-4258).

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).

SelectUSA

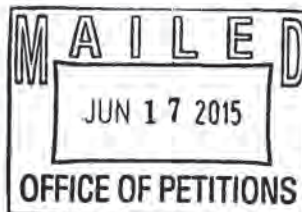
The United States represents the largest, most dynamic marketplace in the world and is an unparalleled location for business investment, innovation, and commercialization of new technologies. The U.S. offers tremendous resources and advantages for those who invest and manufacture goods here. Through SelectUSA, our nation works to promote and facilitate business investment. SelectUSA provides information assistance to the international investor community; serves as an ombudsman for existing and potential investors; advocates on behalf of U.S. cities, states, and regions competing for global investment; and counsels U.S. economic development organizations on investment attraction best practices. To learn more about why the United States is the best country in the world to develop technology, manufacture products, deliver services, and grow your business, visit <http://www.SelectUSA.gov> or call +1-202-482-6800.



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c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City CA 94404

Commissioner for Patents
United States Patent and Trademark Office
P.O. Box 1450
Alexandria, VA 22313-1450
www.uspto.gov



Doc Code: TRACK1.GRANT

Decision Granting Request for Prioritized Examination (Track I or After RCE)	Application No.: 14/656,546
<p>1. THE REQUEST FILED <u>March 12, 2015</u> IS GRANTED.</p> <p>The above-identified application has met the requirements for prioritized examination</p> <p>A. ☒ for an original nonprovisional application (Track I).</p> <p>B. ☐ for an application undergoing continued examination (RCE).</p> <p>2. The above-identified application will undergo prioritized examination. The application will be accorded special status throughout its entire course of prosecution until one of the following occurs:</p> <p>A. filing a <u>petition for extension of time</u> to extend the time period for filing a reply;</p> <p>B. filing an <u>amendment to amend the application to contain more than four independent claims, more than thirty total claims</u>, or a multiple dependent claim;</p> <p>C. filing a <u>request for continued examination</u>;</p> <p>D. filing a notice of appeal;</p> <p>E. filing a request for suspension of action;</p> <p>F. mailing of a notice of allowance;</p> <p>G. mailing of a final Office action;</p> <p>H. completion of examination as defined in 37 CFR 41.102; or</p> <p>I. abandonment of the application.</p> <p>Telephone inquiries with regard to this decision should be directed to Brian W. Brown at 571-272-5338.</p> <p>/Brian W. Brown/ [Signature]</p> <p>Petitions Examiner, Office of Petitions (Title)</p>	



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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1	4415
124963	7/5/01	06/25/2015	EXAMINER	
Gilead Pharmasset LLC c/o Gilead Sciences, Inc. 333 Lakeside Drive Foster City, CA 94404			LEWIS, PATRICK T	
			ART UNIT	PAPER NUMBER
			1672	
			NOTIFICATION DATE	DELIVERY MODE
			06/25/2015	ELECTRONIC

Please find below and/or attached an Office communication concerning this application or proceeding.

The time period for reply, if any, is set in the attached communication.

Notice of the Office communication was sent electronically on above-indicated "Notification Date" to the following e-mail address(es):

ipdocketing@gilead.com

Office Action Summary	Application No. 14/656,546	Applicant(s) DU ET AL.	
	Examiner PATRICK LEWIS	Art Unit 1672	AIA (First Inventor to File) Status No

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --

Period for Reply

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTHS FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133). Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

Status

- 1) ☐ Responsive to communication(s) filed on _____.
☐ A declaration(s)/affidavit(s) under **37 CFR 1.130(b)** was/were filed on _____.
- 2a) ☐ This action is **FINAL**. 2b) ☒ This action is non-final.
- 3) ☐ An election was made by the applicant in response to a restriction requirement set forth during the interview on _____. the restriction requirement and election have been incorporated into this action.
- 4) ☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

Disposition of Claims*

- 5) ☒ Claim(s) 13-22 is/are pending in the application.
5a) Of the above claim(s) _____ is/are withdrawn from consideration.
- 6) ☐ Claim(s) _____ is/are allowed.
- 7) ☒ Claim(s) 13-22 is/are rejected.
- 8) ☐ Claim(s) _____ is/are objected to.
- 9) ☐ Claim(s) _____ are subject to restriction and/or election requirement.

* If any claims have been determined allowable, you may be eligible to benefit from the **Patent Prosecution Highway** program at a participating intellectual property office for the corresponding application. For more information, please see http://www.uspto.gov/patents/init_events/pph/index.jsp or send an inquiry to PPHfeedback@uspto.gov.

Application Papers

- 10) ☐ The specification is objected to by the Examiner.
- 11) ☐ The drawing(s) filed on _____ is/are: a) ☐ accepted or b) ☐ objected to by the Examiner.
Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).
Replacement drawing sheet(s) including the correction is required if the drawing(s) is objected to. See 37 CFR 1.121(d).

Priority under 35 U.S.C. § 119

- 12) ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).

Certified copies:

- a) ☐ All b) ☐ Some** c) ☐ None of the:
1. ☐ Certified copies of the priority documents have been received.
2. ☐ Certified copies of the priority documents have been received in Application No. _____
3. ☐ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

** See the attached detailed Office action for a list of the certified copies not received.

Attachment(s)

- 1) ☐ Notice of References Cited (PTO-892)
- 2) ☐ Information Disclosure Statement(s) (PTO/SB/08a and/or PTO/SB/08b)
Paper No(s)/Mail Date _____
- 3) ☐ Interview Summary (PTO-413)
Paper No(s)/Mail Date _____
- 4) ☐ Other: _____

DETAILED ACTION

1. The present application is being examined under the pre-AIA first to invent provisions.

Double Patenting

2. The nonstatutory double patenting rejection is based on a judicially created doctrine grounded in public policy (a policy reflected in the statute) so as to prevent the unjustified or improper timewise extension of the "right to exclude" granted by a patent and to prevent possible harassment by multiple assignees. A nonstatutory double patenting rejection is appropriate where the claims at issue are not identical, but at least one examined application claim is not patentably distinct from the reference claim(s) because the examined application claim is either anticipated by, or would have been obvious over, the reference claim(s). See, e.g., *In re Berg*, 140 F.3d 1428, 46 USPQ2d 1226 (Fed. Cir. 1998); *In re Goodman*, 11 F.3d 1046, 29 USPQ2d 2010 (Fed. Cir. 1993); *In re Longi*, 759 F.2d 887, 225 USPQ 645 (Fed. Cir. 1985); *In re Van Ornum*, 686 F.2d 937, 214 USPQ 761 (CCPA 1982); *In re Vogel*, 422 F.2d 438, 164 USPQ 619 (CCPA 1970); and *In re Thorington*, 418 F.2d 528, 163 USPQ 644 (CCPA 1969).

A timely filed terminal disclaimer in compliance with 37 CFR 1.321(c) or 1.321(d) may be used to overcome an actual or provisional rejection based on a nonstatutory double patenting ground provided the reference application or patent either is shown to be commonly owned with this application, or claims an invention made as a result of

activities undertaken within the scope of a joint research agreement. A terminal disclaimer must be signed in compliance with 37 CFR 1.321(b).

The USPTO internet Web site contains terminal disclaimer forms which may be used. Please visit <http://www.uspto.gov/forms/>. The filing date of the application will determine what form should be used. A web-based eTerminal Disclaimer may be filled out completely online using web-screens. An eTerminal Disclaimer that meets all requirements is auto-processed and approved immediately upon submission. For more information about eTerminal Disclaimers, refer to <http://www.uspto.gov/patents/process/file/efs/guidance/eTD-info-I.jsp>.

3. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-11 of U.S. Patent No. 8,96,880.

The examined claims are either anticipated by, or would have been obvious over, the reference claim(s). Although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claims 1-11 of U.S. Patent No. 8,96,880.

4. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-2 of U.S. Patent No. 8,957,046.

Claims 13-14 and 17-22 are either anticipated by, or would have been obvious over, the reference claim(s). Although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claims 1-2 of U.S. Patent No. 8,957,046. The compounds of instant claims

15-16 are explicitly contemplated in Table VI of the '046 patent rendering said compounds *prima facie* obvious.

5. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claim 2 of U.S. Patent No. 8,735,372.

Claims 13-14 and 17-22 are either anticipated by, or would have been obvious over, the reference claim(s). Although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claim 2 of U.S. Patent No. 8,957,046. The compounds of instant claims 15-16 are explicitly contemplated in Table VI of the '372 patent rendering said compounds *prima facie* obvious.

6. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-25 of U.S. Patent No. 8,334,270.

It is noted that claims 1-20 of U.S. Patent No. 8,334,270 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '270 patent rendering said compounds *prima facie* obvious.

7. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765.

It is noted that claims 1-14 of U.S. Patent No. 8,580,765 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '765 patent rendering said compounds *prima facie* obvious.

8. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580.

It is noted that claims 1-14 of U.S. Patent No. 7,964,580 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '580 patent rendering said compounds prima facie obvious.

Conclusion

9. Claims 13-22 are pending. Claims 13-22 are rejected. No claims are allowed.

Contacts

Any inquiry concerning this communication or earlier communications from the examiner should be directed to PATRICK LEWIS whose telephone number is (571)272-0655. The examiner can normally be reached on Monday - Thursday 10 am to 3 pm (Maxi Flex).

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Brandon Fetterolf can be reached on 571-272-2919. The fax phone number for the organization where this application or proceeding is assigned is 571-273-8300.

Application/Control Number: 14/656,546
Art Unit: 1672

Page 6

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see <http://pair-direct.uspto.gov>. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free). If you would like assistance from a USPTO Customer Service Representative or access to the automated information system, call 800-786-9199 (IN USA OR CANADA) or 571-272-1000.

/PATRICK LEWIS/
Primary Examiner, Art Unit 1672

/PL/

Search Notes 	Application/Control No. 14656546	Applicant(s)/Patent Under Reexamination DU ET AL.
	Examiner PATRICK LEWIS	Art Unit 1672

CPC- SEARCHED		
Symbol	Date	Examiner

CPC COMBINATION SETS - SEARCHED		
Symbol	Date	Examiner

US CLASSIFICATION SEARCHED			
Class	Subclass	Date	Examiner

SEARCH NOTES		
Search Notes	Date	Examiner
Inventor, REGISTRY, CAPLUS, MEDLINE (see attached)	6/21/2015	/PL/

INTERFERENCE SEARCH			
US Class/ CPC Symbol	US Subclass / CPC Group	Date	Examiner

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BIB DATA SHEET

CONFIRMATION NO. 4415

SERIAL NUMBER	FILING or 371(c) DATE	CLASS	GROUP ART UNIT	ATTORNEY DOCKET NO.		
14/656,546	03/12/2015	514	1672	PH3080.PC4C-TRK1		
APPLICANTS Gilead Pharmasset LLC, Foster City, CA;						
INVENTORS Jinfa Du, Redwood City, CA; Dhanapalan Nagarathnam, Bethany, CT; Michael J. Sofia, Doylestown, PA; Peiyuan Wang, San Mateo, CA;						
** CONTINUING DATA ***** This application is a CON of 14/013,237 08/29/2013 which is a CON of 13/609,614 09/11/2012 PAT 8580765 which is a CON of 13/099,671 05/03/2011 PAT 8334270 which is a CON of 12/053,015 03/21/2008 PAT 7964580 which claims benefit of 60/909,315 03/30/2007 and claims benefit of 60/982,309 10/24/2007						
** FOREIGN APPLICATIONS *****						
** IF REQUIRED, FOREIGN FILING LICENSE GRANTED ** 03/26/2015						
Foreign Priority claimed ☐ Yes ☒ No		☐ Met after Allowance	STATE OR COUNTRY	SHEETS DRAWINGS	TOTAL CLAIMS	INDEPENDENT CLAIMS
35 USC 119(a-d) conditions met ☐ Yes ☒ No		Initials	CA	0	10	1
Verified and Acknowledged /PATRICK T LEWIS/ Examiner's Signature						
ADDRESS Gilead Pharmasset LLC c/o Gilead Sciences, Inc. 333 Lakeside Drive Foster City, CA 94404 UNITED STATES						
TITLE NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS						
FILING FEE RECEIVED 5600	FEES: Authority has been given in Paper No. _____ to charge/credit DEPOSIT ACCOUNT No. _____ for following:			☐ All Fees ☐ 1.16 Fees (Filing) ☐ 1.17 Fees (Processing Ext. of time) ☐ 1.18 Fees (Issue) ☐ Other _____ ☐ Credit		

(FILE 'HOME' ENTERED AT 10:44:38 ON 21 JUN 2015)

FILE 'REGISTRY' ENTERED AT 10:44:59 ON 21 JUN 2015

L1 STRUCTURE UPLOADED

L2 14 S L1 SSS SAM

L3 274 S L1 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 10:45:41 ON 21 JUN 2015

L4 348 S L3

FILE 'REGISTRY' ENTERED AT 10:47:10 ON 21 JUN 2015

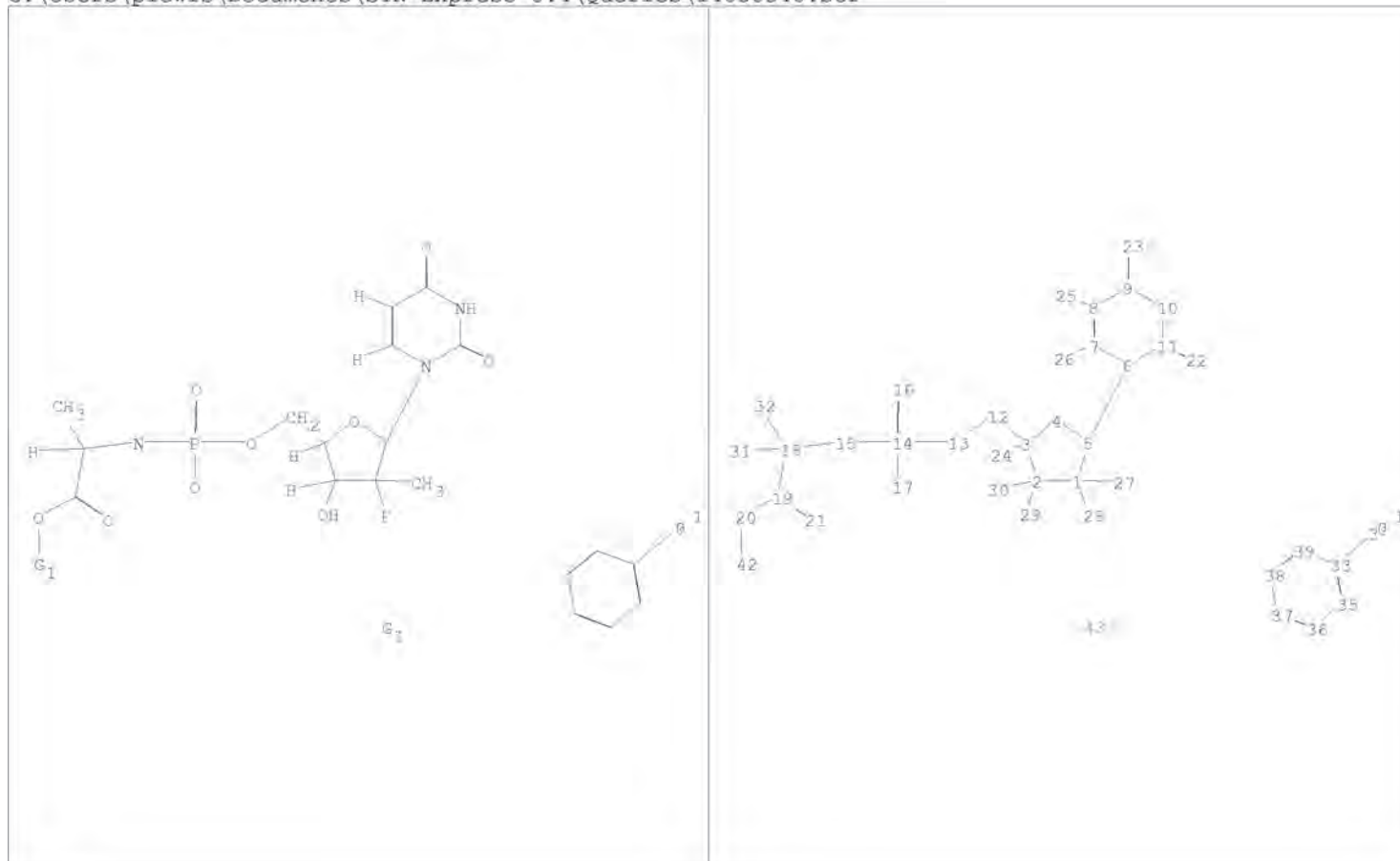
L5 STRUCTURE UPLOADED

L6 9 S L5 SSS SAM

L7 158 S L5 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 10:47:46 ON 21 JUN 2015

L8 343 S L7



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chain nodes :
  12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 34 42 43
ring nodes :
  1 2 3 4 5 6 7 8 9 10 11 33 35 36 37 38 39
chain bonds :
  1-27 1-28 2-29 2-30 3-12 3-24 5-6 7-26 8-25 9-23 11-22 12-13 13-14 14-15 14-16
  14-17 15-18 18-19 18-31 18-32 19-20 19-21 20-42 33-34 43-44
ring bonds :
  1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 33-35 33-39 35-36 36-37 37-38
  38-39
exact/norm bonds :
  1-2 1-5 2-3 2-29 3-4 4-5 5-6 6-7 6-11 7-8 8-9 9-10 9-23 10-11 11-22 13-14 14-15
  14-16 14-17 15-18 19-20 19-21 20-42 43-44
exact bonds :
  1-27 1-28 2-30 3-12 3-24 7-26 8-25 12-13 18-19 18-31 18-32 33-34
normalized bonds :
  33-35 33-39 35-36 36-37 37-38 38-39

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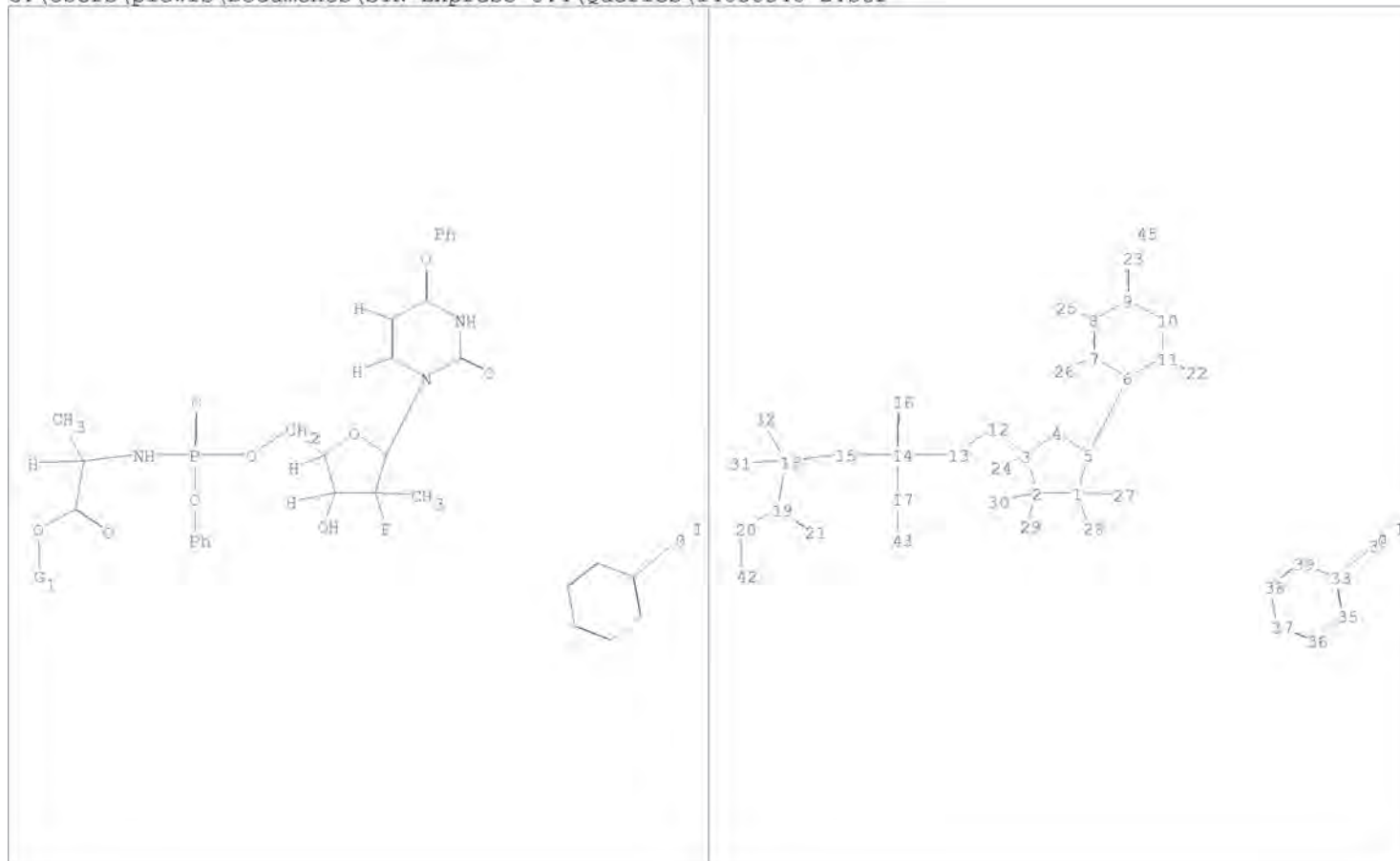
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Match level :

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS
30:CLASS 31:CLASS 32:CLASS 33:Atom 34:CLASS 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom
42:CLASS

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chain nodes :
  12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 34 42 43
  45
ring nodes :
  1 2 3 4 5 6 7 8 9 10 11 33 35 36 37 38 39
chain bonds :
  1-27 1-28 2-29 2-30 3-12 3-24 5-6 7-26 8-25 9-23 11-22 12-13 13-14 14-15 14-16
  14-17 15-18 17-43 18-19 18-31 18-32 19-20 19-21 20-42 33-34
ring bonds :
  1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 33-35 33-39 35-36 36-37 37-38
  38-39
exact/norm bonds :
  1-2 1-5 2-3 2-29 3-4 4-5 5-6 6-7 6-11 7-8 8-9 9-10 9-23 10-11 11-22 13-14 14-15
  14-16 14-17 15-18 19-20 19-21 20-42
exact bonds :
  1-27 1-28 2-30 3-12 3-24 7-26 8-25 12-13 17-43 18-19 18-31 18-32 33-34
normalized bonds :
  33-35 33-39 35-36 36-37 37-38 38-39

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G1:n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,[@1]

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Match level :
  1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
  12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
  21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS
  30:CLASS 31:CLASS 32:CLASS 33:Atom 34:CLASS 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom
  42:CLASS 43:CLASS 45:CLASS

```

<i>Index of Claims</i> 	Application/Control No. 14656546	Applicant(s)/Patent Under Reexamination DU ET AL.
	Examiner PATRICK LEWIS	Art Unit 1672

✓	Rejected	-	Cancelled	N	Non-Elected	A	Appeal
=	Allowed	÷	Restricted	I	Interference	O	Objected

☐ Claims renumbered in the same order as presented by applicant			☐ CPA			☐ T.D.			☐ R.1.47		
CLAIM		DATE									
Final	Original	06/21/2015									
	1	-									
	2	-									
	3	-									
	4	-									
	5	-									
	6	-									
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	9	-									
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	19	✓									
	20	✓									
	21	✓									
	22	✓									



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APPLICATION NUMBER	FILING OR 371(C) DATE	FIRST NAMED APPLICANT	ATTY. DOCKET NO./TITLE
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1

CONFIRMATION NO. 4415

PUBLICATION NOTICE



0000000076984043

124963
Gilead Pharmasset LLC
c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, CA 94404

Title:NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Publication No.US-2015-0231166-A1

Publication Date:08/20/2015

NOTICE OF PUBLICATION OF APPLICATION

The above-identified application will be electronically published as a patent application publication pursuant to 37 CFR 1.211, et seq. The patent application publication number and publication date are set forth above.

The publication may be accessed through the USPTO's publicly available Searchable Databases via the Internet at www.uspto.gov. The direct link to access the publication is currently <http://www.uspto.gov/patft/>.

The publication process established by the Office does not provide for mailing a copy of the publication to applicant. A copy of the publication may be obtained from the Office upon payment of the appropriate fee set forth in 37 CFR 1.19(a)(1). Orders for copies of patent application publications are handled by the USPTO's Office of Public Records. The Office of Public Records can be reached by telephone at (703) 308-9726 or (800) 972-6382, by facsimile at (703) 305-8759, by mail addressed to the United States Patent and Trademark Office, Office of Public Records, Alexandria, VA 22313-1450 or via the Internet.

In addition, information on the status of the application, including the mailing date of Office actions and the dates of receipt of correspondence filed in the Office, may also be accessed via the Internet through the Patent Electronic Business Center at www.uspto.gov using the public side of the Patent Application Information and Retrieval (PAIR) system. The direct link to access this status information is currently <http://pair.uspto.gov/>. Prior to publication, such status information is confidential and may only be obtained by applicant using the private side of PAIR.

Further assistance in electronically accessing the publication, or about PAIR, is available by calling the Patent Electronic Business Center at 1-866-217-9197.

Office of Data Management, Application Assistance Unit (571) 272-4000, or (571) 272-4200, or 1-888-786-0101

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Inventor(s): Jinfa Du, et al.
Title: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
Appl. No.: 14/656,546
Appl. Filing Date: March 12, 2015
Examiner: Patrick T. Lewis
Group Art Unit: 1672
Confirmation Number: 4415

AMENDMENT AND REPLY UNDER 37 C.F.R. § 1.111

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Commissioner:

This Amendment and Reply is responsive to the Non-Final Office Action dated June 25, 2015, concerning the above-referenced patent application. The Office set a three-month period to reply. Accordingly, this Amendment and Reply is timely filed on or before its 3-month due date of September 25, 2015.

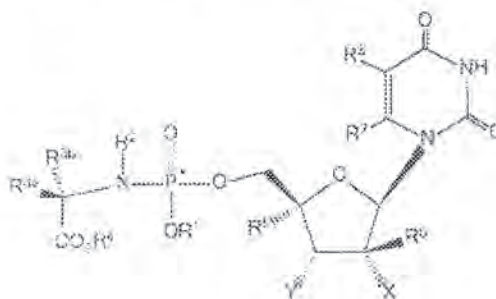
Listing of the Claims begins on page 2 of this document.

Remarks/Arguments begin on page 5 of this document.

Listing of Claims:

1. - 12. (Canceled).

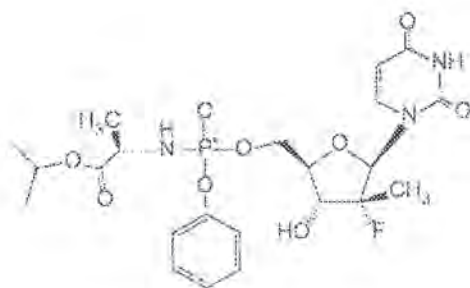
13. (Previously presented) A compound represented by the following formula:



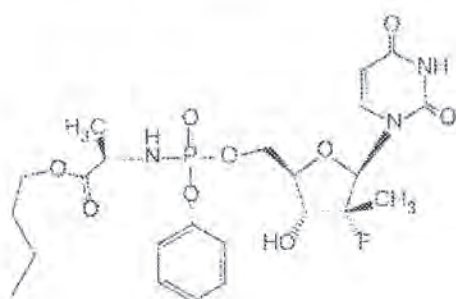
wherein

- (a) R¹ is phenyl;
- (b) R² is hydrogen;
- (c) R^{3a} is CH₃;
- (d) R^{3b} is H;
- (e) R⁴ is 'Pr, "Pr, "Bu, 2-butyl, 'Bu, or benzyl;
- (f) R⁵ is H;
- (g) R⁶ is CH₃;
- (h) X is F;
- (i) Y is OH;
- (j) R⁷ is H; and
- (k) R⁸ is H.

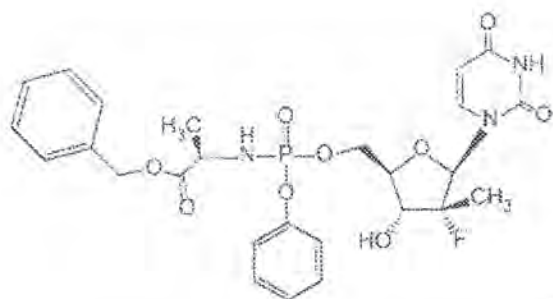
14. (Previously presented) The compound of claim 13, having the following structure:



15. (Previously presented) The compound of claim 13, having the following structure:



16. (Previously presented) The compound of claim 13, having the following structure:



17. (Previously presented) A pharmaceutical composition comprising a compound according to claim 13 and a pharmaceutically acceptable excipient.

18. (Previously presented) A method of treating HCV comprising administering a therapeutically effective amount of a compound according to claim 13 to a human subject in need thereof.

19. (Previously presented) The method of claim 18 further comprising administering to the human subject a therapeutically effective amount of a second antiviral agent.

20. (Previously presented) The method of claim 19 wherein the second antiviral agent is an NS5A inhibitor.

21. (Previously presented) The method of claim 19 wherein the second antiviral agent is an NS3 protease inhibitor.

22. (Previously presented) The method of claim 18 further comprising administering to the human subject therapeutically effective amounts of an NS5A inhibitor and an NS3 protease inhibitor.

REMARKS

Applicant respectfully requests examination of the present application in view of the following remarks.

Status of the Claims

No claims are currently being amended. Claims 13-22 are currently pending.

Non-Statutory Obviousness-Type Double Patenting

1. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-11 of U.S. Patent No. 8,906,880 (the '880 patent). The Office Action alleges that although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claims 1-11 of the '880 patent.

Applicant traverses this rejection as the instant claims are not generic to all that is recited in claims 1-11 of the '880 patent. In fact, there is no overlap of the instant claims with claims 1-11 of the '880 patent. Specifically, the claims in the instant case and the '880 patent recite different compounds having different values for X. Accordingly, Applicant submits the rejection is in error and respectfully requests withdrawal of the rejection.

2. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-2 of U.S. Patent No. 8,957,046 (the '046 patent). The Office Action alleges that although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claims 1-2 of U.S. Patent No. 8,957,046.

Applicant traverses this rejection as the instant claims are not generic to all that is recited in claims 1-2 of the '046 patent. In fact, there is no overlap of the instant claims with claims 1-2 of the '046 patent. Specifically, the claims in the instant case and the '880 patent recite compounds having different stereochemistry of the alaninyl portion. Accordingly, Applicant submits the rejection is in error and respectfully requests withdrawal of the rejection.

3. Claims 13-22 stand rejected as allegedly being unpatentable over claim 2 of U.S. Patent No. 8,735,372 (the '372 patent).

Without acquiescing to the rejection, but solely in the interest of advancing prosecution, Applicant attaches herewith a terminal disclaimer over the '372 patent. Accordingly, Applicant respectfully requests withdrawal of the rejection.

4. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-25 of U.S. Patent No. 8,334,270 (the '270 patent). The Office Action alleges that claims 1-20¹ are generic; however, the instantly claimed compounds are allegedly explicitly contemplated in Table VI of the '270 patent rendering said compounds *prima facie* obvious. Applicant submits that the Office's reliance on Table VI in making the rejection is misplaced.

See, MPEP § 804 II B1:

"...one must first "determine how much of the patent disclosure pertains to the invention claimed in the patent" because only "[t]his portion of the specification supports the patent claims and may be considered."

The Office may only use the portion of the specification that supports the claims of the '270 patent in making their obviousness-type double patenting rejection. The Office has relied upon portions of Table VI that go beyond what supports the claims of the '270 patent. This reliance is improper. Accordingly, Applicant respectfully requests withdrawal of the rejection.

5. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765 (the '765 patent).

Without acquiescing to the rejection, but solely in the interest of advancing prosecution, Applicant attaches herewith a terminal disclaimer over the '765 patent. Accordingly, Applicant respectfully requests withdrawal of the rejection.

6. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580 (the '580 patent).

Without acquiescing to the rejection, but solely in the interest of advancing prosecution, Applicant attaches herewith a terminal disclaimer over the '580 patent. Accordingly, Applicant respectfully requests withdrawal of the rejection.

¹ Applicant would appreciate clarification if the rejection is over claims 1-20 or claims 1-25 of the '270 patent.

CONCLUSION

Applicant submits that this application is in condition for allowance, and they request an early indication to this effect.

Respectfully submitted,

Date 25 September 2015

By f. F. Rozsnyai

Lawrence F. Rozsnyai
Attorney for Applicants
Registration No. 58,427
GILEAD PHARMASSET LLC
333 Lakeside Drive
Foster City, CA 94404
Telephone: (650) 577-6841

TERMINAL DISCLAIMER TO OBVIATE A DOUBLE PATENTING REJECTION OVER A "PRIOR" PATENT		Docket Number (Optional) PH3080.PC4C-TRK1
In re Application of: <u>Jinfa Du et al.</u>		
Application No.: <u>14/656,546</u>		
Filed: <u>March 12, 2015</u>		
For: <u>NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS</u>		
<p>The applicant, <u>Gilead Pharmasset LLC</u>, owner of <u>100</u> percent interest in the instant application hereby disclaims, except as provided below, the terminal part of the statutory term of any patent granted on the instant application which would extend beyond the expiration date of the full statutory term of prior patent No. <u>(see attached)</u> as the term of said prior patent is presently shortened by any terminal disclaimer. The applicant hereby agrees that any patent so granted on the instant application shall be enforceable only for and during such period that it and the prior patent are commonly owned. This agreement runs with any patent granted on the instant application and is binding upon the grantee, its successors or assigns.</p> <p>In making the above disclaimer, the applicant does not disclaim the terminal part of the term of any patent granted on the instant application that would extend to the expiration date of the full statutory term of the prior patent, "as the term of said prior patent is presently shortened by any terminal disclaimer," in the event that said prior patent later:</p> <ul style="list-style-type: none">expires for failure to pay a maintenance fee;is held unenforceable;is found invalid by a court of competent jurisdiction;is statutorily disclaimed in whole or terminally disclaimed under 37 CFR 1.321;has all claims canceled by a reexamination certificate;is reissued; oris in any manner terminated prior to the expiration of its full statutory term as presently shortened by any terminal disclaimer. <p>Check either box 1 or 2 below, if appropriate.</p> <p>1. ☐ The undersigned is the applicant. If the applicant is an assignee, the undersigned is authorized to act on behalf of the assignee.</p> <p>I hereby acknowledge that any willful false statements made are punishable under 18 U.S.C. 1001 by fine or imprisonment of not more than five (5) years, or both.</p> <p>2. ☒ The undersigned is an attorney or agent of record. Reg. No. <u>58,427</u></p> <p><u>L. F. Rozsnyai</u> <u>25 September 2015</u> Signature Date</p> <p><u>Lawrence F. Rozsnyai</u> Typed or printed name</p> <p><u>Attorney for Applicant(s)</u> <u>(650) 574-3000</u> Title Telephone Number</p> <p>☒ Terminal disclaimer fee under 37 CFR 1.20(d) included.</p> <p>WARNING: Information on this form may become public. Credit card information should not be included on this form. Provide credit card information and authorization on PTO-2038.</p>		

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.8(a)(4).	
Dated: <u>Sept 25, 2015</u>	Signature: <u>Sylvia Brennan</u> (Sylvia Brennan)

511443.1

<p style="text-align: center;">SUPPLEMENTAL SHEET FOR TERMINAL DISCLAIMER TO OBVIATE A DOUBLE PATENTING REJECTION OVER A "PRIOR" PATENT</p>	<p>Docket Number (Optional)</p> <p style="text-align: center;">PH3080.PC4C-TRK1</p>
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Prior patent Nos. applicable to this terminal disclaimer (referenced on first page).

7964580
 8334270
 8580765

Electronic Patent Application Fee Transmittal				
Application Number:		14656546		
Filing Date:		12-Mar-2015		
Title of Invention:		NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS		
First Named Inventor/Applicant Name:		Jinfa Du		
Filer:		Lawrence F. Rozsnyai/Sylvia Brennan		
Attorney Docket Number:		PH3080,PC4C-TRK1		
Filed as Large Entity				
Filing Fees for Utility under 35 USC 111(a)				
Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Basic Filing:				
Pages:				
Claims:				
Miscellaneous-Filing:				
Petition:				
Patent-Appeals-and-Interference:				
Post-Allowance-and-Post-Issuance:				
Extension-of-Time:				

Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Miscellaneous:				
Statutory or Terminal Disclaimer	1814	3	160	480
Total in USD (\$)				480

Electronic Acknowledgement Receipt	
EFS ID:	23609165
Application Number:	14656546
International Application Number:	
Confirmation Number:	4415
Title of Invention:	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
First Named Inventor/Applicant Name:	Jinfa Du
Customer Number:	124963
Filer:	Lawrence F. Rozsnyai/Sylvia Brennan
Filer Authorized By:	Lawrence F. Rozsnyai
Attorney Docket Number:	PH3080.PC4C-TRK1
Receipt Date:	25-SEP-2015
Filing Date:	12-MAR-2015
Time Stamp:	16:00:10
Application Type:	Utility under 35 USC 111(a)

Payment information:

Submitted with Payment	yes
Payment Type	Deposit Account
Payment was successfully received in RAM	\$ 480
RAM confirmation Number	2845
Deposit Account	506480
Authorized User	ROZSNYAI, LAWRENCE F.
<p>The Director of the USPTO is hereby authorized to charge indicated fees and credit any overpayment as follows:</p> <p>Charge any Additional Fees required under 37 C.F.R. Section 1.16 (National application filing, search, and examination fees)</p> <p>Charge any Additional Fees required under 37 C.F.R. Section 1.17 (Patent application and reexamination processing fees)</p>	

Charge any Additional Fees required under 37 C.F.R. Section 1.19 (Document supply fees)

Charge any Additional Fees required under 37 C.F.R. Section 1.20 (Post Issuance fees)

Charge any Additional Fees required under 37 C.F.R. Section 1.21 (Miscellaneous fees and charges)

File Listing:

Document Number	Document Description	File Name	File Size(Bytes)/ Message Digest	Multi Part /.zip	Pages (if appl.)
1	Amendment Copy Claims/Response to Suggested Claims	PH3080PC4CTRK1_2015_09_25_RespondetoOA_AsFiled.pdf	204800 55(c6a23f8b6d176b6893f05aa10a2b5d0a1d2d11)	no	7

Warnings:

Information:

2	Terminal Disclaimer Filed	PH3080PC4CTRK1_2015_09_25_TerminalDisclaimer.pdf	73503 a8549a9c3c8b6d6772f296b015976c778d53056c11	no	2
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Warnings:

Information:

3	Fee Worksheet (SB06)	fee-info.pdf	30680 c32011f86a31e0f6a3a89e9945f6a1a28c087e0	no	2
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Warnings:

Information:

Total Files Size (in bytes): 308983

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New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it displays a valid OMB control number.

PATENT APPLICATION FEE DETERMINATION RECORD Substitute for Form PTO-875					Application or Docket Number 14/656,546	Filing Date 03/12/2015	☐ To be Mailed	
ENTITY: ☒ LARGE ☐ SMALL ☐ MICRO								
APPLICATION AS FILED – PART I								
(Column 1)		(Column 2)						
FOR	NUMBER FILED	NUMBER EXTRA			RATE (\$)	FEE (\$)		
☐ BASIC FEE <small>(37 CFR 1.16(a), (b), or (c))</small>	N/A	N/A			N/A			
☐ SEARCH FEE <small>(37 CFR 1.16(k), (l), or (m))</small>	N/A	N/A			N/A			
☐ EXAMINATION FEE <small>(37 CFR 1.16(o), (p), or (q))</small>	N/A	N/A			N/A			
TOTAL CLAIMS <small>(37 CFR 1.16(i))</small>	minus 20 =	+			X \$	=		
INDEPENDENT CLAIMS <small>(37 CFR 1.16(h))</small>	minus 3 =	+			X \$	=		
☐ 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).							
☐ 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			
APPLICATION AS AMENDED – PART II								
(Column 1)		(Column 2)		(Column 3)				
AMENDMENT	09/25/2015	CLAIMS REMAINING AFTER AMENDMENT		HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE (\$)	ADDITIONAL FEE (\$)	
	Total (37 CFR 1.16(i))	+ 10	Minus	** 20	= 0	X \$80 =	0	
	Independent (37 CFR 1.16(h))	+ 2	Minus	*** 3	= 0	X \$420 =	0	
	☐ Application Size Fee (37 CFR 1.16(s))							
	☐ FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))							
TOTAL ADD'L FEE						0		
(Column 1)		(Column 2)		(Column 3)				
AMENDMENT		CLAIMS REMAINING AFTER AMENDMENT		HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE (\$)	ADDITIONAL FEE (\$)	
	Total (37 CFR 1.16(i))	+	Minus	**	=	X \$	=	
	Independent (37 CFR 1.16(h))	+	Minus	***	=	X \$	=	
	☐ Application Size Fee (37 CFR 1.16(s))							
	☐ FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))							
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.								

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.**

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

Application Number 	Application/Control No. 14/656,546	Applicant(s)/Patent under Reexamination DU ET AL.
Document Code - DISQ		Internal Document – DO NOT MAIL

TERMINAL DISCLAIMER	☐ APPROVED	☒ DISAPPROVED
Date Filed : 9/25/15	This patent is subject to a Terminal Disclaimer	

Approved/Disapproved by:

Td disapproved.

he terminal disclaimer identifies a party who is not the applicant (only for applications filed on or after September 16, 2012; See FP 14.26.10):

For cases filed on/after 9/16/12, 37 CFR 1.321 specifies that the applicant can disclaim, and the terminal disclaimer must specify the extent of the applicant's ownership.:

A request under 37 CFR 1.46(c) to change the applicant needs to be filed, which is (1) a request, signed by a 1.33(b) party, (2) a corrected ADS (37 CFR 1.76(c)) that identifies the "new" applicant in the applicant information, and is underlined since it is new, and (3) a 3.73(c) statement showing chain of title to the new applicant. Along with the § 1.46(c) request we need a POA that gives power to the attorney who is signing the TD, along with another copy of the TD, unless they file a TD that is signed by the applicant.

Please note the TDs must be re-filed. No new fees are due.

Lawana Hixon



UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1	4415
124963	7590	10/26/2015	EXAMINER	
Gilead Pharmasset LLC c/o Gilead Sciences, Inc. 333 Lakeside Drive Foster City, CA 94404			LEWIS, PATRICK T	
			ART UNIT	PAPER NUMBER
			1672	
			NOTIFICATION DATE	DELIVERY MODE
			10/26/2015	ELECTRONIC

Please find below and/or attached an Office communication concerning this application or proceeding.

The time period for reply, if any, is set in the attached communication.

Notice of the Office communication was sent electronically on above-indicated "Notification Date" to the following e-mail address(es):

ipdocketing@gilead.com

Office Action Summary	Application No. 14/656,546	Applicant(s) DU ET AL.	
	Examiner PATRICK LEWIS	Art Unit 1672	AIA (First Inventor to File) Status No

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --

Period for Reply

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTHS FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133). Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

Status

- 1) ☒ Responsive to communication(s) filed on September 25, 2015.
☐ A declaration(s)/affidavit(s) under **37 CFR 1.130(b)** was/were filed on ____.
- 2a) ☐ This action is **FINAL**. 2b) ☒ This action is non-final.
- 3) ☐ An election was made by the applicant in response to a restriction requirement set forth during the interview on ____; the restriction requirement and election have been incorporated into this action.
- 4) ☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

Disposition of Claims*

- 5) ☒ Claim(s) 13-22 is/are pending in the application.
5a) Of the above claim(s) ____ is/are withdrawn from consideration.
- 6) ☐ Claim(s) ____ is/are allowed.
- 7) ☒ Claim(s) 13-22 is/are rejected.
- 8) ☐ Claim(s) ____ is/are objected to.
- 9) ☐ Claim(s) ____ are subject to restriction and/or election requirement.

* If any claims have been determined allowable, you may be eligible to benefit from the **Patent Prosecution Highway** program at a participating intellectual property office for the corresponding application. For more information, please see http://www.uspto.gov/patents/init_events/pph/index.jsp or send an inquiry to PPHfeedback@uspto.gov.

Application Papers

- 10) ☐ The specification is objected to by the Examiner.
- 11) ☐ The drawing(s) filed on ____ is/are: a) ☐ accepted or b) ☐ objected to by the Examiner.
Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).
Replacement drawing sheet(s) including the correction is required if the drawing(s) is objected to. See 37 CFR 1.121(d).

Priority under 35 U.S.C. § 119

- 12) ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).

Certified copies:

- a) ☐ All b) ☐ Some** c) ☐ None of the:
1. ☐ Certified copies of the priority documents have been received.
2. ☐ Certified copies of the priority documents have been received in Application No. ____.
3. ☐ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

** See the attached detailed Office action for a list of the certified copies not received.

Attachment(s)

- 1) ☐ Notice of References Cited (PTO-892)
- 2) ☐ Information Disclosure Statement(s) (PTO/SB/08a and/or PTO/SB/08b)
Paper No(s)/Mail Date ____
- 3) ☐ Interview Summary (PTO-413)
Paper No(s)/Mail Date ____
- 4) ☐ Other: ____

DETAILED ACTION

1. The present application is being examined under the pre-AIA first to invent provisions.

Applicant's Response Dated September 25, 2015

2. Claims 13-22 are pending. An action on the merits of claims 13-22 is contained herein below.

3. The rejection of 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-11 of U.S. Patent No. 8,96,880 has been withdrawn in view of applicant's arguments dated September 25, 2015.

4. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-2 of U.S. Patent No. 8,957,046 has been withdrawn in view of applicant's arguments dated September 25, 2015.

5. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claim 2 of U.S. Patent No. 8,735,372 is maintained for the reasons of record set forth in the Office Action dated June 25, 2015.

6. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-25 of U.S. Patent No. 8,334,270 has been withdrawn in view of applicant's arguments dated September 25, 2015.

7. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765 is maintained for the reasons of record set forth in the Office Action dated June 25, 2015.

8. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580 is maintained for the reasons of record set forth in the Office Action dated June 25, 2015.

Rejections of Record Set Forth in the Office Action Dated June 25, 2015

9. The text of those sections of Title 35, U.S. Code not included in this action can be found in a prior Office action.

10. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claim 2 of U.S. Patent No. 8,735,372.

Claims 13-14 and 17-22 are either anticipated by, or would have been obvious over, the reference claim(s). Although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claim 2 of U.S. Patent No. 8,957,046. The compounds of instant claims 15-16 are explicitly contemplated in Table VI of the '372 patent rendering said compounds prima facie obvious.

11. The Terminal Disclaimer (TD) filed September 25, 2015 has been noted; however, the TD is DISAPPROVED.

The terminal disclaimer identifies a party who is not the applicant (only for applications filed on or after September 16, 2012; See FP 14.26.10):

For cases filed on/after 9/16/12, 37 CFR 1.321 specifies that the applicant can disclaim, and the terminal disclaimer must specify the extent of the applicant's ownership.:

A request under 37 CFR 1.46(c) to change the applicant needs to be filed, which is (1) a request, signed by a 1.33(b) party, (2) a corrected ADS (37 CFR 1.76(c)) that identifies the "new" applicant in the applicant information, and is underlined since it is new, and (3) a 3.73(c) statement showing chain of title to the new applicant. Along with the § 1.46(c) request we need a POA that gives power to the attorney who is signing the TD, along with another copy of the TD, unless they file a TD that is signed by the applicant.

Please note the TDs must be re-filed. No new fees are due.

12. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765.

It is noted that claims 1-14 of U.S. Patent No. 8,580,765 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '765 patent rendering said compounds prima facie obvious.

13. The Terminal Disclaimer (TD) filed September 25, 2015 has been noted; however, the TD is DISAPPROVED.

The terminal disclaimer identifies a party who is not the applicant (only for applications filed on or after September 16, 2012; See FP 14.26.10):

For cases filed on/after 9/16/12, 37 CFR 1.321 specifies that the applicant can disclaim, and the terminal disclaimer must specify the extent of the applicant's ownership.:

A request under 37 CFR 1.46(c) to change the applicant needs to be filed, which is (1) a request, signed by a 1.33(b) party, (2) a corrected ADS (37 CFR 1.76(c)) that identifies the "new" applicant in the applicant information, and is underlined since it is new, and (3) a 3.73(c) statement showing chain of title to the new applicant. Along with the § 1.46(c) request we need a POA that gives power to the attorney who is signing the TD, along with another copy of the TD, unless they file a TD that is signed by the applicant.

Please note the TDs must be re-filed. No new fees are due.

14. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580.

It is noted that claims 1-14 of U.S. Patent No. 7,964,580 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '580 patent rendering said compounds prima facie obvious.

15. The Terminal Disclaimer (TD) filed September 25, 2015 has been noted; however, the TD is DISAPPROVED.

The terminal disclaimer identifies a party who is not the applicant (only for applications filed on or after September 16, 2012; See FP 14.26.10):

For cases filed on/after 9/16/12, 37 CFR 1.321 specifies that the applicant can disclaim, and the terminal disclaimer must specify the extent of the applicant's ownership.:

A request under 37 CFR 1.46(c) to change the applicant needs to be filed, which is (1) a request, signed by a 1.33(b) party, (2) a corrected ADS (37 CFR 1.76(c)) that identifies the "new" applicant in the applicant information, and is underlined since it is new, and (3) a 3.73(c) statement showing chain of title to the new applicant. Along with the § 1.46(c) request we need a POA that gives power to the attorney who is signing the TD, along with another copy of the TD, unless they file a TD that is signed by the applicant.

Please note the TDs must be re-filed. No new fees are due.

Double Patenting

16. The nonstatutory double patenting rejection is based on a judicially created doctrine grounded in public policy (a policy reflected in the statute) so as to prevent the unjustified or improper timewise extension of the "right to exclude" granted by a patent and to prevent possible harassment by multiple assignees. A nonstatutory double patenting rejection is appropriate where the claims at issue are not identical, but at least

one examined application claim is not patentably distinct from the reference claim(s) because the examined application claim is either anticipated by, or would have been obvious over, the reference claim(s). See, e.g., *In re Berg*, 140 F.3d 1428, 46 USPQ2d 1226 (Fed. Cir. 1998); *In re Goodman*, 11 F.3d 1046, 29 USPQ2d 2010 (Fed. Cir. 1993); *In re Longi*, 759 F.2d 887, 225 USPQ 645 (Fed. Cir. 1985); *In re Van Ornum*, 686 F.2d 937, 214 USPQ 761 (CCPA 1982); *In re Vogel*, 422 F.2d 438, 164 USPQ 619 (CCPA 1970); and *In re Thorington*, 418 F.2d 528, 163 USPQ 644 (CCPA 1969).

A timely filed terminal disclaimer in compliance with 37 CFR 1.321(c) or 1.321(d) may be used to overcome an actual or provisional rejection based on a nonstatutory double patenting ground provided the reference application or patent either is shown to be commonly owned with this application, or claims an invention made as a result of activities undertaken within the scope of a joint research agreement. See MPEP § 717.02 for applications subject to examination under the first inventor to file provisions of the AIA as explained in MPEP § 2159. See MPEP §§ 706.02(l)(1) - 706.02(l)(3) for applications not subject to examination under the first inventor to file provisions of the AIA. A terminal disclaimer must be signed in compliance with 37 CFR 1.321(b).

The USPTO Internet website contains terminal disclaimer forms which may be used. Please visit www.uspto.gov/forms/. The filing date of the application in which the form is filed determines what form (e.g., PTO/SB/25, PTO/SB/26, PTO/AIA/25, or PTO/AIA/26) should be used. A web-based eTerminal Disclaimer may be filled out completely online using web-screens. An eTerminal Disclaimer that meets all requirements is auto-processed and approved immediately upon submission. For more

information about eTerminal Disclaimers, refer to
<http://www.uspto.gov/patents/process/file/efs/guidance/eTD-info-I.jsp>.

17. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-2 of U.S. Patent No. 8,957,046 B2. Although the claims at issue are not identical, they are not patentably distinct from each other because the compounds in question are stereoisomers, and the instant compound(s) and the compound of the '046 patent are both useful for treating hepatitis C virus.

A *prima facie* case of obviousness may be made when chemical compounds have very close structural similarities and similar utilities. "An obviousness rejection based on similarity in chemical structure and function entails the motivation of one skilled in the art to make a claimed compound, in the expectation that compounds similar in structure will have similar properties." *In re Payne*, 606 F.2d 303, 313, 203 USPQ 245, 254 (CCPA 1979).

Compounds which are position isomers (compounds having the same radicals in physically different positions on the same nucleus) or homologs (compounds differing regularly by the successive addition of the same chemical group, e.g., by -CH₂- groups) are generally of sufficiently close structural similarity that there is a presumed expectation that such compounds possess similar properties. *In re Wilder*, 563 F.2d 457, 195 USPQ 426 (CCPA 1977). See also *In re May*, 574 F.2d 1082, 197 USPQ 601 (CCPA 1978) (stereoisomers *prima facie* obvious)

Conclusion

18. Claims 13-22 are pending. Claims 13-22 are rejected. No claims are allowed.

Contacts

Any inquiry concerning this communication or earlier communications from the examiner should be directed to PATRICK LEWIS whose telephone number is (571)272-0655. The examiner can normally be reached on Monday - Thursday 10 am to 3 pm (Maxi Flex).

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Brandon Fetterolf can be reached on 571-272-2919. The fax phone number for the organization where this application or proceeding is assigned is 571-273-8300.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see <http://pair-direct.uspto.gov>. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free). If you would like assistance from a USPTO Customer Service Representative or access to the automated information system, call 800-786-9199 (IN USA OR CANADA) or 571-272-1000.

/PATRICK LEWIS/
Primary Examiner, Art Unit 1672

/PL/

Index of Claims 	Application/Control No. 14656546	Applicant(s)/Patent Under Reexamination DU ET AL.
	Examiner PATRICK LEWIS	Art Unit 1672

✓	Rejected	-	Cancelled	N	Non-Elected	A	Appeal
=	Allowed	÷	Restricted	I	Interference	O	Objected

☐ Claims renumbered in the same order as presented by applicant				☐ CPA		☐ T.D.		☐ R.1.47	
CLAIM		DATE							
Final	Original	06/21/2015	10/20/2015						
	1	-	-						
	2	-	-						
	3	-	-						
	4	-	-						
	5	-	-						
	6	-	-						
	7	-	-						
	8	-	-						
	9	-	-						
	10	-	-						
	11	-	-						
	12	-	-						
	13	✓	✓						
	14	✓	✓						
	15	✓	✓						
	16	✓	✓						
	17	✓	✓						
	18	✓	✓						
	19	✓	✓						
	20	✓	✓						
	21	✓	✓						
	22	✓	✓						

Search Notes 	Application/Control No. 14656546	Applicant(s)/Patent Under Reexamination DU ET AL.
	Examiner PATRICK LEWIS	Art Unit 1672

CPC- SEARCHED		
Symbol	Date	Examiner

CPC COMBINATION SETS - SEARCHED		
Symbol	Date	Examiner

US CLASSIFICATION SEARCHED			
Class	Subclass	Date	Examiner

SEARCH NOTES		
Search Notes	Date	Examiner
Inventor, REGISTRY, CAPLUS, MEDLINE (see attached)	6/21/2015	/PL/
Updated Inventor, REGISTRY, CAPLUS, MEDLINE searches	10/20/2015	/PL/

INTERFERENCE SEARCH			
US Class/ CPC Symbol	US Subclass / CPC Group	Date	Examiner

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TERMINAL DISCLAIMER TO OBVIATE A DOUBLE PATENTING REJECTION OVER A "PRIOR" PATENT		Docket Number (Optional) PH3080.PC4C-TRK1
In re Application of: <u>Gilead Pharmasset LLC</u>		
Application No.: <u>14/656,546</u>		
Filed: <u>March 12, 2015</u>		
For: <u>NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS</u>		
<p>The applicant, <u>Gilead Pharmasset LLC</u>, owner of <u>100</u> percent interest in the instant application hereby disclaims, except as provided below, the terminal part of the statutory term of any patent granted on the instant application which would extend beyond the expiration date of the full statutory term of prior patent No. <u>(see attached)</u> as the term of said prior patent is presently shortened by any terminal disclaimer. The applicant hereby agrees that any patent so granted on the instant application shall be enforceable only for and during such period that it and the prior patent are commonly owned. This agreement runs with any patent granted on the instant application and is binding upon the grantee, its successors or assigns.</p> <p>In making the above disclaimer, the applicant does not disclaim the terminal part of the term of any patent granted on the instant application that would extend to the expiration date of the full statutory term of the prior patent, "as the term of said prior patent is presently shortened by any terminal disclaimer," in the event that said prior patent later:</p> <ul style="list-style-type: none">expires for failure to pay a maintenance fee;is held unenforceable;is found invalid by a court of competent jurisdiction;is statutorily disclaimed in whole or terminally disclaimed under 37 CFR 1.321;has all claims canceled by a reexamination certificate;is reissued; oris in any manner terminated prior to the expiration of its full statutory term as presently shortened by any terminal disclaimer.		
Check either box 1 or 2 below, if appropriate:		
1. ☐ The undersigned is the applicant. If the applicant is an assignee, the undersigned is authorized to act on behalf of the assignee.		
I hereby acknowledge that any willful false statements made are punishable under 18 U.S.C. 1001 by fine or imprisonment of not more than five (5) years, or both.		
2. ☒ The undersigned is an attorney or agent of record. Reg. No. <u>58,427</u>		
<u>Lawrence F. Rozsnyai</u> Signature		<u>19 January 2016</u> Date
<u>Lawrence F. Rozsnyai</u> Typed or printed name		
<u>Attorney for Applicant</u> Title		<u>(650) 574-3000</u> Telephone Number
☐ Terminal disclaimer fee under 37 CFR 1.20(d) included.		
WARNING: Information on this form may become public. Credit card information should not be included on this form. Provide credit card information and authorization on PTO-2036.		

<p style="text-align: center;">SUPPLEMENTAL SHEET FOR TERMINAL DISCLAIMER TO OBVIATE A DOUBLE PATENTING REJECTION OVER A "PRIOR" PATENT</p>	<p>Docket Number (Optional)</p> <p>PH3080.PC4C-TRK1</p>
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Prior patent Nos. applicable to this terminal disclaimer (referenced on first page):

7964580
 8735372
 8580765

STATEMENT UNDER 37 CFR 3.73(c)

Applicant/Patent Owner: Gilead Pharmasset LLC
Application No./Patent No.: 14/856,546 Filed/Issue Date: March 12, 2015
Titled: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Gilead Pharmasset LLC, a limited liability company
(Name of Assignee) (Type of Assignee, e.g., corporation, partnership, university, government agency, etc.)

states that, for the patent application/patent identified above, it is (choose one of options 1, 2, 3 or 4 below):

1. ☒ The assignee of the entire right, title, and interest.
2. ☐ An assignee of less than the entire right, title, and interest (check applicable box):
- ☐ The extent (by percentage) of its ownership interest is _____ (%). Additional Statement(s) by the owners holding the balance of the interest must be submitted to account for 100% of the ownership interest.
- ☐ There are unspecified percentages of ownership. The other parties, including inventors, who together own the entire right, title and interest are:

Additional Statement(s) by the owner(s) holding the balance of the interest must be submitted to account for the entire right, title, and interest.

3. ☐ The assignee of an undivided interest in the entirety (a complete assignment from one of the joint inventors was made). The other parties, including inventors, who together own the entire right, title, and interest are:

Additional Statement(s) by the owner(s) holding the balance of the interest must be submitted to account for the entire right, title, and interest.

4. ☐ The recipient, via a court proceeding or the like (e.g., bankruptcy, probate), of an undivided interest in the entirety (a complete transfer of ownership interest was made). The certified document(s) showing the transfer is attached.

The interest identified in option 1, 2 or 3 above (not option 4) is evidenced by either (choose one of options A or B below):

- A. ☐ An assignment from the inventor(s) of the patent application/patent identified above. The assignment was recorded in the United States Patent and Trademark Office at Reel _____, Frame _____, or for which a copy thereof is attached.
- B. ☒ A chain of title from the inventor(s), of the patent application/patent identified above, to the current assignee as follows:

1. From: Du et al. To: Pharmasset, Inc.
The document was recorded in the United States Patent and Trademark Office at
Reel 035351, Frame 0087, or for which a copy thereof is attached.

2. From: Pharmasset, Inc. To: Gilead Pharmasset LLC
The document was recorded in the United States Patent and Trademark Office at
Reel 035386, Frame 0358, or for which a copy thereof is attached.

STATEMENT UNDER 37 CFR 3.73(c)

3. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at
Reel _____, Frame _____, or for which a copy thereof is attached.

4. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at
Reel _____, Frame _____, or for which a copy thereof is attached.

5. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at
Reel _____, Frame _____, or for which a copy thereof is attached.

6. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at
Reel _____, Frame _____, or for which a copy thereof is attached.☐ Additional documents in the chain of title are listed on a supplemental sheet(s).☒ As required by 37 CFR 3.73(c)(1)(i), the documentary evidence of the chain of title from the original owner to the assignee was, or concurrently is being, submitted for recordation pursuant to 37 CFR 3.11.

(NOTE: A separate copy (i.e., a true copy of the original assignment document(s)) must be submitted to Assignment Division in accordance with 37 CFR Part 3, to record the assignment in the records of the USPTO. See MPEP 302.08)

The undersigned (whose title is supplied below) is authorized to act on behalf of the assignee.

Signature

Lawrence F. Rozsnyai

Date

19 January 2016

Printed or Typed Name
Lawrence F. RozsnyaiTitle or Registration Number
58,427

Electronic Patent Application Fee Transmittal				
Application Number:		14656546		
Filing Date:		12-Mar-2015		
Title of Invention:		NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS		
First Named Inventor/Applicant Name:		Jinfa Du		
Filer:		Lawrence F. Rozsnyai/Sylvia Brennan		
Attorney Docket Number:		PH3080.PC4C-TRK1		
Filed as Large Entity				
Filing Fees for Utility under 35 USC 111(a)				
Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Basic Filing:				
Pages:				
Claims:				
Miscellaneous-Filing:				
Petition:				
Patent-Appeals-and-Interference:				
Post-Allowance-and-Post-Issuance:				
Extension-of-Time:				

Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Miscellaneous:				
Statutory or Terminal Disclaimer	1814	1	160	160
Total in USD (\$)				160

Electronic Acknowledgement Receipt	
EFS ID:	24662890
Application Number:	14656546
International Application Number:	
Confirmation Number:	4415
Title of Invention:	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
First Named Inventor/Applicant Name:	Jinfa Du
Customer Number:	124963
Filer:	Lawrence F. Rozsnyai/Sylvia Brennan
Filer Authorized By:	Lawrence F. Rozsnyai
Attorney Docket Number:	PH3080.PC4C-TRK1
Receipt Date:	19-JAN-2016
Filing Date:	12-MAR-2015
Time Stamp:	17:23:23
Application Type:	Utility under 35 USC 111(a)

Payment information:

Submitted with Payment	yes
Payment Type	Deposit Account
Payment was successfully received in RAM	\$ 160
RAM confirmation Number	4808
Deposit Account	506480
Authorized User	ROZSNYAI, LAWRENCE F.
<p>The Director of the USPTO is hereby authorized to charge indicated fees and credit any overpayment as follows:</p> <p>Charge any Additional Fees required under 37 CFR 1.16 (National application filing, search, and examination fees)</p> <p>Charge any Additional Fees required under 37 CFR 1.17 (Patent application and reexamination processing fees)</p>	

Charge any Additional Fees required under 37 CFR 1.19 (Document supply fees)
 Charge any Additional Fees required under 37 CFR 1.20 (Post Issuance fees)
 Charge any Additional Fees required under 37 CFR 1.21 (Miscellaneous fees and charges)

File Listing:

Document Number	Document Description	File Name	File Size(Bytes)/ Message Digest	Multi Part /.zip	Pages (if appl.)
1	Amendment/Req. Reconsideration-After Non-Final Reject	PH3080PC4CTRK1_2016_01_19_RsptoOA_AsFiled.PDF	175336 a973c2d94e283e61153390b9c28900ed90da82	no	6

Warnings:

Information:

2	Terminal Disclaimer Filed	PH3080PC4CTRK1_2016-01-19_TerminalDisclaimer_asFiled.PDF	67009 j362044230a61a07ef06c3a5f9435119bf41e97	no	2
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Warnings:

Information:

3	Assignee showing of ownership per 37 CFR 3.73	PH3080PC4CTRK1_2016-01-19_373Form_asFiled.PDF	101307 7a82e9217af12800d10a6c59d31e5c16a74f0a00	no	2
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Warnings:

Information:

4	Fee Worksheet (SB06)	fee-info.pdf	30596 f55f6cef5fa0d090a9ff5ec189975032b67a5e	no	2
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Warnings:

Information:

Total Files Size (in bytes): 374248

This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.8(a)(4).

Dated: Jan 19, 2016

Signature: [Signature]

(Sylvia Brexgah)

Docket No.: PH3080,PC4C-TRK1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jinfa Du et al.

Application No.: 14/656,546

Confirmation No.: 4415

Filed: March 12, 2015

Art Unit: 1672

For: NUCLEOSIDE PHOSPHORAMIDATE
PRODRUGS

Examiner: P. T. Lewis

**AMENDMENT IN RESPONSE TO NON-FINAL OFFICE ACTION UNDER 37 C.F.R. §
1.111**

MS Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Sir:

INTRODUCTORY COMMENTS

In response to the Office Action dated October 26, 2015, please amend the above-identified U.S. patent application as follows:

Amendments to the Claims begin on page 2 of this paper.

Remarks/Arguments begin on page 5 of this paper.

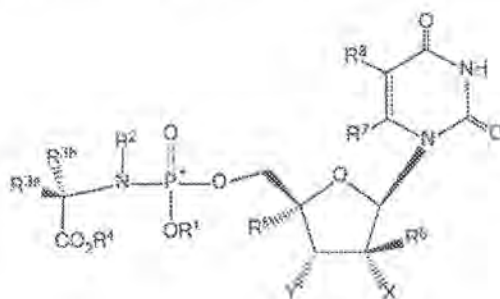
Please amend the application as follows:

552907.1

AMENDMENTS TO THE CLAIMSListing of Claims:

1. - 12. (Canceled).

13. (Previously presented) A compound represented by the following formula:

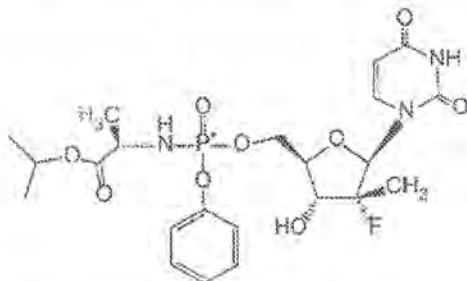


wherein

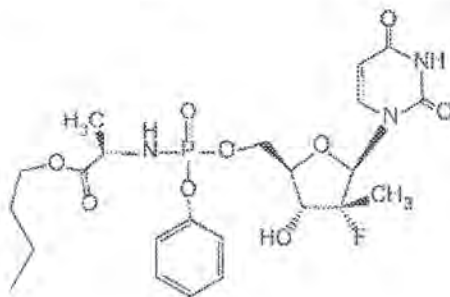
- (a) R¹ is phenyl;
- (b) R² is hydrogen;
- (c) R^{3a} is CH₃;
- (d) R^{3b} is H;
- (e) R⁴ is 'Pr, "Pr, "Bu, 2-butyl, 'Bu, or benzyl;
- (f) R⁵ is H;
- (g) R⁶ is CH₃;
- (h) X is F;
- (i) Y is OH;
- (j) R⁷ is H; and

(k) R^8 is H.

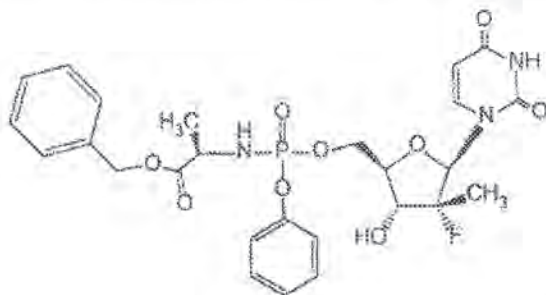
14. (Previously presented) The compound of claim 13, having the following structure:



15. (Previously presented) The compound of claim 13, having the following structure:



16. (Previously presented) The compound of claim 13, having the following structure:



17. (Previously presented) A pharmaceutical composition comprising a compound according to claim 13 and a pharmaceutically acceptable excipient.

18. (Previously presented) A method of treating HCV comprising administering a therapeutically effective amount of a compound according to claim 13 to a human subject in need thereof.

19. (Previously presented) The method of claim 18 further comprising administering to the human subject a therapeutically effective amount of a second antiviral agent.

20. (Previously presented) The method of claim 19 wherein the second antiviral agent is an NS5A inhibitor.

21. (Previously presented) The method of claim 19 wherein the second antiviral agent is an NS3 protease inhibitor.

22. (Previously presented) The method of claim 18 further comprising administering to the human subject therapeutically effective amounts of an NS5A inhibitor and an NS3 protease inhibitor.

REMARKS

Applicant respectfully requests examination of the present application in view of the following remarks.

Status of the Claims

No claims are currently being amended. Claims 13-22 are currently pending.

Non-Statutory Obviousness-Type Double Patenting

Applicant acknowledges with thanks that the Office has withdrawn the obviousness-type double patent rejections over U.S. Patents 8,906,880; 8,957,046; and 8,334,270.

1. Claims 13-22 stand rejected as allegedly being unpatentable over claim 2 of U.S. Patent No. 8,735,372 (the '372 patent).

Applicant notes that the terminal disclaimer submitted with the last response was disapproved.¹ Without acquiescing to the rejection, but solely in the interest of advancing prosecution, Applicant attaches herewith a terminal disclaimer over the '372 patent. Accordingly, Applicant respectfully requests withdrawal of the rejection.

2. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765 (the '765 patent).

Applicant notes that the terminal disclaimer submitted with the last response was disapproved. Without acquiescing to the rejection, but solely in the interest of advancing prosecution, Applicant attaches herewith a terminal disclaimer over the '765 patent. Accordingly, Applicant respectfully requests withdrawal of the rejection.

¹ Applicant also notes that the previously submitted but disapproved terminal disclaimer inadvertently listed an incorrect patent number. The previously submitted terminal disclaimer inadvertently listed U.S. Patent 8,334,270. However, Applicant did not and does not intend to disclaim over the '270 patent and submits that inclusion of this patent on the terminal disclaimer was a typographical error.

3. Claims 13-22 stand rejected as allegedly being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580 (the '580 patent).

Applicant notes that the terminal disclaimer submitted with the last response was disapproved. Without acquiescing to the rejection, but solely in the interest of advancing prosecution, Applicant attaches herewith a terminal disclaimer over the '580 patent. Accordingly, Applicant respectfully requests withdrawal of the rejection.

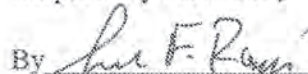
CONCLUSION

Applicant submits that this application is in condition for allowance, and they request an early indication to this effect.

Dated: 19 January 2016

Respectfully submitted,

By



Lawrence F. Rozsnyai

Registration No.: 58,427

GILEAD SCIENCES, INC.

333 Lakeside Drive

Foster City, California 94404

(650) 577-6841

Attorney For Applicant

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it displays a valid OMB control number.

PATENT APPLICATION FEE DETERMINATION RECORD Substitute for Form PTO-875					Application or Docket Number 14/656,546	Filing Date 03/12/2015	☐ To be Mailed
ENTITY: ☒ LARGE ☐ SMALL ☐ MICRO							
APPLICATION AS FILED – PART I							
(Column 1)		(Column 2)					
FOR	NUMBER FILED	NUMBER EXTRA			RATE (\$)	FEE (\$)	
☐ BASIC FEE <small>(37 CFR 1.16(a), (b), or (c))</small>	N/A	N/A			N/A		
☐ SEARCH FEE <small>(37 CFR 1.16(k), (l), or (m))</small>	N/A	N/A			N/A		
☐ EXAMINATION FEE <small>(37 CFR 1.16(o), (p), or (q))</small>	N/A	N/A			N/A		
TOTAL CLAIMS <small>(37 CFR 1.16(i))</small>	minus 20 =	+			X \$	=	
INDEPENDENT CLAIMS <small>(37 CFR 1.16(h))</small>	minus 3 =	+			X \$	=	
☐ 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).						
☐ 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		
APPLICATION AS AMENDED – PART II							
(Column 1)		(Column 2)		(Column 3)			
AMENDMENT	01/19/2016	CLAIMS REMAINING AFTER AMENDMENT		HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE (\$)	ADDITIONAL FEE (\$)
	Total (37 CFR 1.16(i))	+ 10	Minus	** 20	= 0	X \$80 =	0
	Independent (37 CFR 1.16(h))	+ 1	Minus	*** 3	= 0	X \$420 =	0
	☐ Application Size Fee (37 CFR 1.16(s))						
	☐ FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))						
TOTAL ADD'L FEE						0	
(Column 1)		(Column 2)		(Column 3)			
AMENDMENT		CLAIMS REMAINING AFTER AMENDMENT		HIGHEST NUMBER PREVIOUSLY PAID FOR	PRESENT EXTRA	RATE (\$)	ADDITIONAL FEE (\$)
	Total (37 CFR 1.16(i))	+	Minus	**	=	X \$	=
	Independent (37 CFR 1.16(h))	+	Minus	***	=	X \$	=
	☐ Application Size Fee (37 CFR 1.16(s))						
	☐ FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))						
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.							

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.**

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

Application Number 	Application/Control No. 14/656,546	Applicant(s)/Patent under Reexamination DU ET AL.	
Document Code - DISQ		Internal Document – DO NOT MAIL	

TERMINAL DISCLAIMER	☐ APPROVED	☒ DISAPPROVED
Date Filed : 1/19/16	This patent is subject to a Terminal Disclaimer	

Approved/Disapproved by:
Need a POA Jean Proctor

U.S. Patent and Trademark Office



UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1	4415
124963	759(i)	04/14/2016	EXAMINER	
Gilead Pharmasset LLC c/o Gilead Sciences, Inc. 333 Lakeside Drive Foster City, CA 94404			LEWIS, PATRICK T	
			ART UNIT	PAPER NUMBER
			1672	
			NOTIFICATION DATE	DELIVERY MODE
			04/14/2016	ELECTRONIC

Please find below and/or attached an Office communication concerning this application or proceeding.

The time period for reply, if any, is set in the attached communication.

Notice of the Office communication was sent electronically on above-indicated "Notification Date" to the following e-mail address(es):

ipdocketing@gilead.com

Office Action Summary	Application No. 14/656,546	Applicant(s) DU ET AL.	
	Examiner PATRICK LEWIS	Art Unit 1672	AIA (First Inventor to File) Status No

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --

Period for Reply

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTHS FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133). Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

Status

- 1) ☒ Responsive to communication(s) filed on January 19, 2016.
☐ A declaration(s)/affidavit(s) under **37 CFR 1.130(b)** was/were filed on ____.
- 2a) ☒ This action is **FINAL**. 2b) ☐ This action is non-final.
- 3) ☐ An election was made by the applicant in response to a restriction requirement set forth during the interview on ____; the restriction requirement and election have been incorporated into this action.
- 4) ☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

Disposition of Claims*

- 5) ☒ Claim(s) 13-22 is/are pending in the application.
5a) Of the above claim(s) ____ is/are withdrawn from consideration.
- 6) ☐ Claim(s) ____ is/are allowed.
- 7) ☒ Claim(s) 13-22 is/are rejected.
- 8) ☐ Claim(s) ____ is/are objected to.
- 9) ☐ Claim(s) ____ are subject to restriction and/or election requirement.

* If any claims have been determined allowable, you may be eligible to benefit from the **Patent Prosecution Highway** program at a participating intellectual property office for the corresponding application. For more information, please see http://www.uspto.gov/patents/init_events/pph/index.jsp or send an inquiry to PPHfeedback@uspto.gov.

Application Papers

- 10) ☐ The specification is objected to by the Examiner.
- 11) ☐ The drawing(s) filed on ____ is/are: a) ☐ accepted or b) ☐ objected to by the Examiner.
Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).
Replacement drawing sheet(s) including the correction is required if the drawing(s) is objected to. See 37 CFR 1.121(d).

Priority under 35 U.S.C. § 119

- 12) ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).

Certified copies:

- a) ☐ All b) ☐ Some** c) ☐ None of the:
1. ☐ Certified copies of the priority documents have been received.
2. ☐ Certified copies of the priority documents have been received in Application No. ____.
3. ☐ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

** See the attached detailed Office action for a list of the certified copies not received.

Attachment(s)

- 1) ☐ Notice of References Cited (PTO-892)
- 2) ☐ Information Disclosure Statement(s) (PTO/SB/08a and/or PTO/SB/08b)
Paper No(s)/Mail Date ____
- 3) ☐ Interview Summary (PTO-413)
Paper No(s)/Mail Date ____
- 4) ☐ Other: ____

DETAILED ACTION

1. The present application is being examined under the pre-AIA first to invent provisions.

Applicant's Response Dated January 19, 2016

2. Claims 13-22 are pending. An action on the merits of claims 13-22 is contained herein below.
3. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claim 2 of U.S. Patent No. 8,735,372 is maintained for the reasons of record set forth in the Office Action dated October 26, 2015.
4. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765 is maintained for the reasons of record set forth in the Office Action dated October 26, 2015.
5. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580 is maintained for the reasons of record set forth in the Office Action dated October 26, 2015.
6. The rejection of claims 13-22 on the ground of nonstatutory double patenting as being unpatentable over claims 1-2 of U.S. Patent No. 8,957,046 B is maintained for the reasons of record set forth in the Office Action dated October 26, 2015.

Rejections of Record Set Forth in the Office Action Dated October 26, 2015

7. The text of those sections of Title 35, U.S. Code not included in this action can be found in a prior Office action.

8. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claim 2 of U.S. Patent No. 8,735,372.

Claims 13-14 and 17-22 are either anticipated by, or would have been obvious over, the reference claim(s). Although the conflicting claims are not identical, they are not patentably distinct from each other because the instant claims are generic to all that is recited in claim 2 of U.S. Patent No. 8,957,046. The compounds of instant claims 15-16 are explicitly contemplated in Table VI of the '372 patent rendering said compounds prima facie obvious.

9. The Terminal Disclaimer (TD) filed January 19, 2016 has been noted; however, the TD is DISAPPROVED.

Power of attorney is missing.

10. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 8,580,765.

It is noted that claims 1-14 of U.S. Patent No. 8,580,765 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '765 patent rendering said compounds prima facie obvious.

11. The Terminal Disclaimer (TD) filed January 19, 2016 has been noted; however, the TD is DISAPPROVED.

Power of attorney is missing.

12. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-14 of U.S. Patent No. 7,964,580.

It is noted that claims 1-14 of U.S. Patent No. 7,964,580 are generic; however, the instantly claimed compounds are explicitly contemplated in Table VI of the '580 patent rendering said compounds *prima facie* obvious.

13. The Terminal Disclaimer (TD) filed January 19, 2016 has been noted; however, the TD is DISAPPROVED.

Power of attorney is missing.

14. Claims 13-22 are rejected on the ground of nonstatutory double patenting as being unpatentable over claims 1-2 of U.S. Patent No. 8,957,046 B2. Although the claims at issue are not identical, they are not patentably distinct from each other because the compounds in question are stereoisomers, and the instant compound(s) and the compound of the '046 patent are both useful for treating hepatitis C virus.

A *prima facie* case of obviousness may be made when chemical compounds have very close structural similarities and similar utilities. "An obviousness rejection based on similarity in chemical structure and function entails the motivation of one skilled in the art to make a claimed compound, in the expectation that compounds similar in structure will have similar properties." *In re Payne*, 606 F.2d 303, 313, 203 USPQ 245, 254 (CCPA 1979).

Compounds which are position isomers (compounds having the same radicals in physically different positions on the same nucleus) or homologs (compounds differing regularly by the successive addition of the same chemical group, e.g., by -CH₂- groups)

are generally of sufficiently close structural similarity that there is a presumed expectation that such compounds possess similar properties. *In re Wilder*, 563 F.2d 457, 195 USPQ 426 (CCPA 1977). See also *In re May*, 574 F.2d 1082, 197 USPQ 601 (CCPA 1978) (stereoisomers *prima facie* obvious)

15. The Terminal Disclaimer (TD) filed January 19, 2016 has been noted; however, the TD is DISAPPROVED.

Power of attorney is missing.

Conclusion

16. Claims 13-22 are pending. Claims 13-22 are rejected. No claims are allowed.

17. **THIS ACTION IS MADE FINAL.** Applicant is reminded of the extension of time policy as set forth in 37 CFR 1.136(a).

A shortened statutory period for reply to this final action is set to expire THREE MONTHS from the mailing date of this action. In the event a first reply is filed within TWO MONTHS of the mailing date of this final action and the advisory action is not mailed until after the end of the THREE-MONTH shortened statutory period, then the shortened statutory period will expire on the date the advisory action is mailed, and any extension fee pursuant to 37 CFR 1.136(a) will be calculated from the mailing date of the advisory action. In no event, however, will the statutory period for reply expire later than SIX MONTHS from the mailing date of this final action.

Contacts

Any inquiry concerning this communication or earlier communications from the examiner should be directed to PATRICK LEWIS whose telephone number is (571)272-0655. The examiner can normally be reached on Monday - Thursday 10 am to 3 pm (Maxi Flex).

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Fereydoun G. Sajjadi can be reached on 571-272-3311. The fax phone number for the organization where this application or proceeding is assigned is 571-273-8300.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see <http://pair-direct.uspto.gov>. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free). If you would like assistance from a USPTO Customer Service Representative or access to the automated information system, call 800-786-9199 (IN USA OR CANADA) or 571-272-1000.

/PATRICK LEWIS/
Primary Examiner, Art Unit 1672

/PL/

Search Notes 	Application/Control No. 14656546	Applicant(s)/Patent Under Reexamination DU ET AL.
	Examiner PATRICK LEWIS	Art Unit 1672

CPC- SEARCHED		
Symbol	Date	Examiner

CPC COMBINATION SETS - SEARCHED		
Symbol	Date	Examiner

US CLASSIFICATION SEARCHED			
Class	Subclass	Date	Examiner

SEARCH NOTES		
Search Notes	Date	Examiner
Inventor, REGISTRY, CAPLUS, MEDLINE (see attached)	6/21/2015	/PL/
Updated Inventor, REGISTRY, CAPLUS, MEDLINE searches	10/20/2015	/PL/
Updated Inventor, REGISTRY, CAPLUS, MEDLINE searches	4/11/2016	/PL/

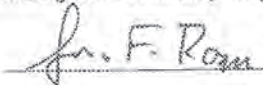
INTERFERENCE SEARCH			
US Class/ CPC Symbol	US Subclass / CPC Group	Date	Examiner

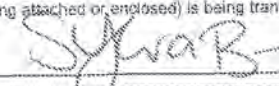
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<i>Index of Claims</i> 	Application/Control No. 14656546	Applicant(s)/Patent Under Reexamination DU ET AL.
	Examiner PATRICK LEWIS	Art Unit 1672

✓	Rejected	-	Cancelled	N	Non-Elected	A	Appeal
=	Allowed	÷	Restricted	I	Interference	O	Objected

☐ Claims renumbered in the same order as presented by applicant						☐ CPA		☒ T.D.		☐ R.1.47	
CLAIM		DATE									
Final	Original	06/21/2015	10/20/2015	04/11/2016							
	1	-	-	-							
	2	-	-	-							
	3	-	-	-							
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	9	-	-	-							
	10	-	-	-							
	11	-	-	-							
	12	-	-	-							
	13	✓	✓	✓							
	14	✓	✓	✓							
	15	✓	✓	✓							
	16	✓	✓	✓							
	17	✓	✓	✓							
	18	✓	✓	✓							
	19	✓	✓	✓							
	20	✓	✓	✓							
	21	✓	✓	✓							
	22	✓	✓	✓							

TERMINAL DISCLAIMER TO OBVIATE A DOUBLE PATENTING REJECTION OVER A "PRIOR" PATENT	Docket Number (Optional) PH3080 PC4C
<p>In re Application of: <u>Jinfa Du et al.</u></p> <p>Application No.: <u>14/656,546</u></p> <p>Filed: <u>March 12, 2015</u></p> <p>For: <u>NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS</u></p> <p>The applicant, <u>Gilead Pharmasset LLC</u>, owner of <u>100</u> percent interest in the instant application hereby disclaims, except as provided below, the terminal part of the statutory term of any patent granted on the instant application which would extend beyond the expiration date of the full statutory term of prior patent No. <u>(see attached)</u> as the term of said prior patent is presently shortened by any terminal disclaimer. The applicant hereby agrees that any patent so granted on the instant application shall be enforceable only for and during such period that it and the prior patent are commonly owned. This agreement runs with any patent granted on the instant application and is binding upon the grantee, its successors or assigns.</p> <p>In making the above disclaimer, the applicant does not disclaim the terminal part of the term of any patent granted on the instant application that would extend to the expiration date of the full statutory term of the prior patent, "as the term of said prior patent is presently shortened by any terminal disclaimer," in the event that said prior patent later</p> <ul style="list-style-type: none">expires for failure to pay a maintenance fee;is held unenforceable;is found invalid by a court of competent jurisdiction;is statutorily disclaimed in whole or terminally disclaimed under 37 CFR 1.321;has all claims canceled by a reexamination certificate;is reissued; oris in any manner terminated prior to the expiration of its full statutory term as presently shortened by any terminal disclaimer. <p>Check either box 1 or 2 below, if appropriate.</p> <p>1. ☐ The undersigned is the applicant. If the applicant is an assignee, the undersigned is authorized to act on behalf of the assignee.</p> <p>I hereby acknowledge that any willful false statements made are punishable under 18 U.S.C. 1001 by fine or imprisonment of not more than five (5) years, or both.</p> <p>2. ☒ The undersigned is an attorney or agent of record. Reg. No. <u>58,427</u></p> <div style="margin-top: 10px;"><div style="display: flex; justify-content: space-between;"><div style="width: 60%;"> _____ Signature</div><div style="width: 35%; text-align: center;"><u>10 August 2016</u> _____ Date</div></div><div style="text-align: center; margin-top: 10px;"><u>Lawrence F. Rosznyai</u> _____ Typed or printed name</div><div style="display: flex; justify-content: space-between; margin-top: 10px;"><div style="width: 40%;"><u>Patent Counsel</u> _____ Title</div><div style="width: 40%; text-align: right;"><u>650-577-6841</u> _____ Telephone Number</div></div></div> <p>☒ Terminal disclaimer fee under 37 CFR 1.20(d) included.</p> <p style="text-align: center; font-weight: bold; font-size: small;">WARNING: Information on this form may become public. Credit card information should not be included on this form. Provide credit card information and authorization on PTO-2038.</p>	

<p>I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.9(a)(4).</p> <div style="display: flex; justify-content: space-between;"><div style="width: 40%;">Dated: <u>August 10, 2016</u></div><div style="width: 50%; text-align: center;"> _____ Signature: <u>(Sylvia Brennan)</u></div></div>	
---	--

<p style="text-align: center;">SUPPLEMENTAL SHEET FOR TERMINAL DISCLAIMER TO OBVIATE A DOUBLE PATENTING REJECTION OVER A "PRIOR" PATENT</p>	<p>Docket Number (Optional)</p> <p>PH3080,PC4C</p>
---	--

Prior patent Nos. applicable to this terminal disclaimer (referenced on first page)::

8,735,372
 8,580,765
 7,964,580
 8,957,046

POWER OF ATTORNEY TO PROSECUTE APPLICATIONS BEFORE THE USPTO

I hereby revoke all previous powers of attorney given in the application identified in the attached statement under 37 CFR 3.73(b).

I hereby appoint:



Practitioners associated with the Customer Number:

124963

OR



Practitioner(s) named below (if more than ten patent practitioners are to be named, then a customer number must be used):

Name	Registration Number	Name	Registration Number

as attorney(s) or agent(s) to represent the undersigned before the United States Patent and Trademark Office (USPTO) in connection with any and all patent applications assigned only to the undersigned according to the USPTO assignment records or assignment documents attached to this form in accordance with 37 CFR 3.73(b).

Please change the correspondence address for the application identified in the attached statement under 37 CFR 3.73(b) to:



The address associated with Customer Number:

124963

OR



Firm or Individual Name

Address

City

State

Zip

Country

Telephone

Email

Assignee Name and Address:

A copy of this form, together with a statement under 37 CFR 3.73(b) (Form PTO/SB/98 or equivalent) is required to be filed in each application in which this form is used. The statement under 37 CFR 3.73(b) may be completed by one of the practitioners appointed in this form if the appointed practitioner is authorized to act on behalf of the assignee, and must identify the application in which this Power of Attorney is to be filed.

SIGNATURE of Assignee of Record

The individual whose signature and title is supplied below is authorized to act on behalf of the assignee

Signature	<i>L. F. Rozsnyai</i>	Date	10 August 2016
Name	Lawrence F. Rozsnyai	Telephone	650-577-6841
Title	Patent Counsel		

This collection of information is required by 37 CFR 1.31, 1.32 and 1.33. 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 1.11 and 1.14. This collection is estimated to take 3 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.

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

STATEMENT UNDER 37 CFR 3.73(b)

Applicant/Patent Owner: Gilead Pharmasset LLC

Application No./Patent No.: 14/656,546

Filed/Issue Date: March 12, 2015

Titled: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Gilead Pharmasset LLC

, a Corporation

(Name of Assignee)

(Type of Assignee, e.g., corporation, partnership, university, government agency, etc.)

states that it is:

1. ☒ the assignee of the entire right, title, and interest in;
2. ☐ an assignee of less than the entire right, title, and interest in
(The extent (by percentage) of its ownership interest is _____ %); or
3. ☐ the assignee of an undivided interest in the entirety of (a complete assignment from one of the joint inventors was made)
- the patent application/patent identified above, by virtue of either:
- A. ☐ An assignment from the inventor(s) of the patent application/patent identified above. The assignment was recorded in the United States Patent and Trademark Office at Reel _____, Frame _____, or for which a copy therefore is attached.

OR

- B. ☒ A chain of title from the inventor(s), of the patent application/patent identified above, to the current assignee as follows:

1. From: Michael Joseph Sofia, et al. To: Pharmasset, Inc.

The document was recorded in the United States Patent and Trademark Office at
Reel 035351, Frame 0087, or for which a copy thereof is attached.

2. From: Pharmasset, Inc. To: Gilead Pharmasset LLC

The document was recorded in the United States Patent and Trademark Office at
Reel 035386, Frame 0358, or for which a copy thereof is attached.

3. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at
Reel _____, Frame _____, or for which a copy thereof is attached.

☐ Additional documents in the chain of title are listed on a supplemental sheet(s).

☐ As required by 37 CFR 3.73(b)(1)(i), the documentary evidence of the chain of title from the original owner to the assignee was, or concurrently is being, submitted for recordation pursuant to 37 CFR 3.11.

[NOTE: A separate copy (i.e., a true copy of the original assignment document(s)) must be submitted to Assignment Division in accordance with 37 CFR Part 3, to record the assignment in the records of the USPTO. See MPEP 302.08]

The undersigned (whose title is supplied below) is authorized to act on behalf of the assignee.

L. F. Rozsnyai
Signature

10 Aug. 2016
Date

Lawrence F. Rozsnyai

Patent Counsel

Printed or Typed Name

Title

This collection of information is required by 37 CFR 3.73(b). 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 1.11 and 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.

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.



UNITED STATES PATENT AND TRADEMARK OFFICE

UNDER SECRETARY OF COMMERCE FOR INTELLECTUAL PROPERTY AND
DIRECTOR OF THE UNITED STATES PATENT AND TRADEMARK OFFICE

APRIL 13, 2015

PTAS

GILEAD SCIENCES, INC.
333 LAKESIDE DRIVE
FOSTER CITY, CA 94404

503254047

UNITED STATES PATENT AND TRADEMARK OFFICE
NOTICE OF RECORDATION OF ASSIGNMENT DOCUMENT

THE ENCLOSED DOCUMENT HAS BEEN RECORDED BY THE ASSIGNMENT RECORDATION BRANCH OF THE U.S. PATENT AND TRADEMARK OFFICE. A COMPLETE COPY IS AVAILABLE AT THE ASSIGNMENT SEARCH ROOM ON THE REEL AND FRAME NUMBER REFERENCED BELOW.

PLEASE REVIEW ALL INFORMATION CONTAINED ON THIS NOTICE. THE INFORMATION CONTAINED ON THIS RECORDATION NOTICE REFLECTS THE DATA PRESENT IN THE PATENT AND TRADEMARK ASSIGNMENT SYSTEM. IF YOU SHOULD FIND ANY ERRORS OR HAVE QUESTIONS CONCERNING THIS NOTICE, YOU MAY CONTACT THE ASSIGNMENT RECORDATION BRANCH AT 571-272-3350. PLEASE SEND REQUEST FOR CORRECTION TO: U.S. PATENT AND TRADEMARK OFFICE, MAIL STOP: ASSIGNMENT RECORDATION BRANCH, P.O. BOX 1450, ALEXANDRIA, VA 22313.

RECORDATION DATE: 04/07/2015

REEL/FRAME: 035386/0358
NUMBER OF PAGES: 4

BRIEF: CHANGE OF NAME (SEE DOCUMENT FOR DETAILS).

DOCKET NUMBER: PH3080.PC4C-TRK1

ASSIGNOR:
PHARMASSET, INC.

DOC DATE: 02/10/2012

ASSIGNEE:
GILEAD PHARMASSET LLC
C/O GILEAD SCIENCES, INC.
333 LAKESIDE DRIVE
FOSTER CITY, CALIFORNIA 94404

APPLICATION NUMBER: 14656546
PATENT NUMBER:
TITLE: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

FILING DATE: 03/12/2015
ISSUE DATE:

ASSIGNMENT RECORDATION BRANCH
PUBLIC RECORDS DIVISION



UNITED STATES PATENT AND TRADEMARK OFFICE

UNDER SECRETARY OF COMMERCE FOR INTELLECTUAL PROPERTY AND
DIRECTOR OF THE UNITED STATES PATENT AND TRADEMARK OFFICE

APRIL 8, 2015

PTAS

GILEAD SCIENCES, INC.
333 LAKESIDE DRIVE
FOSTER CITY, CA 94404

503254045

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RECORDATION DATE: 04/07/2015

REEL/FRAME: 035351/0087
NUMBER OF PAGES: 2

BRIEF: ASSIGNMENT OF ASSIGNORS INTEREST (SEE DOCUMENT FOR DETAILS)

DOCKET NUMBER: PH3080.PC4C-TRK1

ASSIGNOR:
SOFIA, MICHAEL JOSEPH

DOC DATE: 03/26/2008

ASSIGNOR:
DU, JINFA

DOC DATE: 03/26/2008

ASSIGNOR:
WANG, PEIYUAN

DOC DATE: 03/26/2008

ASSIGNOR:
NAGARATHNAM, DHANAPALAN

DOC DATE: 03/31/2008

ASSIGNEE:
PHARMASSET, INC.
303-A COLLEGE ROAD EAST
PRINCETON, NEW JERSEY 08540

APPLICATION NUMBER: 14656546

FILING DATE: 03/12/2015

PATENT NUMBER:

ISSUE DATE:

TITLE: NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS

Electronic Patent Application Fee Transmittal				
Application Number:		14656546		
Filing Date:		12-Mar-2015		
Title of Invention:		NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS		
First Named Inventor/Applicant Name:		Jinfa Du		
Filer:		Lawrence F. Rozsnyai/Sylvia Brennan		
Attorney Docket Number:		PH3080.PC4C-TRK1		
Filed as Large Entity				
Filing Fees for Utility under 35 USC 111(a)				
Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Basic Filing:				
Pages:				
Claims:				
Miscellaneous-Filing:				
Petition:				
Patent-Appeals-and-Interference:				
Post-Allowance-and-Post-Issuance:				
Extension-of-Time:				

Description	Fee Code	Quantity	Amount	Sub-Total in USD(\$)
Miscellaneous:				
Statutory or Terminal Disclaimer	1814	1	160	160
Total in USD (\$)				160

Electronic Acknowledgement Receipt	
EFS ID:	26606037
Application Number:	14656546
International Application Number:	
Confirmation Number:	4415
Title of Invention:	NUCLEOSIDE PHOSPHORAMIDATE PRODRUGS
First Named Inventor/Applicant Name:	Jinfa Du
Customer Number:	124963
Filer:	Lawrence F. Rozsnyai/Sylvia Brennan
Filer Authorized By:	Lawrence F. Rozsnyai
Attorney Docket Number:	PH3080.PC4C-TRK1
Receipt Date:	10-AUG-2016
Filing Date:	12-MAR-2015
Time Stamp:	16:41:03
Application Type:	Utility under 35 USC 111(a)

Payment information:

Submitted with Payment	yes
Payment Type	DA
Payment was successfully received in RAM	\$ 160
RAM confirmation Number	081116INTEFSW00003497506480
Deposit Account	506480
Authorized User	Sylvia Brennan
<p>The Director of the USPTO is hereby authorized to charge indicated fees and credit any overpayment as follows:</p> <p>37 CFR 1.16 (National application filing, search, and examination fees)</p> <p>37 CFR 1.17 (Patent application and reexamination processing fees)</p>	

37 CFR 1.19 (Document supply fees)
 37 CFR 1.20 (Post Issuance fees)
 37 CFR 1.21 (Miscellaneous fees and charges)

File Listing:

Document Number	Document Description	File Name	File Size(Bytes)/ Message Digest	Multi Part /.zip	Pages (if appl.)
1	Terminal Disclaimer Filed	PH3080PC4C_2016-08-10_TerminalDisclaimer_asFiled.PDF	152970	no	2
			07160c879cc193349a8622b46b47f6e1c32e9129		
Warnings:					
Information:					
2	Power of Attorney	PH3080PC4C_2016-08-10_POA_asFiled.PDF	157464	no	1
			01e1a00a006e87741f1280ee52527400e101671		
Warnings:					
Information:					
3	Assignee showing of ownership per 37 CFR 3.73	PH3080PC4C_2016-08-10_Statement37CFRb_asFiled.PDF	324138	no	3
			e796c15e8261e1d467299d06dd06809d57db815760		
Warnings:					
Information:					
4	Fee Worksheet (SB06)	fee-info.pdf	30595	no	2
			cc05ec90a676a6d26ab00a07e2d0b8c3dffe1d8a		
Warnings:					
Information:					
Total Files Size (in bytes):			665167		

This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.



UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

APPLICATION NUMBER	FILING OR 371(C) DATE	FIRST NAMED APPLICANT	ATTY. DOCKET NO./TITLE
14/656,546	03/12/2015	Jinfa Du	PH3080.PC4C-TRK1

CONFIRMATION NO. 4415

POA ACCEPTANCE LETTER



OC000000085241771

124963
Gilead Pharmasset LLC
c/o Gilead Sciences, Inc.
333 Lakeside Drive
Foster City, CA 94404

Date Mailed: 08/22/2016

NOTICE OF ACCEPTANCE OF POWER OF ATTORNEY

This is in response to the Power of Attorney filed 08/10/2016.

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.

Questions about the contents of this notice and the requirements it sets forth should be directed to the Office of Data Management, Application Assistance Unit, at (571) 272-4000 or (571) 272-4200 or 1-888-786-0101.

/qīran/

Application Number 	Application/Control No. 14/656,546	Applicant(s)/Patent under Reexamination DU ET AL.	
Document Code - DISQ		Internal Document – DO NOT MAIL	

TERMINAL DISCLAIMER	☒ APPROVED	☐ DISAPPROVED
Date Filed : 08/10/2016	This patent is subject to a Terminal Disclaimer	

Approved/Disapproved by:
/MANUEL SALDANA/

U.S. Patent and Trademark Office

Substitute for form 1449/PTO INFORMATION DISCLOSURE STATEMENT BY APPLICANT <i>(Use as many sheets as necessary)</i>			Complete if Known		
			Application Number	14/656,546	
			Filing Date	March 12, 2015	
			First Named Inventor	Jinfa Du	
			Art Unit	1672	
			Examiner Name	P. T. Lewis	
Sheet	1	of	80	Attorney Docket Number	PH3080.PC4C

U. S. PATENT DOCUMENTS					
Examiner Initials*	Cite No. ¹	Document Number	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number-Kind Code ² (if known)			
	AA	US-20100022468-A1	01-28-2010	Meppen et al.	
	AB	US-20130288997-A1	10-31-2013	Ross et al.	
	AC	US-20130310551-A1	11-21-2013	Ross et al.	
	AD	US-6,812,219	11-02-2004	LaColla et al.	
	AE	US-7,105,493	09-12-2006	Sommadossi et al.	
	AF	US-7,125,855	10-24-2006	Bhat et al.	
	AG	US-7,323,453	01-29-2008	Olsen et al.	
	AH	US-7,608,597	10-27-2009	Sommadossi et al.	
	AI	US-7,608,600	10-27-2009	Storer et al.	
	AJ	US-7,635,689	12-22-2009	LaColla et al.	
	AK	US-8,735,372	05-27-2014	Du et al.	
	AL	US-8,906,880	12-09-2014	Du et al.	
	AM	US-20030109697-A1	06-12-2003	Shepard et al.	
	AN	US-6,589,941	07-08-2003	Fahrig et al.	
	AO	US-20010034440-A1	10-25-2001	Shepard et al.	
	AP	US-20020058635-A1	05-16-2002	Averett	
	AQ	US-20020198173-A1	12-26-2002	Schinazi et al.	
	AR	US-20030050229-A1	03-13-2003	Sommadossi et al.	
	AS	US-20030060400-A1	03-27-2003	LaColla et al.	

FOREIGN PATENT DOCUMENTS						
Examiner Initials*	Cite No. ¹	Foreign Patent Document	Publication Date	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages Or Relevant Figures Appear	T ³
		Country Code ² Number ⁴ Kind Code ⁵ (if known)	MM-DD-YYYY			
	BA**	WO-2006/035061-A1	04-06-2006	TIBOTEC PHARM LTD, et al.		
	BB**	WO-2011/123645-A2	10-06-2011	PHARMASSET, INC.		
	BC**	WO-199629336	09-26-1996	Medical Res Council et al.		
	BD**	WO-1999/037753-A1	07-29-1999	NEWBIOTICS, INC.		
	BE**	WO-2001/07454	02-01-2011	NEWBIOTICS, INC.		
	BF**	WO-2008/121634-A2	10-09-2008	PHARMASSET, INC.		

Examiner Signature		Date Considered	
--------------------	--	-----------------	--

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. ¹ Applicant's unique citation designation number (optional). ² See Kinds Codes of USPTO Patent Documents at www.uspto.gov or MPEP 901.04. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST 3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST 16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

I hereby certify that this paper (along with any paper referred to as being attached or enclosed) is being transmitted via the Office electronic filing system in accordance with 37 CFR § 1.6(a)(4).

Dated: September 21, 2016

Signature: /Lawrence F. Rozsnyai/ (Electronic Signature for Lawrence F. Rozsnyai)

659920.1

Substitute for form 1449/PTO INFORMATION DISCLOSURE STATEMENT BY APPLICANT <i>(Use as many sheets as necessary)</i>			Complete if Known		
			Application Number	14/656,546	
			Filing Date	March 12, 2015	
			First Named Inventor	Jinfa Du	
			Art Unit	1672	
			Examiner Name	P. T. Lewis	
Sheet	2	of	80	Attorney Docket Number	PH3080.PC4C

U. S. PATENT DOCUMENTS					
Examiner Initials*	Cite No. ¹	Document Number	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number-Kind Code ² (if known)			
	AT	US-20030120071-A1	06-26-2003	McGuigan et al.	
	AU	US-20030144502-A1	07-31-2003	Pietrkowski et al.	
	AV	US-20030153744-A1	08-14-2003	Mekouar et al.	
	AW	US-20030187018-A1	10-02-2003	Llinas-Brunet et al.	
	AX	US-20040006007-A1	01-08-2004	Gosselin et al.	
	AY	US-20040014108-A1	01-22-2004	Eldrup et al.	
	AZ	US-20040023240-A1	02-05-2004	Marliere et al.	
	AA1	US-20040023901-A1	02-05-2004	Cook et al.	
	AB1	US-20040059104-A1	03-25-2004	Cook et al.	
	AC1	US-20040063622-A1	04-01-2004	Sommadossi et al.	
	AD1	US-20040067901-A1	04-08-2004	Bhat et al.	
	AE1	US-20040072788-A1	04-15-2004	Bhat et al.	
	AF1	US-20040097461-A1	05-20-2004	Sommadossi et al.	
	AG1	US-20040097462-A1	05-20-2004	Sommadossi et al.	
	AH1	US-20040101535-A1	05-27-2004	Sommadossi et al.	
	AI1	US-20040102414-A1	05-27-2004	Sommadossi et al.	
	AJ1	US-20040110717-A1	06-10-2004	Carroll et al.	
	AK1	US-20040167140-A1	08-26-2004	Schinazi et al.	
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	BI**	DE-19914474-A1	10-07-1999	HOFFMANN LA ROCHE		
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	BL**	EP-1881001-A1	01-23-2008	TIBOTEC PHARM, LTD.		

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Substitute for form 1449/PTO INFORMATION DISCLOSURE STATEMENT BY APPLICANT <i>(Use as many sheets as necessary)</i>			Complete if Known		
			Application Number	14/656,546	
			Filing Date	March 12, 2015	
			First Named Inventor	Jinfa Du	
			Art Unit	1672	
			Examiner Name	P. T. Lewis	
Sheet	3	of	80	Attorney Docket Number	PH3080.PC4C

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	AV1	US-20050020825-A1	01-27-2005	Storer et al.	
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	AX1	US-20050031588-A1	02-10-2005	Sommadossi et al.	
	AY1	US-20050075309-A1	04-07-2005	Storer et al.	
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	AA2	US-20050090660-A1	04-28-2005	Watanabe et al.	
	AB2	US-20050124532-A1	06-09-2005	Sommadossi et al.	
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	AE2	US-20050148534-A1	07-07-2005	Castellino et al.	

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	BN**	EP-2124555-A2	12-02-2009	MERCK & CO. INC.		
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	BQ**	JP-5238939-B2	07-17-2013	NODA SANGYO KAGAKU KENKYUSHO KIKKOMAN CORP		
	BR**	WO-02/32414-A2	04-25-2002	SCHERRING CORPORATION		

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	AS2	US-20060110727-A9	05-25-2006	McGall et al.	
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	BT**	WO-03/006490-A1	01-23-2003	VERTEX PHARMA., INC.		
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	AA3	US-20060276511-A1	12-07-2006	Serrano-Wu et al.	
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	AD3	US-20070037735-A1	02-15-2007	Gosselin et al.	
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	BY**	WO-03/051899	06-26-2003	Ribapharm, Inc.		
	BZ**	WO-03/053989-A1	07-03-2003	MRC TECHNOLOGY LTD.		
	BA1**	WO-03/061576-A2	07-31-2003	RIBAPHARM INC.		
	BB1**	WO-03/062256-A1	07-31-2003	RIBAPHARM INC.		
	BC1**	WO-03/064456	08-07-2003	Boehringer Ingelheim Int et al.		✓
	BD1**	WO-03/104250-A1	12-18-2003	KYLIX B V		

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