

UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE PATENT TRIAL AND APPEAL BOARD

AQUESTIVE THERAPEUTICS, INC.

Petitioner

v.

IONO PHARMA, LLC

Patent Owner

IPR2025-00874

U.S. Patent No. 11,021,437 B2

DECLARATION OF

NICHOLAS A. MEANWELL

Ph.D., CSci, CChem, FRSC, ACSF

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DECLARATION

I, Nicholas A. Meanwell, Ph.D., CSci, CChem, FRSC, ACSF, do hereby make the following declaration:

AGREEMENT TO PROVIDE EXPERT TESTIMONY

1. I have agreed to provide expert testimony in support of Aquestive Therapeutics, Inc.'s ("Aquestive's") Petition for *Inter Partes* Review of U.S. Patent No. 11,021,437 B2. My *Curriculum Vitae* is attached hereto as Appendix A.
2. I understand that this proceeding involves U.S. Patent No. 11,021,437 B2 ("Almoazen" or "the '437 Patent"), entitled "Pharmaceutical Formulation for Sublingual or Buccal Delivery of Epinephrine or a Pro-drug Thereof" (Exhibit 1001).
3. I have reviewed, among other things, the '437 Patent which has five (5) claims. The '437 Patent claims are directed toward epinephrine prodrugs formulated in a film for sublingual or buccal administration.
4. I have been asked to provide my opinion regarding whether a person of ordinary skill in the art (a "POSA") at the relevant time would have understood that certain prior art references, alone or in combination, disclose or teach elements that are recited in the claims of the '437 patent. I have also been asked to provide my opinion regarding whether a POSA would have been motivated or had a reason to

modify and/or combine prior art references to arrive at these elements which are recited in the challenged claims 1-3 of the '437 Patent.

EXHIBITS AND BASIS FOR OPINIONS GIVEN

5. In forming my opinion, I have relied upon my own education, my professional and academic experience in the field of medicinal chemistry, drug discovery and development and, specifically, my experience related to prodrug design and development strategies, along with my review of the following documents:

EXHIBIT NO.	EXHIBIT DESCRIPTION
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1001	U.S. Patent No. 11,021,437 B2, entitled "Pharmaceutical Formulation For Sublingual Or Buccal Delivery Of Epinephrine Or A Pro - Drug Thereof", filed as application serial number 16/347,625 on November 9, 2017, Almoazen inventor, issued on June 1, 2021 ("the '437 Patent").
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1002	U.S. Patent No. 3,809,714, entitled "Novel Ester Of [(Methylamino)Methyl] Benzyl Alcohol", Hussain and Truelove inventors, issued on May 7, 1974 ("the '714 Patent" or "Truelove 1").
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- 1005 The Almoazen '437 Patent application prosecution history file for the '437 Patent, serial no. 16/347,625 ("the '437 FH" or "Almoazen File History").
- 1006 U.S. Patent No. 3,825,583, entitled "Ester Of 3-Hydroxy- α -[(Methylamino) Methyl]Benzyl Alcohol", Hussain and Truelove inventors, issued on July 23, 1974 ("the '583 Patent" or "Truelove 2").
- 1007 Hussain A and Truelove JE: "Prodrug Approaches to Enhancement of Physicochemical Properties of Drugs IV: Novel Epinephrine Prodrug", Journal of Pharmaceutical Sciences 65(10), 1976 ("Truelove Article" or "Truelove 4").
- 1013 The Almoazen '437 Patent Provisional Application for Patent, serial no. 62,421,316, filed on November 13, 2016, Hassan Almoazen ("Almoazen Provisional Application").
- 1044 Kohn, *et. al*, "Clinical Comparison of Dipivalyl Epinephrine and Epinephrine in The Treatment Of Glaucoma", American Journal of Ophthalmology 87:196-201, 1979 ("Kohn").
- 1046 Journal of Medicinal Chemistry, "Manuscript Submission Requirements Checklist", <https://researcher->

resources.acs.org/publish/author_guidelines?coden=jmcmar#manuscript_submission_requirements_checklist, October 29, 2024 (“JMC Manuscript Requirements”).

COMPENSATION

6. I am being compensated for my time at the rate of \$330 per hour. My compensation is not contingent on the outcome of this proceeding.

QUALIFICATIONS AND EXPERIENCE

7. My educational background is a B.Sc. in Special Honours Chemistry, awarded in 1976 by the University of Sheffield, Sheffield, England; a Ph.D. Degree in Organic Chemistry awarded in 1979 by the University of Sheffield, Sheffield, England conducted under the supervision of Dr. D. Neville Jones; a Post-Doctoral Fellowship conducted at Wayne State University, Detroit, Michigan 1979-1982 under the supervision of Professor Carl R. Johnson.

8. My industrial experience encompasses a 40 year career at Bristol Myers Squibb from where I retired in 2022 as the Scientific Vice President, Discovery Chemistry Platforms, Department of Small Molecule Drug Discovery, Bristol Myers Squibb Research and Early Development, Princeton, NJ. 1982-2022.

9. I am a Distinguished Professor at *The Baruch S. Blumberg Institute*, Doylestown, PA and hold Adjunct Professorships of Medicinal Chemistry in the Department of Medicinal Chemistry, College of Pharmacy, University of Michigan, Ann Arbor, MI and at the Ernest Mario School of Pharmacy, Rutgers University, Piscataway, NJ.

10. I have led drug discovery programs in the cardiovascular, neurosciences and virology therapeutic areas, work that has resulted in the advancement of 33 clinical candidates for the prevention of thrombosis, the treatment of stroke and therapy for viral infections, including human immunodeficiency virus-1 (HIV-1), hepatitis C virus (HCV) and respiratory syncytial virus (RSV).

11. My experience in the cardiovascular area includes the invention of a series of imidazo[4,5-*b*]quinolin-2-ones that inhibited cAMP phosphodiesterase 3, with BMY-20844 advanced into clinical trials to assess its potential as an antithrombotic agent; a series of non-prostanoid prostacyclin (PGI₂) mimetics that were optimized into potent blood platelet aggregation inhibitors, an effort that included the seminal mapping of this non-classical PGI₂ mimetic pharmacophore that subtends selexipag (marketed by Johnson & Johnson) and ralinepag (in phase 3 clinical study at United Therapeutics), as exemplified by BMY-42393 and BMY-45778.

12. In the neurosciences arena, flindokalner (MaxiPost®) emerged from studies of maxi-K potassium channel openers and this compound was advanced into Phase 3 clinical trials for the treatment of stroke.

13. Significant discoveries to emerge from my work in the antiviral group include the respiratory syncytial virus (RSV) fusion inhibitor bms-433771, characterized as the first small molecule to interfere with the association of the 6 helical peptide bundle that is a critical step in the virus entry process, and a series of HIV-1 attachment inhibitors that are the first small molecules described to function by interfering with the interaction between the virus gp120 protein and the host cell CD4 receptor. From this work, fostemsavir, the phosphonooxymethyl prodrug of temsavir, was approved by the FDA on July 2nd, 2020, and is marketed as Rukobia™ for highly treatment-experienced patients.

14. Significant compounds in the HCV arena include daclatasvir (Daklinza™), a pioneering molecule that established NS5A inhibition as a clinically-relevant target, and the HCV NS3 protease inhibitor asunaprevir (Sunvepra™), which incorporates the cyclopropyl acylsulfonamide moiety that has been widely adopted in marketed drugs. These drugs, which were marketed as a combination therapy for the treatment of GT-1b infection, established for the first time that an HCV infection could be cured by direct-acting antiviral agents in the absence of immune

stimulation. Daklinza™ and Sunvepra™ were marketed in Japan in 2014 and Daklinza™ was approved for marketing by the EMA in 2014 and by the FDA in 2015. In addition, beclabuvir, a thumb site inhibitor of the HCV NS5B polymerase, was approved in Japan in December, 2016 for the treatment of HCV genotype 1 infection as part of a fixed dose combination with daclatasvir and asunaprevir, marketed as Xymency™.

15. I am the author/co-author of more than 300 publications, review articles, book chapters and editorials and 206 meeting abstracts.

16. I am named as inventor/co-inventor of 145 issued U.S. Patents.

17. I have presented more than 250 invited lectures at National and International meetings, Universities, Companies and Schools on Medicinal Chemistry.

18. I have organized/co-organized/presided at more than 70 sessions at National and International Meetings, ACS Webinars in Drug Discovery, ACS Prospectives Meetings and Short Courses on aspects of drug design.

19. I have been and, in several cases, I am currently: the Perspectives Editor for the *Journal of Medicinal Chemistry*, July 2017-December 2022; Associate Editor for the *Journal of Medicinal Chemistry*, January 2023 to December 2023; Series Editor, *Topics in Medicinal Chemistry*, Springer; I am a current member of the of the EABs for the *Journal of Medicinal Chemistry* and *Chemical Research in*

Toxicology and a past member of the EABs for *ACS Medicinal Chemistry Letters*, *ACS Infectious Diseases* and *Drug Discovery Today*.

20. I have direct experience with several prodrug campaigns through my work at Bristol Myers Squibb. These include the discovery and development of fostemsavir, a phosphonooxymethyl prodrug of temsavir designed to address solubility challenges with the parent molecule that is marketed as Rukobia™; the design and evaluation of a series of prodrugs of the HIV-1 protease inhibitor atazanavir that improved the pharmaceutic and pharmacokinetic properties of the parent molecule, with the studies restricted to preclinical work; and a prodrug of an HIV-1 integrase inhibitor that was advanced into clinical trials. These campaigns have been described in published, peer-reviewed literature. I have also collaborated on the writing, assembly and publication of 2 large reviews of prodrug design and disposition published in *Nature Reviews in Drug Discovery* (2018) and *Chemical Society Reviews* (2024). I also presented a lecture on aspects of prodrug design at the *Medicinal Chemistry and Drug Discovery & Development (MCADDI)* course held in Bangalore, India in September of 2024 and at the *Contemporary Practices in Medicinal Chemistry and Drug Discovery (2024)* symposium held at the University of Michigan, December 2nd and 3rd, 2024.

21. Additional information regarding my qualifications and background, as well as my publications and patents are outlined in my *Curriculum Vitae* attached as Appendix A to this declaration.

RELEVANT CONSIDERATIONS

22. I am neither an attorney nor an expert in patent law. Nevertheless, I have been informed about certain legal principles and I have used my understanding of these principles to shape my opinions and conclusions. My understanding of these standards is summarized here.

23. It is my understanding that a claimed invention is unpatentable if the differences between the invention and the prior art are such that the subject matter as a whole would have been obvious at the time the alleged invention was made to a person having ordinary skill in the art to which the subject matter pertains. It is my understanding that an obviousness analysis takes into account factual inquiries, including the level of a person of ordinary skill in the art, the scope and content of the prior art, and the differences between the prior art and the claimed subject matter.

24. It is my understanding that there are several accepted reasons for combining references or modifying a reference in order to demonstrate that a patent claim

would have been obvious to a POSA. Some of these rationales include the following: combining prior art elements according to known methods to yield predictable results; simple substitution of one known element for another to obtain predictable results; a predictable use of prior art elements according to their established functions; applying a known technique to a known device to yield predictable results; choosing from a finite number of identified, predictable solutions, with a reasonable expectation of success; and some teaching, suggestion, or motivation in the prior art that would have led one of ordinary skill to modify the prior art reference or to combine prior art reference teachings to arrive at the claimed invention.

25. It is my understanding that a person of ordinary skill in the art (POSA), is not a specific, real individual, but rather a hypothetical individual or team of individuals working closely together, who is presumed to have known all relevant art at the time of the invention. In defining the POSA, I have been informed to consider factors such as the educational level and years of experience, not only of the person or persons who have developed the invention that is the subject of the case, but also others working in the pertinent art at the time of the invention; the types of problems encountered in the art; the teachings of the prior art; patents and

publications of other persons or companies; and the sophistication of the technology.

26. It is my understanding that claim terms are generally given their ordinary and customary meaning, and that when construing or interpreting patent claims, the ordinary and customary meaning of a claim term is what a POSA would have understood that term to mean at the time of the invention, *i.e.*, as of the effective filing date of the patent application. It is my understanding that the earliest possible effective filing date for the '437 Patent is no earlier than November 9, 2017. Nevertheless, my opinions, detailed below, would not change even if the effective date is determined to be November 13, 2016.

27. It is my understanding that the proper construction of a patent claim can include consideration of the claim language itself, the patent's specification (including, *e.g.*, documents incorporated by reference), other claims of the patent, the patent's prosecution history, also referred to as the file history of the patent.

28. It is my understanding that the prosecution or file history of a patent is the record of all communications and documentations involved in the prosecution or patent examination process resulting in a patent.

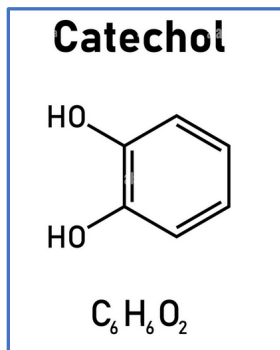
THE ‘437 PATENT (EXHIBIT 1001)

29. U.S. Patent No. 11,021,437 (“Almoazen” or “the ‘437 Patent”), entitled “*Pharmaceutical formulation for sublingual or buccal delivery of epinephrine or a pro-drug thereof*”, issued on June 1, 2021 (Exhibit 1001), and claims priority to a provisional application filed on November 13, 2016 (Exhibit 1013). The ‘437 Patent has five (5) claims.

30. I have reviewed and considered the ’437 patent (and its file history, Exhibit 1005) in view of the general knowledge in the relevant field measured from the relevant priority date for the ’437 patent from the perspective of a POSA as defined above. I have reviewed the ’437 patent not for the purpose of taking advantage of hindsight in my analysis, but rather so that I could understand the nature of the alleged invention, the scope of the claims, and other matters relevant to my analysis.

31. The invention as stated in the Almoazen ‘437 Patent is directed to the delivery of epinephrine or an epinephrine prodrug designed to be administered sublingually or buccally *via* a rapidly dissolving film formulation that relies upon the prodrug moieties to modify the physiochemical properties of the epinephrine molecule such that it exhibits enhanced permeability through biological membranes. The Almoazen ‘437 Patent discloses that membrane permeability can be increased by using a chemically modified version of the catechol (1,2-

dihydroxybenzene) sub-structural element found in epinephrine. The structure of catechol (1,2-dihydroxybenzene) is shown below.



The two hydroxyl (-OH) groups of the catechol moiety in epinephrine are chemically reacted with an activated carboxylic acid derivative such that **both** form ester bonds; the carboxylic acid derivative can vary such that they are constructed of different alkyl groups. Notably, the addition of an alkyl ester group will enhance the lipophilicity of epinephrine (increase the log *P* value). (See Exhibit 1001, Abstract.)

32. The '437 Patent disclosure is solely directed to epinephrine or a salt thereof, or an epinephrine prodrug or a salt thereof. **“For the present invention, the drug is epinephrine, a prodrug of epinephrine or a salt thereof. The typical dose of epinephrine for anaphylactic treatment is 0.3 to 0.5 mg in adults and 0.01 mg/kg up to a maximum of 0.3 mg in children administered through intramuscular route.”** ('437 Patent, EX1001, 7:40-46 (emphasis supplied).)

33. The '437 Patent references epinephrine found in the EpiPen: “The administration of proper therapeutic agents, such as, epinephrine must be prompt.

This is usually performed through the usage of auto-injectors such as EPIPEN ...”.
(Exhibit 1001, 1:50-53.)

34. Almoazen acknowledges that the Truelove 1 epinephrine diester compounds (structures and names) that the ‘437 Patent discloses in its Figure 7¹ are also the diester epinephrine compounds of the ‘437 Patent present invention.² (Exhibit 1001, 4:9-10.) Additionally, in Figure 7 and in the specification of the ‘437 Patent, it is disclosed that the ‘437 Patent inventor understood what Truelove 1’s prodrug compounds were, and the ‘437 Patent provides the names currently considered to

¹ The ‘437 Patent expressly acknowledges that its Figure 7 compounds are the compounds of Truelove 1. “FIG. 7 shows Anwar A. Hussain and James E. Truelove” compounds. (Exhibit 1001, 4:9-10.) The ‘437 Patent also states: “Hussain et al. [*i.e.*, Truelove 1] synthesized four different prodrugs for this purpose (see structures 7A, 7B, 7C, 7D).” (Exhibit 1001, 2:11-12.)

² In the Almoazen Provisional Application the same Figure 7 is represented as “show[ing] exemplary compounds of the present invention”. (Exhibit 1013, pdf. 18, [00017].)

be correct for each of those epinephrine prodrug compounds.³

“Now referring to **FIG. 7**, *the compounds of the invention as shown in US patent 3,809,714 [Truelove 1, Exhibit 1002] and US patent 3,825,583 [Truelove 2, Exhibit 1006] hereby incorporated by reference. Representative molecules include:*

7A 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene diacetate having a D [*sic*, C] Log P : -0.9026.

7B 4-(1-hydroxy-2(methylamino)ethyl)-1,2-phenylene bis(2-methylpropanoate) having a C Log P: 0.773300.

7C 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene dipropionate having a C Log P: 0.1554.

7D 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene bis(2,2-dimethylpropanoate) having a C Log P: 1.5714.

If these molecules show fast permeability through the sublingual and buccal membranes and fast hydrolysis by the enzyme (half-life 1-6 minutes)⁴ a physical property of a compound that is useful to be

³ A POSA would have certainly recognized that there are a number of alternative ways to correctly name these compounds and corresponding structures; the nomenclature used in the ‘437 Patent is but one way.

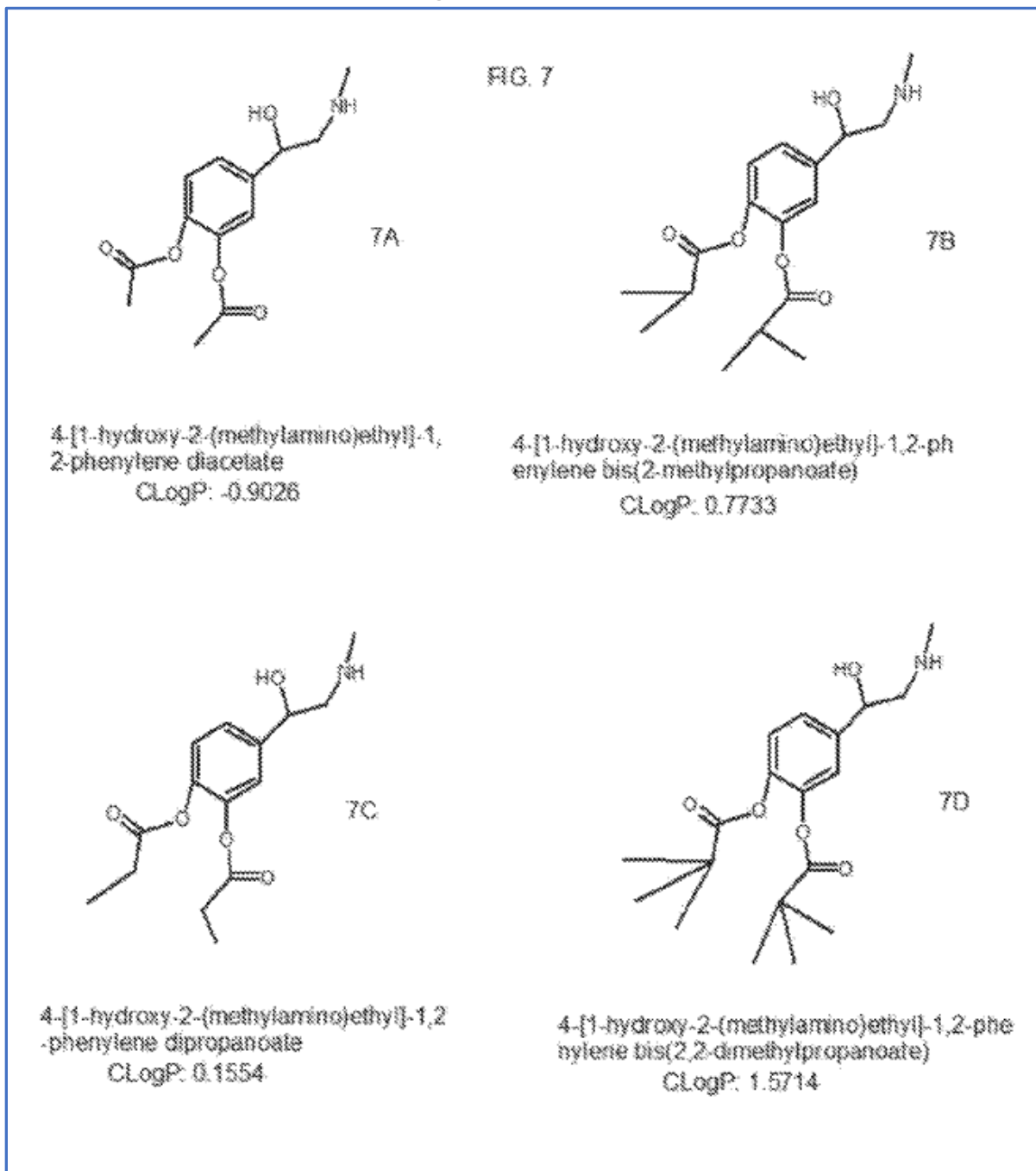
⁴ As discussed in the Truelove 1 section below, see ¶¶80-91, it is noted that Truelove 1 discloses that several of its compounds, that are also shown in Figure 7 of the ‘437

formulated in a unit dosage form as a rapidly dissolving film.”

Almoazen ‘437 Patent, 6:42-52 (italics added, formatting changed for clarity).

35. Figure 7 of the ‘437 patent, showing the diester prodrug compounds of Truelove 1 as well as the ‘437 Patent’s present invention compounds, along with the corresponding names, is copied below.

Patent, have half-lives in the range of 1-6 minutes. See also Truelove 1, Exhibit 1002, Table 3, 9:28-38.

Fig. 7, '437 Patent

36. The '437 Patent continues by stating that the subject matter of the invention includes uses of a pharmaceutical formulation in a patient in need of anaphylactic

treatment, wherein the pharmaceutical formulation is made of a compound selected from the group consisting of the Truelove 1 diester prodrug compounds shown in Figure 7. (See ‘437 Patent, Exhibit 1001, 6:57-67, copied below.)

“The subject matter includes: uses of a pharmaceutical formulation in a patient in need of anaphylactic treatment, wherein the pharmaceutical formulation is made of a compound selected from the group consisting of 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene diacetate [Fig. 7A, R = CH₃]⁵; 4-(1-hydroxy-2(methylamino)ethyl)-1,2-phenylene bis(2-methylpropanoate) [Fig. 7B, R = CH(CH₃)₂]⁶; 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene dipropionate [Fig. 7C, R = CH₂CH₃]⁷; 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene

⁵ Figure 7A, where both R substituents of the ester promoieties are -CH₃, are disclosed in Truelove 1, and recited in claims 2 and 3 of ‘437 Patent.

⁶ Figure 7B, where both R substituents of the ester promoieties are -CH(CH₃)₂, are disclosed in Truelove 1, and recited in claims 2 and 3 of ‘437 Patent.

⁷ Figure 7C, where both R substituents of the ester promoieties are -CH₂CH₃, are disclosed in Truelove 1, and recited in claims 2 and 3 of ‘437 Patent.

bis(2,2-dimethylpropanoate) [**Fig. 7D, R = C(CH₃)₃**]⁸, and a pharmaceutically acceptable carrier or a pharmaceutically acceptable excipient.”

Almoazen ‘437 Patent, Exhibit 1001, 6:57-7:10 (emphasis added).

37. The same Truelove 1 diester promoiety epinephrine prodrug compounds are also described as the subject matter of the invention which includes the pharmaceutical formulation itself. (*See* ‘437 Patent, Exhibit 1001, 6:67-7:10.)

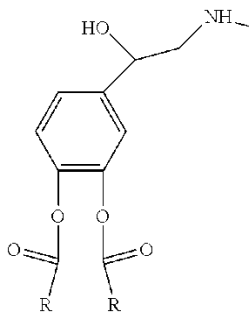
‘437 Patent Claims

38. The ‘437 patent has five claims, the three challenged claims are recited below. The claimed compounds and ester promoieties that are relevant to my analysis are disclosed in the ‘437 Patent’s figures, in particular, Figures 5 and 7. The Truelove 1 disclosed compounds are bolded below.

Claim 1 recites:

Claim 1. A compound formulated as a pharmaceutical composition in a unit dosage form configured for sublingual or buccal administration of a Formula:

⁸ Figure 7D, where both R substituents of the ester promoieties are -C(CH₃)₃ and are disclosed in Truelove 1.

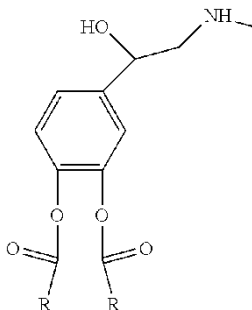


wherein R is selected from the group consisting of: $-\text{CHCH}_2$, $-\text{CHCHCH}_3$, $-\text{CH}_2-\text{CH}_2-\text{Cl}$, $-\text{CHCH}_2\text{I}$, $-\text{CH}(\text{Cl})\text{CH}_2(\text{Cl})$, $-\text{CH}(\text{I})\text{CH}_2(\text{I})$, $-\text{CH}(\text{CH}_3)\text{CH}_2(\text{CH}_3)$, $-\text{CH}_2\text{C}(\text{CH}_3)_3$, **$-\text{CH}_2\text{CH}_2\text{CH}_3$** ,⁹ $-\text{CH}_2\text{Cl}$, $-\text{CH}_2\text{I}$, $-\text{CH}_2\text{F}$, H, Cl, I, F, $-\text{CH}(\text{CH}_3)\text{CH}(\text{CH}_3)_2$, $-\text{CH}(\text{Cl})\text{CH}(\text{Cl})_2$, $-\text{CH}(\text{I})\text{CH}(\text{I})_2$, $-\text{CH}(\text{F})\text{CH}(\text{F})_2$, $-\text{CH}_2\text{CHCH}_2$, $-\text{C}(\text{Cl})_2\text{CH}(\text{Cl})_2$, $-\text{C}(\text{I})_2\text{CH}(\text{I})_2$, $-\text{C}(\text{Cl})_3$, $\text{C}(\text{I})_3$, $-\text{CH}_2\text{COCH}_3$, $-\text{CH}_2\text{COCl}$, $-\text{CH}_2\text{CO}(\text{I})$, $-\text{CH}_2\text{OCH}_3$, $-\text{CH}(\text{I})(\text{CH}_3)$, $-\text{C}(\text{Cl})_2(\text{CH}_3)$, $-\text{CH}_2\text{CH}(\text{CH}_3)_2$, $-\text{CH}_2\text{CH}(\text{Cl})_2$, $-\text{CH}_2\text{CH}(\text{I})_2$, $-\text{CH}_2\text{C}(\text{Cl})_3$, $-\text{CH}_2\text{C}(\text{I})_3$, $-\text{CH}(\text{Cl})_2$, $-\text{CH}(\text{I})_2$, $-\text{C}(\text{CH}_3)_2\text{CH}(\text{CH}_3)_2$, $-\text{NH}-\text{C}(\text{CH}_3)_3$, $-\text{NH}-\text{CH}(\text{CH}_3)_2$, $-\text{NH}-\text{CH}_2\text{CH}_3$, $-\text{NH}-\text{CH}_3$, $-\text{SCH}_3$, $-\text{SCH}_2\text{CH}_3$, $-\text{SCH}(\text{CH}_3)_2$, $-\text{SC}(\text{CH}_3)_3$, $-\text{CH}_2\text{C}_6\text{H}_5$ and $-\text{C}_6\text{H}_5$ or a pharmaceutically acceptable salt thereof, wherein said compound has a Log P value in the range of about 0.5-6.0 and wherein the compound is formulated in a unit dosage form as a rapidly dissolving film and has a half-life $t_{1/2}$ range from 1-6 minutes.

⁹ Fig. 5G'', where both R substituents of the ester promoieties are $-\text{CH}_2\text{CH}_2\text{CH}_3$.

Claim 2 recites:

Claim 2. A compound of a Formula



wherein R is selected from the group consisting of: **CH₃**, **CH₂CH₃** and **CH(CH₃)₂**^[10] wherein the compound is formulated in a unit dosage form as a sublingual or buccal administration and wherein the compound is formulated in a unit dosage form as a rapidly dissolving film and has a half-life $t_{1/2}$ range from 1-6 minutes.

Claim 3 recites:

Claim 3. The compound of claim 2, wherein the compound is selected from the group consisting of: **4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene di-acetate**^[11]; **4-(1-hydroxy-2(methylamino)ethyl)-1,2-phenylene bis(2-methylpropanoate)**^[12]; **4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene dipropionate**^[13]; and a

¹⁰ R = -CH₃ (Figure 7A); R = -CH₂CH₃ (Figure 7C); R = -CH(CH₃)₂ (Figure 7B).

¹¹ R = -CH₃ (Figure 7A).

¹² R = -CH(CH₃)₂ (Figure 7B).

¹³ R = -CH₂CH₃ (Figure 7C).

pharmaceutically acceptable carrier or a pharmaceutically acceptable excipient, wherein the compound is formulated in a unit dosage form as a rapidly dissolving film.

THE PERSON OF ORDINARY SKILL IN THE ART (“POSA”)

39. It is my understanding that the POSA is a hypothetical person considered to have normal skills and knowledge of all relevant prior art in the field to which the asserted patent relates at the time the invention was made, the effective filing date, though my opinions would not change if a different priority date were used.

40. I have assessed the level of ordinary skill in the art based upon my review of the ‘437 Patent, the prior art, my own long-standing career of working in the field of drug design and development and my experiences with prodrug design, discovery and development.

41. In my opinion, a POSA evaluating the ‘437 Patent and associated prior art would have had an advanced degree (*i.e.*, a Ph.D.) in fields relevant to drug design, such as pharmaceutical chemistry, medicinal chemistry, a medical degree, organic chemistry, or the equivalent, and at least 2-3 years of practical experience in the design and/or synthesis of drugs, including prodrugs and the development of drug candidates. Alternatively, a POSA could have a lesser educational degree combined with increased years (five to six years) of practical experience in the research and

development of pharmaceutical compounds (including prodrugs). A POSA would have easily understood the prior-art references referred to herein and would have had the capability of drawing reasonable inferences and conclusions from those references. Such a person would have understood that the process relating to, *inter alia*, the design, synthesis, testing and development of prodrugs requires a multidisciplinary approach. Accordingly, a POSA would have drawn upon not only his or her own expertise but could also have taken advantage of certain specialized skills of others, such as by consulting and collaborating with others, to solve any issues that develop in connection with the development, design and testing of prodrugs.

42. As of at least November 13, 2016 through today, I consider myself to be at least a POSA having the requisite education and experience as outlined above.

SELECT PRIOR ART

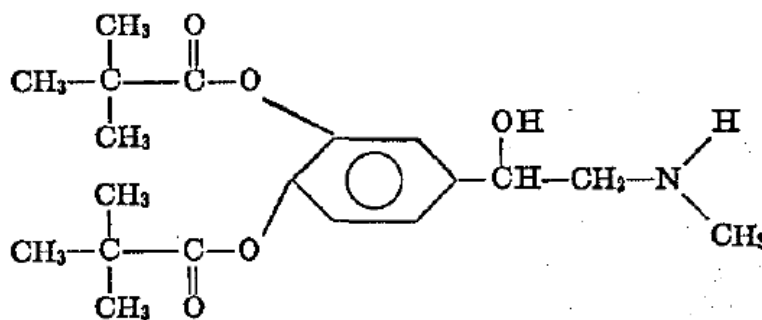
43. The following documents are some of the references I considered in forming my opinions. It is my understanding that a POSA is considered to have knowledge of all available prior art and my discussion of a subset of that prior art, or a particular section of a reference, is not intended to limit the POSA's knowledge for purposes of my analysis. Furthermore, the discussion below is not meant to be exhaustive, and further details are provided in the claim analysis provided below.

TRUELOVE 1 (EXHIBIT 1002)

44. U.S. Patent No. 3,809,714 ("Truelove 1" or "the '714 Patent"), entitled "*Novel ester of ((methylamino)methyl) benzyl alcohol*", issued on May 7, 1974, and describes "a novel and useful therapeutic ester of benzyl alcohol and to pharmaceutical compositions for using same" and discloses comparative esters of benzyl alcohol derivatives.

45. Truelove 1 describes its "*Novel ester of ((methylamino)methyl) benzyl alcohol*", at column 1, lines 28-43, as follows:

"The present invention relates to both a novel and useful therapeutic *ester of benzyl alcohol* and to pharmaceutical compositions for using same. More particularly, the invention pertains to a new compound represented by Formula 1 of the following general formula:



Formula 1

and its pharmaceutically acceptable, non-toxic acid addition salts. The compound and its salts are useful [*sic*] as antiglaucoma and broncholytic agents, and they can be administered per se or in pharmaceutical composition form when admixed with a pharmaceutically acceptable carrier.”

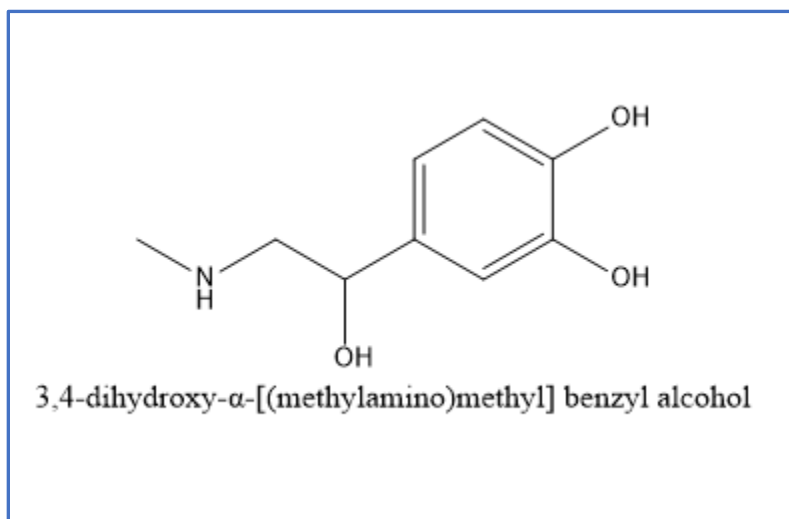
Truelove 1, Exhibit 1002, 1:28-43 (*italics added*).

46. It would have been clear to a POSA that Truelove 1 was referring to diester epinephrine prodrug compounds because Truelove 1’s purpose was to prepare diester compounds of epinephrine as he was clearly disclosing not only the structure but the method of synthesizing the dipivalyl epinephrine diester prodrug of the invention.

47. Truelove 1 recognizes the pharmaceutical and medical need for a new and useful compound for the management of glaucoma, bronchial asthma and other sympathomimetic activities. Truelove 1 discloses that the need existed because epinephrine, referred to as 3,4-dihydroxy- α -[(methylamino)methyl] benzyl alcohol,

has several disadvantages, including instability to both air and light and “poor lipoid solubility attributed to its hydrophilic phenolic hydroxyl groups which tended to restrict the medical application of the drug.” (*See, e.g.*, Exhibit 1002, 1:49-2:10.)

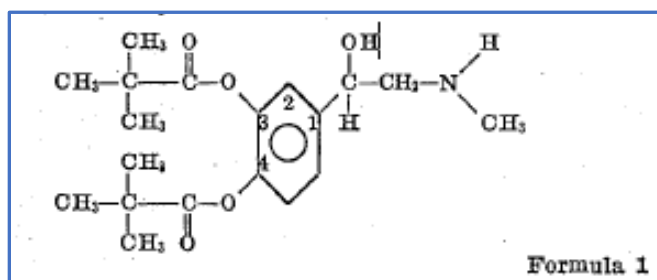
48. Epinephrine, 3,4-dihydroxy- α -[(methylamino)methyl] benzyl alcohol, from ChemDraw® is shown below.



49. Therefore, Truelove 1's stated purpose was to improve the chemical stability and lipid solubility of epinephrine by developing new diester prodrugs and pharmaceutically acceptable salts thereof. (*See, e.g.*, Exhibit 1002, 1:49-2:10.)

50. In connection with a useful compound for the management of bronchial asthma, a POSA would have understood the need to timely release the active epinephrine into the bloodstream.

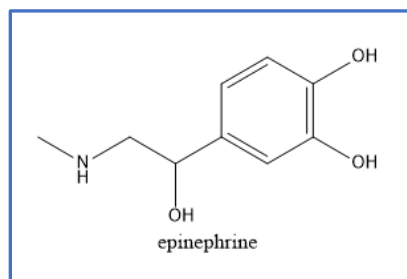
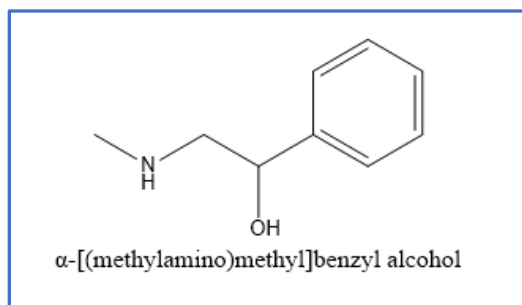
51. One of the objectives of Truelove 1 was to “to provide the compound 3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol”¹⁴ as a therapeutic agent with “improved lipid solubility for enhanced resorption when administered to warm blooded animal tissues” and which “can be administered per se or can be dispensed in ophthalmic, aerosol and other types of pharmaceutical formulations to warm blooded animals to produce a local or systemic physiological or pharmacological beneficial effect.” (See Exhibit 1002, 2:28-39.) The structure was also provided as Formula 1, copied below (Exhibit 1002, 2:50-58):



¹⁴ As will be detailed below, and as a POSA would have understood, the 3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol compound and the other diester epinephrine prodrugs specifically listed in Tables 1-3 of Truelove 1 are identified not as diester prodrugs of epinephrine which was the focus of the invention recited in Truelove 1, but mistakenly as ketone derivatives of an epinephrine analog.

Truelove 1, as further discussed below, mistakenly names the Formula 1 structure as “3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol”, which is not a diester derivative according to modern nomenclature, but rather a ketone derivative of an analog of epinephrine.

52. Importantly, the reference to α -[(methylamino)methyl]benzyl alcohol in Truelove 1’s prodrug compounds are lacking the two hydroxyl groups and are thus not epinephrine based. See ChemDraw¹⁵ structures for α -[(methylamino)methyl]benzyl alcohol and epinephrine below.



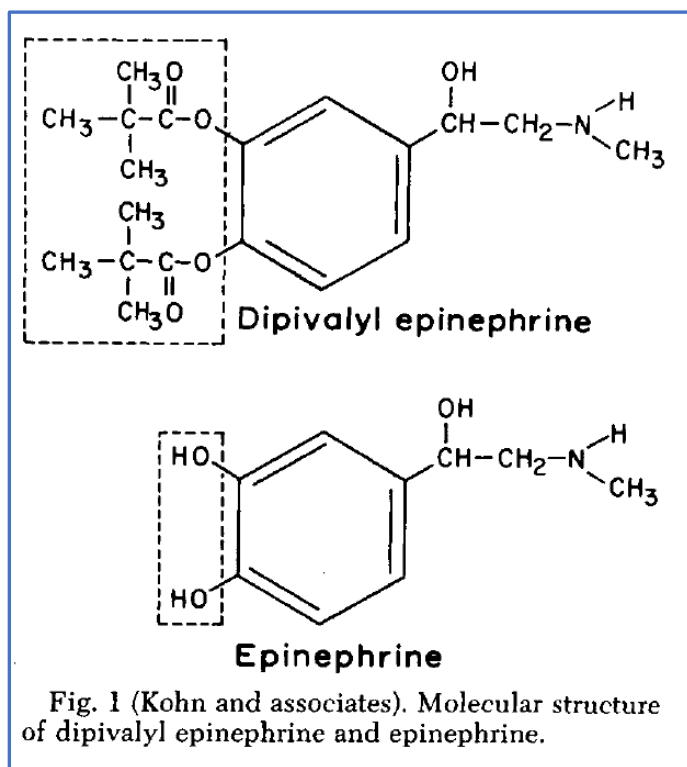
¹⁵ ChemDraw is a molecular editor first appearing in the 1980s, and as such was unavailable to the Truelove 1 inventors.

53. However, Truelove 1 correctly identifies its Formula 8 compound structure (the same structure shown in its Formula 1) as “1-dipivalylepinephrine” (Exhibit 1002, 5:15-21). This is confirmed in the literature, e.g., when Kohn, p. 196, Exhibit 1044 refers to the compound with the same structure as Truelove 1’s Formulas 1 and 8 as “Dipivalyl Epinephrine”. See Kohn discussion below.

54. Kohn, Exhibit 1044 at p.196 makes this distinction clear:

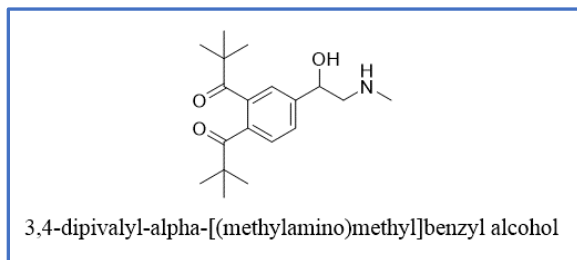
“A prodrug is an agent that undergoes biotransformation to a pharmacologically active form in the body. Dipivalyl epinephrine is a prodrug of epinephrine that is formed by the addition of two pivalyl side-chains to the parent molecule (Fig. 1).”¹⁶

¹⁶ It would have been more accurate to say dipivalyl epinephrine is a prodrug of epinephrine that is formed by the addition of two pivalyl moieties to the phenolic hydroxyls of the parent molecule (Fig. 1).

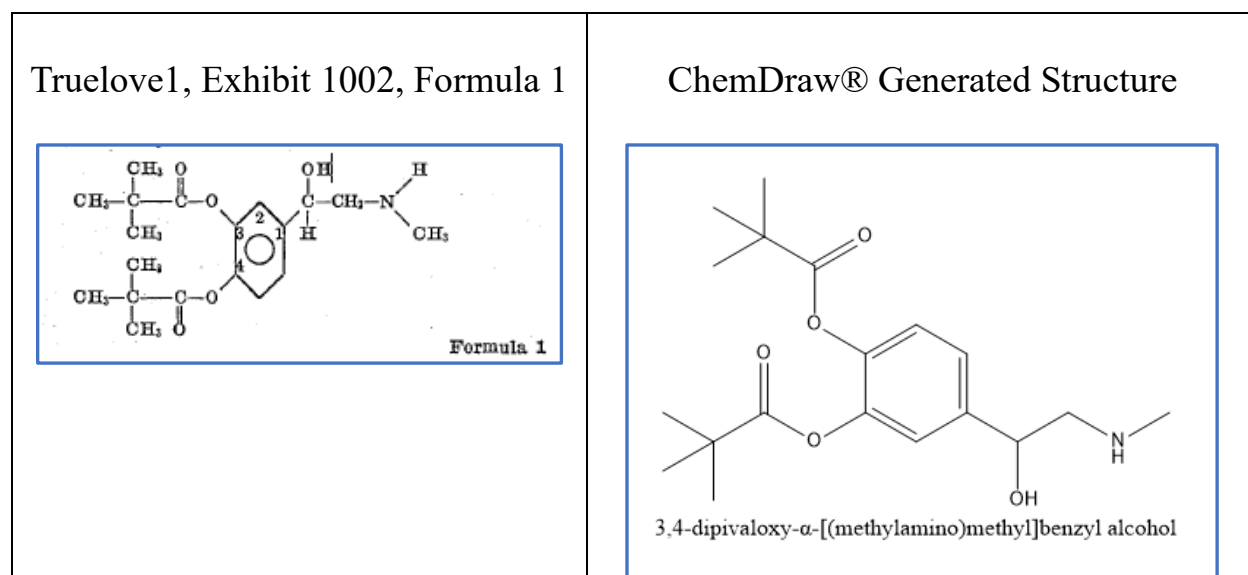


55. Truelove 1 apparently made a mistake in its use as a substitute for Epinephrine in connection with a correct name for the compound, namely Dipivalyl Epinephrine.

56. A POSA would have immediately recognized that Truelove 1's use of "3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol" for its novel compound was not for the structure shown, dipivalyl epinephrine, but names the following ketone derivative of an epinephrine analog structure as generated by ChemDraw®:



Contrary to Truelove 1's designation of the ring substituents as dipivalyl, a POSA would have readily understood that the Formula 1 compound was the diester prodrug of epinephrine, "3,4-dipivaloxy- α -[(methylamino)methyl] benzyl alcohol", in which the ring substituents are actually referred to as "dipivaloxy", to account for the absence of the two hydroxyl groups in " α -[(methylamino)methyl]benzyl alcohol", which are present in epinephrine. Compare below, Truelove 1, Formula 1 with ChemDraw® generated structure for 3,4-dipivaloxy- α -[(methylamino)methyl]benzyl alcohol. The structures are the same. (See also, ¶60, Chart 1 and ¶80, Chart 2 below.)



57. Truelove 1 begins by generally disclosing the process for making the "dipivalyl" ester of epinephrine (Exhibit 1002, 2:68-4:36). Truelove 1 then provides in Examples 1 and 2, specific processes for synthesizing the "dipivalyl" epinephrine prodrug and making pharmaceutical preparations of that compound (Exhibit 1002,

4:36-8:7). These processes are instructive in making the other diester prodrugs of epinephrine that Truelove 1 discloses.

58. Truelove 1 also discloses the pharmacological properties for the compound of the invention and related diester prodrugs of epinephrine in Tables 1-3. (*See* Exhibit 1002, 8:8-9-37.)

59. Truelove 1, Tables 1 and 2 show data for what Truelove 1 refers to as *l*-3,4-diacetyl, *l*-3,4-dipropionyl, *l*-3,4-diisobutyryl, *l*-3,4-dipivalyl compounds and Table 3 shows data for what Truelove 1 refers to as *l*-3,4-diacetyl, *l*-3,4-dipropionyl, *l*-3,4-dibutyryl, *l*-3,4-dipivalyl compounds. As would have been apparent to a POSA, the proper naming of these compounds would substitute the 3,4-diacetoxy, 3,4-dipropionoxy, 3,4-dibutyroxy, 3,4-diisobutyroxy and 3,4-dipivaloxy derivatives of α -[(methylamino methyl]benzyl alcohol, in place of the 3,4-diacetyl, *l*-3,4-dipropionyl, *l*-3,4-dibutyryl, *l*-3,4-diisobutyryl, *l*-3,4-dipivalyl designations.

60. Chart 1, below, summarizes the substitutions a POSA would have understood as being disclosed in Truelove 1.

CHART 1: Ketones & Esters

CHART 1	
(Ketones - One Oxygen Atom) TRUELOVE 1 XXX	(Esters - Two Oxygen Atoms) AS UNDERSTOOD BY POSA ZZZ
acetyl	acetoxy
propionyl	propionoxy
butyryl	butyroxy
isobutyryl	isobutyroxy
pivalyl	dipivaloxy¹⁷

61. In Table 1, reproduced below, Truelove 1 reports the anti-asthmatic effects of four (4) diester prodrugs of epinephrine as compared to *l*-epinephrine. The recorded delay before onset of seizure ranged from 4 to 10 minutes. (See Exhibit 1002, Table 1, 8:33-64.) I note that Truelove 1 does use the correct nomenclature in identifying epinephrine.

¹⁷ As noted earlier, the compound can also be alternatively named “pivaloyloxy”.

Truelove 1, Exhibit 1002, Table 1

TABLE 1	
Compound:	Delay before onset of seizure in minutes
Control	1-2
L - 3,4 - dihydroxy- α -[(methylamino)methyl] benzyl alcohol bitartrate	5.5
L - 3,4 - diacetyl - α -[(methylamino)methyl] benzyl alcohol hydroperchlorate	4
L - 3,4 - dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate	5
L - 3,4-diisobutyryl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate	5
L - 3,4 - dipivalyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate	8-10

62. In Table 2, reproduced below, Truelove 1 compares the chemical stability data for four (4) ester prodrugs of *l*-epinephrine to the parent *l*-epinephrine. This includes measurements of (i) their hydrolysis rates in a pH 4.5 acetate buffer solution at room temperature, expressed as $t_{1/2}$ in days (excluding *l*-epinephrine); and (ii) their rates of auto-oxidation as a 0.2% solution at a pH of 4 in an air oxidative environment in days. While the “dipivalyl” ester promoieties of epinephrine were the most stable of any of the compounds identified, nevertheless the other ester prodrugs were stable in the pH 4.5 acetate buffer solution for 30 to 50 days. (See Exhibit 1002, 8:65-9:14.)

Truelove 1, Exhibit 1002, Table 2

TABLE 2		
Compound	Hydrolysis, $t_{1/2}$	Oxidation, days
L-3,4-dihydroxy- α -[(methylamino)methyl]benzyl alcohol bitartrate.....		15
L-3,4-diacetyl- α -[(methylamino)methyl]benzyl alcohol hydroperechlorate.....	30	20
L-3,4-dipropionyl- α -[(methylamino)methyl]benzyl alcohol hydroperechlorate.....	34	35
L-3,4-diisobutyryl- α -[(methylamino)methyl]benzyl alcohol hydroperechlorate.....	50	35
L-3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol hydroperechlorate.....	700	300

63. In Table 3, reproduced below, Truelove 1 discloses the rate of enzymatic hydrolysis of four (4) epinephrine diester prodrugs in various media at 37° C, and defines those rates as “the $t_{1/2}$ life.” The enzymatic hydrolysis rate was measured at pH of about 7.5, at a concentration of 0.5 mg/mL in, *inter alia*, human plasma. (See Exhibit 1002, 9:15-38.). Table 3 identifies three (3) prior art epinephrine prodrugs with half-lives ($t_{1/2}$) falling within the range of from 1-6 minutes as recited in challenged claims 1, 2 and 3 of the ‘437 Patent.

Truelove 1, Exhibit 1002, Table 3

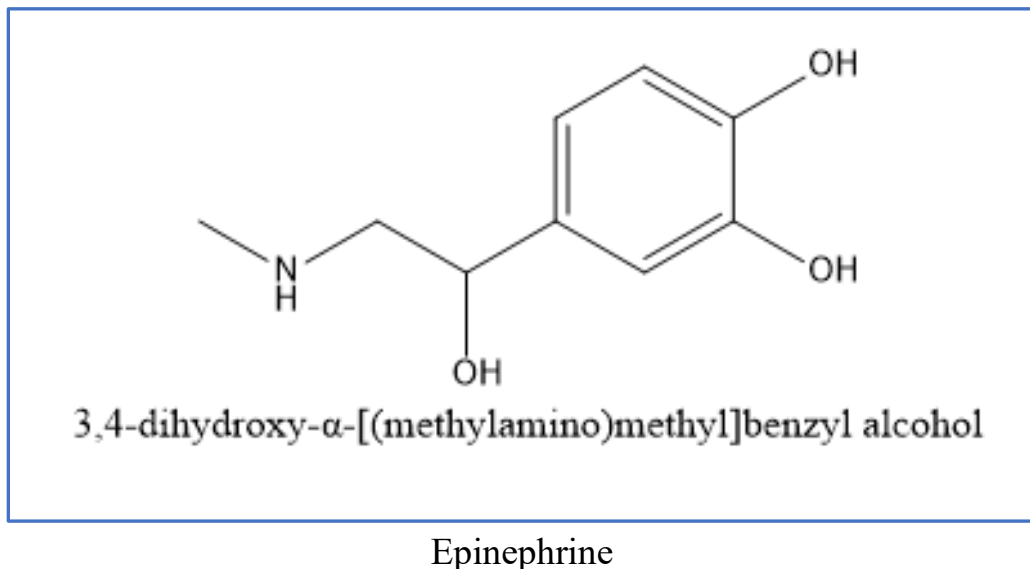
TABLE 3			
Compound	Rate of hydrolysis in $t_{1/2}$ seconds		
	Horse	Rabbit	Human
L-3,4-diacetyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	20	45	180
L-3,4-dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate.....	50	90	240
L-3,4-dibutyryl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	100	160	360
L-3,4-dipivalyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	600	720	1,800

Truelove 1's Compound Misidentifications

64. That Truelove 1 actually made and disclosed diester epinephrine compounds recited in the challenged claims of the '437 Patent is supported by the progression of the invention from the structure of the starting material to Formula 8, a structural example of Truelove 1's target compound.

65. As disclosed in Truelove 1, the inventors made diesters of epinephrine. Truelove 1 starts by identifying epinephrine as "the compound 3,4-dihydroxy- α -[(methylamino)methyl]benzyl alcohol, generally known as epinephrine." (Exhibit 1002, 1:52-54.) The ChemDraw® molecule drawing program generated the

structure shown below of epinephrine from the above identification. ChemDraw[®] is discussed below and is used for the structures shown elsewhere.

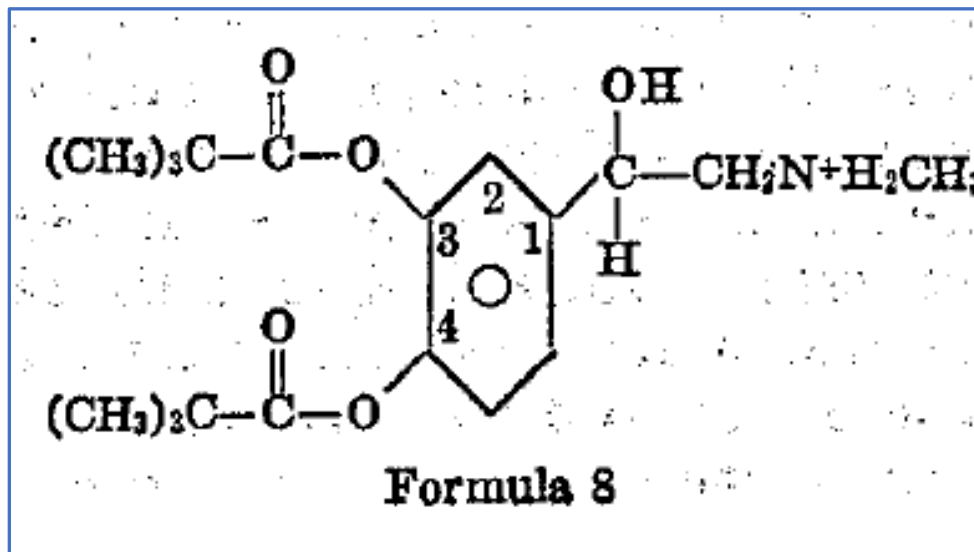


Additionally, if you ask ChemDraw[®] to generate the structure of “epinephrine” in the “convert name to structure” module, the same molecule pictured above is presented.

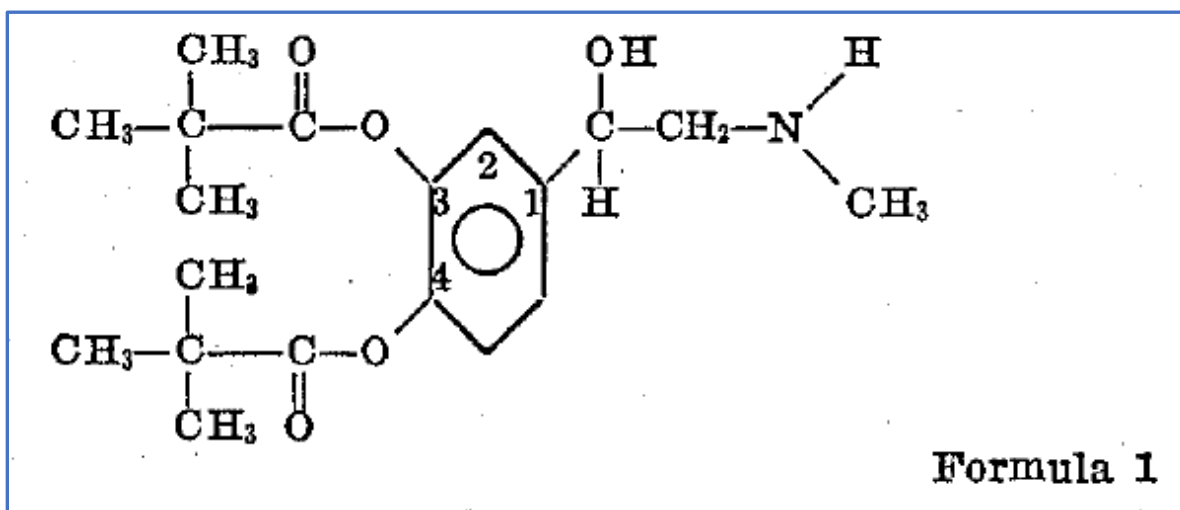
66. Truelove 1 then clearly states that another “object of the invention is to provide a novel and useful physicochemical ester [*i.e.*, prodrug] of 3,4-dihydroxy-α-[(methylamino)methyl]benzyl alcohol” [*i.e.*, epinephrine]. (Exhibit 1002, 2:18-20.)

67. Truelove 1 discloses that the synthesis of its physicochemical ester of “3,4-dihydroxy-α-[(methylamino)methyl]benzyl alcohol”, as described in Examples 1

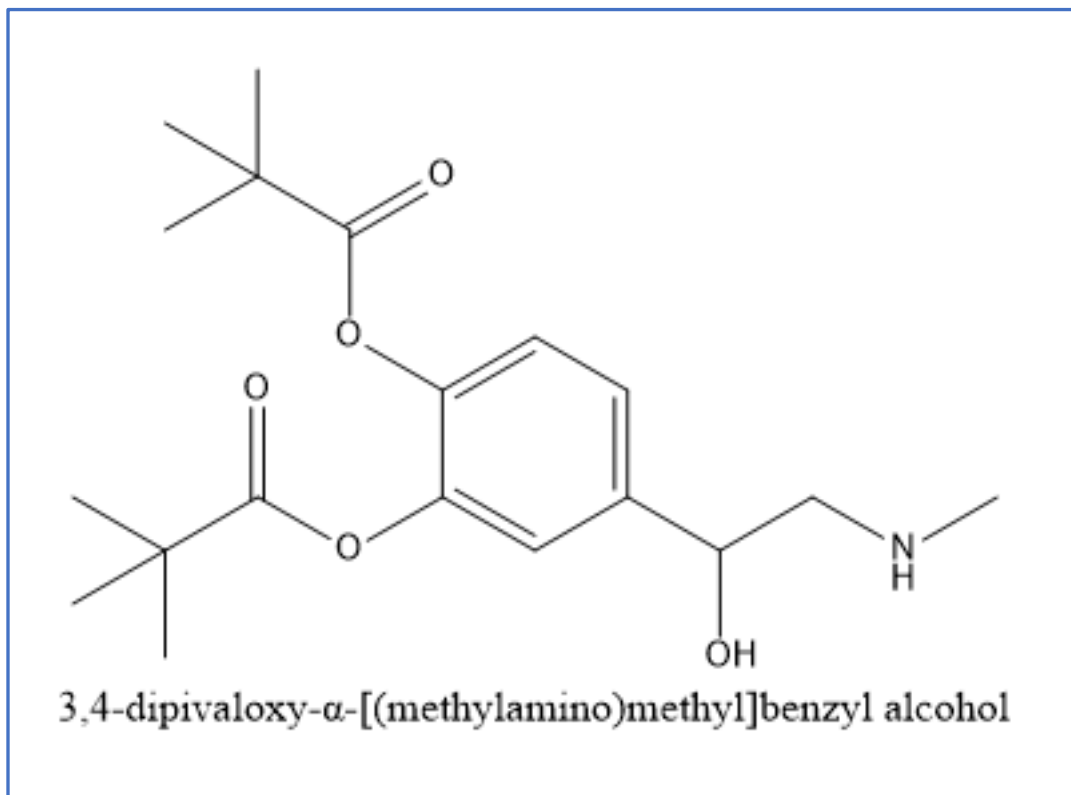
and 2 (Exhibit 1002, 4:38-6:24), results in the structure shown as Formula 8 (Exhibit 1002, 5:66-75), copied below.



68. However, Truelove 1 incorrectly used “**dipivalyl**” instead of “**dipivaloxy**” to identify the 3,4-dipivaloxy- α -[(methylamino)methyl]benzyl alcohol prodrug compound whose structure is shown in Truelove 1 Formula 8 above and the same structure as shown in Truelove 1 Formula 1 (Exhibit 1002, 2:50-59) below.



69. While Truelove 1 misnames the Formula 8 product of its synthesis, *i.e.*, as “3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol” throughout¹⁸, its correct name, as confirmed by ChemDraw®, is “3,4-dipivaloxy- α -[(methylamino)methyl]benzyl alcohol”.¹⁹ See below.



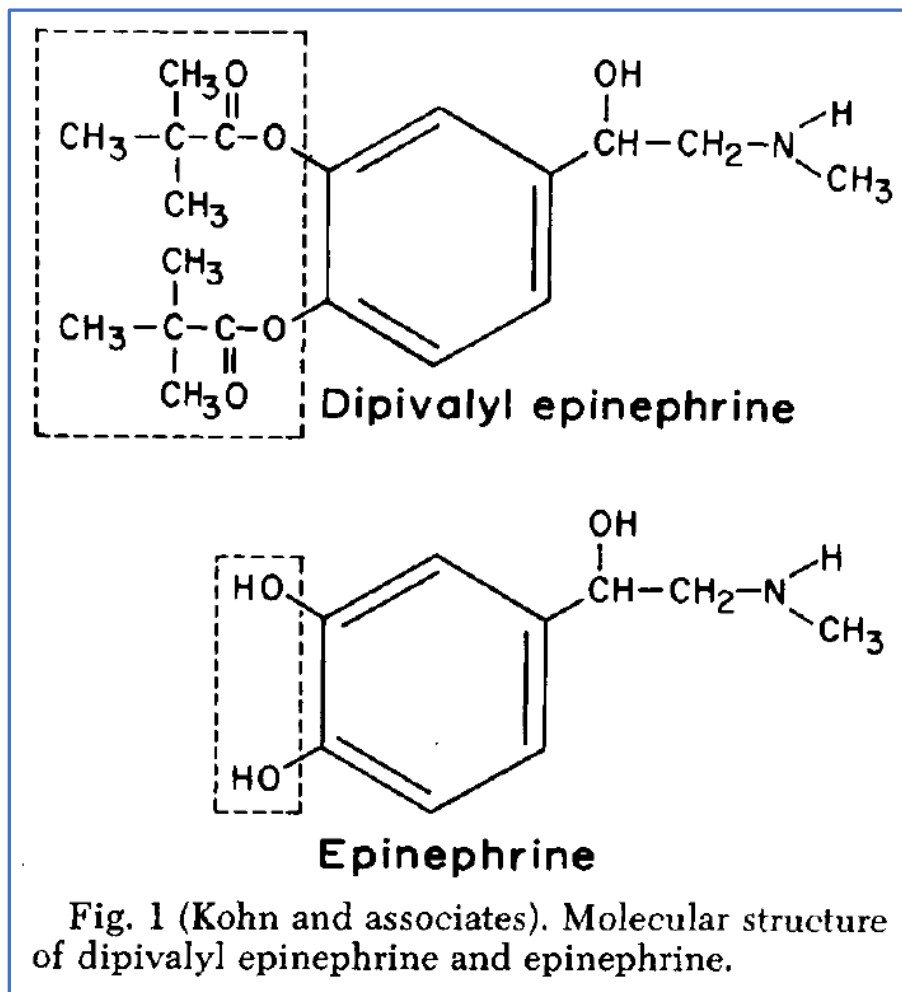
¹⁸ Note that at Exhibit 1002, 4:39-40 the inventors misspelled “dipivalyl” which they had been using throughout the Truelove 1 patent, as “dipavalyl”.

¹⁹ ChemDraw® also confirms that the same structure can also be named “3,4-dipivaloxy- α -[(methylamino)methyl]benzyl alcohol”

70. ChemDraw[®] is a molecule drawing program that was introduced in the late 1980s and rapidly became the most popular software in industry and academia. I have used ChemDraw[®] almost exclusively since ~1990 to draw molecules for patent applications, slide presentations and peer-reviewed publications. I have also routinely used and continue to routinely use ChemDraw[®] to provide the names of compounds from a drawn structure and the reverse, where I have a name and want to verify the structure. I also use the compound naming function in ChemDraw[®] to check absolute configurations for accuracy or when I rotate or flip structures to ensure that I have preserved the absolute configuration. For the practicing organic or medicinal chemist, ChemDraw[®] is a fundamental and indispensable tool; without it, I would not be able to prepare peer-reviewed and other publications or slide presentations where structures and schemes are essential for conveying the kind of information that is part of the scientific currency. For example, the *Journal of Medicinal Chemistry*, one of the top peer-reviewed journals in medicinal chemistry, recommends using ChemDraw[®] for chemical structures in their Manuscript Submission Requirements Checklist. “For chemical structures, ChemDraw with the ACS preferences selected is preferred”. Exhibit 1046, pdf p.6.

71. As noted above at ¶53, Truelove 1 correctly identified the structures shown in Figures 1 and 8 as “l-dipivalylepinephrine”. (See also Truelove 1, Exhibit 1002, 5:15-21; and Kohn, Exhibit 1044, Fig. 1, below.)

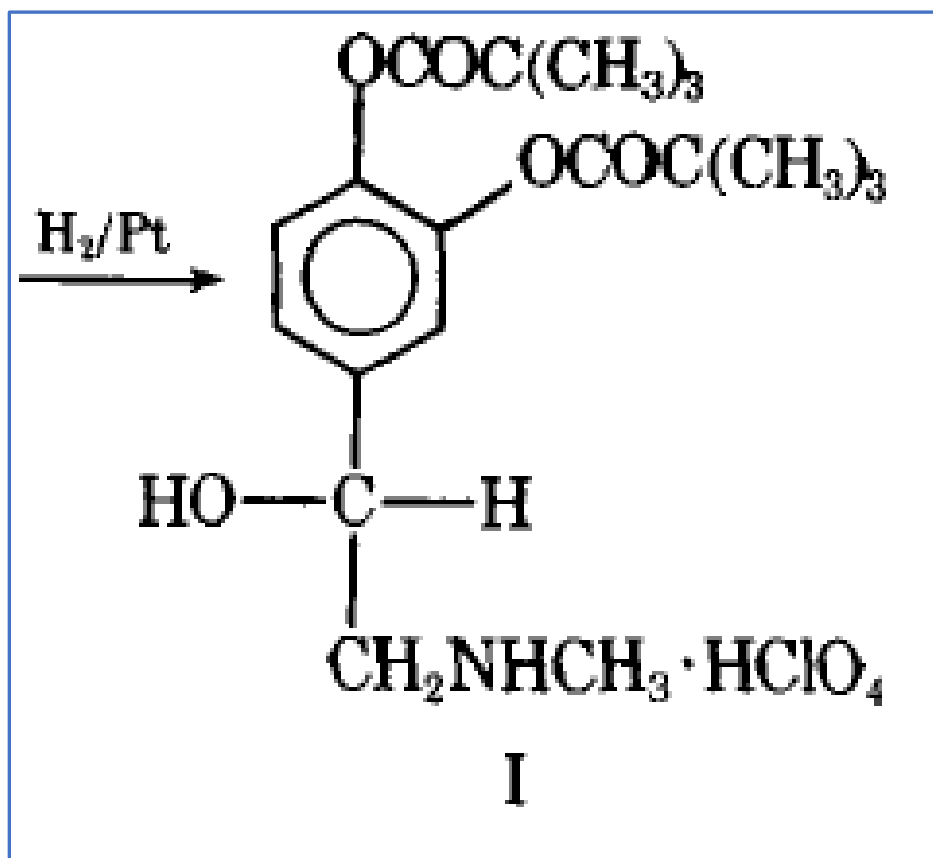
72. Kohn, Exhibit 1044, Fig. 1, confirms that a POSA would have understood the structure for Truelove 1’s “l-dipivalylepinephrine” at 5:15-21 has the structure shown below which is the same structure as Truelove 1 Formula 8 and Truelove Formula 1.



Kohn, Exhibit 1044, Fig. 1

73. The Figure 8 “3,4-dipivaloxy-alpha-[(methylamino)methyl]benzyl alcohol” compound is a diester of epinephrine, as Truelove 1 contemplated, while the “3,4-dipivalyl” derivative of alpha-[(methylamino)methyl]benzyl alcohol is a ketone derivative of the alpha-[(methylamino)methyl]benzyl alcohol” compound, which was not the object of Truelove 1’s invention. Basically, “pivalyl” describes an alkyl ketone functional group with one oxygen atom attached to the initial carbon, while “pivalyloxy” describes an alkyl ester functional group with two oxygen atoms attached to the initial carbon of the derivative.

74. Truelove 4 also discloses the “dipivalyl” epinephrine prodrug salt from Truelove 1’s Tables 3 that was synthesized by Truelove 1’s general procedure (Truelove 4, Exhibit 1007, p. 1510, fn. 1) correctly uses “**dipivaloyloxy**”, which is synonymous with “**dipivaloxy**”. “The prodrug, 3,4-**dipivaloyloxy-a-(methylaminomethyl)benzyl** alcohol perchlorate salt (I) (Scheme I) was a suitable candidate.” (Truelove 4, Exhibit 1007, p.1510, 2nd col. (emphasis added)). Compound I, Scheme I (Exhibit 1007, p. 1511, 1st col.) is reproduced below.



See also discussion below regarding Truelove 4 at ¶¶92-95.

75. A POSA would have readily recognized that Truelove 1 consistently makes the same error in identifying the other physicochemical esters of “3,4-dihydroxy- α -[(methylamino)methyl]benzyl alcohol” disclosed in the specification and most importantly in Truelove 1’s Tables 1-3. A POSA would have understood that the compounds incorrectly identified in Truelove 1 as ketone derivatives of epinephrine, were not the subject of Truelove 1’s epinephrine prodrugs, because, *inter alia*, ketone derivatives of epinephrine are unable to function as effective and

rapidly metabolized prodrugs in human plasma due to the inability of esterases to metabolize a ketone moiety into epinephrine.

76. Thus, a POSA would have readily recognized Truelove's nomenclature errors and would have understood that Truelove 1 disclosed diester epinephrine prodrug compounds and their salts. A POSA would have understood that Truelove 1's recitations of the ketone groups "XXX" in its disclosures of "3,4-diXXX- α [(methylamino)methyl]benzyl alcohol" actually discloses the substituent groups "ZZZ" as listed in "Chart 1: Ketones & Esters" below, resulting in "3,4-diZZZ- α [(methylamino)methyl]benzyl alcohol" and their associated salt forms, *e.g.*, the Truelove 1 compounds ending in "hydroperchlorate".

The Half-Life of Salt and Non-Salt Forms of the Diester Prodrugs is Identical.

77. Finally, based on the presence of the term "hydroperchlorate," the compounds identified in Table 3 of Truelove 1 are the salt forms of the diester prodrugs whose half-lives are reported. While the specific salt form of diester prodrugs may affect their dissolution rates, once dissolved, a POSA would have expected that the enzyme-mediated cleavage rates in the buffered medium measured by the formation of the parent drug as a means of determining their half-lives, would not have been significantly impacted.

78. Challenged claims 1-3 of the '437 Patent claim diester epinephrine prodrugs in non-salt or free-base form (claim 1 also claims the salt form), whereas Truelove 1's Table 3 discloses diester epinephrine prodrugs in their salt form. However, a POSA would have understood that this difference would have had no effect on the compound's respective enzymatic hydrolysis half-life in solution. This understanding stems, in part, from the fact that Truelove 1 compounds are prepared as solutions²⁰ before exposure to hydrolyzing enzymes in plasma. The distinction between salt and non-salt forms could possibly impact dissolution rates, but once dissolved, a POSA would not have expected any impact on enzyme-mediated cleavage rates in the buffered medium and the formation of epinephrine, which is used to determine the half-life. Notably, the Almoazen '437 Patent does not report

²⁰ Truelove 4 disclosed that an aqueous solution of the prodrug was used. With respect to rabbit eye homogenate, "After equilibration, a 2-ml sample of the preparation was placed in another tube, mixed with 0.2 ml of a 4-mg/ml aqueous solution of the prodrug, flushed with nitrogen, and maintained at 37°." With respect to human plasma, "Two milliliters of the plasma" and "0.2 ml of the 4-mg/ml solution of prodrug was added". (Truelove 4, Exhibit 1007, p. 1511, 2nd col.)

any hydrolysis data for any of compounds that are recited in challenged claims 1-3, other than the '437 Patent's reference to Truelove 1.

79. Therefore, it is my opinion that Truelove 1's reported half-lives in Table 3 for "hydroperchlorate" compounds are essentially the same as a POSA would expect for the corresponding non-salt or free base compounds.

Truelove 1's Disclosure of Almoazen '437 Patent Challenged Claims.

80. In "Chart 2: Truelove 1's Disclosures" below, **first**, the diester epinephrine prodrug compounds and associated half-lives $t_{1/2}$, that a POSA would have understood that were disclosed in Truelove 1's Tables, are provided. **Second**, what a POSA would have understood to be the equivalently named diester epinephrine prodrug compounds claimed in the '437 Patent as well as identifying the figures of the '437 Patent associated with these compounds are included. The '437 Patent specifically indicated that the structures depicted in Figures 7A-7D of the '437 Patent correspond to prodrugs disclosed in Truelove 1. "Hussain et al. [Truelove 1, Exhibit 1002] synthesized four different prodrugs for this purpose (see structures 7A, 7B, 7C, 7D)." '437 Patent, Exhibit 1001, 2:11-12. **Third**, the structures of the named compounds as generated by ChemDraw[®] are provided. **Fourth**, the "R" substituent groups of these compounds as recited in the claims of '437 Patent and

previously disclosed by Truelove 1 are included. **Fifth**, the claims of the ‘437 Patent which recite the Truelove 1 disclosed compounds and half-life $t_{1/2}$ in seconds are identified.

CHART 2: Truelove 1's Disclosures

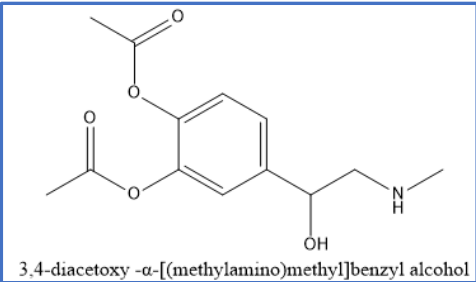
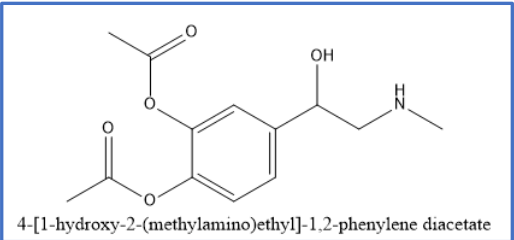
CHART 2 Truelove 1's Disclosure of Almoazen's Compounds		
Truelove 1, Tables 1-3 Compounds and "R" Substituent Group, As Understood By a POSA	Truelove 1 Table 3 $t_{1/2}$ in seconds in Human Plasma	Equivalent '437 Patent Compound and Associated '437 Patent Claim(s) and Figure
3,4-di~~acetyl~~acetoxy-α-[(methylamino)methyl]benzyl alcohol  R=CH₃	180 (3 minutes)	4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene diacetate  4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene diacetate Claims 2 & 3 (See also '437 Patent, Fig. 7A)

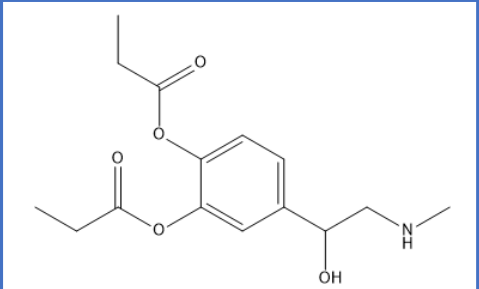
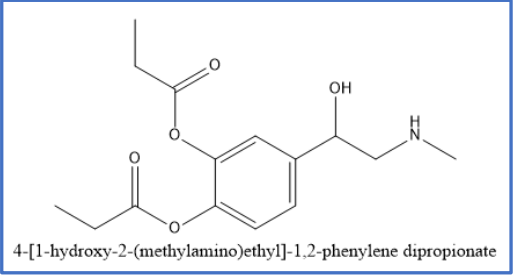
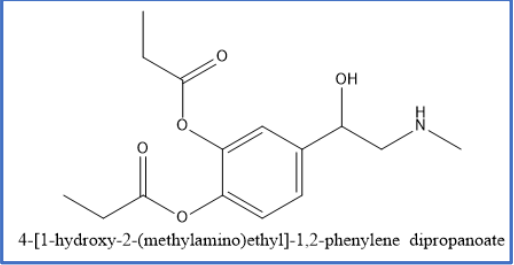
CHART 2 Truelove 1's Disclosure of Almoazen's Compounds		
3,4-dipropionylpropiony-α-[(methylamino)methyl]benzyl alcohol  3,4-dipropiony-α-[(methylamino)methyl]benzyl alcohol R=CH₂CH₃	240 (4 minutes)	4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate  4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate Claims 2 & 3 Alternatively this compound is also named: 4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate  4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate (See '437 Patent, Fig. 7C)

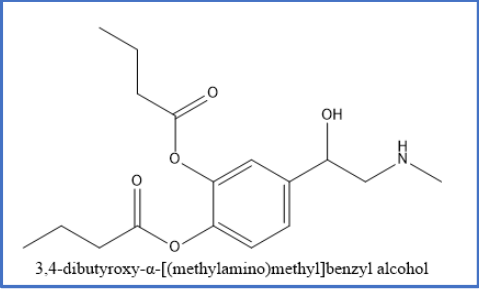
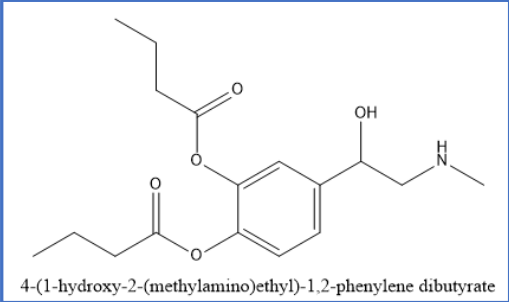
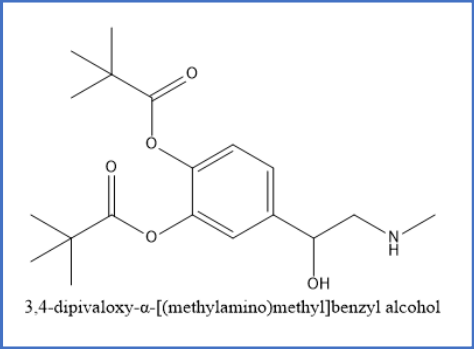
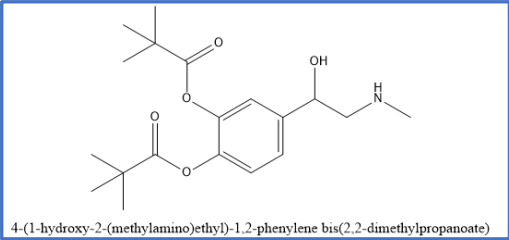
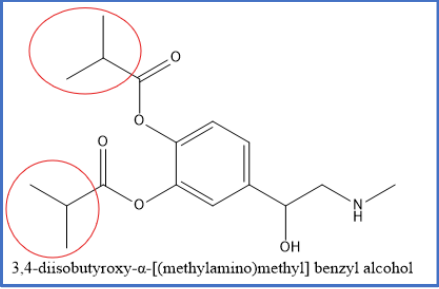
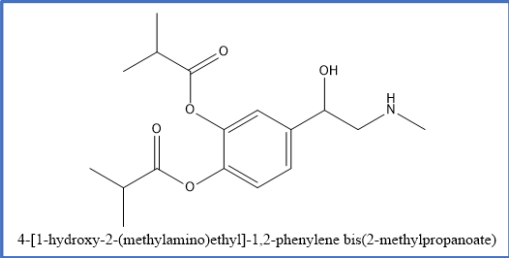
CHART 2 Truelove 1's Disclosure of Almoazen's Compounds		
3,4-~~dibutyl~~butyrylbutyryoxy-α-[(methylamino)methyl]benzyl alcohol  3,4-dibutylbutyryoxy-α-[(methylamino)methyl]benzyl alcohol $R = \text{CH}_2\text{CH}_2\text{CH}_3$	360 (6 minutes)	4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene dibutyrate  4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene dibutyrate Claim 1 (See also '437 Patent, Fig. 5G")
3,4-~~dipivalyl~~pivaloylpivaloxy-α-[(methylamino)methyl]benzyl alcohol  3,4-dipivalylpivaloxy-α-[(methylamino)methyl]benzyl alcohol $R = \text{C}(\text{CH}_3)_3$	1800 (30 minutes)	4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene bis(2,2-dimethylpropanoate)  4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene bis(2,2-dimethylpropanoate) Not recited in claims (See also '437 Patent, Fig. 7D)

CHART 2 Truelove 1's Disclosure of Almoazen's Compounds		
3,4-diisobutyrylisobutyroxy-α-[(methylamino)methyl] benzyl alcohol  $R=CH(CH_3)_2$	Not applicable.	4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene bis(2-methylpropanoate)  Claims 2 and 3 (See also '437 Patent, Fig. 7B)

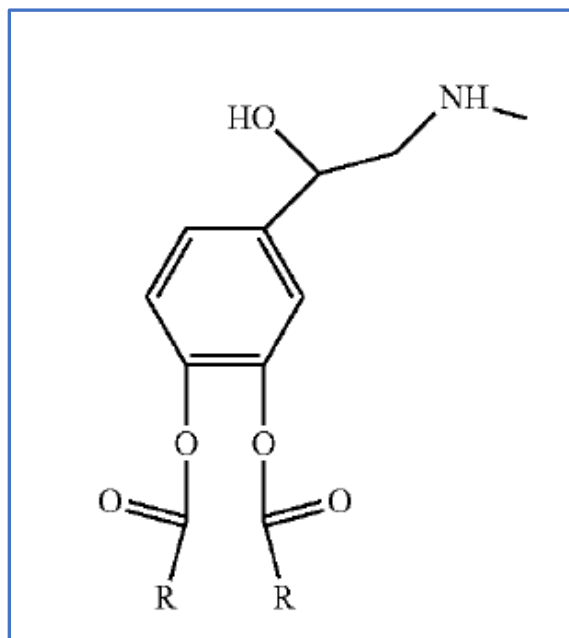
81. The structures depicted in each of the rows in the above Chart are the same compounds although their presented orientations differ. The reason that the orientation of the ChemDraw[®] structures presented in the right hand column do not entirely matchup with those in the left is that when presented with the name of a structure, the ChemDraw[®] program presents structures in an orientation that is based on its underlying naming algorithms. **Nevertheless, the structures in each of the rows in the above Chart are the same compounds.**

Truelove 1 discloses Epinephrine Ester Prodrug where
 $R = -CH_3$ and half-life ($t_{1/2}$) = 3 minutes ('437 Patent claims 2 & 3).

Truelove 1, Table 3, EX1002, 9:26-38

TABLE 3			
Compound	Rate of hydrolysis in $t_{1/2}$ seconds		
	Horse	Rabbit	Human
L-3,4-diacetyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	20	45	180
L-3,4-dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate.....	50	90	240
L-3,4-dibutyryl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	100	160	360
L-3,4-dipivalyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	600	720	1,800

82. In accordance with Chart 1 above, a POSA would have understood that Truelove 1's Table 3's identification of the compound "L-3,4-diacetyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate" was a misnomer and in fact disclosed the compound now described by "L-3,4-diacetoxy- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate". In accordance with Chart 2 above, a POSA would have further understood that this correctly identified compound also discloses its free base or non-salt diester prodrug structure, namely the structure reproduced below as claimed in claim 2 of the '437 Patent where both R groups (substituents) of the ester promoieties are $-CH_3$.



A POSA would have further understood that this correctly identified compound also discloses the epinephrine diester prodrug claimed in claim 3 of the '437 Patent having the free base or non-salt structure identified as “4-[1-hydroxy-2-(methylamino) ethyl]-1,2-phenylene diacetate”.

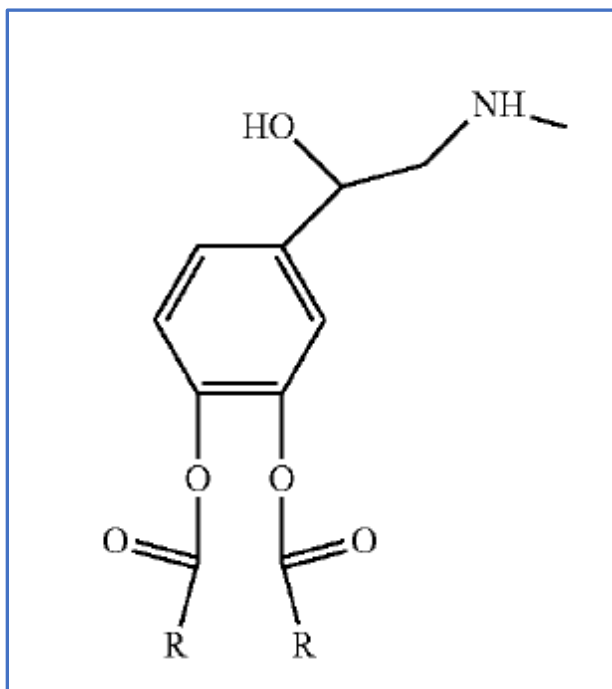
83. Finally, a POSA would have recognized that the identification of “L-3,4-diacetyl- α - [(methylamino)methyl] benzyl alcohol hydroperchlorate” in Table 3 with a half-life $t_{1/2}$ of 180 seconds (3 minutes) is a disclosure of the claimed compound where the R groups (substituents) of the ester promoieties are $-\text{CH}_3$ as recited in claim 2, which can also be named “4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene diacetate” as recited in claim 3, and that the prodrug has a half-life ($t_{1/2}$) of 180 seconds (3 minutes), which is within the range of from 1-6 minutes.

*Truelove 1 discloses Epinephrine Ester Prodrug where
 $R = -CH_2CH_3$ and half-life ($t_{1/2}$) = 4 minutes ('437 Patent claims 2 & 3).*

Truelove 1, Table 3, EX1002, 9:26-38

TABLE 3			
Compound	Rate of hydrolysis in $t_{1/2}$ seconds		
	Horse	Rabbit	Human
L-3,4-diacetyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate	20	45	180
L-3,4-dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate	50	90	240
L-3,4-dibutyryl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate	100	160	360
L-3,4-dipivalyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate	600	720	1,800

84. In accordance with Chart 1 above, a POSA would have understood that Truelove 1's Table 3's identification of the compound "L-3,4-**dipropionyl**- α -[(methylamino) methyl] benzyl alcohol hydroperchlorate" was a misnomer and in fact discloses the compound "L-3,4-**dipropionoxy**- α -[(methylamino) methyl] benzyl alcohol hydroperchlorate" as recognized by accepted naming practices. In accordance with Chart 2 above, a POSA would have further understood that this correctly identified compound also disclosed its free base or, non-salt diester prodrug structure, namely the structure reproduced below as claimed in claim 2 of the '437 Patent where both R groups (substituents) of the ester promoieties are $-CH_2CH_3$.



A POSA would have further understood that this correctly identified compound also discloses the epinephrine ester prodrug claimed in claim 3 of the '437 Patent having the free base or non-salt structure that can be identified as "4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate".²¹

85. Finally, a POSA would have recognized that the identification of "L-3,4-dipropionyl- α -[(methylamino) methyl] benzyl alcohol hydroperchlorate" in Table 3 with a half-life $t_{1/2}$ of 240 seconds (4 minutes) is a disclosure of the compound that

²¹ Which is the same compound and structure as "4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate"

is recited in claim 2 where both R groups (substituents) of the ester promoieties are $-\text{CH}_2\text{CH}_3$ and that this compound could also be correctly named “4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate” as recited in claim 3, and that this compound has a half-life $t_{1/2}$ of 240 seconds (4 minutes), which is within the range of from 1-6 minutes.

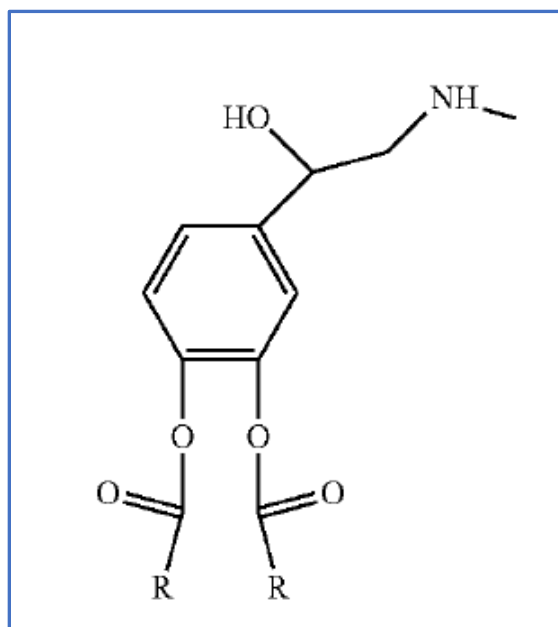
*Truelove 1 discloses Epinephrine Ester Prodrug where
 $R = -\text{CH}(\text{CH}_3)_2$ ('437 Patent claims 2 and 3).*

Truelove 1, Table 2, EX1002, 9:3-14

TABLE 2		
Compound	Hydrolysis, $t_{1/2}$	Oxidation, days
L-3,4-dihydroxy- α -[(methylamino)methyl]benzyl alcohol bitartrate.....		15
L-3,4-diacetyl- α -[(methylamino)methyl]benzyl alcohol hydroperchlorate.....	30	20
L-3,4-dipropionyl- α -[(methylamino)methyl]benzyl alcohol hydroperchlorate.....	34	35
L-3,4-diisobutyryl- α -[(methylamino)methyl]benzyl alcohol hydroperchlorate.....	50	35
L-3,4-dipivalyl- α -[(methylamino)methyl]benzyl alcohol hydroperchlorate.....	700	300

86. In accordance with Chart 1 above, a POSA would have understood that Truelove 1's Table 2's identification of the compound “L-3,4-diisobutyryl- α -(methylamino methyl] benzyl alcohol hydroperchlorate” discloses the compound “L-3,4-diisobutyroxy- α -(methylamino) methyl] benzyl alcohol

hydroperchlorate”. In accordance with Chart 2 above, a POSA would have further understood that this correctly identified compound also discloses its free base or, non-salt diester prodrug structure, namely the structure reproduced below as recited in claim 2 of the ‘437 Patent where both R groups (substituents) of the ester promoieties are $-\text{CH}(\text{CH}_3)_2$.



87. A POSA would have further understood that this compound also discloses the epinephrine diester prodrug claimed in claim 3 of the ‘437 Patent having the free base or non-salt structure identified as “4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene bis(2-methylpropanoate).”

*Truelove 1 discloses Epinephrine Ester Prodrug where
 $R = -C(CH_3)_3$ and half-life ($t_{1/2}$) = 30 minutes*

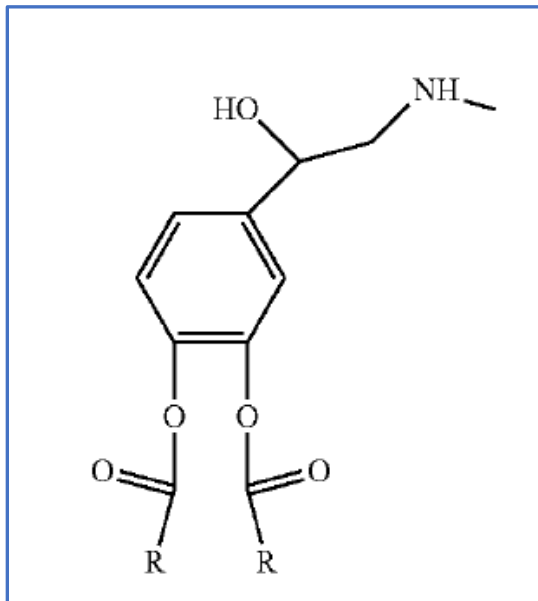
Truelove 1, Table 3, EX1002, 9:26-38

TABLE 3			
Compound	Rate of hydrolysis in $t_{1/2}$ seconds		
	Horse	Rabbit	Human
L-3,4-diacetyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate	20	45	180
L-3,4-dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate	50	90	240
L-3,4-dibutyryl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate	100	160	360
L-3,4-dipivalyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate	600	720	1,800

88. In accordance with Chart 1 above, a POSA would have understood that Truelove 1's Table 3's identification of the compound "L-3,4-**dipivalyl**- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate" was "L-3,4-**dipivaloxy**- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate".²² In accordance with Chart 2 above, a POSA would have further understood that this correctly identified compound also discloses its free base or non-salt diester prodrug structure, namely

²² Which is the same compound and structure as "L-3,4-**dipivaloxyloxy**- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate".

the structure reproduced below where both R groups (substituents) of the ester promoieties are -C(CH₃)₃.



A POSA would have further understood that this correctly identified compound also discloses the free base or non-salt form of the epinephrine diester prodrug identified in the '437 Patent as "4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene bis(2,2-dimethylpropanoate)".

89. Finally, a POSA would have recognized that the identification of “L-3,4-dipivalyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate” in Table 3 with a half-life $t_{1/2}$ of 1800 seconds (30 minutes) is a disclosure of the compound where both R groups (substituents) of the ester promoieties are $-\text{C}(\text{CH}_3)_3$ and is called “4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene bis(2,2-

dimethylpropanoate)”, and that this compound has a half-life $t_{1/2}$ of 1800 seconds (30 minutes).

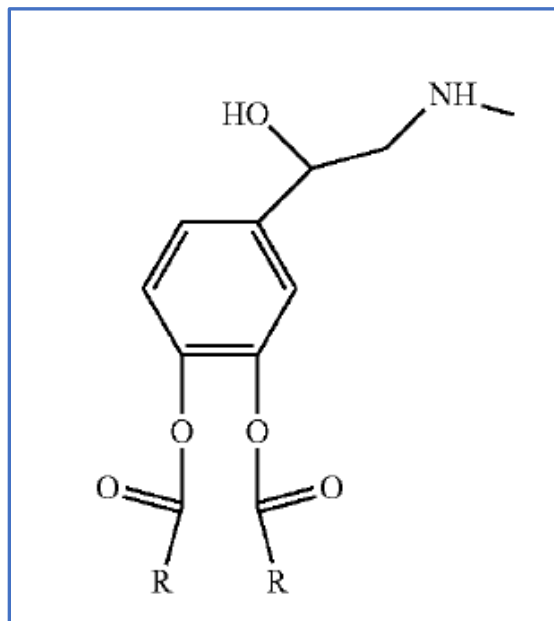
*Truelove 1 discloses Epinephrine Ester Prodrug where
 $R = -CH_2CH_2CH_3$ and half-life ($t_{1/2}$) = 6 minutes ('437 Patent claim 1).*

Truelove 1, Table 3, EX1002, 9:26-38

TABLE 3			
Compound	Rate of hydrolysis in $t_{1/2}$ seconds		
	Horse	Rabbit	Human
L-3,4-diacetyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	20	45	180
L-3,4-dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate.....	50	90	240
L-3,4-dibutyryl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	100	160	360
L-3,4-dipivalyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate.....	600	720	1,800

90. In accordance with Chart 1 above, a POSA would have understood that Truelove 1's Table 3's identification of the compound "L-3,4-dibutyryl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate" was in fact a disclosure of the compound "L-3,4-dibutyroxy- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate". In accordance with Chart 2 above, a POSA would have further understood that this correctly identified compound also discloses its free base or non-salt diester prodrug structure, namely the structure reproduced below as

claimed in claim 1 of the '437 Patent where both R groups (substituents) of the ester promoieties are $-\text{CH}_2\text{CH}_2\text{CH}_3$.



A POSA would have further understood that this correctly identified compound also discloses the epinephrine ester promoiety that is recited in claim 1 of the '437 Patent having the free base or non-salt structure identified as “4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene dibutyrate”. As noted earlier, claim 1 recites both the compound “or a pharmaceutically acceptable salt thereof.”

91. Finally, a POSA would have recognized that the identification of “L-3,4-dibutyryl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate” in Table 3 with a half-life $t_{1/2}$ of 360 seconds (6 minutes) is a disclosure of the compound that is recited in claim 1 where both R groups (substituents) of the ester promoieties are $-\text{CH}_2\text{CH}_2\text{CH}_3$ and named “4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene

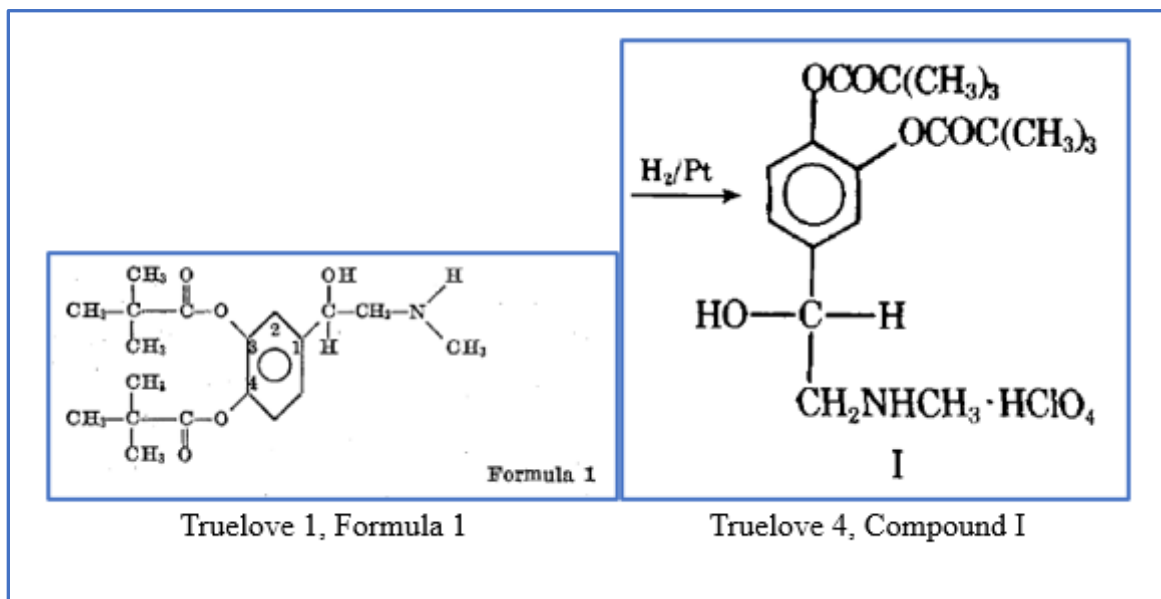
dibutyrate”, and that this compound has a half-life $t_{1/2}$ of 360 seconds (6 minutes), thus falling within the range of from 1-6 minutes.

Truelove 4 (Exhibit 1007) – Half-life of a Diester Prodrug of Epinephrine

92. Truelove 4 (Exhibit 1007) is a publication by Hussain and Truelove entitled “*Prodrug Approaches to Enhancement of Physicochemical Properties of Drugs IV: Novel Epinephrine Prodrug*” (“Truelove 4”, Exhibit 1007). Truelove 4 describes the synthesis and characterization of an ester prodrug named correctly according to accepted nomenclature practices as 3,4-dipivaloyloxy- α -(methylaminomethyl)benzyl alcohol perchlorate salt (Exhibit 1007, p. 1510, 2nd col.) designed to overcome the inefficient absorption of epinephrine through the lipoidal membranes of the eye. The same diester prodrug is disclosed, but incorrectly named, in Truelove 1 as “L-3,4-dipivalyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate” (*see, e.g.*, Exhibit 1002, 2:47-69).

93. As noted above, Truelove 4 also discloses that its Compound 1, Scheme 1 prodrug compound, namely “3,4-dipivaloyloxy- α -(methylaminomethyl) benzyl alcohol perchlorate salt”, which has the same base structure as Truelove 1’s Formula 1 and Formula 8, was synthesized by Truelove 1’s general procedure. (Truelove 4, Exhibit 1007, p. 1510, 2nd col. and fn. 1.)

94. The structures of Formula 1 of Truelove 1 and Compound 1 of Truelove 4 are reproduced below. As is readily apparent, the only difference between the two structures is that Compound I is the salt form of Formula 1.



95. Truelove 4 provides information regarding the assays used to carry out the enzymatic hydrolysis studies and determine the half-life ($t_{1/2}$) in Truelove 1.

“In Vitro Enzymatic Rate of Hydrolysis of Prodrug in Human or Rabbit Plasma -- Blood samples (5 ml) were withdrawn and centrifuged immediately. Two milliliters of the plasma was transferred to a stoppered test tube and equilibrated to 37°. After equilibration, 0.2 ml of the 4-mg/ml solution of prodrug was added and the mixture was maintained at 37°. Liberation of epinephrine was followed as already described.”

Truelove 4, Exhibit 1007, p. 1511, 2nd col.

96. Table 1 of Truelove 4, copied below, provides the half-life ($t_{1/2}$) of Truelove 1's compound. The table shows that by 28 minutes enough of the prodrug had hydrolyzed that 47.5% of the parent epinephrine had formed and been released into the plasma. Accordingly, the data disclosed in Truelove 4, confirms the half-life ($t_{1/2}$) of 30 minutes (1,800 seconds) that is reported in Table 3 of Truelove 1.

Truelove 4, Exhibit 1007, Table 1, p. 1511, 2nd col.

Table I—In Vitro Enzymatic Hydrolysis of the Prodrug			
Minutes	Percent Hydrolysis in		
	Rabbit Plasma	Human Plasma	Rabbit Eye Homogenate
0	0	0	0
3	12.3	—	—
8	47.7	—	—
10	—	8.0	—
13	58.0	—	—
18	—	24.1	—
20	—	—	2.3
22	96.0	—	—
28	—	47.5	—
32	100	—	—
40	—	—	5.3
45	—	85.8	—
60	—	95.1	18.2
90	—	100	34.0
105	—	—	42.5
150	—	—	68.9
190	—	—	81.8
285	—	—	100

97. The half-life ($t_{1/2}$) for Compound 1 of Truelove 4, namely 3,4-dipivaloyloxy- α -(methylaminomethyl)benzyl alcohol perchlorate salt, *i.e.*, epinephrine core where both R groups (substituents) of the ester promoieties are $-\text{C}(\text{CH}_3)_3$ is approximately 30 minutes. Because esters with smaller alkyl groups are expected to be cleaved more rapidly compared to larger alkyl groups, a POSA would have expected the half-life ($t_{1/2}$) in human plasma for epinephrine with diester promoieties with decreasing substitution on the carbon atom α to the carbonyl group to have faster hydrolysis rates, *i.e.*, a shorter half-life ($t_{1/2}$) values. Thus, a POSA would have expected that epinephrine prodrugs with diester promoieties such as where the R groups are: R = $-\text{CH}(\text{CH}_3)_2$ [‘437 Patent, Figure 7B]; R = $-\text{CH}_2\text{CH}_3$ [‘437 Patent, Figure 7C]; R = $-\text{CH}_2\text{CH}_2\text{CH}_3$ [‘437 Patent, Figure 5G”]; and R = $-\text{CH}_3$ [‘437 Patent, Figure 7A] to have a progressively faster hydrolysis rate, *i.e.*, shorter half-life ($t_{1/2}$) values, and that epinephrine esters having these R groups would have half-lives ($t_{1/2}$) in human plasma of less than 6 minutes.

CLAIM CONSTRUCTION

98. It is my understanding that the claims of a patent define the invention to which the patentee is entitled the right to exclude. I have been informed that claim terms are generally given their ordinary and customary meaning; in other words,

claim terms are generally defined by the meaning that the term would have had to a POSA as of the priority date of the '437 Patent.

99. It is also my understanding that a claim term should be accorded the full breadth of its plain and ordinary meaning as understood by a POSA when read in the context of the specification and prosecution history and the prior art. In ascertaining the meaning of the claims, it is my understanding that, because the claims are directed toward the invention described in the specification, the meaning of the claims cannot be divorced from the specification which necessarily informs the proper construction or interpretation of claim elements.

Half-life $t_{1/2}$ means the time for one half of the epinephrine to be formed from its prodrug in human plasma

100. The '437 Patent uses the methodology and disclosures of Truelove 1 (Exhibit 1002) and Truelove 4 (Exhibit 1007) to ascertain the half-life ($t_{1/2}$) values of its claimed prodrugs. (See, Exhibit 1001, 2:5-39 (Truelove patents and publication.) The '437 Patent's only reference to an actual determination of the half-life of an epinephrine prodrug is in connection with Truelove 1's 1,800 seconds in human plasma, for the cleavage of the diester epinephrine prodrug whose structure is shown in Figure 7D of the '437 Patent, and Truelove 4's disclosure regarding the *in*

vitro hydrolysis of the same epinephrine diester prodrug in human plasma (*see e.g.*, Exhibit 1001, 2:5-38).

101. Specifically, the ‘437 Patent discloses at Exhibit 1001, 2:25-38:

“Hussain and Truelove [Truelove 1, Exhibit 1002] selected this prodrug for further development because of several physicochemical and biological qualities. The first quality was estimating the delay before onset of seizure for this prodrug was about 8-10 minutes [Truelove 1, Table 1], **the second quality was the rate of hydrolysis expressed as $t_{1/2}$ in human plasma was about 1,800 seconds** [Truelove 1, Table 3] and the third quality was the $t_{1/2}$ hydrolysis and oxidation *in vitro* was 700 and 300 days respectively [Truelove 1, Table 2]. In a separate publication (Journal of Pharmaceutical Sciences, Vol. 65, No. 10, October 1976, p: 1510-1512), Hussain et. al. [Truelove 4, Exhibit 1007] shared the graphs for the *in vitro* hydrolysis of this particular prodrug using rabbit eye homogenate and compared the hydrolysis among three different plasmas (human, rabbit, rabbit eye homogenate.”

‘437 Patent, Exhibit 1001, 2:25-38 (emphasis added).

102. Therefore, in addition to the Almoazen ‘437 Patent and its file history, a POSA would have considered the disclosure of Truelove 1 and Truelove 4 to understand the meaning of the ‘437 Patent’s half-life ($t_{1/2}$) range of 1-6 minutes as recited in the challenged claims. Neither the ‘437 Patent nor its file history add

anything to the meaning of the term other than their references to Truelove 1 and its results.

103. Truelove 1 describes the results of the process of measuring the enzymatic rate of hydrolysis in various media at 37° C as the “ $t_{1/2}$ life”, and reports those results in Table 3.

“The improved stability of the compound is demonstrated in various biological media by measuring its enzymatic rate of hydrolysis in various media at 37° C. and expressing this result as the $t_{1/2}$ life. The enzymatic rate of hydrolysis is measured at pH of about 7.5 and at a concentration of 0.5 mg./ml. of compound in media (a) horse serum cholinesterase, (b) rabbit serum, and human plasma. The results for the compound are listed in Table 3 [copied below], as are the results of other compounds treated in a like enzymatic environment. The free base compound was measured by using high pressure liquid chromatography.”

Truelove 1, Exhibit 1002, ‘714 Patent, 9:15-25.

Truelove 1, Exhibit 1002, Table 3, '714 Patent, 9:26-37.

TABLE 3			
Compound	Rate of hydrolysis in $t_{1/2}$ seconds		
	Horse	Rabbit	Human
L-3,4-diacetyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate-----	20	45	180
L-3,4-dipropionyl- α -[(methylamino)methyl] benzyl alcohol hydroperchlorate-----	50	90	240
L-3,4-dibutyryl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate-----	100	160	360
L-3,4-dipivalyl- α -[(methylamino)-methyl] benzyl alcohol hydroperchlorate-----	600	720	1,800

104. Truelove 4 offers a POSA additional information regarding Truelove 1's process for determining the half-life ($t_{1/2}$).

“In Vitro Enzymatic Rate of Hydrolysis of Prodrug in Human or Rabbit Plasma -- Blood samples (5 ml) were withdrawn and centrifuged immediately. Two milliliters of the plasma was transferred to a stoppered test tube and equilibrated to 37°. After equilibration, 0.2 ml of the 4-mg/ml solution of prodrug was added and the mixture was maintained at 37°. *Liberation of epinephrine was followed as already described.*”

Truelove 4, Exhibit 1007, p. 1511, 2nd col. (emphasis added).

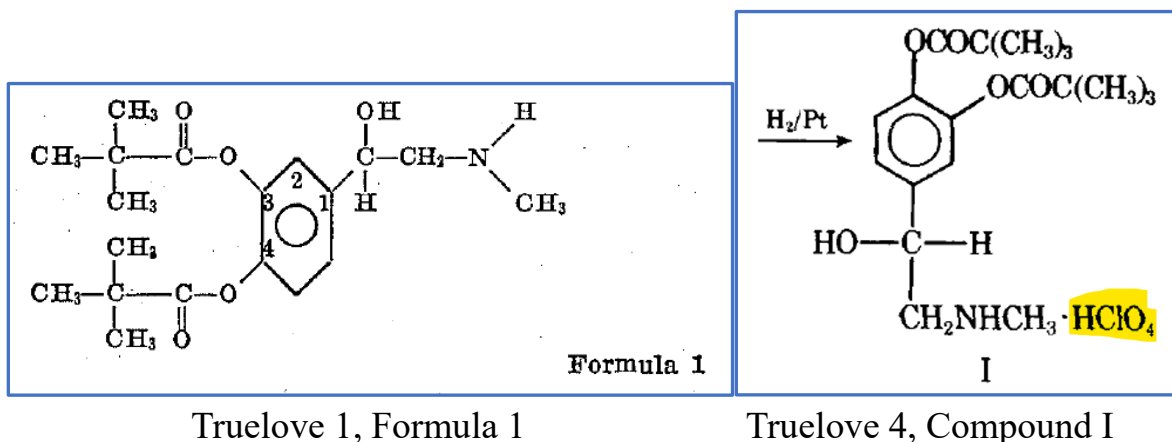
105. Truelove 4 also provides Table I, copied below, which discloses the half-life ($t_{1/2}$) of the fourth compound identified in Table 3 of Truelove 1 as the “dipivalyl” compound and in Truelove 4 (p. 1512, 1st col.) as the “dipivaloyl derivative”

compound. Truelove 4 Table 1 reports that by 28 minutes enough of the prodrug had hydrolyzed that 47.5% of the epinephrine had formed and been released into the plasma. A POSA would have immediately understood that the formation of 47.5% epinephrine in 28 minutes would clearly suggest and teach the half-life ($t_{1/2}$) of 30 minutes. Accordingly, the data disclosed in Truelove 4, confirms the half-life ($t_{1/2}$) of 30 minutes (1,800 seconds) that is reported in Table 3 of Truelove 1 (Exhibit 1002).

Truelove 4, Exhibit 1007, Table 1, p. 1511, 2nd col. (highlighting added).

Table I—In Vitro Enzymatic Hydrolysis of the Prodrug			
Minutes	Percent Hydrolysis in		
	Rabbit Plasma	Human Plasma	Rabbit Eye Homogenate
0	0	0	0
3	12.3	—	—
8	47.7	—	—
10	—	8.0	—
13	58.0	—	—
18	—	24.1	—
20	—	—	2.3
22	96.0	—	—
28	—	47.5	—
32	100	—	—
40	—	—	5.3
45	—	85.8	—
60	—	95.1	18.2
90	—	100	34.0
105	—	—	42.5
150	—	—	68.9
190	—	—	81.8
285	—	—	100

106. A comparison of the structures of Formula 1 of Truelove 1 (Exhibit 1002, 1:35-42) and Compound 1 of Truelove 4 (Exhibit 1007, p. 1511) illustrates that the only difference is that the Compound 1 structure is the salt form, as shown by the yellow highlighting, of non-salt or free-base structure depicted in Formula 1.



107. Truelove 4 defines half-life ($t_{1/2}$) as the time for one-half of the parent epinephrine compound to be formed: “The results of the hydrolytic study with the rabbit eye homogenate, rabbit plasma, and human plasma are shown in [Truelove 4] Table I and Fig. 2. These data show that the prodrug cleaves to epinephrine at a reasonable rate.” (Truelove 4, Exhibit 1007, p. 1512, 1st col.)

108. A POSA reviewing both Truelove 1 and Truelove 4 in the context of the ‘437 Patent’s specification would have understood that the half-life measured in human plasma is the time for one-half of the parent epinephrine compound to form. Thus, “half-life $t_{1/2}$ ” as recited in the challenged claims should be construed as meaning the “time for one half of the epinephrine to be formed from its prodrug in human

plasma”. As was detailed in ¶¶77-79 above, a POSA would have understood that the half-lives of the salt and non-salt forms of these epinephrine promoieties would be essentially the same, if not identical assuming that all materials were in solution.

CONCLUSION

109. A POSA would have understood that **Truelove 1, Exhibit 1002, Table 3 discloses** the following epinephrine prodrugs, their non-salt or free base form, as well as their half-lives, namely:

- (i) 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene diacetate”, half-life $t_{1/2}$ of 3 minutes, structure recited in ‘437 Patent claim 2 where both R substituents of the ester promoieties are -CH₃, and compound name recited in ‘437 Patent claim 3;²³
- (ii) 4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene dipropionate, half-life $t_{1/2}$ of 4 minutes, structure recited in ‘437 Patent claim 2 where both R substituents of the ester promoieties are -CH₂CH₃, and compound name recited in ‘437 Patent claim 3;²⁴

²³ See discussion at ¶¶59-60, 80-83, above.

²⁴ See discussion at ¶¶59-60, 80-81, 84-85, above.

(iii) 4-(1-hydroxy-2-(methylamino) ethyl)-1,2-phenylene dibutyrate, half-life $t_{1/2}$ of 6 minutes, structure recited in '437 Patent claim 1 where both R substituents of the ester promoieties are $-\text{CH}_2\text{CH}_2\text{CH}_3$.²⁵

110. A POSA would have understood that **Truelove 1, Exhibit 1002, Table 2 discloses** the following epinephrine prodrug and its non-salt or free base form, namely: 4-(1-hydroxy-2-(methylamino)ethyl)-1,2-phenylene bis(2-methylpropanoate), structure recited in '437 Patent claim 2 where both R substituents of the ester promoieties are $-\text{CH}(\text{CH}_3)_2$, and compound name recited in '437 Patent claim 3.²⁶

111. A POSA would have understood that Truelove 1, Table 3's disclosure of salt forms of the prodrugs with associated half-life $t_{1/2}$ in human plasma as disclosing the same or almost the same half-life in seconds of those prodrugs in their corresponding non-salt or free base forms.

112. I declare under penalty of perjury under the laws of the United States of America that the foregoing is true and correct.

²⁵ See discussion at ¶¶59-60, 80-81, 90-91, above.

²⁶ See discussion at ¶¶59-60, 80-81, 86-87, above.

Executed on this the 3rd day of May 2025



Nicholas A. Meanwell, Ph.D.

APPENDIX A - *CURRICULUM VITAE*

NICHOLAS A. MEANWELL

Ph.D., CSci, CChem, FRSC, ACSF

Distinguished Professor, *The Baruch S. Blumberg Institute*, Doylestown, PA.
Adjunct Professor of Medicinal Chemistry, Department of Medicinal Chemistry,
College of Pharmacy, *The University of Michigan*, Ann Arbor, MI.
Adjunct Professor of Medicinal Chemistry, Ernest Mario School of Pharmacy,
Rutgers - The State University of New Jersey, New Brunswick, NJ.
NuArq MedChem Consulting LLC, Yardley, PA.

e-mail: nicholas.meanwell@gmail.com

Cell phone: 860-367-3714

CURRENT

Principal, *NuArq MedChem Consulting*, November 2022 - present.
Distinguished Professor, *The Baruch S. Blumberg Institute*, January 2023 – present.
Adjunct Professor of Medicinal Chemistry, Department of Medicinal Chemistry, College of Pharmacy, *The University of Michigan*, April 2023 – present.
Adjunct Professor of Medicinal Chemistry, Ernest Mario School of Pharmacy, *Rutgers - The State University of New Jersey*, February 2024 – present.

INDUSTRIAL EXPERIENCE

Vice President/Scientific Vice President, Discovery Chemistry Platforms, Department of Small Molecule Drug Discovery, Bristol Myers Squibb Research and Early Development, Princeton, New Jersey, March 2019 to October 2022.

Executive Director, Department of Discovery Chemistry, Bristol-Myers Squibb Research and Development, Wallingford, Connecticut and Princeton, New Jersey, February 2005 to March 2019. Directed multiple research programs to discover and develop novel antiviral agents, host immune modulators, and anti-fibrotic agents.

Director, Grade 19, Department of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, Connecticut, April 2001 to February 2005. Directed multiple research programs to discover and develop novel antiviral agents.

Associate Director, Grade 18, Department of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, Connecticut, July 1998 to May 2001. Directed multiple research programs to discover and develop novel antiviral agents with emphasis on HBV, HCV, RSV, influenza, HIV, HCMV and HSV.

Senior Principal Scientist, Grade 18, Department of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, Connecticut, October 1993 to July 1998.

Group Leader, Grade 17, Division of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, Connecticut, December 1990 to September 1993.

Associate Director, Grade 17, Cardiovascular Chemistry, Bristol Myers Pharmaceutical Research and Development Division, Wallingford, Connecticut, September 1989 to December 1990.

Senior Research Scientist II, Grade 16, Bristol Myers Pharmaceutical Research and Development Division, Wallingford, Connecticut, December 1987 to September 1989.

Senior Research Scientist, Grade 15, Bristol Myers Pharmaceutical Research and Development Division, Evansville, Indiana and Wallingford, Connecticut, August 1985 to December 1987.

Research Scientist, Grade 13, Bristol Myers Pharmaceutical Research and Development Division, Evansville, Indiana, August 1982 to August 1985.

1982-1990: Cardiovascular Medicinal Chemistry.

1990-1994 Central Nervous System Medicinal Chemistry

1994-2017: Virology Medicinal Chemistry

2017-2019: Pan-Therapeutic Medicinal Chemistry

2019-2022: Discovery Chemistry Platforms

EDUCATION

Post Doctoral Studies

1979-1982

Organic Chemistry Research,

The Department of Chemistry, **Wayne State University, Detroit, Michigan**

Collaboration with Professor Carl R. Johnson

Research Area: Investigations into the synthesis and reactions of sulfoximine derivatives and the synthesis and resolution of natural products. Devised a general synthetic approach to sulfoximine derivatives *via* the intermediacy of sulfonimidoyl fluorides, a new functionality at that time. Designed and completed a synthesis of hop ether which involved a phosphorus reagent-based resolution. Designed and completed syntheses of hop ether, *cis*-jasnone, sarkomycin and known synthetic precursors to 11-deoxy-prostaglandins and PGE₂ from a common intermediate. Designed and realized a synthesis of the ginseng constituent β -panasinsene that was resolved *via* a kinetic resolution using sulfoximine chemistry.

Post-Graduate Studies

1976-1979

Organic Chemistry Research,

The Department of Chemistry, **University of Sheffield, Sheffield, England**

Supervised by Dr. D. Neville Jones (Reader)

Ph.D. Degree: September 1979

Thesis Title: *Alkenyl Sulphoxides and Prostanoids*

Research Area: The exploitation of alkenyl sulphoxides as key intermediates in the synthesis of dihydrojasnone, 9-deoxyprostaglandins and 9-thiaprostaglandin derivatives. Several families of 9-deoxy- and 9-deoxy-10-phenylthio-prostaglandin analogues were synthesized along with thiolan- and thiophene-derived prostaglandin analogues.

The University of Sheffield, Sheffield, England

1973-1976

B.Sc. Special Honours Chemistry (Upper Second Class)

1976

Chemistry, Subsidiary Physics and Mathematics

1973-1974

Chemistry, Subsidiary Catalysis

1974-1975

Chemistry

1975-1976

NOMINATED COMPOUNDS AND CLINICALLY-EVALUATED DRUGS

1. BMY-20844 – Inhibitor of blood platelet cAMP PDE III and induced aggregation advanced into Phase 1/Phase 2 as a potential anti-thrombotic agent. Development discontinued due to poor oral bioavailability.
2. BMS-204352 (*flindokalner*, *MaxiPost™*) – Maxi-K channel opener that completed Phase 3 clinical studies for the treatment of stroke. Development discontinued due to absence of clinical efficacy.

3. BMS-191011 – Maxi-K channel opener back-up nominated December 1997. Not developed based on the failure of *flindokalner* (*MaxiPost™*) to show clinical efficacy in stroke.
4. BMS-378806 – First-in-class HIV-1 attachment inhibitor, nominated February, 2000 and advanced into Phase I clinical studies September, 2001. Discontinued due to unacceptable pharmacokinetic properties.
5. BMS-424456 – First-in-class respiratory syncytial virus (RSV) inhibitor nominated December, 2000. Discontinued February, 2001 due to toxicological problems during IND-enabling studies.
6. BMS-433771 – Respiratory syncytial virus (RSV) inhibitor nominated February, 2001. Discontinued for business reasons December, 2001 a month before a planned IND filing.
7. BMS-488043 – HIV-1 attachment inhibitor nominated September, 2001 and advanced into clinical studies September 2002. Discontinued April, 2005 after establishing proof-of-concept and completing Phase 2a studies.
8. BMS-538158/BMS-538203 – HIV-1 integrase inhibitor, nominated October, 2002 and advanced into clinical studies in October 2003. Discontinued April, 2005 after establishing proof-of-concept and completing Phase 2a studies.
9. BMS-585248 – HIV-1 attachment inhibitor, nominated July, 2003 and advanced into Phase I clinical studies July, 2005. Discontinued January 2006 after completing Phase 1 studies.
10. BMS-626529 (*temsavir*) – HIV-1 attachment inhibitor, nominated July, 2003 and advanced into Phase I clinical studies November, 2004. Discontinued January 2006 after completing Phase 1 studies.
11. BMS-605339 – HCV NS3 protease inhibitor, nominated April 2004 and advanced into Phase I clinical studies May, 2005. Discontinued June 2006 after Phase 1/2a studies due to cardiovascular problems.
12. BMS-663068 (*fostersavir*) – HIV-1 attachment inhibitor prodrug nominated September, 2004. Advanced into Phase I clinical studies December, 2005 and Phase 2 clinical studies November, 2010. Advanced into Phase 3 studies February 2015. Designated as *Breakthrough Therapy* by the FDA on June 30th, 2015. NDA filed December 5th, 2019 and filed with the EMA January 10th, 2020. Approved by the FDA July 1st, 2020 and by the EMEA on February 9th, 2021. Marketed by Viiv Healthcare as Rukobia™.
13. BMS-663747 – HIV-1 attachment inhibitor prodrug nominated September, 2004. Discontinued prior to clinical evaluation in December 2005 due to toxicological problems.
14. BMS-663749 – HIV-1 attachment inhibitor prodrug nominated September, 2004 and advanced into Phase I clinical studies February, 2005. Discontinued in April, 2005 after completing Phase 1 clinical studies.
15. BMS-707035 – HIV-1 integrase inhibitor, nominated March, 2005 and advanced into Phase I clinical studies April 2006. Discontinued February, 2007 after completing Phase 1 studies.
16. BMS-791325 (*beclabuvir*) – HCV NS5B polymerase inhibitor, nominated December, 2006 and advanced into Phase 1 clinical studies April 2008. Entered full development November 29th, 2012. Filed for approval in Japan as part of TRIO with daclatasvir and asunaprevir on December 21st, 2015 and approved December 19th, 2016. Marketed as Ximency®.
17. BMS-790052 (*daclatasvir*, *Daklinza™*) – First-in-class HCV NS5A inhibitor, nominated March, 2007 and advanced into Phase I clinical studies November 2007. Advanced into

Phase 2, December 2008. Advanced into Phase 3, September 2011. Marketing approval filed in Japan on October 29th, 2013 and approved on July 4th, 2014. EMA validated the MAA in January, 2014 with marketing approval on August 26th, 2014. US NDA filed March 31st, 2014 and approved for the treatment of GT3 infection on July 24th, 2015 after refilling of the NDA. Added to the World Health Organization model list of essential medicines on May 8th, 2015. Founding member of the HCV NS5A replication complex inhibitor class and finalist for the *Best Pharmaceutical Product* category, Prix Galien 2016, 2017 and 2018.

18. BMS-650032 (*asunaprevir*, *Sunvepra*TM) – HCV NS3 protease inhibitor, nominated May, 2007, advanced into Phase I clinical studies December 2007 and Phase 2 clinical studies in 2010. Marketing approval filed in Japan on October 29th, 2013 and approved on July 4th, 2014. US NDA filed March 31st, 2014 but withdrawn on October 7th, 2014 for business reasons.
19. BMS-727740 – HIV-1 integrase inhibitor, nominated August, 2007 and advanced into Phase I clinical studies March 2008. Discontinued September, 2008 after completing Phase 1 studies.
20. BMS-821095 – HCV NS5B polymerase inhibitor, nominated May, 2008. Discontinued October 2008 due to pre-clinical toxicity issues during IND-enabling studies.
21. BMS-824393 – HCV NS5A inhibitor, nominated July, 2008, advanced into Phase I clinical studies June 2009 and Phase 2 in July 2010 before being suspended for strategic reasons in December 2010.
22. BMS-890068 – HCV NS3 inhibitor nominated June 2009. Discontinued January, 2010 due to pre-clinical toxicity issues during IND-enabling studies.
23. BMS-929075 – HCV NS5B inhibitor, nominated November, 2010, advanced into clinical studies November 23rd, 2011. Development halted February 8th, 2013 after completion of Phase 1 studies for business reasons.
24. BMS-955176/GSK-3532795 – HIV-1 maturation inhibitor nominated February, 2011, advanced into clinical studies May 30th, 2012. Discontinued by GlaxoSmithKline/ViiV on October 26th, 2016 after completing Phase 2b studies.
25. BMS-961955 – HCV NS5B inhibitor nominated November, 2011 as a contingency back up. This compound was not advanced beyond pre-clinical nomination and development was halted February 8th, 2013 for business reasons.
26. BMS-984478 – HCV NS5A inhibitor nominated December, 2011, advanced into clinical studies October, 17th 2012. Discontinued December, 2012 due to toxicity issues during long term studies.
27. BMS-986139 – HCV NS5B inhibitor nominated November, 2013. Discontinued April, 2014 due to toxicity issues that emerged during IND toxicology studies.
28. BMS-986144 – HCV NS3 inhibitor nominated November, 2013. Discontinued May, 2014 for business reasons.
29. BMS-986173/ GSK3640254 (*fipravirimat*) – HIV-1 maturation inhibitor nominated November, 2014. Entered clinical trials in 4Q 2017 with IND filed on November 1st, 2018 (NCT03231943). Discontinued April, 2023 for business reasons.
30. BMS-986189 – Programmed death ligand 1 (PDL1) inhibitor nominated May, 2015, advanced into clinical trials May 17th, 2016. Discontinued 2017.

31. BMS-986238 – PK-enhanced programmed death ligand 1 (PDL1) inhibitor nominated March, 2016. Advanced into clinical studies August 2022. Discontinued September 2023.
32. BMT-221723/GSK-3739937 (*zeglurivimat*) – HIV-1 maturation inhibitor nominated July 11th, 2016 and accepted by GSK/ViiV October, 17th, 2016. Advanced into clinical studies August 2020. Discontinued October 2024.
33. BMS-599793 (DS003) – HIV-1 attachment inhibitor advanced into clinical trials November, 2015 by the International Partnership for Microbicides.

AWARDS and HONORS

1. Elected as a *Fellow of the Royal Society of Chemistry*, October, 1999.
2. Elected as Member, *The Connecticut Academy of Science and Engineering*, February, 2014.
3. Co-recipient of the 2014 *Research and Hope Award for Excellence in Biopharmaceutical Industry Research* sponsored by the Pharmaceutical Research and Manufacturers of America (PhRMA) for the HIV-1 Attachment Inhibitor program. September 10th, 2014.
4. Recipient of the 2015 *Philip S. Portoghese Journal of Medicinal Chemistry/ACS Division of Medicinal Chemistry* Joint Lectureship Award.
5. Inducted into the *ACS Division of Medicinal Chemistry Hall of Fame* of the *American Chemical Society Division of Medicinal Chemistry* (MEDI) on August, 17th, 2015.
6. Co-recipient of a 2017 *Heroes of Chemistry Award* sponsored by the *American Chemical Society* for the discovery of the HCV inhibitors daclatasvir and asunaprevir and broader contributions to the discovery of clinically effective HCV therapeutics.
7. Recipient of the 2022 *Alfred Burger Award in Medicinal Chemistry* administered by the *American Chemical Society*.
8. Elected as a *Fellow of the American Chemical Society*, August 2022.
9. Co-recipient of a 2023 *Heroes of Chemistry Award* sponsored by the *American Chemical Society* for the discovery and development of the HIV-1 attachment inhibitor fostemsavir.
10. Recipient of the 2024 *Antonín Holý Memorial Award* administered by the *International Society for Antiviral Research*.

AWARDS (Bristol-Myers Squibb)

1. Recipient of a *President's Award*, 1st Quarter 1997 as a member of the Ion Channels Discovery Working Group recognized for the discovery and development of BMS-204352 (*flindokalner*, *MaxiPost™*).
2. Recipient of a *President's Award*, 1st Quarter 1998 as a member of the Chemistry Recruiting Team recognized for their efforts in developing strategies for recruiting PhD and BS/MS chemists to Bristol-Myers Squibb.
3. Recipient of a *President's Award*, 3rd Quarter 2000 as chemistry co-chair of the HIV-1 Attachment Inhibitor Discovery Working Group recognized for the discovery and development of BMS-378806, a first in class HIV-1 inhibitor.

4. Recipient of a *Bristol-Myers Squibb Achievement Award* in recognition of contributions to the RSV out-licensing program, October 27th, 2005.
5. Recipient of a *Bristol-Myers Squibb Galaxy Award* for contributions to the Inhibitex acquisition, April, 2012.
6. Recipient of a *Bristol-Myers Squibb Galaxy Award* for contributions to the transition of the HIV-1 inhibitor franchise to ViiV Healthcare, March, 2018.

COMMITTEES AT BRISTOL MYERS SQUIBB

1. Member, *Chemistry Unrestricted Grant Selection Committee*, January 2003 – October 2022.
2. Member, *Infectious Diseases Unrestricted Grant Selection Committee*, February 2002-2006 (Program terminated in 2006).

EDITORIAL BOARDS

1. Series Editor, *Topics in Medicinal Chemistry*, Springer, September 2015 – present.
2. Perspectives Editor, *Journal of Medicinal Chemistry*, June 2017 – December 2022.
3. Associate Editor, Perspectives, *Journal of Medicinal Chemistry*, January 2023 – December 2023.
4. Member *ARKAT/Arkivoc Control Board* January 2023 – present.
5. Co-Editor, *Burger's Medicinal Chemistry*, June 2023 – present.

JOURNAL EDITORIAL ADVISORY BOARDS

- 1 *Journal of Medicinal Chemistry*, January 2014 – December 2017.
- 2 *ACS Infectious Diseases*, November 2014 – November 2016.
- 3 *ACS Medicinal Chemistry Letters*, January 2017 – December 2018.
- 4 *Chemical Research in Toxicology*, September 2018 – present.
- 5 *Drug Discovery Today*, January 1, 2000 –December 2020.
- 6 *Arkivoc (Archive for Organic Chemistry)*, 2001 – present.
- 7 *Recent Patents on Anti-infective Drug Discovery*, 2005-2013.
- 8 *Antiviral Chemistry & Chemotherapy*, 2010 – present.
- 9 *Current Opinion Investigational Drugs*, Co-editor Antiviral Drugs, April 2002 – December 2010 (journal discontinued at the end of 2010).
- 10 *Journal of Medicinal Chemistry*, January 2024 – present.

PROFESSIONAL ACTIVITIES

1. National Institutes of Health, Center for Scientific Review, Infectious Diseases and Microbiology Integrated Review Group, Drug Discovery and Mechanisms of Antimicrobial Resistance Study Section, DDR, June 7-8, 2007, *Ad-hoc* Reviewer.
2. Faculty 1000 Member and Contributor 2009-2018.
3. Member, ACS TOXI Division Program Committee, 2007-2008.
4. Member, ACS Heroes in Chemistry Selection Committee, 2011.

5. Member, *American Chemical Society* MEDI Division Long Range Planning Committee, 2011-2013. Contributed to formulating the MEDI program for the two annual ACS National Meetings.
6. Elected as the Executive Committee Member at Large of the ACS Division of Chemical Toxicology (TOXI), 2017-2019.
7. Member, ACS TOXI Division Program Committee, 2015 - present.
8. Co-organizer of the *ACS Drug Design and Delivery Symposium Webinar Series*, 2015-2021.
9. Member, Organizing Committee for the Residential School on Medicinal Chemistry and Biology in Drug Design, *Drew University*, October 2022 – present.
10. Member, *American Chemical Society* MEDI Division Long Range Planning Committee, 2023-2025. Contribute to formulating the MEDI program for the two annual ACS National Meetings.
11. Member, Organizing Committee for the course *Medicinal Chemistry & Drug Discovery & Development India (MCADDI) 2024*, held at the Biocon Academy, Bangalore, India. September 22nd-27th, 2024.
12. *American Chemical Society* MEDI Division Industrial Councilor, Member of MEDI Executive Committee 2025-2027.

PROFESSIONAL ORGANIZATIONS

1. Fellow of the *Royal Society of Chemistry*: admitted October, 1999.
2. Chartered Chemist, *Royal Society of Chemistry*: admitted October, 1999.
3. Chartered Scientist, Science Council (UK), admitted by the *Royal Society of Chemistry*, November, 2004.
4. Member, *American Chemical Society*; Organic, Medicinal & Toxicology Divisions.
5. Member, *International Society for Heterocyclic Chemistry*.

SCIENTIFIC ADVISORY BOARDS

1. Member, Scientific Advisory Board for the '*Structure-Based Antagonism of HIV-1 Envelope Function in Host Cell Entry*' NIH-funded program PO1, GM-56550. June, 2013 – July, 2023.
2. Member, Scientific Advisory Council, *The TB Alliance*, January, 2014 – December, 2019.
3. Member Scientific Advisory Committee, Center of Excellence for Translational Research (CETR) grant from the NIH titled '*Autophagy Modulators as Novel Broad-Spectrum Anti-infective Agents*'. January, 2015 – December, 2018.
4. Adjunct Professor of Medicinal Chemistry, *The Baruch S. Blumberg Institute*, Doylestown, PA. July 2015 – January 2023. Elevated to Distinguished Professor, January, 2023 - present.

5. External Advisory Board Member, *Purdue Institute for Drug Discovery*, April, 2017 – present.
6. Member U19 Scientific Advisory Committee for CETR *New World Alphaviruses*, March, 2019 - present.
7. Member, Scientific Advisory Board, *Cleveland Clinic Center for Therapeutics Discovery*, January 2020 - present.
8. Member, Scientific Advisory Board, *Fox Chase Chemical Diversity Center*, Pennsylvania Biotechnology Center, 3805 Old Easton Road, Doylestown, PA 18902. January 2020 – present.
9. Member, Scientific Advisory Board, *Midwest AViDD Center*, October 2022 – present.
10. Member, Scientific Advisory Board, *Institute for Therapeutics Discovery and Development*, The University of Minnesota, January 2023 – present.
11. Member, Scientific Advisory Board, *Vilya Inc.*, June 2023 – August 2024.
12. Member, Scientific Advisory Board, *Laxai Life Sciences Inc.*, July 2023 – present.
13. Member, Scientific Advisory Board, *Atomic AI*, December 2023 – present.
14. Member, Scientific Advisory Board, *Drug Hunter*, August 2024 – present.
15. Member, Scientific Advisory Board, *AxiomBio Inc.*, September 2024 – present.
16. Member, Scientific Advisory Board, *MycRx Holdings Inc.* – December 6th, 2024-present.

PUBLICATIONS

1. Reaction of Allylsulphenic Acid with Alkynes to give Thiolan-1-oxide Derivatives. R. Bell, P.D. Cottam, J. Davies, D.N. Jones, N.A. Meanwell. *Tetrahedron Lett.*, 1980, **21**, 4379-4382.
2. Ketone Methylenation with Optical Resolution. Total Synthesis of the Ginseng Sesquiterpene (-)- β -Panasinene and its Enantiomer. C.R. Johnson, N.A. Meanwell. *J. Amer. Chem. Soc.*, 1981, **103**, 7667-7669.
3. The Preparation of β -Oxo-Sulfoxides from Sulfinyl Chlorides and Trimethyl Silyl Enol Ethers. N.A. Meanwell, C.R. Johnson. *Synthesis* 1982, 283-284.
4. Ketone Methylenation with Optical Resolution. Synthesis of (+) and (-)-Hop Ether. C.R. Johnson, R.C. Elliott, N.A. Meanwell. *Tetrahedron. Lett.*, 1982, **23**, 5005-5008.
5. The Preparation and Reactions of Sulfonimidoyl Fluorides. C.R. Johnson, K.G. Bis, J.H. Cantillo, N.A. Meanwell, M.F.D. Reinhard, G.P. Vonk, J.R. Zeller. *J. Org. Chem.*, 1983, **48**, 1-3.
6. Alkenyl Sulfoxides as Precursors to Cyclopentenones and Prostanoid β -Side Chains. P.J. Brown, D.N. Jones, M.A. Khan, N.A. Meanwell. *Tetrahedron Lett.*, 1983, **24**, 405-408.
7. Conjugate Additions to α,β -Unsaturated Sulfoxides: Syntheses of Cyclopentenones and 9-Deoxyprostanoids. P.J. Brown, D.N. Jones, M.A. Khan, N.A. Meanwell, P.J. Richards. *J. Chemical Society, Perkin I*, 1984, 2049-2060.

8. Convergent Syntheses of 9-Deoxy-12-Phenylthioprostanooids and 9-deoxy-8(12)-PGD₁ derivatives. D.N. Jones, N.A. Meanwell, S.M. Mirza. *J. Chemical Society, Perkin I*, 1985, 145-151.
9. Utilization of Sulfoximines in the Synthesis of Optically Pure Substances. C.R. Johnson, M.R. Barbachyn, N.A. Meanwell, C.J. Stark, Jr., J.R. Zeller. *Phosphorous and Sulfur*, 1985, **24**, 151-163.
10. Octimibate Inhibition of Platelet Aggregation: Stimulation of Adenylate Cyclase Through Prostacyclin Receptor Activation. S.M. Seiler, C.L. Brassard, A.J. Arnold, N.A. Meanwell, J.S. Fleming, S.L. Keely, Jr. *J. Pharm. Exp. Ther.*, 1990, **255**, 1021-1026.
11. Imidazoquinoline Derivatives: Potent Inhibitors of Platelet cAMP Phosphodiesterase which Elevate cAMP Levels and Activate Protein Kinase in Platelets. S. Seiler, E. Gillespie, A.J. Arnold, C.L. Brassard, N.A. Meanwell, J.S. Fleming. *Thromb. Res.*, 1991, **62**, 31-42.
12. Antithrombotic Activity of BMY-43351, a New Imidazoquinoline with Enhanced Aqueous Solubility. J.S. Fleming, J.O. Buchanan, S.M. Seiler, N.A. Meanwell. *Thromb. Res.*, 1991, **63**, 145-155.
13. 1,3-Dihydro-2*H*-imidazo[4,5-*b*]quinolin-2-ones - Inhibitors of Blood Platelet Aggregation and cAMP Phosphodiesterase. N.A. Meanwell, H.R. Roth, E.C.R. Smith, D.L. Wedding, J.J. Wright, J.S. Fleming, E. Gillespie. *J. Med. Chem.*, 1991, **34**, 2906-2916.
14. Diethyl 2,4-Dioximidazolidine-5-Phosphonates: Horner-Wadsworth-Emmons Reagents for the Mild and Efficient Preparation of C-5 Unsaturated Hydantoin Derivatives. N.A. Meanwell, H.R. Roth, E.C.R. Smith, D.L. Wedding, J.J.K. Wright. *J. Org. Chem.*, 1991, **55**, 6897-6904.
15. Structure-Activity Relationships Associated with 3,4,5-Triphenyl-1*H*-pyrazole-1-nonanoic Acid: A Novel Prostacyclin Mimetic. N.A. Meanwell, M.J. Rosenfeld, J.J.K. Wright, C.L. Brassard, J.O. Buchanan, M.E. Federici, J.S. Fleming, S.M. Seiler. *J. Med. Chem.*, 1992, **35**, 389-397.
16. BMY-43351. N.A. Meanwell, J.S. Fleming, S.M. Seiler, J.W. Russell. *Drugs of the Future*, 1992, **17**, 15-17.
17. Inhibitors of Blood Platelet cAMP Phosphodiesterase. 2. Structure-Activity Relationships Associated with Imidazo[4,5-*b*]quinolin-2-ones Substituted with Functionalized Side Chains. N.A. Meanwell, B.C. Pearce, H.R. Roth, E.C.R. Smith, D.L. Wedding, J.J.K. Wright, J.O. Buchanan, J.S. Fleming, E. Gillespie, D.C. Hayes, H.C. Stanton. *J. Med. Chem.*, 1992, **35**, 2672-2687.
18. Inhibitors of Blood Platelet cAMP Phosphodiesterase. 3. 1,3-Dihydro-2*H*-imidazo[4,5-*b*]quinolin-2-one Derivatives with Enhanced Aqueous Solubility. N.A. Meanwell, R.D. Dennis, H.R. Roth, M.J. Rosenfeld, E.C.R. Smith, J.J.K. Wright, J.O. Buchanan, C.L. Brassard, J.S. Fleming, M. Gamberdello, E. Gillespie, S.M. Seiler, G.B. Zavoico. *J. Med. Chem.*, 1992, **35**, 2688-2696.
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SUPERVISOR PRESENTATIONS FROM Ph.D. and POST-DOCTORAL STUDIES

The results of my research leading to a Ph.D. degree were presented by Dr. D. Neville Jones at:

- 1 The *Sixth International Symposium on Synthesis in Organic Chemistry*, Cambridge, England, July 1979.
- 2 The Symposium on New Techniques in Organic Synthesis, *1979 Autumn Meeting of the Chemical Society*, Lancaster, England, 18-20th September, 1979.

Aspects of my post-doctoral research were presented by Professor Carl R. Johnson at:

11. The Symposium on Synthetic Designs for the Construction of Complex Molecules, *Hope College*, Holland, Michigan, 27th, October 1981.
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13. Physical Properties and Drug Design. *A Short Course at Biocon-Bristol-Myers Squibb Research Center*, Bangalore, India, February 23rd-24th, 2011.
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16. Designing Around Toxicophores in Drug Discovery. *A Short Course at Bristol-Myers Squibb Research & Development*, Hopewell, NJ, February 7th, 2012; Lawrenceville, NJ, April 4th, 2012; Hopewell, NJ, May 24th, 2012.
17. Physical Properties in Drug Design. *A Short Course at Bristol-Myers Squibb Research & Development*, Wallingford, CT, November 12th and 20th, 2012.
18. Physical Properties in Drug Design. *A Short Course at Bristol-Myers Squibb Research & Development*, Hopewell, NJ, December 18th, 2012.
19. Physical Properties and Drug Design. *Biocon-Bristol-Myers Squibb Research Center*, Bangalore, India, February 22nd, 2013.
20. The Role of Non-Covalent Sulfur Interactions in Drug Design. *Biocon-Bristol-Myers Squibb Research Center*, Bangalore, India. February 6th, 2015.
21. Inhibitors of HIV-1 Attachment: The Discovery of BMS-626529 and its Prodrug BMS-663068. BBRC Science Day, *Biocon-Bristol-Myers Squibb Research Center* Bangalore, India. February 9th, 2015.
22. Inhibitors of HIV-1 Attachment: The Discovery of BMS-626529 and its Prodrug BMS-663068. *Bristol-Myers Squibb Research & Development*, Redwood City, California. March 21st, 2016.
23. Applications of Fluorine in Drug Design and Discovery. *Bristol-Myers Squibb-Biocon Research Center*, Bangalore, India. February 18th, 2017.
24. A Synopsis of the Properties and Applications of Heteroaromatic Rings in Medicinal Chemistry. *Bristol-Myers Squibb Research & Development*, Princeton, New Jersey. January 10th, 2018.
25. Composing & Submitting Articles for Publication. *Bristol-Myers Squibb Research & Development*, Wallingford, Connecticut. February 27th, 2018. *Bristol-Myers Squibb Research & Development*, Carter Road, New Jersey, and Lawrenceville, New Jersey, April 9th, 2018.
26. Composing & Submitting Articles for Publication Guidance, Tips and Best Practices. *Biocon Bristol-Myers Squibb Research & Development Center*, Bangalore, India. Monday, September 10th, 2018.
27. A Synopsis of the Properties and Applications of Heteroaromatic Rings in Medicinal Chemistry. *Biocon Bristol-Myers Squibb Research & Development Center*, Bangalore, India. Tuesday, September 11th, 2018.
28. Inhibitors of HIV-1 Maturation. *Biocon-Bristol-Myers Squibb Research Center*, Bangalore, India. March 4th, 2019.
29. The Power of Small Molecule Therapeutics. *The 21st Annual Bristol-Myers Squibb Chemistry Awards Symposium*, Princeton, NJ. May 2nd and 3rd, 2019.
30. Inhibitors of HIV-1 Maturation. *Bristol-Myers Squibb*, Hopewell, NJ. May 29th, 2019.
31. The Metabolism of Fluorine-Containing Compounds. *Biocon-Bristol-Myers Squibb Research Center*, Bangalore, India. September 17th, 2019.
32. Some Tactical Applications of Bioisosteres in Drug Design. Webinar to *Bristol Myers Squibb Research & Development*. Tuesday, April 14th, 2020.

33. Designing Around Toxicophores in Drug Discovery. Webinar to *Bristol Myers Squibb Research & Development*, Monday, April 20th, 2020.
34. The Impact of Small Molecule Therapeutics. Virtual presentation at the *22nd Annual Bristol Myers Squibb Chemistry Awards Symposium*, Wednesday, September 23rd, 2020.
35. The Art of Writing and Submitting Scientific Articles. *Biocon-Bristol Myers Squibb Research and Development Center*, Bangalore, India. Thursday, February 24th, 2021.
36. Designing Around Toxicophores in Drug Discovery. Part of the *Bristol Myers Squibb Research & Early Development, Small Molecule Drug Discovery Lifelong Learning Curriculum*. Monday, June 14th, 2021.
37. A Synopsis of the Properties and Applications of Heteroatomic Rings in Medicinal Chemistry. *Bristol Myers Squibb Research and Early Development*, Cambridge, MA. Tuesday, April 26th, 2022.
38. Phenyl and Biphenyl Molecular Metaphors in Drug Design. *Bristol Myers Squibb Research and Early Development*, Cambridge, MA. Wednesday, April 27th, 2022.

PRESENTATIONS (EXTERNAL)

1. The Synthesis and Biological Evaluation of BMY 20844 as a Potential Anti-thrombotic Agent. *The 193rd National Meeting of the American Chemical Society*, Denver, CO., April 5-10, 1987, MEDI 79.
2. Inhibitors of Platelet cAMP Phosphodiesterase as Potential Anti-thrombotic Agents. *The 197th National Meeting of the American Chemical Society*, Dallas, Texas, April 9-14, 1989 (invited speaker at a symposium devoted to "Inhibitors of Blood Platelet Aggregation"), MEDI-3.
3. Drug Discovery and Development: Non-Prostanoid Prostacyclin Mimetics. *The University of Connecticut*, November 10th, 1992.
4. The Synthesis and Biological Activity of Modulators of Large-Conductance, Calcium-Activated Potassium Channels – Potential Agents for the Treatment of Stroke. *The University of Sheffield*, December 2nd, 1999.
5. Inhibitors of Influenza Virus Fusion. The Pharmaceutical Symposium, *Texas A & M University*, April 12th and 13th, 2000.
6. Inhibitors of Influenza Virus Fusion. *The University of Nottingham*, October 19th, 2000.
7. Inhibitors of Influenza Virus Fusion. *Wesleyan University*, November 10th, 2000.
8. Inhibitors of Influenza Virus Fusion. *State University of New York at Stony Brook*, November 20th, 2000.
9. Inhibitors of Influenza Virus Fusion. *Wayne State University*, December 1st, 2001. Invited speaker at Professor Carl Johnson's retirement symposium.
10. The Discovery and Characterization of a Novel Inhibitor of HIV Attachment. *Gordon Research Conference on Medicinal Chemistry*, August 5th, 2002.
11. The Discovery and Development of Inhibitors of Respiratory Syncytial Virus. *The Sixth Annual Bristol-Myers Squibb Symposium, Department of Chemistry, Yale University*, August 29th, 2003.

12. Inhibitors of HIV Attachment. *2005 International Chemical Congress of Pacific Basin Societies (Pacifichem 2005)*, Honolulu, Hawaii, December 15-20, 2005.
13. The Discovery of Orally Active Inhibitors of Respiratory Syncytial Virus. *30th National Medicinal Chemistry Symposium*, The University of Washington, Seattle, June 25-29, 2006.
14. The Discovery of Orally Active Inhibitors of Respiratory Syncytial Virus. *ACS ProsPectives, Pharmacokinetics & Pharmacodynamics for Medicinal Chemists: Achieving Therapeutic Efficacy*, Boston, MA, August 21-22, 2006.
15. The Discovery of Orally Active Inhibitors of Respiratory Syncytial Virus. *DMID/NIAID/NIH Optimizing Positive "Hits" for Potency and Safety in Anti-Infective Drug Development Conference*, Gaithersburg Marriott Washington Center, Gaithersburg, MD, February 7-8, 2007.
16. Interfering with Virus Entry. Plenary Lecture at the *8th Annual Florida Heterocyclic IUPAC-Sponsored Symposium*, Gainesville, FL, March 11-14, 2007.
17. Tactics for the Oral Delivery of Antiviral Agents. *ACS ProsPectives, Pharmacokinetics & Pharmacodynamics for Medicinal Chemists*, Philadelphia, PA, October 28-30, 2007.
18. Interfering with Virus Entry. *The 10th Annual Bristol-Myers Squibb Lectures in Organic Synthesis, The Massachusetts Institute of Technology*, Boston, MA, March 20th, 2008.
19. Pharmaceutical Co-Crystals: An Emerging Approach to the Formulation of APIs. *The Indian Institute of Science, Bangalore, India*, February 10th, 2009.
20. Inhibitors of Respiratory Syncytial Virus Fusion: Optimization from Screening Leads to Potent, Orally Active Compounds. *The 237th ACS National Meeting & Exposition*, March 22-26, 2009, Salt Lake City, UT. Abstract MEDI 186.
21. Practical Applications of Co-crystals. *University of California*, Los Angeles, CA, April 20th, 2009.
22. Respiratory Syncytial Virus Inhibitors: Optimization of Screening Leads to a Clinical Candidate. *The 36th ACS Northeast Regional Meeting*, Hartford, CT, October 10th, 2009. Abstract 279.
23. Inhibitors of Hepatitis C Virus. *The Bristol-Myers Squibb Lectures in Organic Synthesis, Harvard University*, Cambridge, MA, September 13, 2010.
24. Inhibitors of Hepatitis C Virus. *The Bristol-Myers Squibb Lectures in Organic Synthesis, University of Michigan*, Ann Arbor, MI, December 3, 2010.
25. Inhibitors of Hepatitis C Virus. *The 10th Winter Conference on Medicinal and Bioorganic Chemistry*, Steamboat Springs, CO, January 27th, 2011.
26. Inhibitors of Hepatitis C Virus – A Tale of Two Mechanisms. *The Indian Institute for Science, Bangalore, India*, February 22nd, 2011.
27. Inhibitors of Hepatitis C Virus – A Tale of Two Mechanisms. *The Scripps Research Institute, La Jolla, CA*, March 25th, 2011.
28. Inhibitors of Hepatitis C Virus – A Tale of Two Mechanisms. *The 916th Meeting of the Northeastern Section of the American Chemical Society*, Woburn, MA, May 19th, 2011.

29. Inhibitors of Hepatitis C Virus – A Tale of Two Mechanisms. *Colorado State University*, Fort Collins, CO, August 26th, 2011.
30. Hepatitis C Virus NS5A Replication Complex Inhibitors: The Discovery of Daclatasvir. Keynote presentation at the *19th International Molecular Medicine Tri-Conference 2012, Drug Discovery and Development Channel, Mastering Medicinal Chemistry Summit*, San Francisco, CA, February 19-23rd, 2012.
31. Discovery of BMS-791325, an Allosteric NS5B Replicase Inhibitor for the Treatment of Hepatitis C. First time Disclosures Session at the *243rd National ACS Meeting and Exposition*, San Diego CA, March 25-29th, 2012. MEDI 23.
32. Some Recent Tactical Applications of Bioisosteres in Drug Design. *244th National ACS Meeting and Exposition*, Philadelphia, PA, August 18-23rd, 2012. MEDI 262.
33. Improving Drug Candidates by Design. *Departments of Pharmaceutical Chemistry and Medicinal Chemistry Fall Retreat, University of Kansas*, Lawrence, KS, October 8th, 2012.
34. The Design and Discovery of Inhibitors of Hepatitis C Virus. *University of Cardiff*, Cardiff, Wales, October 26th, 2012.
35. The Design and Discovery of Inhibitors of Hepatitis C Virus NS3 Protease and NS5A. *Pennsylvania Drug Discovery Institute*, Doylestown, PA, January 23rd, 2013.
36. Some Recent Tactical Applications of Bioisosteres in Drug Design. *The Scripps Research Institute*, San Diego, CA, May 9th, 2013.
37. Designing Around Toxicophores in Drug Discovery. *The Scripps Research Institute*, San Diego, CA, May 10th, 2013.
38. The Design of Direct Acting Antiviral Inhibitors of Hepatitis C Virus for Use in Combination Therapy. *The Karolinska Institute*, Stockholm, Sweden, May 24th, 2013.
39. The Discovery and Optimization of Inhibitors of Hepatitis C Virus. Plenary Lecture at the *XVth International Conference "Heterocycles in Bio-organic Chemistry"*, Riga, Latvia, May 29th, 2013.
40. Inhibitors of HCV NS5A: Daclatasvir and Beyond. *Frontiers in Medicinal Chemistry*, June 23-26th, 2013, San Francisco, CA. Presentation on Monday, June 24th, 2013.
41. The Discovery and Optimization of Inhibitors of Hepatitis C Virus. *Université de Montréal*, Montreal, Canada, September 16th, 2013.
42. Some Tactical Applications of Bioisosteres in Drug Design. *Université de Montréal*, Montreal, Canada, September 17th, 2013.
43. Improving Drug Candidates by Design. *Université de Montréal*, Montreal, Canada, September 17th, 2013.
44. The Design of Direct Acting Antiviral Inhibitors of Hepatitis C Virus for Use in Combination Therapy. *University of California, Irvine*, Irvine, CA, March 13th, 2014.
45. Some Tactical Applications of Bioisosteres in Drug Design. *University of California, Irvine*, Irvine, CA, March 14th, 2014.
46. The Design and Application of Affinity Labels to Characterize Antiviral Drug Targets. *247th National ACS Meeting and Exposition*, Dallas, TX, March 16-20th, 2014. March 16th, 2014.

47. Antiviral Drug Discovery and Development: Progress of the HCV Inhibitors Asunaprevir and BMS-791325 and the HIV-1 Attachment Inhibitor BMS-663068. *247th National ACS Meeting and Exposition*, Dallas, TX, March 16-20th, 2014. March 19th, 2014.
48. The Discovery of HCV NS5A Replication Complex Inhibitors: Daclatasvir and Synergists. *4th Sino-American Biomedical and Pharmaceutical Professionals Association (SABPA)/American Chemical Society (ACS) Symposium*, San Diego Section, Knobbe Martens Intellectual Property Law, 12790 El Camino Real, San Diego, CA, April 7th, 2014.
49. Applications of Bioisosteres in Antiviral Drug Design. *27th International Conference on Antiviral Research*, Raleigh, NC, May 12-16th, 2014.
50. Designing Better Drug Candidates: Physicochemical Properties and Compound Success. Part of the *Property-Based Drug Design* track at the *13th Annual World Pharma Congress*, organized by *Cambridge Healthtech Institute*, Westin Boston, Boston, MA, May 21-23, 2014. Keynote Presentation, May 22nd, 2014.
51. Organic Chemistry and Drug Design. *University of Illinois at Chicago*, Chicago, IL, August 15th, 2014.
52. The Design of Direct Acting Antiviral Inhibitors of Hepatitis C Virus for Use in Combination Therapy. *Boston College*, Chestnut Hill, Boston, MA, October 4th, 2014.
53. Inhibitors of HIV-1 Attachment: The Discovery of BMS-626529 and its Prodrug BMS-663068. *University of California at Irvine*, Irvine, CA, January 13th, 2015.
54. Inhibitors of HIV-1 Attachment: The Discovery of BMS-626529 and its Prodrug BMS-663068. *Monash University*, Melbourne, Australia, January 16th, 2015.
55. The Design of Direct-Acting Antiviral Inhibitors of Hepatitis C Virus for Use in Combination Therapy. *Monash University*, Melbourne, Australia, January 16th, 2015.
56. The Discovery of HCV NS5A Replication Complex Inhibitors: Daclatasvir and Synergists. *Frontiers in Medicinal Chemistry*, Joint Meeting of the German Chemical Society and the Swiss Chemical Society, Philipps Universität, Marburg, Germany, March 18th, 2015.
57. The Discovery and Development of Direct Acting Antiviral Agents for the Treatment of Hepatitis C Virus Infection. Department of Chemistry, *Yale University*, New Haven, CT. Invited by Professor David Spiegel to present as part of Class 522 Chemistry.
58. Integrating Physical Property Indices into Drug Design. *AAPS/DDDI Regional Meeting, Drug Discovery Paradigm Shift? Strategies to Improve Science, Timelines and Clinical Candidate Quality*. Merck and Company, Upper Gwynedd, PA, May 29th, 2015.
59. Integrating Physical Property Indices into Drug Design. *250th National ACS Meeting and Exposition*, Boston, MA, August 17th, 2015.
60. Curing Hepatitis C Virus Infection with Direct-Acting Antiviral Agents - The Arc of a Medicinal Chemistry Triumph. *250th National ACS Meeting and Exposition*, Boston, MA, August 18th, 2015. *The 2015 Philip S. Portoghese Award Lecture*.
61. Inhibitors of Hepatitis C Virus for Use in Combination Therapy: Asunaprevir, Daclatasvir and NS5A Synergists. Vanderbilt Institute of Chemical Biology, *Vanderbilt University*, Nashville, TN, September 9th, 2015.
62. The Discovery and Development of Direct Acting Inhibitors of Hepatitis C Virus. *University of Pennsylvania*, Philadelphia, PA, February 1st, 2016.

63. The Discovery and Development of Direct Acting Inhibitors of Hepatitis C Virus. *Florida Heterocyclic and Synthetic Conference*, Gainesville, FL. February 28th-March 2nd, 2016. Plenary Lecture, March 1st, 2016.
64. Avoiding Toxicophores in Drug Design. *Simon Fraser University*, Vancouver, British Columbia, Canada, March 30th 2016.
65. The Discovery and Development of Direct Acting Inhibitors of Hepatitis C Virus. *Simon Fraser University*, Vancouver, British Columbia, Canada, March 31st, 2016.
66. Phenotypic Screening for Antiviral Agents. *Modern Phenotypic Drug Discovery: Defining the Path Forward*. Big Sky, Montana, April 3rd, 2016.
67. The Discovery and Development of Direct Acting Inhibitors of Hepatitis C Virus. *University of Texas Medical Branch*, Galveston, Texas. April 14th, 2016.
68. Strategies Toward Designing Improved Drug Candidates. *5th Annual Property-Based Drug Design in Medicinal Chemistry*. Boston, MA, June 16th, 2016. Plenary Lecture.
69. Some Applications of Fluorine in Drug Design. *252nd National ACS Meeting and Exposition*, Philadelphia, PA, August 21-25th, 2016. MEDI-196.
70. Applications of Bioisosteres in Drug Design. *252nd National ACS Meeting and Exposition*, Philadelphia, PA, August 21-25th, 2016. MEDI-232.
71. An Update on Recent Approaches to Improve Drug Design Practices. *252nd National ACS Meeting and Exposition*, Philadelphia, PA, August 21-25th, 2016. TOXI-36.
72. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *Baruch S. Blumberg Institute*, Doylestown, PA. August 26th, 2016.
73. The Discovery and Development of Direct Acting Inhibitors of Hepatitis C Virus. *Purdue University*, Lafayette, IN, September 30th, 2016.
74. The Discovery and Development of Direct Acting Inhibitors of Hepatitis C Virus. *Indiana University*, Bloomington, IN. October 3rd, 2016.
75. The Discovery of HCV NS5A Replication Complex Inhibitors. *12th Swiss Course on Medicinal Chemistry University*, Leysin, Switzerland. October 11th, 2016.
76. The Discovery & Development of Direct Acting Inhibitors of Hepatitis C Virus. *University of Pittsburgh School of Pharmacy*, Pittsburgh, PA. January 23rd, 2017.
77. The Discovery of HCV NS5A Replication Complex Inhibitors. *13th Winter Conference on Medicinal and Bioorganic Chemistry*, Steamboat Springs, CO. January 26th, 2017.
78. The Discovery & Development of Direct Acting Inhibitors of Hepatitis C Virus. *The Frank Netter School of Medicine, Quinnipiac University*, North Haven, CT. May 5th, 2017.
79. Properties and Applications of Heterocycles in Medicinal Chemistry. *Gordon Research Conference - Heterocyclic Compounds*, Salve Regina College, Newport, RI. Thursday, June 22nd, 2017.
80. Inhibitors of HIV-1 Maturation. *Royal Australian Chemical Institute (RACI) National Centenary Conference*, Melbourne, Australia, July 23-28, 2017. Keynote speaker, Medicinal Chemistry Section, Thursday, July 27th, 2017.

81. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *The University of Queensland*, Brisbane, Australia. Monday, July 31st, 2017. The 2017 T.G.H. Jones Memorial Public Lecture.
82. The Discovery & Development of Direct Acting Inhibitors of Hepatitis C Virus. *The Griffith Institute for Drug Discovery*, Brisbane, Australia, Tuesday, August 1st, 2017.
83. Inhibitors of HIV-1 Maturation. Invited Plenary Presentation at the *EFMC/ASMC VII International Symposium on Advances in Synthetic and Medicinal Chemistry*, Vienna, Austria, August 27-31, 2017. Tuesday, August 29th, 2017.
84. The Discovery and Development of HCV NS5A Replication Complex Inhibitors. Invited Plenary Presentation at the *International CiM Symposium, Cells in Motion: Pattern Formation in Space and Time*, University of Münster, Münster, Germany, September 4-6, 2017. Tuesday, September 5th, 2017.
85. Inhibitors of HIV-1 Maturation. *University of Basel*, Basel, Switzerland. Thursday, October 19th, 2017.
86. Some Tactical Applications of Bioisosteres in Drug Discovery. *Syngenta*, Stein, Switzerland, Friday, October 20th, 2017.
87. A Synopsis of the Properties and Applications of Heteroaromatic Rings in Medicinal Chemistry. *The 18th Florida Heterocyclic and Synthetic ("FloHet") Conference*. Gainesville, FL. Tuesday, March 6th, 2018.
88. HIV-1 Drug Discovery: Inhibitors of Virus Attachment and Virion Maturation. *255th National ACS Meeting and Exposition*, New Orleans, LA. March 18-22nd, 2018. Part of the Burger's Award Address Symposium honoring Dr. Dennis Liotta, Tuesday, March 20th, 2018. MEDI 285.
89. Some Applications of Fluorine in Drug Design. *36th National Medicinal Chemistry Symposium*, Nashville, TN. April 29th – May 2nd, 2018. Part of the Symposium "Fluorinated Chemistry" honoring the memory of Dr. James McCarthy.
90. Inhibitors of HIV-1 Maturation. *101st Canadian Chemistry Conference & Exhibition*, Edmonton, Alberta, Canada. Modern Frontiers in Medicinal Chemistry. Monday, May 28th, 2018. CSC-18196.
91. Inhibitors of HIV-1 Maturation. *St. John's University*, New York City, NY. Monday, September 24th, 2018.
92. The Discovery of HCV NS5A Replication Complex Inhibitors. *13th Swiss Course on Medicinal Chemistry University*, Leysin, Switzerland, October 10th, 2018.
93. Designing Around Toxicophores in Drug Discovery. *Baruch Blumberg Institute*, Doylestown, PA. Tuesday, January 8th, 2019.
94. Efficiency Metrics in Drug Design. *Baruch Blumberg Institute*, Doylestown, PA. Thursday, February 28th, 2019.
95. Inhibitors of HIV-1 Maturation. Bristol-Myers Squibb Lectures in Organic Chemistry, Department of Chemistry, *Massachusetts Institute of Technology*, Boston, MA. Thursday, March 28th, 2019.
96. Inhibitors of HIV-1 Maturation. Bristol-Myers Squibb Lectures in Organic Chemistry, Department of Chemistry, *University of Chicago*, Chicago, IL. Monday, April 1st, 2019.

97. Inhibitors of HIV-1 Maturation. 57th Annual MIKIW Conference, *University of Kansas*, Lawrence, KS. April 13th, 2019. Invited Keynote Speaker.
98. Inhibitors of HIV-1 Maturation. College of Pharmacy, *University of Florida*, Gainesville, FL. May 7th, 2019.
99. Heterocycles in Drug Design. *Baruch S. Blumberg Institute*, Doylestown, PA. Thursday, May 14th, 2019.
100. Inhibitors of HIV-1 Maturation. *Gordon Research Conference on Natural Products and Bioactive Compounds*, Proctor Academy, Andover, NH. July 28th – August 2nd, 2019. July 31st, 2018.
101. Antiviral Drug Discovery: Symmetry in the Design of HCV NS5A Replication Complex Inhibitors. As part of the session *Covalent and Non-covalent Dimers as Therapeutic Agents in Drug Discovery*, convened at the 258th ACS National Meeting and Exposition, San Diego, CA. August 25-29, 2019, Abstract BIOL-263.
102. Applications of Bioisosteres in Drug Design. 1. *Baruch S. Blumberg Institute*, Doylestown, PA. Wednesday, October 16th, 2019.
103. HIV-1 Maturation Inhibitors. Bristol-Myers Squibb Lectures in Organic Chemistry, Department of Chemistry, *Scripps Research Institute*, La Jolla, CA. October 25th, 2019.
104. Applications of Bioisosteres in Drug Design. 2. *Baruch S. Blumberg Institute*, Doylestown, PA. Thursday, December 12th, 2019.
105. Applications of Fluorine in Drug Design. *Baruch S. Blumberg Institute*, Doylestown, PA. Thursday, January 6th, 2020.
106. Some Tactical Applications of Bioisosteres in Drug Design. *Rutgers, The State University of New Jersey*, Piscataway, NJ. Monday, January 27th, 2020.
107. HIV-1 Maturation Inhibitors. *University of Arizona*, Tucson, AZ. Thursday, January 30th, 2020.
108. Applications of Fluorine in Drug Design. *Rutgers University, The State University of New Jersey*, Piscataway, NJ. Wednesday, February 26th, 2020.
109. Applications of Fluorine in Drug Design. Webinar to *Bristol Myers Squibb Research & Development* and the *Scripps Research Institute*, Wednesday, April 8th, 2020.
110. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *Bristol Myers Squibb-University of Manchester Joint Symposium*, Thursday, August 13th, 2020.
111. Applications of Fluorine in Drug Design. 260th ACS National Meeting and Exposition, San Francisco, CA. August 16-20th, 2020. Abstract TOXI-69.
112. The Discovery of the HIV-1 Attachment Inhibitor Fostemsavir. *Discovering New Medicines in Arizona, 2nd Annual Drug Discovery & Development Summit, The University of Arizona*. Virtual presentation on Tuesday, September 15th, 2020. Plenary Lecture.
113. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *University of Sussex*, Brighton, England. Virtual presentation on Friday, February 19th, 2021.
114. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *University of Minnesota*. Virtual presentation on Wednesday, April 21st, 2021.

115. Inhibitors of HIV-1 Attachment: The Discovery of the HIV-1 Attachment Inhibitor Fostemsavir. *BioDuro Advances in Drug Discovery & Development Webinar Series*. Virtual presentation on Wednesday, April 28th, 2021.
116. Designing Around Structural Alerts in Drug Discovery. *ACS Drug Design and Delivery Symposium*. Webinar, September 17th, 2021.
117. Phenyl and Biphenyl Isosteres in Drug Design. Plenary Lecture at *The 2021 Janssen Discovery Chemistry Symposium*. Virtual presentation on October 27th, 2021.
118. Some Tactical Applications of Bioisosteres in Drug Design. *Rutgers University*, Piscataway, NJ. Tuesday, January 25th, 2022. Virtual presentation.
119. Applications of Fluorine in Drug Design & Discovery. *Rutgers University*, Piscataway, NJ. Tuesday, February 22nd, 2022.
120. Compounds, Collaborators and Commitment – the Essence of Industrial Drug Discovery and Development. The 2022 Alfred Burger Award in Medicinal Chemistry sponsored by Gilead Sciences, Inc. 263rd *National ACS Meeting and Exposition*, San Diego, CA, March 22nd-26th, 2022.
121. Inhibitors of HIV-1 Maturation. *FACS XVIII – 18th Meeting of the French American Chemical Society*, Charleston, South Carolina. June 12-16th, 2022. Plenary Lecture on Wednesday June 15th, 2022.
122. Fluorinated Compounds in Drug Discovery and Development. 20th *European Symposium on Fluorine Chemistry*, Berlin, Germany. August 14-19th, 2022. Plenary Lecture on August 18th, 2022.
123. Applications of Bioisosteres in the Design of Biologically Active Compounds. 264th *National ACS Meeting and Exposition*, Chicago, IL, August 21st-25th, 2022. Invited presentation at the session “Bioisosteric Replacement & Scaffold Hopping for Bioactive Compound Discovery” as part of the Agricultural Chemistry Programming.
124. Inhibitors of HIV-1 Maturation. *The University of Michigan*. Wednesday, September 21st, 2022. Keynote speaker at the 2nd *Annual U-M Drug Discovery Symposium*.
125. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *The Cleveland Clinic*. Wednesday, October 26th, 2022.
126. Inhibitors of HIV-1 Maturation. *Meeting of the Northeastern Section of the ACS*, Thursday, December 8th, 2022. Keynote presentation at *Excellence in Medicinal Chemistry Symposium*.
127. Phenyl and Biphenyl Molecular Metaphors in Drug Design. *Sanofi*, Cambridge, MA. Tuesday, February 14th, 2023.
128. Inhibitors of HIV-1 Maturation: the Invention of Fipravirimat. *The Baruch S. Blumberg Institute*, Doylestown, PA., Thursday, February 23rd, 2023.
129. Inhibitors of HIV-1 Maturation: the Invention of Fipravirimat. *Indiana University*, Bloomington, IN. Tuesday, April 4th, 2023.
130. The Development of Methodology Chemistry in the Pursuit of Clinical Candidates. *Indiana University*, Bloomington, IN. Wednesday, April 5th, 2023.

131. Inhibitors of HIV-1 Maturation: the Invention of Fipravirimat. *Crinetics Pharmaceuticals*, San Diego, CA. Wednesday, April 12th, 2023.
132. Reflections on a Career as a Medicinal Chemist in Drug Discovery. *Cambridge Healthtech Institute 18th Annual Drug Discovery Chemistry*, San Diego, CA. *Plenary Keynote Presentation*, Thursday, April 13th, 2023.
133. Designing Around Toxicophores in Drug Discovery. *Vividion Therapeutics*, San Diego, CA. Friday, April 14th, 2023.
134. The Role of the Pyridazine Ring in Molecular Recognition & Drug Discovery. *Syngenta International AG*, Basel, Switzerland. Thursday, May 4th, 2023.
135. Applications of Heteroaromatic Rings in Drug Design & Discovery, *Roche Pharmaceutical Research & Development*, Basel, Switzerland. Friday, May 5th, 2023.
136. Phenyl and Biphenyl Molecular Metaphors in Drug Design. *The Baruch S. Blumberg Institute*, Doylestown, PA. Tuesday, May 9th, 2023.
137. Applications of Molecular Metaphors in Drug Design. *Biogen*, Cambridge, MA. Tuesday, June 7th, 2023.
138. Inhibitors of HIV-1 Maturation: The Invention of Fipravirimat. 2023 *Applied Pharmaceutical Chemistry Conference*, Boston, MA. Thursday, June 8th, 2023. *Keynote Lecture*.
139. Sulfur Interactions in Drug Design. *The Baruch S. Blumberg Institute*, Doylestown, PA. Tuesday, June 20th, 2023.
140. Applications of Heteroaromatic Rings in Drug Design & Discovery, *Vividion Therapeutics*, San Diego, CA. Friday, July 21st, 2023.
141. Designing Around Problematic Functionalities in Drug Discovery. *The Baruch S. Blumberg Institute*, Doylestown, PA. Tuesday, July 25th, 2023.
142. Inhibitors of HIV-1 Maturation: The Invention of Fipravirimat. *The University of Rhode Island*, Kingston, RI. Monday, September 18th, 2023.
143. Inhibitors of HIV-1 Maturation: The Invention of Fipravirimat. *Vanderbilt University*, Nashville, TN. Tuesday, September 26th, 2023.
144. Designing Around Problematic Functionalities in Drug Discovery. *Vanderbilt University*, Nashville, TN. Wednesday, September 27th, 2023.
145. Geminal Diheteroatomic Motifs in Drug Design. *The Baruch S. Blumberg Institute*, Doylestown, PA. Tuesday, October 3rd, 2023.
146. Small Molecules, Large Impact. *Chinese Biopharmaceutical Association, USA Greater Philadelphia (CBA-GP) Section Meeting*. Sunday, October 15th, 2023.
147. The Role of the Pyridazine Ring in Molecular Recognition & Drug Discovery. *The Baruch S. Blumberg Institute*, Doylestown, PA. Monday, November 6th, 2023.
148. Applications of Heterocycles in Drug Design. *Laxai Life Sciences*, Zoom presentation. Monday, December 11th, 2023.
149. Inhibitors of HIV-1 Maturation. 24th R. Bryan Miller Symposium, *University of California, Davis*, Davis, CA. Friday, March 15th, 2024. Distinguished Speaker.

150. Antiviral Agents and Sub-stoichiometric Modulation. Medicinal Chemist's Toolbox: Sub-stoichiometric Modulation of Proteins and Target Vulnerability. *267th ACS National Meeting and Exposition*, New Orleans, LA, March 26-30th, 2024.
151. A Perspective on Applications of Fluorine in Drug Design Through the Lens of Bioisosterism. Part 2. *Laxai Life Sciences*, Hyderabad, India. Zoom presentation Monday, April 22nd, 2024.
152. A Perspective on Applications of Fluorine in Drug Design Through the Lens of Bioisosterism. Part 1. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation Thursday, April 25th, 2024.
153. Some Tactical Applications of Bioisosteres in Drug Design. *Vilya Inc. Scientific Advisory Board Meeting*, South San Francisco, CA. Monday, May 6th, 2024.
154. Bioisosteres of Piperazine & Related Diamines in the Design of Biologically Active Compounds. *Laxai Life Sciences*, Hyderabad, India. Zoom presentation Monday, May 20th, 2024.
155. A Perspective on Applications of Fluorine in Drug Design Through the Lens of Bioisosterism. Part 2. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation, Thursday, May 30th, 2024.
156. Some Applications of Bicyclo[3.3.0]Heterocyclic Ring Systems in Drug Design. *Laxai Life Sciences*, Hyderabad, India. Zoom presentation Monday, June 3rd, 2024.
157. Inhibitors of HIV-1 Maturation. *Mid-Atlantic Graduate Student Symposium (MAGSS) 2024*. *Duquesne University*, Pittsburgh, PA. Saturday, June 16th, 2024. Keynote Address.
158. A Synopsis of the Impact of Small Molecules on Human Health and Longevity. *38th National Medicinal Chemistry Symposium*, Seattle, WA, June 23-26th, 2024. Plenary Session Presentation on Sunday, June 23rd, 2024.
159. Synopsis of the Impact of Small Molecules on Human Health and Longevity. *Fox Chase Therapeutics Discovery*, Doylestown, PA. Friday, June 28th, 2024.
160. Designing Around Problematic Functionalities in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. *Laxai Life Sciences*, Hyderabad, India. Zoom presentation on Monday, July 1st, 2024.
161. Applications of Heteroaromatic Rings in Drug Design & Discovery. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation on Wednesday, July 3rd, 2024.
162. A Synopsis of the Applications of Prodrugs in Drug Discovery and Development. *Laxai Life Sciences*, Hyderabad, India. Zoom presentation on Monday, August 5th, 2024.
163. Molecular Metaphors of Phenyl Rings: Applications in Drug Design and Discovery. "State of the Art in sp³-Rich Bioisosteres" *268th ACS National Meeting and Exposition*, Denver, CO, August 18-22nd, 2024.
164. Bioisosteres of Piperazine & Related Diamines in the Design of Biologically Active Compounds. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation on Thursday, August 29th, 2024.
165. Geminal Heterodiatomic Motifs in Drug Design: Applications of Acetals, Ketals & their Sulfur & Nitrogen Analogues. *Laxai Life Sciences*, Hyderabad, India. Thursday, September 19th, 2024.

166. Applications of Non-Covalent Sulfur Interactions in Drug Design. *Laxai Life Sciences*, Hyderabad, India. Friday, September 20th, 2024.
167. Inhibitors of HIV-1 Attachment: The Invention of Temsavir and its Prodrug Fostemsavir. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation on Thursday, October 10th, 2024.
168. Bioisosteres of Piperazine & Related Diamines in the Design of Biologically Active Compounds. *Baruch S. Blumberg Institute*, Doylestown, PA. Tuesday, October 22nd, 2024.
169. The Chemist's Playbook: Impactful Bioisosteres for Modern Drug Design. *Webinar for Drug Hunter*, Thursday, October 24th, 2024.
170. Inhibitors of HIV-1 Maturation. *Vividion Therapeutics*, San Diego, CA. Friday, November 1st, 2024.
171. Metabolic Aspects of Fluorine-Containing Compounds – Part 1. *Laxai Life Sciences*, Hyderabad, India. Zoom presentation Monday, November 4th, 2024.
172. Improving Drug Candidates by Design: Applications of Efficiency Metrics. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation on Thursday, November 7th, 2024.
173. Geminal Heterodiatomic Motifs in Drug Design: Applications of Acetals, Ketals & their Sulfur & Nitrogen Analogues. *PharmaBlock Sciences*, Jiangsu, China. Microsoft Teams presentation on Thursday, December 5th, 2024.

MEDICINAL CHEMISTRY SCHOOLS

1. A Synopsis of Some Contemporary Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry, Biology, Chemistry and Drug Discovery*, Drew University, Morristown, NJ. June 4-8, 2012. Lecture 15, June 7th, 2012. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
2. Some Tactical Applications of Bioisosteres in Drug Design. *10th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland, October 14th-19th, 2012. Lecture on October 18th, 2012. Sponsored the *Division for Medicinal Chemistry of the Swiss Chemical Society*.
3. Designing Around Toxicophores in Drug Discovery. *Medicinal Chemistry India 2013, Residential School on Medicinal Chemistry, Sri Ramachandra University*, Chennai, India, February 11-15, 2013. Lecture 7, February 12th, 2013. Sponsored by *Sri Ramachandra University* and the *MEDI Division of the American Chemical Society*.
4. Tactical Applications of Bioisosteres in Drug Design. *Medicinal Chemistry India 2013, Residential School on Medicinal Chemistry, Sri Ramachandra University*, Chennai, India, February 11-15, 2013. Lecture 15, February 13th, 2013. Sponsored by *Sri Ramachandra University* and the *MEDI Division of the American Chemical Society*.
5. The Design and Discovery of Inhibitors of Hepatitis C Virus NS3 Protease and NS5A. *Medicinal Chemistry India 2013, Residential School on Medicinal Chemistry, Sri Ramachandra University*, Chennai, India, February 11-15, 2013. Lecture 15, February 13th, 2013. Lecture 17, February 14th, 2013. Sponsored by *Sri Ramachandra University* and the *MEDI Division of the American Chemical Society*.
6. Improving Drug Candidates by Design. *Medicinal Chemistry India 2013, Residential School on Medicinal Chemistry, Sri Ramachandra University*, Chennai, India, February 11-15, 2013.

Lecture 18, February 14th, 2013. Sponsored by *Sri Ramachandra University* and the *MEDI Division of the American Chemical Society*.

7. Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry, Biology, Chemistry and Drug Discovery, Drew University*, Morristown, NJ. June 4-8, 2012. Lecture 15, June 6th, 2013. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
8. Improving Drug Candidates by Design. *Residential School on Medicinal Chemistry, Biology, Chemistry and Drug Discovery, Drew University*, Morristown, NJ. June 4-8, 2012. Lecture 16, June 6th, 2013. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
9. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery, Drew University*, Morristown, NJ. June 4-8, 2014. Lecture 16, June 12th, 2014. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
10. Improving Drug Candidates by Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery, Drew University*, Morristown, NJ. June 5-9, 2014. Lecture 17, June 12th, 2014. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
11. Avoiding Toxicophores in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery, Drew University*, Morristown, NJ. June 5-9, 2014. Lecture 20, June 12th, 2014. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
12. Some Tactical Applications of Bioisosteres in Drug Design. *11th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland. October 12-17th, 2014. Presentation on October 16th, 2014. Sponsored by the *Division of Medicinal Chemistry and Chemical Biology of the Swiss Chemical Society*.
13. Improving Drug Candidates by Design. *Tools for the Medicinal Chemist Symposium 2015*, Monash University, Melbourne, Australia. January 19th, 2015. Sponsored by the *Cancer Therapeutics Cooperative Research Centre*, the *Monash Institute of Pharmaceutical Sciences*, and the *Royal Australian Chemical Institute Inc.*
14. Some Tactical Applications of Bioisosteres in Drug Design. *Tools for the Medicinal Chemist Symposium 2015*, Monash University, Melbourne, Australia. January 19th, 2015. Sponsored by the *Cancer Therapeutics Cooperative Research Centre*, the *Monash Institute of Pharmaceutical Sciences*, and the *Royal Australian Chemical Institute Inc.*
15. Applications of Sulfur Interactions in Drug Design. *Tools for the Medicinal Chemist Symposium 2015*, Monash University, Melbourne, Australia. January 20th, 2015. Sponsored by the *Cancer Therapeutics Cooperative Research Centre*, the *Monash Institute of Pharmaceutical Sciences*, and the *Royal Australian Chemical Institute Inc.*
16. Designing Around Toxicophores in Drug Discovery. *Tools for the Medicinal Chemist Symposium 2015*, Monash University, Melbourne, Australia. January 20th, 2015. Sponsored by the *Cancer Therapeutics Cooperative Research Centre*, the *Monash Institute of Pharmaceutical Sciences*, and the *Royal Australian Chemical Institute Inc.*
17. Some Tactical Applications of Bioisosteres in Drug Design. *Medicinal Chemistry and Drug Discovery and Development India 2015*, Sri Ramachandra University, February 11th, 2015. Sponsored by *Sri Ramachandra University* and the *MEDI Division of the American Chemical Society*.

18. Designing Around Toxicophores in Drug Design. *Medicinal Chemistry and Drug Discovery and Development India 2015*, Sri Ramachandra University, February 11th, 2015. Sponsored by Sri Ramachandra University and the MEDI Division of the American Chemical Society.
19. Improving Drug Candidates by Design. *Medicinal Chemistry and Drug Discovery and Development India 2015*, Sri Ramachandra University, February 12th, 2015. Sponsored by Sri Ramachandra University and the MEDI Division of the American Chemical Society.
20. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*, Drew University, Morristown, NJ. June 11th, 2015. Sponsored by Drew University and the MEDI Division of the American Chemical Society.
21. Avoiding Toxicophores in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*, Drew University, Morristown, NJ. June, 11th, 2015. Sponsored by Drew University and the MEDI Division of the American Chemical Society.
22. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*, Drew University, Morristown, NJ. June 9th, 2016.
23. Avoiding Toxicophores in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*, Drew University, Morristown, NJ. June, 9th, 2016. Sponsored by Drew University and the MEDI Division of the American Chemical Society.
24. Some Applications of Biososteres in Drug Design. *12th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland. October 9th-14th, 2016. Tuesday, October 11th, 2016. Tutorial Lectures, Monday, October 10th, Tuesday, October 11th, and Thursday, October 13th, 2016.
25. Improving Drug Candidates by Design – Applications of Efficiency Metrics. *Medicinal Chemistry and Drug Discovery & Development India 2017 (MCADDI 2017)*, Biocon Academy, Bangalore, India. Lecture 8, February 15th, 2017. School sponsored by the MEDI Division of the American Chemical Society. ACS-sponsored speaker.
26. Designing Around Toxicophores in Drug Discovery. *Medicinal Chemistry and Drug Discovery & Development India 2017 (MCADDI 2017)*, Biocon Academy, Bangalore, India. Lecture 9, February 16th, 2017. School sponsored by the MEDI Division of the American Chemical Society. ACS-sponsored speaker.
27. Bioisosteres in Drug Design – Some Tactical Applications. *Medicinal Chemistry and Drug Discovery & Development India 2017 (MCADDI 2017)*, Biocon Academy, Bangalore, India. Lecture 13, February 16th, 2017. School sponsored by the MEDI Division of the American Chemical Society. ACS-sponsored speaker.
28. Applications of Fluorine in Drug Design and Discovery. *Medicinal Chemistry and Drug Discovery & Development India 2017 (MCADDI 2017)*, Biocon Academy, Bangalore, India. Lecture 14, Special Topic, February 16th, 2017. School sponsored by the MEDI Division of the American Chemical Society. ACS-sponsored speaker.
29. Inhibitors of HIV-1 Attachment: The Discovery of Temsavir and its Prodrug Fostemsavir. *Medicinal Chemistry and Drug Discovery & Development India 2017 (MCADDI 2017)*, Biocon Academy, Bangalore, India. Lecture 19, Case History, February 18th, 2017. School sponsored by the MEDI Division of the American Chemical Society. ACS-sponsored speaker.
30. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 18. Thursday, June 15th, 2017. Sponsored by Drew University and the MEDI Division of the American Chemical Society.

31. Designing Around Toxicophores in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 19. Thursday, June 15th, 2017. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
32. A Synopsis of the Properties and Applications of Heteroaromatic Rings in Medicinal Chemistry. *Tools for the Medicinal Chemist Symposium 2017, Monash Institute for Pharmaceutical Sciences, Melbourne, Australia*, July 20th-21st, 2017. July 20th, 2017.
33. Tactical Applications of Bioisosteres in Drug Discovery. *Tools for the Medicinal Chemist Symposium 2017, Monash Institute for Pharmaceutical Sciences, Melbourne, Australia*, July 20th-21st, 2017. July 20th, 2017.
34. Improving Drug Candidates by Design. *Tools for the Medicinal Chemist Symposium 2017, Monash Institute for Pharmaceutical Sciences, Melbourne, Australia*, July 20th-21st, 2017. July 21st, 2017.
35. Applications of Fluorine in Drug Design. *Tools for the Medicinal Chemist Symposium 2017, Monash Institute for Pharmaceutical Sciences, Melbourne, Australia*, July 20th-21st, 2017. July 21st, 2017.
36. Designing Around Toxicophores in Drug Discovery. *Tools for the Medicinal Chemist Symposium 2017, Monash Institute for Pharmaceutical Sciences, Melbourne, Australia*, July 20th-21st, 2017. July 21st, 2017.
37. Some Applications of Fluorine in Drug Design. *Tools for the Medicinal Chemist Symposium, Griffith Institute for Drug Discovery, Brisbane, Australia*, Tuesday, August 1st, 2017.
38. Some Tactical Applications of Bioisosteres in Drug Discovery. *Tools for the Medicinal Chemist Symposium, Griffith Institute for Drug Discovery, Brisbane, Australia*, Tuesday, August 1st, 2017.
39. Designing Around Toxicophores in Drug Discovery. *Tools for the Medicinal Chemist Symposium, Griffith Institute for Drug Discovery, Brisbane, Australia*, Tuesday, August 1st, 2017.
40. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery* Drew University, Madison, NJ. Lecture 18. Thursday, June 14th, 2018. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
41. Designing Around Toxicophores in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 19. Thursday, June 14th, 2018. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
42. Some Tactical Applications of Bioisosteres in Drug Design. Tutorial. *13th Swiss School on Medicinal Chemistry*, Leysin, Switzerland, October 7-12th, 2018. Monday, Tuesday, and Thursday, October 8th, 9th and 11th, 2018.
43. Designing Around Toxicophores in Drug Discovery. *Medicinal Chemistry and Drug Discovery & Development 2019 (MCADDI 2019)*, Biocon Academy, Bangalore, India, March 4-9th, 2019. Monday, March 4th, 2019, Lecture 7. School sponsored by the *MEDI Division of the American Chemical Society*.

44. Special Topic: Applications of Fluorine in Drug Design and Discovery. *Medicinal Chemistry and Drug Discovery & Development 2019 (MCADDI 2019)*, Biocon Academy, Bangalore, India, March 4-9th, 2019. Monday, March 4th, 2019, Lecture 8. School sponsored by the *MEDI Division of the American Chemical Society*.
45. Tactical Applications of Bioisosteres in Drug Design. *Medicinal Chemistry and Drug Discovery & Development 2019 (MCADDI 2019)*, Biocon Academy, Bangalore, India, March 4-9th, 2019. Monday, March 4th, 2019, Lecture 11. School sponsored by the *MEDI Division of the American Chemical Society*.
46. Applications of Heterocycles in Drug Design. *Medicinal Chemistry and Drug Discovery & Development 2019 (MCADDI 2019)*, Biocon Academy, Bangalore, India, March 4-9th, 2019. Monday, March 4th, 2019, Lecture 12. School sponsored by the *MEDI Division of the American Chemical Society*.
47. Case History of Integrated Drug Discovery and Process Development: HIV-1 Attachment Inhibitors - Part 1. *Medicinal Chemistry and Drug Discovery & Development 2019 (MCADDI 2019)*, Biocon Academy, Bangalore, India, March 4-9th, 2019. Friday, March 8th, 2019, Lecture 25. School sponsored by the *MEDI Division of the American Chemical Society*.
48. Designing Around Toxicophores in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 9. Tuesday, June 11th, 2019. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
49. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 10. Tuesday, June 11th, 2019. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
50. Designing Around Toxicophores in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 9. Thursday, June 10th, 2021. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
51. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 10. Thursday, June 10th, 2021. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
52. Discovering and Developing a Cephem Antibiotic – A Medicinal Chemistry Perspective. *Indiana University*, Bloomington, IN. Monday, February 21st, 2022. Virtual presentation.
53. Designing Around Toxicophores in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 9. Thursday, June 9th, 2022. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
54. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 10. Thursday, June 9th, 2022. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
55. The Discovery of HCV NS5A Replication Complex Inhibitors Leading to Daclatasvir. *14th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland, October 9th -14th 2022. Monday, October 10th, 2022.

56. Molecular Metaphors in Drug Design: Phenyl Ring and Piperazine Mimics. *14th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland, October 9th -14th 2022. Tutorial presented Monday, Tuesday and Thursday. (Some Applications of Bioisosteres in Drug Design).
57. Designing Around Toxicophores in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 15. Thursday, June 15th, 2023. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
58. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 16. Thursday, June 15th, 2023. Sponsored by *Drew University* and the *MEDI Division of the American Chemical Society*.
59. Applications of Heterocycles in Drug Design. *Medicinal Chemistry Workshop – Tools for the Medicinal Chemist* hosted by *The Royal Australian Chemical Institute Medicinal Chemistry and Chemical Biology Division*. Tuesday, October 24th, 2023. Online presentation.
60. Tactical Applications of Bioisosteres in Drug Design. *Medicinal Chemistry Workshop – Tools for the Medicinal Chemist* hosted by *The Royal Australian Chemical Institute Medicinal Chemistry and Chemical Biology Division*. Wednesday, October 25th, 2023. Online presentation.
61. Designing Around Problematic Functionalities in Drug Discovery. *Medicinal Chemistry Workshop – Tools for the Medicinal Chemist* hosted by *The Royal Australian Chemical Institute Medicinal Chemistry and Chemical Biology Division*. Thursday, October 26th, 2023. Online presentation.
62. A Perspective on Applications of Fluorine in Drug Design Through the Lens of Bioisosterism. *University of Michigan*, Ann Arbor, MI. Monday, December 4th, 2023.
63. Applications of Heterocycles in Drug Design including Pyrrolidinoazoles as a Special Topic. *University of Michigan*, Ann Arbor, MI. Monday, December 4th, 2023.
64. Designing Around Problematic Functionalities in Drug Discovery. *University of Michigan*, Ann Arbor, MI. Tuesday, December 5th, 2023.
65. Inhibitors of HIV-1 Attachment: The Invention of Tamsavir & its Prodrug Fostemsavir. *University of Michigan*, Ann Arbor, MI. Tuesday, December 5th, 2023.
66. Designing Around Problematic Functionalities in Drug Discovery. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 12. Wednesday, June 12th, 2024.
67. Some Tactical Applications of Bioisosteres in Drug Design. *Residential School on Medicinal Chemistry and Biology in Drug Discovery*. Drew University, Madison, NJ. Lecture 18. Thursday, June 13th, 2024.
68. Designing Around Problematic Functionalities in Drug Discovery. *Medicinal Chemistry & Drug Discovery & Development India (MCADDI) 2024*, Bangalore, India. September 22nd-27th, 2024. School sponsored by the *MEDI Division of the American Chemical Society and the ACS*.
69. A Synopsis of the Applications of Prodrugs in Drug Discovery & Development. *Medicinal Chemistry & Drug Discovery & Development India (MCADDI) 2024*, Bangalore, India.

September 22nd-27th, 2024. School sponsored by the *MEDI Division of the American Chemical Society and the ACS*.

70. Some Tactical Applications of Bioisosteres in Drug Design. *Medicinal Chemistry & Drug Discovery & Development India (MCADDI) 2024*, Bangalore, India. September 22nd-27th, 2024. School sponsored by the *MEDI Division of the American Chemical Society and the ACS*.
71. A Synopsis of the Applications of Prodrugs in Drug Discovery and Development. *Medicinal Chemistry & Drug Discovery & Development India (MCADDI) 2024*, Bangalore, India. September 22nd-27th, 2024. School sponsored by the *MEDI Division of the American Chemical Society and the ACS*.
72. Inhibitors of HIV-1 Attachment: The Invention of Tamsavir and its Prodrug Fostemsavir. *Medicinal Chemistry & Drug Discovery & Development (MCADDI) 2024*, Bangalore, India. September 22nd-27th, 2024. School sponsored by the *MEDI Division of the American Chemical Society and the ACS*.
73. Molecular Metaphors in Drug Design: A View Through the Lens of Phenyl Ring Mimetics. Tutorial presented 3 times at the *15th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland, October 13-18th, 2024.
74. Inhibitors of HIV-1 Maturation. *15th Swiss Course on Medicinal Chemistry*, Leysin, Switzerland, October 13-18th, 2024.
75. Some Tactical Applications of Bioisosteres in Drug Design. *Contemporary Practices in Medicinal Chemistry & Drug Discovery 2024*, The University of Michigan, Monday, December 2nd, 2024.
76. Improving Drug Candidates by Design: Applications of Efficiency Metrics. *Contemporary Practices in Medicinal Chemistry & Drug Discovery 2024*, The University of Michigan, Monday, December 2nd, 2024.
77. A Synopsis of the Applications of Prodrugs in Drug Discovery and Development. *Contemporary Practices in Medicinal Chemistry & Drug Discovery 2024*, The University of Michigan, Monday, December 2nd, 2024.
78. Molecular Metaphors of Phenyl & Biphenyl Rings in Drug Design. *Contemporary Practices in Medicinal Chemistry & Drug Discovery 2024*, The University of Michigan, Tuesday, December 3rd, 2024.
79. Inhibitors of HIV-1 Maturation. *Contemporary Practices in Medicinal Chemistry & Drug Discovery 2024*, The University of Michigan, Tuesday, December 3rd, 2024.

SHORT COURSES

1. Designing around Toxicophores in Drug Discovery, with Amit Kalgutkar (Pfizer) as part of *Topics and Tactics in Current Drug Design*, an *ACS ProSpectives Meeting*, Cambridge, MA, October 19th, 2008.
2. The Role of Bioisosteres in Drug Design, as part of *Tactical Approaches to the Challenge of Drug Failure*, an *ACS ProSpectives Meeting*, Philadelphia, PA., October 4th, 2009.
3. Tactical Applications of Bioisosteres in Drug Design, as part of the *241st ACS National Meeting*, Anaheim, CA, March 27-31, 2011.

4. Some Tactical Applications of Bioisosteres in Drug Design. *Biogen-Idec*, Boston, MA, November 3rd, 2011. Sponsored by the *American Chemical Society*.
5. Designing Around Toxicophores in Drug Discovery. *Biogen-Idec*, Boston, MA, November 4th, 2011. Sponsored by the *American Chemical Society*.
6. Some Tactical Applications of Bioisosteres in Drug Design. *Zydus-Cadila Research Center*, Ahmedabad, India, March 3rd, 2012.
7. Designing Around Toxicophores in Drug Discovery. *Zydus-Cadila Research Center*, Ahmedabad, India, March 5th, 2012.
8. Physical Properties in Drug Design. *Zydus-Cadila Research Center*, Ahmedabad, India, March 6th, 2012.

WORKSHOPS

- 1 Undergraduate Workshop: Effective Job Searching for Chemists. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry*, University of Connecticut, 1995-2007. "Preparing a Résumé and Cover Letter and Locating a Job".
- 2 "Locating a Job and Preparing a Résumé" as part of an employment skills workshop at the *29th Northeast Regional Meeting of the ACS*, University of Connecticut, Storrs, Connecticut, June 18-21, 2000.
- 3 "Careers Workshop – A Practical Perspective" Invited Lecture at the *9th Annual Florida Heterocyclic and Synthetic Symposium*, Gainesville, FL, March 9-12th, 2008.
- 4 "Preparing a Résumé and Cover Letter", Professional Development Class, CHEM 300, *Department of Chemistry, University of Connecticut*, April 1st, 2008.
- 5 "Careers Workshop – A Practical Perspective" Invited Lecture at the *10th Annual Florida Heterocyclic and Synthetic Symposium*, Gainesville, FL, March 8-11th, 2009.
- 6 "Preparing a Résumé and Cover Letter and Locating a Job", Graduate Student Workshop On Effective Job Searching, *36th ACS Northeast Regional Meeting*, Hartford, Connecticut, October 8th, 2009.
- 7 "Preparing a Résumé and Cover Letter and Locating a Job", Undergraduate Student Workshop On Effective Job Searching, *36th ACS Northeast Regional Meeting*, Hartford, Connecticut, October 9th, 2009.
- 8 "Preparing a Résumé and Cover Letter and Locating a Job", Undergraduate Workshop: Effective Job Searching for Chemists. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry*, University of Connecticut, November 13th, 2010.
- 9 "Preparing a Résumé and Cover Letter and Locating a Job", Undergraduate Workshop: Effective Job Searching for Chemists. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry*, University of Connecticut, November 12th, 2011.
- 10 "Preparing a Résumé and Cover Letter and Locating a Job", Undergraduate Workshop: Effective Job Searching for Chemists. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry*, University of Connecticut, November 3rd, 2012.

- 11 "Preparing a Résumé and Cover Letter and Locating a Job", Effective Job Searching Workshop for Undergraduate Chemist. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry, University of Connecticut*, November 2nd, 2013.
- 12 Preparing a Résumé and Cover Letter and Locating a Job", Effective Job Searching Workshop for Undergraduate Chemist. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry, University of Connecticut*, November 15th, 2014.
- 13 Preparing a Résumé and Cover Letter and Locating a Job", Effective Job Searching Workshop for Undergraduate Chemist. Sponsored by the *Connecticut Valley Section of the American Chemical Society* and the *University of Connecticut, Department of Chemistry, University of Connecticut*, November 12th, 2016.
- 14 Some Guidelines & Tips on Résumé & Cover Letter Preparation & Preparing for Interviews. *The Greater Philadelphia Area Career Symposium and Job Fair, Temple University City Center campus, Philadelphia PA, Friday, April 12th, 2024.*

ABSTRACTS

1. Preparation and Reactions of Sulfonylimidoyl Fluorides. G.P. Vonk, C.R. Johnson, K.G. Bis, J. Cantillo, N.A. Meanwell. *The 184th National Meeting of the American Chemical Society*, Kansas City, Missouri, September 1982, ORGN 104.
2. Sulfoximine-mediated Synthesis of Optically Pure Natural Products. C.R. Johnson, M.R. Barbachyn, S. Cheng, N.A. Meanwell, G.A. Sulikowski, J.R. Zeller. *The 17th A.C.S. Great Lakes Regional Meeting*, St. Paul, Minnesota, June 1983.
3. 1,3-Dihydro-2H-imidazo[4,5-b]quinolin-2-ones-Inhibitors of Blood Platelet Aggregation and cAMP Phosphodiesterase. N.A. Meanwell, H.R. Roth, E.C.R. Smith, D.L. Wedding, J.J. K. Wright. *The 193rd National Meeting of the American Chemical Society*, Denver, CO., April 5-10, 1987, MEDI 16.
4. The Synthesis and Biological Evaluation of BMY 20844 as a Potential Anti-thrombotic Agent. N.A. Meanwell, J.J.K. Wright, J.S. Fleming, E. Gillespie, H.C. Stanton. *The 193rd National Meeting of the American Chemical Society*, Denver, CO., April 5-10, 1987, MEDI 79.
5. BMY 20844, A Potent New Broad-Spectrum Inhibitor of Platelet Aggregation and Experimental Thrombosis. J.S. Fleming, H.C. Stanton, E. Gillespie, N.A. Meanwell, J.J.K. Wright, S.L. Keely. *FASEB Meeting*, Washington D.C., March 30 - April 3, 1987.
6. BMY 21259 and BMY 21260: Potent Inhibitors of Fraction III Phosphodiesterase, Blood Platelet Aggregation and Experimental Thrombosis that do not Exhibit Cardiotonic or Hypotensive Activity. N.A. Meanwell, J.J.K. Wright, J.S. Fleming, E. Gillespie, H.C. Stanton. *The Gordon Conference on Medicinal Chemistry*, August 1-5, 1988.
7. BMY 21259 and BMY 21260: Potent Inhibitors of Fraction III Phosphodiesterase, Blood Platelet Aggregation and Experimental Thrombosis that do not Exhibit Cardiotonic or Hypotensive Activity. N.A. Meanwell, J.J.K. Wright, J.S. Fleming, E. Gillespie, H.C. Stanton. *The Xth International Symposium on Medicinal Chemistry*, Budapest, Hungary, August 15-19, 1988, P-214
8. Inhibitors of Platelet cAMP Phosphodiesterase as Potential Anti-thrombotic Agents. N.A. Meanwell. *The 197th National Meeting of the American Chemical Society*, Dallas, Texas, April 9-14, 1989, MEDI 3.

9. Synthesis and Biological Evaluation of 7-Carbon Substituted -1,3-Dihydro-2*H*-imidazo[4,5-*b*]quinolin-2-ones. B.C. Pearce, D.L. Wedding, N.A. Meanwell, J.J. Kim Wright, J.S. Fleming, E. Gillespie. *The 197th National Meeting of the American Chemical Society*, Dallas, Texas, April 9-14, 1989, MEDI 9.
10. BMY 21638, A New, Potent Broad-Spectrum Inhibitor of Blood Platelet Aggregation and Experimental Thrombosis. J.S. Fleming, J.O. Buchanan, U.M. Baryla, S.M. Seiler, N.A. Meanwell, E. Gillespie, S.L. Keely. *Thromb. Haemostasis*, 1989, **62**, 409.
11. Imidazo[4,5-*b*]quinolin-2-one Derivatives with Enhanced Aqueous Solubility: Potent Inhibitors of Platelet Low Km cAMP Phosphodiesterase and Induced Aggregation. N.A. Meanwell, M.J. Rosenfeld, J.J. Wright, J.S. Fleming, E. Gillespie, S.M. Seiler, C.L. Brassard. *The 201st National Meeting of the American Chemical Society*, Atlanta, GA., April 21-26, 1991, MEDI 60.
12. A Mild and Efficient Procedure for the Preparation of C-5 Substituted Hydantoin Derivatives. N.A. Meanwell, H.R. Roth, E.C.R. Smith, D.L. Wedding, J.J. Wright. *The 13th International Congress of Heterocyclic Chemistry*, Corvallis, OR, August 11-16, 1991, P1-36.
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117. Antiviral Activity of a New Small Molecule HIV-1 Attachment Inhibitor, BMS-626529, that Targets gp120. B. Nowicka-Sans, Y.-f. Gong, P.-f. Lin, J. Kadow, N. Meanwell, R. Nettles, M Krystal. *18th Conference on Retroviruses and Opportunistic Infections*, Boston, MA, February 27th-March 2nd, 2011. Abstract J-518.

118. Optimization and Biophysical Characterization of Small Molecules that Inhibit Influenza Virus Replication *via* Binding to Nucleoprotein (NP). S.W. Gerritz, C. Cianci, S. Kim, B.C. Pearce, S. Shi, A. Pendri, S. Zhu, W. Zhai, C. Deminie, L. Discotto, D.R. Langley, S. Edavettel, P.A. McDonnell, H.A. Lewis, E. Baldwin, M.A. Poss, M. Krystal, N.A. Meanwell. *241st ACS National Meeting & Exposition*, Anaheim CA, March 23-31, 2011. Abstract MEDI-20.
119. Discovery of BMS-663068, an HIV Attachment Inhibitor for the Treatment of HIV-1. J.F. Kadow, Y. Ueda, T.P. Connolly, T. Wang, C.-P.H. Chen, K.-S. Yeung, J. Bender, Z. Yang, N.A. Meanwell, M. Zheng, D.D. Parker, S. Adams, H.-T. Ho, G. Yamanaka, P.-f. Lin, R.J. Colonno, J. Brown, D.M. Grasela, C. Chen, R.E. Nettles. *241st ACS National Meeting & Exposition*, Anaheim CA, March 23-31, 2011. Abstract MEDI-29.
120. Design and Optimization of a Series of Potent and Novel HCV NS3 Protease Inhibitors Leading to the Discovery of BMS-650032. L.-Q. Sun, A.X. Wang, J. Chen, S.-Y. Sit, Y. Chen, P. Hewawasam, Y. Tu, M. Ding, S.V. D'Andrea, Z. Zheng, N. Sin, B.L. Venables, A. Cocuzza, D. Bilder, D. Carini, B. Johnson, F. Yu, D. Hernandez, G. Zhai, A. Sheaffer, D. Barry, H. Mulherin, M. Lee, J. Friborg, S. Levine, C. Chen, J.O. Knipe, K. Mosure, A. Good, H. Klei, R. Rajamani, Y.-Z. Shu, T. Phillip, V.K. Arora, J. Loy, S. Adams, R. Schartman, M. Browning, P.C. Levesque, D. Li, J.L. Zhu, H. Sun, G. Pilcher, D. Bounous, R.W. Lange, C. Pasquinelli, T. Eley, R. Colonno, N.A. Meanwell, F. McPhee, P.M. Scola. *241st ACS National Meeting & Exposition*, Anaheim CA, March 23-31, 2011. Abstract MEDI-73.
121. BMS-766. A Novel HCV NS5A Inhibitor with Enhanced Resistance Coverage. M. Gao, R. Fridell, C. Wang, J.-H. Sun, D. O'Boyle II, L. Valera, P. Nower, A. Monikowski, M. Kirk, H. Huang, C. Ngo, H. Fang, R. Knox, Y.-K. Wang, V. Nguyen, F. Wang, L. Snyder, R. Lavoie, J. Bender, J. Kadow, M. Cockett, N. Meanwell, M. Belema. *46th Annual Meeting of the European Association for the Study of the Liver*, Berlin, Germany, March 30th-April 3rd, 2011. Abstract 787.
122. Optimization and Biophysical Characterization of Small Molecules that Inhibit Influenza Virus Replication *via* Binding to Nucleoprotein (NP). S.W. Gerritz, C. Cianci, S. Kim, B. Pearce, A. Pendri, S. Shi, S. Zhu, W. Zhai, C. Deminie, L. Discotto, D.R. Langley, S. Edavettel, P. McDonnell, H. Lewis, E. Baldwin, M.A. Poss, M. Krystal, N.A. Meanwell, *42nd Middle Atlantic Regional Meeting of the American Chemical Society*, College Park, MD. May 21-24, 2011. MARM-442.
123. HIV Attachment Inhibitors with Measurable Aqueous Solubility, Significant Bioavailability, and High Potency. B.L. Johnson, D.J. Carini, A. Regueiro-Ren, S. Rahematpura, L.G. Hamann, J.F. Kadow, M. Zheng, D.D. Parker, B.D. Nowicka-Sans, S. Zhang, P.-f. Lin, N.A. Meanwell. *242nd National ACS Meeting and Exposition*, Denver CO, August 28-September 1st, 2011. MEDI 96.
124. Design, Synthesis and SAR Study of Bridged Tricyclic Pyrimidinone as HIV Integrase Inhibitors. M. Patel, B.N. Naidu, I. Dicker, H. Hingley, Z. Lin, B. Terry, T. Protack, N.A. Meanwell, M. Krystal, M.A. Walker. *242nd National ACS Meeting and Exposition*, Denver CO, August 28-September 1st, 2011. MEDI 97.
125. HCV Envelope Proteins E1 and E2 each Contribute to Susceptibility and Resistance to a Small Molecule Viral Entry Inhibitor. K. Pokornowski, R. Rose, G. Li, Z. Yin, A. Pendri, T. Wang, D. Tenney, S. Mason, P. Scola, N. Meanwell, M. Cockett, C. Baldick. *18th International Symposium on Hepatitis C and Related Viruses*, Seattle, WA. September 8-12th, 2011. Abstract P1.02.
126. Differences in the Kinetics and Mg Dependency of Binding of 1st, 2nd and 3rd Generation HIV Integrase Strand Transfer Inhibitors to Wild Type vs. Ral-Resistant G140S/Q148H Integrase. Z. Lin, D. Langley, B. Terry, T. Protack, M.A. Walker, B.N. Naidu,

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127. Discovery of BMS-791325, an Allosteric NS5B Replicase Inhibitor for the Treatment of Hepatitis C. J.F. Kadow, R. Gentles, M. Ding, J. Bender, C. Bergstrom, K. Grant-Young, P. Hewawasam, T. Hudyma, S. Martin, A. Nickel, A. Regueiro-Ren, Y. Tu, Z. Yang, K.-S. Yeung, X. Zheng, B.-C. Chen, S. Chao, J.-H. Sun, J. Li, A. Mathur, D. Smith, D.-R. Wu, B. Beno, U. Hanumegowda, J. Knipe, D.D. Parker, X. Zhuo, J. Lemm, M. Liu, L. Pelosi, K. Rigat, S. Voss, Y. Wang, Y.-K. Wang, R.C. Colonna, M. Gao, S.B. Roberts, N.A. Meanwell. *243rd National ACS Meeting and Exposition*, San Diego CA, March 25-29th, 2012. MEDI 23.
128. Some Recent Tactical Applications of Bioisosteres in Drug Design. N.A. Meanwell. *244th National ACS Meeting and Exposition*, Philadelphia PA, August 18-24th, 2012. MEDI 262.
129. Scalable Synthesis of (1*R*,3*S*,5*R*)-2-(tert-butoxycarbonyl)-2-azabicyclo[3.1.0]hexane-3-carboxylic Acid. G. Wang, M. Belema, S. Pack, C. James, N.A. Meanwell, L.G Hamann. *244th ACS National Meeting & Exposition*, Philadelphia, PA, August 19-23rd, 2012. ORGN-133.
130. Enabling Clinical Development of an HIV Attachment Inhibitor Through Innovative Pharmaceutical Development: Novel Extended Release Delivery of a Prodrug. J. Brown, S. Brown, T. Connolly, A. Dennis, G. Hanna, H. Hughes, J. Kadow, N. Meanwell, P. Timmins, M. Tobyn, T. Wang, K.S. Yeung, L. Zhu. *Eleventh International Congress on Drug Therapy in HIV Infection*, 11-15th November 2012 Glasgow, UK. Abstract P205.
131. Homology models of the Attachment Inhibitor BMS-626529 Bound to gp120 Suggests a Unique Mechanism of Action. D.R. Langley, S.R. Kimura, P. Sivaprakasam, N. Zhou, I. Dicker, T. Wang, J. Kadow, N.A. Meanwell, M. Krystal. *20th Conference on Retroviruses and Opportunistic Infections*, March 3rd-6th, 2013, Atlanta, GA. P-542.
132. Novel Hepatitis C Virus Entry Inhibitors, Part 1: The Discovery and Optimization of 2,4,6-substituted Triazines as Potent HCV Entry Inhibitors. A. Pendri, W. Zhai, G. Li, T. Wang, Z. Zhang, Z. Yin, J. Baldick, K. Pokornowski, A.W. Walsh, Y.-K. Wang, D. Tenney, P. Scola, N.A. Meanwell, S.W. Gerritz. *245th National ACS Meeting and Exposition*, New Orleans, LA April 7-11th, 2013. MEDI-167.
133. Novel Hepatitis C Virus Entry Inhibitors, Part 2: Trisubstituted Triazines and Pyrimidines. T. Wang, Z. Zhang, Z. Yin, A. Pendri, S. Gerritz, C. Allard, Y. Han, K. Pokornowski, H. Fang, Y.-K. Wang, J. Baldick, D. Tenney, N.A. Meanwell, P.M. Scola. *245th National ACS Meeting and Exposition*, New Orleans, LA, April 7-11th, 2013. MEDI-168.
134. Novel Hepatitis C Virus Entry Inhibitors, Part 3: Triazine- and Pyrimidine-Containing Aryl Amides. T. Wang, Z. Zhang, Z. Yin, A. Pendri, S. Gerritz, C. Allard, Y. Han, K. Pokornowski, H. Fang, Y.-K. Wang, J. Baldick, D. Tenney, N.A. Meanwell, P.M. Scola. *245th National ACS Meeting and Exposition*, New Orleans, LA, April 7-11th, 2013. MEDI-169.
135. Novel Hepatitis C Virus Entry Inhibitors, Part 4: The Discovery of Acyclic Acylsulfonamide-Bearing Triazines as Potent HCV Entry Inhibitors. L.-Q. Sun, Q. Zhao, E. Mull, T. Wang, Z. Zhang, Z. Yin, Y.-K. Wang, H. Fang, R. Krause, B. Eggers, K. Pokornowski, G. Zhai, D. Tenney, S. Mason, C.J. Baldick, N.A. Meanwell, P. Scola. *245th National ACS Meeting and Exposition*, New Orleans, LA, April 7-11th, 2013. MEDI-170.
136. Novel Hepatitis C Virus Entry Inhibitors, Part 5: From Acyclic to Macrocyclic Structures. T. Wang, Z. Zhang, Z. Yin, C. Allard, Y. Han, K. Pokornowski, H. Fang, B. Eggers, R. Krause, Y.-K. Wang, J. Baldick, D. Tenney, N.A. Meanwell, P.M. Scola. *245th National ACS Meeting and Exposition*, New Orleans, LA, April 7-11th, 2013. MEDI-171.

137. Novel Hepatitis C Virus Entry Inhibitors, Part 6: Synthesis and SAR of a Series of Potent Macrocyclic Triazine Derivatives as Pan-genotypic HCV Entry Inhibitors. L.-Q. Sun, Q. Zhao, E. Mull, G. Li, A. Pendri, Z. Zhang, Z. Yin, T. Wang, E.P. Gillis, Y.-K. Wang, H. Fang, B. Eggers, K. Pokornowski, G. Zhai, D. Tenney, S. Mason, C.J. Baldick, N.A. Meanwell, P. Scola. *245th National ACS Meeting and Exposition*, New Orleans, LA, April 7-11th, 2013. MEDI-172.
138. Novel Hepatitis C Virus Entry Inhibitors, Part 7: The Role of Tether Conformation on Pan-Genotype Potency. E.P. Gillis, R. Rajamani, K. Pokornowski, B. Eggers, H. Fang, R.G. Krause, Y.-K. Wang, J. Baldick, N.A. Meanwell, P.M. Scola. *245th National ACS Meeting and Exposition*, New Orleans, LA, April 7-11th, 2013. MEDI-173.
139. Synergistic Interactions of HCV NS5A Replication Complex Inhibitors Sensitize Resistant Variants and Enhance the Efficacy of Daclatasvir (DCV, BMS-790052) In Vitro and In Vivo. M. Gao, D.R O'Boyle II, J.A Lemm, R.A Fridell, C. Wang, S. Roberts, M. Liu, P. Nower, Y.-K. Wang, B.M. Johnson; M. Kramer, F. Moulin, M.J Nophsker, P. Hewawasam, J. Kadow, M. Cockett, N.A Meanwell, M. Belema, J.-H. Sun. *48th Annual Meeting of the European Association for the Study of the Liver*, Amsterdam, Holland, April 24-28th, 2013. Late Breaker Abstract 1424. *J. Hepatol.*, 2013, **58**, Suppl. 1, S573-S574.
140. Interactions and Characterizations of Small Molecule HCV NS5A Replication Complex Inhibitors. D.R. O'Boyle II, J.-H. Sun, P. Nower, J.A Lemm, R. Fridell, C. Wang, J. Romine, M. Belema, V. Nguyen, D.R. St. Laurent, L. Snyder, N. Meanwell, D. Langley, M. Gao. *32nd Annual Meeting of the American Society for Virology*, Pennsylvania State University, University Park, PA. July 20-24th, 2013.
141. HIV Attachment Inhibitors: 4,5,6,7-Tetrahydro-1*H*-Azolo[4,3-*c*]pyridines. Z. Zhang, Z. Yin, T. Wang, B. Johnson, D. Carini, A. Regueiro-Ren, Z. Liu, D. Deon, E. Ruediger, L.G. Hamann, J.F. Kadow, N.A. Meanwell, B. Nowicka-Sans, H.-T. Ho, S. Zhang, P.-f. Lin. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-144.
142. HIV-1 Attachment Inhibitors: Amidines. Z. Yin, Z. Zhang, T. Wang, L.G. Hamann, J.F. Kadow, N.A. Meanwell, B. Nowicka-Sans, H.-T. Ho, S. Zhang, Y. Sun, P.-f. Lin. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-145.
143. Synthesis, Resolution and Differential Activity of Novel Bridged-Tricyclic HIV-Integrase Inhibitors. T. Connolly, N. Meanwell, Y. Ueda, F. Beaulieu, S. Jenkins, D. Parker, G. Everlof, A. Ng, M. Krystal, I. Dicker, Z. Lin, T. Protack, B. Terry, F. Qiu, Y. Zhang, M. Walker. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-146.
144. Exploration of C-7 Pyrazoles of 3-Glyoxylyl aza-Indoles as Inhibitors of HIV-1 Attachment. J.J. Swidorski, A. Regueiro-Ren, D. D. Parker, S. Zhang, Y. Han, L. Haque, N.A. Meanwell, J.F. Kadow. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-147.
145. Heterocycle Amide Isosteres: An Approach to Overcoming Resistance for HIV Integrase Strand Transfer Inhibitors. K. Peese, M.A. Walker, B.N. Naidu, M. Patel, C. Li, N.A. Meanwell, D.R. Langley, B. Terry, T. Protack, V. Gali, Z. Lin, H.K. Samanta, I.B. Dicker, M. Krystal, S. Jenkins, M. Zheng. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-148.
146. Synthesis and SAR of HIV-1 Attachment Inhibitors: Tetrahydroisoquinoline Azaindoles. Z. Liu, A. Regueiro-Ren, J. Swidorski, Z. Zhiwei, T. Wang, D. Carini, S. Rahematpura, M. Zheng, K. Johnson, S. Zhang, P.-f. Lin, N.A. Meanwell, L.G. Hamann. *2013 ACS Northeast Regional Meeting*, New Haven, CT, October 23-26, 2013. Abstract NERM-149.

147. Design, Synthesis and SAR of a Potent and Novel Class of Macrocyclic Triazine Derivatives as HCV Entry Inhibitors. Q. Zhao, L.-Q. Sun, E. Mull, G. Li, A. Pendri, Z. Zhang, Z. Yin, T. Wang, E. Gillis, Y.-K. Wang, H. Fang, B. Eggers, K. Pokornowski, G. Zhai, D. Tenney, S. Mason, C.J. Baldick, N.A. Meanwell, P.M. Scola. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-150.
148. Bridged Biphenyl Analogues of Daclatasvir as Hepatitis C Virus (HCV) Inhibitors. D.R. St. Laurent, J.L. Romine, M. Belema, H. Fang, M. Gao, J.O. Knipe, M. Kramer, R.G. Krause, J.A. Lemm, M. Liu, V.N. Nguyen, P.T. Nower, D.R. O'Boyle, B.C. Pearce, J.-H. Sun, L.L. Valera, Y.-K. Wang, N.A. Meanwell, L.G. Hamann. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-151.
149. Quinazoline and Pyrimidine Acylsulfonamide Tripeptide Analogues as Potent HCV NS3 Protease Inhibitors with Minimal Cross Resistance and Enhanced Genotype Coverage. A.X. Wang, N. Sin, A. Cocuzza, D. Bilder, Y. Chen, S.-Y. Sit, J. Friberg, D. Hernandez, F. Yu, P. Falk, C. Chen, M. Lee, A. Sheaffer, G. Zha, R. Colonno, A.C. Good, H. Klei, J.O. Knipe, N.A. Meanwell, F. McPhee, P.M. Scola. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-152.
150. Novel Hepatitis C Virus Entry Inhibitors: SAR of Tether Modification on Genotype Potency and HLM Stability. E.S. Mull, L.-Q. Sun, Q. Zhao, G. Li, A. Pendri, Z. Zhang, Z. Yin, T. Wang, E. Gillis, Y.-K. Wang, H. Fang, B. Eggers, K. Pokornowski, G. Zhai, D. Tenney, S. Mason, C.J. Baldick, N.A. Meanwell, P.M. Scola. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-153.
151. Biphenyl and Naphthyl linked P2/P4 Macrocycles as Novel, Potent Acylsulfonamide Tripeptide Inhibitors of Hepatitis C Virus Protease (NS3). M. Bowsher, S. Hiebert, R. Li, J. Friberg, F. Yu, D. Hernandez, F. McPhee, H. Klei, R. Rajamani, K. Mosure, J.O. Knipe, N.A. Meanwell, P.M. Scola. *2013 ACS Northeast Regional Meeting*, New Haven, CT. October 23-26, 2013. Abstract NERM-154.
152. The Design and Application of Affinity Labels to Characterize Antiviral Drug Targets. N.A. Meanwell. *247th National ACS Meeting and Exposition*, Dallas, TX, March 16-20th, 2014. MEDI-6.
153. Antiviral Drug Discovery and Development: Progress of the HCV Inhibitors Asunaprevir and BMS-791325 and the HIV-1 Attachment Inhibitor BMS-663068. N.A. Meanwell. *247th National ACS Meeting and Exposition*, Dallas, TX, March 16-20th, 2014. MEDI-224.
154. Applications of Bioisosteres in Antiviral Drug Design. N.A. Meanwell. *27th International Conference on Antiviral Research*, Raleigh, North Carolina USA, May 12-16th, 2014. Abstract 1.
155. Small Molecules that Induce Nucleoprotein Oligomerization and Inhibit Influenza Replication. S.W. Gerritz, C. Cianci, S. Kim, B.C. Pearce, C. Deminie, L. Discotto, B. McAuliffe, B.F. Minassian, S. Shi, S. Zhu, W. Zhai, A. Pendri, G. Li, M.A. Poss, S. Edavettal, P.A. McDonnell, H.A. Lewis, K. Maskos, M. Mörtl, R. Kiefersauer, S. Steinbacher, E.T. Baldwin, W. Metzler, J. Bryson, M.D. Healy, T. Philip, M. Zoeckler, R. Schartman, M. Sinz, V.H. Leyva-Grado, H.-H. Hoffmann, D.R. Langley, N.A. Meanwell, M. Krystal. *The 34th National Medicinal Chemistry Symposium*, Charleston, South Carolina, May 19-21st, 2014.
156. The Discovery and Optimization of 2,4,6-substituted Triazines as Novel Hepatitis C Virus Entry Inhibitors. A. Pendri, W. Zhai, G. Li, T. Wang, Z. Zhang, Z. Yin, J. Baldick, K. Pokornowski, A.W. Walsh, Y.-K. Wang, D. Tenney, P. Scola, N.A. Meanwell, S.W. Gerritz. *Gordon Research Conference on Bioorganic Chemistry, Proctor Academy*, Andover, NH. June 8-13, 2014.

157. Preparation of Substituted 4-Tetrahydropyran Capped HCV NS5A Replication Complex Inhibitors. J. Bender, O. Lopez, Z. Yang, Q. Chen, G. Wang, R. Lavoie, L. Snyder, F. Yang, J. Romine, D. St. Laurent, B. Johnson, M. Kramer, M. Nopsker, J. Loy, D. O'Boyle II, R. Fridell, J.-H. Sun, C. Wang, H. Fang, R. Krause, Y.-K. Wang, M. Gao, J. Kadow, N. Meanwell, M. Belema. *Gordon Research Conference on Heterocyclic Compounds, Salve Regina University*, Newport, RI. June 15-20th, 2014.
158. Synergistic Anti-HCV Effect of Combined NS5A Inhibitors. D.R. O'Boyle II, P. Nower, M. Gao, R. Fridell, C. Wang, S. Roberts, P. Hewawasam, M. Belema, Y. Tu, N.A. Meanwell, M. Cockett, J.-H. Sun. *33rd Annual Meeting of the American Society for Virology*, Fort Collins, CO. June 21-25th, 2014. Poster P1-4.
159. The Identification of BMS-791325 from the Preclinical Drug Discovery Search for an Allosteric NS5B Replicase Inhibitor for the Treatment of Hepatitis C. J.F. Kadow, R. Gentles, M. Ding, J. Bender, C. Bergstrom, K. Grant-Young, P. Hewawasam, T. Hudyma, S. Martin, A. Nickel, A. Regueiro-Ren, Y. Tu, Z. Yang, K.-S. Yeung, X. Zheng, B.-C. Chen, S. Chao, J.-H. Sun, J. Li, A. Mathur, D. Smith, D.-R. Wu, B. Beno, U. Hanumegowda, J. Knipe, D.D. Parker, X. Zhuo, J. Lemm, M. Liu, L. Pelosi, K. Rigat, S. Voss, Y. Wang, Y.-K. Wang, R.J. Colonno, M. Gao, S.B. Roberts, N.A. Meanwell. *248th National ACS Meeting and Exposition*, San Francisco, CA. August 10-14th, 2014. MEDI-285.
160. BMS-955176: Characterization of a Second-Generation HIV-1 Maturation Inhibitor. B. Nowicka-Sans, T. Protack, Z. Lin, Z. Li, S. Zhang, N. Meanwell, M. Cockett, A. Regueiro-Ren, M. Krystal, I. Dicker. *8th IAS conference on HIV Pathogenesis, Treatment and Prevention*. Vancouver, Canada. July 19-22nd, 2015. Abstract TUPE078.
161. Discovery of BMS-929075 an HCV NS5B Replicase Allosteric Inhibitor Advanced to Phase 1 Clinical Studies. K.-S. Yeung, K. Parcella, B. Beno, J. Bender, K. Grant-Young, K. Rigat, Y.-K. Wang, M. Liu, J. Lemm, K. Mosure, U. Hanumegowda, X. Zhuo, D. Parker, M. Sinz, K. Santone, D. Smith, J. Li, K. Fraunhofer, A. Delmonte, E. Colston, C. Pasquinelli, M. Gao, N. Meanwell, S. Roberts, J. Knipe, J. Kadow. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-32.
162. The Discovery and Optimization of Novel Pyrazolopyrimidines as Allosteric Integrase Inhibitors. A. Pendri, G. Li, M.A. Walker, B.N. Naidu, D. Langley, H. Lewis, A. Ng, G. Trainor, C. Cianci, M.R. Krystal, Z. Lin, T. Protack, L. Discotto, B. Minassian, S.M. Jenkins, N.A. Meanwell, S.W. Gerritz. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-128.
163. Reverse Amide Pyrazolopyrimidines as Potent HIV LEDGF Inhibitors. Z.B. Zheng, S. D'Andrea, D. Langley, N.B. Narasimhulu, M. Patel, B. McAuliffe, L. Discotto, B. Minassian, C. Cianci, J. Kadow, N.A. Meanwell, M.A. Walker. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-129.
164. Synthesis and SAR of Novel C2- Pyrazolopyrimidine Amides as Allosteric Integrase Inhibitors. M. Patel, B.N. Naidu, N.A. Meanwell, C. Cianci, M. Krystal, B. McAuliffe, B. Minassian, L. Discotto. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-130.
165. 5,6,7,8-Tetrahydro-1,6-naphthyridine Derivatives as Potent Nucleoside Site HIV-1 Integrase Inhibitors. C.W. Allard, T. Connolly, B.L. Johnson, C. Li, M. Patel, M.E. Sorensen, K.M. Peese, M.A. Walker, C. Cianci, S. Jenkins, B.N. Naidu, N.A. Meanwell. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-131.
166. Tether Modifications of a Potent Series of Triazine Macrocycles for the Inhibition of the Hepatitis C Virus (HCV) Entry Pathway. E.S. Mull, L.-Q. Sun, Q. Zhao, G. Li, A. Pendri, Z. Zhang, Z. Yin, T. Wang, E. Gillis, Y.-K. Wang, H. Fang, B. Eggers, K. Pokornowski, G. Zhai,

- D. Tenney, S. Mason, C.J. Baldick, N.A. Meanwell, P.M. Scola. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-237.
167. Synthesis and SAR of a Series of Functionalized Macrocycles as Potent, Pan-genotypic HCV NS3 Protease Inhibitors. L.-Q. Sun, E. Mull, Q. Zhao, E. Gillis, M. Bowsher, K. Sarkunam, P. Nagalakshmi, S. D'Andrea, Z. Zheng, X. Wang, Y.-K. Wang, H. Fang, P. Falk, F. Yu, D. Hernandez, A. Sheaffer, S. Jenkins, M. Kramer, N. Meanwell, F. McPhee, P. Scola. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-239.
168. 2nd Generation HCV Protease Inhibitors: Part 2, Optimization of P2*. E. Gillis, M. Bowsher, F. McPhee, S. Jenkins, Y.-K. Wang, P. Scola, N. Meanwell. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-240.
169. 2nd Generation HCV Protease Inhibitors: Part 1, Optimization of the P1'P3 Tether. M. Bowsher, E. Gillis, Z. Zheng, Y.-K. Wang, F. McPhee, S. Jenkins, P. Scola, N. Meanwell. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-241.
170. Advanced Hepatitis C Virus NS5B Polymerase Primer Grip Inhibitors. K. Parcella, K. Eastman, K.-S. Yeung, K. Grant-Young, J. Zhu, T. Wang, Z. Zhang, Z. Yin, D. Parker, K. Mosure, B. Beno, H. Fang, Y.-K. Wang, J. Lemm, X. Zhuo, U. Hanumegowda, B. Johnson, R. Haskell, R. Krause, M. Liu, C. Poronsky, K. Rigat, S. Sheriff, M. Donoso, M. Tuttle, X. Huang, N. Meanwell, M. Soars, S. Roberts, John Kadow. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-243.
171. Integrating Physical Property Indices into Drug Design. N.A. Meanwell. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-260.
172. Curing Hepatitis C Virus Infection with Direct-Acting Antiviral Agents - The Arc of a Medicinal Chemistry Triumph. N.A. Meanwell. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-294. The 2015 Philip S. Portoghesi Award Lecture.
173. Discovery of a Second Generation, Pan Genotype NS3/4A Protease Inhibitor (BMS-986144) for the Treatment of Hepatitis C. P. Scola, L.-Q. Sun, E. Gillis, M. Bowsher, J. Chen, X. Wang, S.-Y. Sit, Y. Chen, Z. Zheng, S. D'Andrea, N. Sin, B. Venables, E. Mull, Q. Chen, S. Kandhasamy, N. Pulicharla, S. Vishwakrishnan, S. Reddy, R. Trivedi, S. Sinha, S. Sivaprasad, A. Rao, S. Desai, K. Ghosh, R. Rajamani, J. Friborg, S. Levine, C. Chen, P. Falk, S. Jenkins, M. Kramer, R. Haskell, K. Johnson, J. Loy, P. Levesque, J. Zhu, M. Cockett, N. Meanwell, F. McPhee. *250th National ACS Meeting and Exposition*, Boston, MA, August 16-20th, 2015. MEDI-309.
174. Disruption of the HCV NS5A Replication Complex Through a Novel Synergy Mechanism. M. Belema, Y. Tu, N. Xu, P. Hewawasam, A. Wang, O. Lopez, J. Kadow, F. Moulin, M. Nophsker, M. Kramer, B. Johnson, Y.-K. Wang, J.-H. Sun, D. O'Boyle, R. Fridell, C. Wang, S. Roberts, M. Liu, K. Rigat, P. Nower, J. Lemm, M. Cockett, N. Meanwell, M. Gao. *250th National ACS Meeting and Exposition*, Boston, MA. August 16-20th, 2015. MEDI-329.
175. Discovery and Preclinical Characterization of the P1 *bi*-Cyclopropane BMS-890068, a Potent Inhibitor of HCV NS3 Protease. L. Sun, E. Mull, Q. Zhao, S.V. D'Andrea, Z. Zheng, A.X. Wang, S. Sit, Y. Chen, J. Chen, N. Sin, B.L. Venables, J. Zhu, F. Yu, D. Hernandez, A. Sheaffer, J. Friborg, P. Falk, S. Levine, C. Chen, J.O. Knipe, K. Mosure, M.I. Cockett, F. McPhee, N.A. Meanwell, P.M. Scola. *251st National ACS Meeting and Exposition*, San Diego, CA. March 13-17th, 2016. MEDI-139.
176. Discovery of a Pan-Genotype HCV NS5B Polymerase Primer Grip Inhibitor. K.J. Eastman, K.E. Parcella, K.-S. Yeung, K. Grant-Young, T. Wang, Z. Zhang, Z. Yin, D. Parker,

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177. Inhibitors of HIV-1 Maturation: Exploration of structure-activity relationship (SAR) of C-28 amines, based on C-3 benzoic acid-modified triterpenoids. S.-Y. Sit, Y. Chen, J. Chen, J.J. Swidorski, Z. Liu, N. Sin, B.L. Venables, D.D. Parker, B. Nowicka-Sans, B.J. Terry, T. Protack, S. Rahematpura, U. Hanumegowda, S. Jenkins, M. Krystal, I.B. Dicker, N.A. Meanwell, A. Regueiro-Ren. *35th National Medicinal Chemistry Symposium*, June 26-29, 2016, Chicago, IL. Poster #94.
178. SAR Evolution of C-17 Amines Triterpenoids Leading to the Discovery of the Second Generation HIV Maturation Inhibitor BMS-955176. A. Regueiro-Ren, Z. Liu, Y. Chen, N. Sin, S. Sit, J.J. Swidorski, J. Chen, B.L. Venables, J. Zhu, B. Nowicka-Sans, T. Protack, Z. Lin, B. Terry, H. Samanta, S. Zhang, Z. Li, B.R. Beno, X. Huang, S. Rahematpura, D. Parker, R. Haskell, S. Jenkins, K. Santone, M. Cockett, M. Krystal, U. Hanumegowda, I.B. Dicker, N.A. Meanwell. *252nd National ACS Meeting and Exposition*, Philadelphia, PA. August 21-25th, 2016. MEDI-22.
179. Some Applications of Fluorine in Drug Design. N.A. Meanwell. *252nd National ACS Meeting and Exposition*, Philadelphia, PA. August 21-25th, 2016. MEDI-196.
180. Applications of Bioisosteres in Drug Design. N.A. Meanwell. *252nd National ACS Meeting and Exposition*, Philadelphia, PA. August 21-25th, 2016. MEDI-232.
181. An Update on Recent Approaches to Improve Drug Design Practices. N.A. Meanwell. *252nd National ACS Meeting and Exposition*, Philadelphia, PA. August 21-25th, 2016. TOXI-36.
182. Discovery of Non-Zwitterionic Aryl Sulfonamides as Nav1.7 Inhibitors with Activity in Rodent Assays of Pain and Pain Biomarking. Y.-J. Wu, J. Guernon, A. McClure, G. Luo, R. Rajamani, A. Ng, A. Easton, A. Newton, C. Bourin, D. Parker, K. Mosure, O. Barnaby, M. Soars, R.J. Knox, M. Matchett, R. Pieschl, J. Herrington, P. Chen, D.V. Sivarao, L. Bristow, N.A. Meanwell, J. Bronson, R. Olson, L.A. Thompson, C. Dzierba. *253rd National ACS Meeting and Exposition*, San Francisco, CA. April 2-6th, 2017. MEDI 51.
183. Synthesis and Structure-Activity Relationships of Morpholine-Based Aryl Sulfonamide Nav1.7 Inhibitors. J. Guernon, A. McClure, R. Rajamani, R.J. Knox, M. Matchett, R. Pieschl, J. Herrington, L. Bristow, N.A. Meanwell, R. Olson, L.A. Thompson, C. Dzierba, Y.-J. Wu. *253rd National ACS Meeting and Exposition*, San Francisco, CA. April 2-6th, 2017. MEDI 52.
184. Discovery of a Macrocyclic Peptide Inhibitor of Programmed Death Ligand 1 (PD-L1). P.M. Scola, E.P. Gillis, K.M. Boy, D. Langley, D. Donnelly, M. Miller, L. Lombardo, M. Poss, C. Mapelli, K. Gillman, K.-S. Yeung, L.-Q. Sun, K. Grant-Young, M.P. Allen, M. Poirier, M.S. Bowsher, J. Zhu, L. Li, V. Lafont, N. Sanghvi, C. Yan, J.A. Easter, V. Lee, Y. Zhang, J. Goodrich, S. Bonacorsi, E. Cole, E. Mull, A. Mathur, J. Kempson, D.-R. Wu, Q. Zhao, M. Wichroski, S. Campellone, M. Loubeau, M. Cockett, M. Gao, A. Korman, M. Selby, Y.-K. Wang, V. Chauhan, P.C. Reid, J. Nishikawa, H. Goto, R. Logan, J. Cutrone, R. Denton, R. Haskell, K. Johnson, Y. Benitex, K. Robbins, D. Critton, M. Donoso, D. Drexler, X. Huang, H. Park, S. Du, J. Kim, A. Pena, W. Hayes, P. Chow, R.A. Smith, J. Newitt, M. Soars, D. Tenney, N.A. Meanwell, P.H. Carter. *254th National ACS Meeting and Exposition*, Washington, DC. August 20-24th, 2017. MEDI-269.
185. Second-Generation Inhibitors of the Hepatitis C Virus NS3/4A protease: Discovery of BMS-986144 with Pan-genotypic Antiviral Activity. L.-Q. Sun, E. Mull, Q. Zhao, E.P. Gillis, M.S. Bowsher, S. D'Andrea, Z. Zheng, X.A. Wang, A. Mathur, R. Rampulla, S. Kandhasamy, N. Pulicharla, S. Vishwakrishnan, S. Reddy, R. Trivedi, S. Sinha, A. Rao, S. Desai, K.

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186. HIV-1 Drug Discovery: Inhibitors of Virus Attachment and Virion Maturation. N.A. Meanwell. *255th National ACS Meeting and Exposition*, New Orleans, LA, March 18-22nd, 2018. MEDI-285. Part of the Burger's Award Address Symposium honoring Professor Dennis Liotta.
187. Some Applications of Fluorine in Drug Design. N.A. Meanwell. *36th National Medicinal Chemistry Symposium*, April 29th – May 2nd, 2018, Nashville, TN. Part of the Symposium on fluorinated chemistry honoring the memory of Dr. James R. McCarthy.
188. Azalupane Derivatives as Potent HIV-1 Maturation Inhibitors. S.-Y. Sit, Y. Chen, J. Chen, J. Swidorski, B. Nowicka-Sans, Z. Lin, Z. Li, T. Protack, N.A. Meanwell, I.B. Dicker, A. Regueiro-Ren. *36th National Medicinal Chemistry Symposium*, April 29th – May 2nd, 2018, Nashville, TN. MEDI-13.
189. Inhibitors of HIV-1 Maturation. N.A. Meanwell. *101st Canadian Chemistry Conference & Exhibition*, Edmonton, Alberta, Canada. Modern Frontiers in Medicinal Chemistry. Monday, May 28th, 2018. CSC-18196.
190. Design, Synthesis and Pharmacokinetic Evaluation of Phosphate and Amino Acid Ester Prodrugs for Improving the Oral Bioavailability of the Antiviral Agent Atazanavir. M.A.M. Subbaiah, N.A. Meanwell, J.F. Kadow, S. Desikan, T. Ramar, L. Subramani, M. Annadurai, S.D. Desai, S. Sinha, M. Subramanian, S. Mandlekar, S. Sridhar, S. Padmanabhan, P. Bhutani, R. Arla, S.M. Jenkins, M.R. Krystal. *2018 Gordon Conference on Medicinal Chemistry, Colby-Sawyer College*, New London, NH. August 5-10th, 2018.
191. Discovery of Indole- and Indazole-acylsulfonamides as Potent and Selective Nav1.7 Inhibitors for the Treatment of Pain. G. Luo, L. Chen, A. Easton, A. Newton, C. Bourin, E. Shields, K. Mosure, M. Soars, R. Knox, M. Matchett, R. Pieschl, D. Post-Munson, S. Wang, J. Herrington, J. Graef, K. Newberry, L. Bristow, N. Meanwell, L.A. Thompson, C.D. Dzierba. *256th National ACS Meeting and Exposition*, Boston, MA. August 19-23rd, 2018. MEDI-50.
192. Discovery of New Indole-based Acylsulfonamide Nav1.7 Inhibitors. Y. Wu, B. Venables, J. Guernon, J. Chen, S. Sit, R. Rajamani, R. Knox, M. Matchett, R. Pieschl, J. Herrington, L. Bristow, N. Meanwell, L. Thompson, C.D. Dzierba. *256th National ACS Meeting and Exposition*, Boston, MA. August 19-23rd, 2018. MEDI-51.
193. Structure-Property Basis for Solving Transporter-Mediated Efflux and Pan-Genotypic Inhibition of Hepatitis C Virus NS5B Replicase in Benzofuran-Based Palm Site Allosteric Inhibitors. K.-S. Yeung, B.R. Beno, K. Mosure, J. Zhu, K.A. Grant-Young, K. Parcella, P. Anjanappa, R.O. Bora, K. Selvakumar, Y.-K. Wang, H. Fang, R. Krause, K. Rigat, M. Liu, J. Lemm, S. Sheriff, M. Witmer, J. Tredup, A. Jardel, K. Kish, D. Parker, R. Haskell, K. Santone, N.A. Meanwell, M.G. Soars, S.B. Roberts, J.F. Kadow. *Boston Symposium on Organic and Bioorganic Chemistry*, Boston, MA. October 25th, 2018.
194. Discovery of BMS-986160 as a Second-Generation Inhibitor of the Hepatitis C Virus NS3/4A with Pan-Genotypic Antiviral Activity. L.-Q. Sun, E. Mull, A. Mathur, R. Rampulla, S. Kandhasamy, V. R. Baratam, S. Puttaswamy, N. Pulicharla, S. Vishwakrishnan, S. Reddy, R. Trivedi, S. Sinha, S. Sivaprasad, A. Rao, S. Desai, K. Ghosh, R. Rajamani, Y.-K. Wang, H. Fang, S. Jenkins, N.A. Meanwell, F. McPhee, P.M. Scola. *258th ACS National Meeting and Exposition*, San Diego, CA. August 25-29th, 2019. MEDI-42.

195. Geminal Diheteroatom Motifs in Drug Design: Applications of Acetals, Ketals and their Sulfur and Nitrogen Homologues in Medicinal Chemistry. Y.-J. Wu, N.A. Meanwell. 258th ACS National Meeting and Exposition, San Diego, CA. August 25-29th, 2019. MEDI-211.
196. Antiviral Drug Discovery: Symmetry in the Design of HCV NS5A Replication Complex Inhibitors. N.A. Meanwell. "Covalent and Non-covalent Dimers as Therapeutic Agents in Drug Discovery". 258th ACS National Meeting and Exposition, San Diego, CA. August 25-29th, 2019. August 28th, 2019. BIOL-263.
197. Discovery and Optimization of Novel Pyrazolopyrimidines as Potent and Orally Bioavailable Allosteric HIV-1 Integrase Inhibitors. G. Li, N.A. Meanwell, M.R. Krystal, D.R. Langley, B.N. Naidu, P. Sivaprakasam, H. Lewis, K. Kish, J. Khan, A. Ng, G.L. Trainor, C. Cianci, I.B. Dicker, M.A. Walker, Z. Lin, T. Protack, L. Discotto, B. Minassian, S. Jenkins, S.W. Gerritz, A. Pendri. *The Boston Symposium on Organic & Bioorganic Chemistry*, Merck Boston Auditorium, 33 Avenue Louis Pasteur, Boston, MA. October 15th, 2019.
198. The Design of Spiro[3.3]hept-5-ene-2-carboxylic acid as a Benzoic Acid Bioisostere and its Application as an HIV-1 Maturation Inhibitor. J.J. Swidorski, S. Jenkins, U. Hanumegowda, D.D. Parker, B. Beno, T. Protack, I.B. Dicker, N.A. Meanwell, A. Regueiro-Ren. 259th ACS National Meeting and Exposition, Philadelphia, PA. March 22-26th, 2020. MEDI-301.
199. Applications of Fluorine in Drug Design. N.A. Meanwell. 260th ACS National Meeting and Exposition, San Francisco, CA, August 16-20th, 2020. Abstract TOXI-69.
200. Metabolic and Pharmaceutical Aspects of Fluorinated Compounds. B.M. Johnson, Y.-Z. Shu, X. Zhou, N.A. Meanwell. 260th ACS National Meeting and Exposition, San Francisco, CA, August 16-20th, 2020. Abstract TOXI-70.
201. Next Generation HIV-1 Maturation Inhibitor: GSK3640254. A. Regueiro-Ren, S.-Y. Sit, Y. Chen, J. Chen, J.J. Swidorski, Z. Liu, B.L. Venables, N. Sin, B. Nowicka-Sans, T. Protack, Z. Lin, B. Terry, H. Samanta, S. Zhang, Z. Li, B.R. Beno, M. Healy, X.S. Huang, S. Rahematpura, D.D. Parker, R. Haskell, S. Jenkins, K.S. Santone, M. Cockett, M. Krystal, N.A. Meanwell, U. Hanumegowda, I.B. Dicker. 260th ACS National Meeting and Exposition, San Francisco, CA, August 16-20th, 2020. Abstract MEDI-60.
202. Non-Traditional Benzoic Acid Surrogates: The Design of Spiro[3.3]hept-5-ene-2-carboxylic Acid as a Benzoic Acid Bioisostere and its Application as an HIV-1 Maturation Inhibitor. A. Regueiro-Ren, J. Swidorski, S. Jenkins, U. Hanumegowda, D. Parker, B.R. Beno, T. Protack, I. Dicker, N.A. Meanwell. 260th ACS National Meeting and Exposition, San Francisco, CA. August 16-20th, 2020. Abstract MEDI-87.
203. Phenyl and Biphenyl Bioisosteres – The Whys and Wherefores of their Design and Application. N.A. Meanwell. 262nd National ACS Meeting and Exposition, Atlanta, Georgia, August 22-26, 2021. Part of a symposium on phenyl bioisosteres.
204. Compounds, Collaborators and Commitment – the Essence of Industrial Drug Discovery and Development. *The 2022 Alfred Burger Award in Medicinal Chemistry* sponsored by Gilead Sciences, Inc. N.A. Meanwell. 263rd National ACS Meeting and Exposition, San Diego, CA. March 22nd-26th, 2022. Abstract # 3660195.
205. Applications of Bioisosteres in the Design of Biologically Active Compounds. N.A. Meanwell. 264th National ACS Meeting and Exposition, Chicago, IL, August 21st-25th, 2022. Invited presentation at a symposium "Bioisosteric Replacement & Scaffold Hopping for Bioactive Compound Discovery". Abstract # 3721206.
206. ¹⁸F-Labeled PD-L1 Macrocyclic Peptide PET Tracer from Preclinical Studies to Clinical Trials. J. Kim, D.J. Donnelly, T. Tran, A. Pena, A. Shorts, T. Petrone, E. L. Cole, Y. Zhang,

- K. M. Boy, P. Scola, D. Tenney, M. Poss, M. Soars, S. Bonacorsi, D. Grootendorst, P. Chow, N. Meanwell, S. Du. *World Molecular Imaging Congress*, Miami Beach, FL. September 28-October 1, 2022. Abstract 515.
207. Potent Long-Acting Inhibitors Targeting HIV-1 Capsid Based on a Versatile Quinazolin-4-one Scaffold. K. Parcella, M. Bowsher, J.H. Cook, C. Iwuagwu, B.N. Naidu, M. Patel, K. Peese, H. Huang, L. Valera, K. Mallon, C. Wang, K.A. Marquis, J. Everett, A. Cantu, A. McFarland, S. Sherrill-Mix, K. Kieltyka, D.D. Parker, J. Simmermacher, E. Arnoult, R.T. Nolte, L. Wang, J.A. Bender, D.B. Frennesson, M. Saulnier, A.X. Wang, N.A. Meanwell, M. Belema, U. Hanumegowda, S. Jenkins, M. Krystal, J.F. Kadow, M. Cockett, F.D. Bushman, R. Fridell, E.P. Gillis. *RETROINTEGRATION 2023 - 7th International Conference on Retroviral Integration*, Boulder, CO, July 31-August 4, 2023.
208. Antiviral Agents and Sub-stoichiometric Modulation. "Medicinal Chemist's Toolbox: Sub-stoichiometric Modulation of Proteins and Target Vulnerability" N.A. Meanwell. 267th ACS National Meeting and Exposition, New Orleans, LA, March 26-30th, 2024. ID: 3981996.
209. A Synopsis of The Impact of Small Molecules on Human Health and Longevity. N.A. Meanwell. 38th National Medicinal Chemistry Symposium, Seattle, WA, June 23-26th, 2024.
210. Molecular Metaphors of Phenyl Rings: Applications in Drug Design and Discovery. "State of the Art in sp³-Rich Bioisosteres" N.A. Meanwell. 268th ACS National Meeting and Exposition, Denver, CO, August 18-22nd, 2024. Jointly sponsored by the ORGN and MEDI divisions in ORGN. Paper ID: 4077017.
211. Discovery of BMS-986238, a Second-generation Macrocyclic Peptide Inhibitor of Programmed Death-Ligand 1 (PD-L1). P. Scola, K. Boy, K. Gillman, E. Gillis, M. Bowsher, M. Allen, J. Goodrich, E. Mull, C. Mapelli, M. Miller, M. Poirier, N. Sanghvi, L.-Q. Sun, K.-S. Yeung, Y. Zhang, M. Poss, V. Lee, L. Li, S. Levine, M. Wichroski, J. Kempson, J. Pawluczyk, D.-R. Wu, S. Yip, P. Li, A. Mathur, K. Mosure, R. Sane, J. Cutrone, R. Denton, R. Haskell, K. Robbins, Y. Benitex, M. Donoso, D. Drexler, B. Johnson, R. Burrell, D. Donnelly, S. Bonacorsi, J. Newitt, D. Critton, V. Lafont, J. Yanchunas, M. Witmer, S. Carl, D. Langley, D. Tenney, M. Soars, P. Carter, N. Meanwell. 269th ACS National Meeting and Exposition, San Diego, CA, March 23-27th, 2025. First time Disclosure Session.

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121. Hepatitis C Virus Inhibitors. S. Hiebert, R. Rajamani, L.-Q. Sun, E. Mull, E.P. Gillis, M.S. Bowsher, Q. Zhao, N.A. Meanwell, K.V. Renduchintala, K. Sarkunam, P. Nagalakshmi, P.V.K.S. Babu and P.M. Scola. U.S. 9,527,885. December 27, 2016.
122. Hepatitis C Virus Inhibitors. Q. Chen, O.D. Lopez, J.A. Bender, G. Wang, V.N. Nguyen, J.F. Kadow, N.A. Meanwell and M. Belema. U.S. 9,546,160. January 17, 2017.
123. 2-Keto Amide Derivatives as HIV Attachment Inhibitors. T. Wang, Z. Yin, Z. Zhang, J.A. Bender, B.L. Johnson, J.F. Kadow and N.A. Meanwell. U.S. 9,586,957. March 7, 2017.
124. Tricyclic Alkene Derivatives as HIV Attachment Inhibitors. T. Wang, J.F. Kadow, N.A. Meanwell, Z. Zhang, Z. Yin, E.H. Ruediger, C.A. James and D.H. Deon. U.S. 9,655,888. May 23, 2017.
125. Hepatitis C Virus Inhibitors. C. Bachand, M. Belema, D.H. Deon, A.C. Good, J. Goodrich, C.A. James, R. Lavoie, O.D. Lopez, A. Martel, N.A. Meanwell, V.N. Nguyen, J.L. Romine, E.H. Ruediger, L.B. Snyder, D.R. St. Laurent, F. Yang, D.R. Langley, G. Wang and L.G. Hamann. U.S. 9,758,487. September 12, 2017.
126. Hepatitis C Virus Inhibitors. P. Hewawasam, O.D. Lopez, Y. Tu, A.X. Wang, N. Xu, J.F. Kadow, N.A. Meanwell, S. Venkata, S.A.K. Gupta, I.J.G. Kumar, S.K. Ponugupati and M. Belema. U.S. 9,770,439. September 26, 2017.
127. Inhibitors of Human Immunodeficiency Virus Replication. M. Belema, J.A. Bender, B. Beno, R.G. Gentles, G. Li, N.A. Meanwell, A. Pendri and Z. Yang, S. Zhu. U.S. 9,855,230. January 2, 2018.
128. Immunomodulators. K.W. Gillman, J. Goodrich, K.M. Boy, Y. Zhang, C. Mapelli, M.A. Poss, P.M. Scola, D.R. Langley and N.A. Meanwell. U.S. 9,856, 292. January 2, 2018.
129. Extended Betulinic Acid Analogs. J. Chen, Y. Chen, N.A. Meanwell, A. Regueiro-Ren, S.-Y. Sit and J. Swidorski. U.S. 9,914,747. March 13, 2018.
130. Betulinic Acid Derivatives with HIV Maturation Inhibitory Activity. A. Regueiro-Ren, A. Goswami, Z. Guo, T.P. Tully, J. Swidorski, Z. Liu and N.A. Meanwell. U.S. 9,920,090. March 20, 2018.
131. Oxolupene Derivatives. A. Regueiro-Ren, Z. Liu, J. Swidorski, N.A. Meanwell. U.S. 9,969,767. May 15, 2018.
132. Inhibitors of Human Immunodeficiency Virus Replication. A. Pendri, G. Li, J.A. Bender, Z. Yang, A.X. Wang, B.R. Beno, R.A. Fridell, M. Belema, N.A. Meanwell and R.G. Gentles. U.S. 10,035,760. July 31, 2018.
133. C17-Aryl Substituted Betulinic Acid Analogues. J. Chen, Y. Chen, N.A. Meanwell, A. Regueiro-Ren, N. Sin and S.-Y. Sit. U.S. 10,047,118. August 14, 2018.
134. Immunomodulators. M.P. Allen, E.P. Gillis, D.R. Langley, M.M. Miller, E. Mull, L.-Q. Sun, K.-S. Yeung, K.A. Grant-Young, N.A. Meanwell and P.M. Scola. U.S. 10,143,746. December 4, 2018.
135. Inhibitors of Human Immunodeficiency Virus Replication. J.A. Bender, R.G. Gentles, A. Pendri, A.X. Wang, N.A. Meanwell, B.R. Beno, R.A. Fridell, M. Belema, V.N. Nguyen, Z.

- Yang, G. Wang, S. Kumaravel, S. Thangathirupathy, R.O. Bora, S.M. Holehattie, M.R. Mettu and M. Panda. U.S. 10,221,129. March 5, 2019.
136. Triterpenoids with HIV Maturation Inhibitory Activity. S.-Y. Sit, Y. Chen, J. Chen, J. Swidorski, B.L. Venables, N. Sin, N.A. Meanwell, A. Regueiro-Ren, R.A. Hartz and Z. Liu. U.S. 10,245,275. April 2, 2019.
137. C-3 and C-17 Modified Triterpenoids as HIV-1 Inhibitors. J. Chen, Y. Chen, I.B. Dicker, R.A. Hartz, N.A. Meanwell, B. Nowicka-Sans, A. Regueiro-Ren, S.-Y. Sit, N. Sin, J. Swidorski and B.L. Venables. U.S. 10,421,774. September 24, 2019.
138. Azadecalin Derivatives as Inhibitors of Human Immunodeficiency Virus Replication. J. Chen, N.A. Meanwell, A. Regueiro-Ren, S.-Y. Sit, J. Swidorski and Y. Chen. U.S. 10,493,070. December 3, 2019.
139. Hepatitis C Virus Inhibitors. D.B. Frennesson, P. Hewawasam, O.D. Lopez, V.N. Nguyen, M.G. Saulnier, Y. Tu, A.X. Wang, G. Wang, N. Xu, R.Y. Nimje, H. Rahaman, S. Venkata, S.A.K. Gupta, N.A. Meanwell and M. Belema. U.S. Patent 10,617,675. April 14, 2020.
140. Immunomodulators. K.W. Gillman, J. Goodrich, K.M. Boy, Y. Zhang, C. Mapelli, M.A. Poss, P.M. Scola, D.R. Langley and N.A. Meanwell. U.S. 10,633,419. April 28, 2020.
141. Triterpenoids with HIV Maturation Inhibitory Activity. S.-Y. Sit, Y. Chen, J. Chen, J. Swidorski, B.L. Venables, N. Sin, N.A. Meanwell, A. Regueiro-Ren, R.A. Hartz, L. Xu and Z. Liu. U.S. 10,780,102. September 22, 2020.
142. C-3 and C-17 Modified Triterpenoids as HIV-1 Inhibitors. J. Chen, Y. Chen, I.B. Dicker, R.A. Hartz, N.A. Meanwell, B. Nowicka-Sans, A. Regueiro-Ren, S.-Y. Sit, N. Sin, J. Swidorski and B.L. Venables. U.S. 11,084,845. August 10, 2021.
143. Immunomodulators. K.W. Gillman, J. Goodrich, K.M. Boy, Y. Zhang, C. Mapelli, M.A. Poss, P.M. Scola, D.R. Langley and N.A. Meanwell. U.S. 11,358,988. June 14, 2022.
144. Salts of Prodrugs of Piperazine and Substituted Piperidine Antiviral Agents. Y. Ueda, T.P. Connolly, J.F. Kadow, N.A. Meanwell, T. Wang, C.-P.H. Chen, K.-S. Yeung, Z. Zhang, D.K. Leahy, S.K. Pack, N. Soundararajan, P. Sirard, K. Levesque and D. Thoraval. U.S. 11,369,624. June 28, 2022.
145. Immunomodulators. K.W. Gillman, J. Goodrich, K.M. Boy, Y. Zhang, C. Mapelli, M.A. Poss, P.M. Scola, D.R. Langley, N.A. Meanwell. U.S. 11,952,434, April 9, 2024.

CONFERENCE SYMPOSIA

1. Co-organizer of a symposium entitled "Inhibitors of Blood Platelet Aggregation" as part of the Medicinal Chemistry Division's contribution to the *197th ACS National Meeting*, Dallas, Texas, April, 1989.
2. Co-organizer of a symposium entitled "Medicinal Chemistry" as part of the *29th Northeast Regional Meeting of the ACS*, Storrs, Connecticut, June 18-21, 2000.
3. Co-organizer of a symposium entitled "Reactive Metabolites in Drug Design – Enhancing Drug Safety" as part of the Medicinal Chemistry Division's contribution to the *227th ACS National Meeting*, Anaheim, California, March 28-April 1, 2004.

4. Co-organizer of a symposium entitled "Chemical Toxicity and Drug Safety Prediction" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *230th ACS National Meeting*, Washington, D.C., August 28-September 1, 2005.
5. Co-organizer of a symposium entitled "New Approaches to Anti-HIV Drug Development" as part of the Medicinal Chemistry Division's contribution to the *2005 International Chemical Congress of Pacific Basin Societies (Pacifichem 2005)*, held in Honolulu, Hawaii, December 15-20, 2005.
6. Organizer of a symposium entitled "Shutting the Door on Virus Entry" as part of the *30th ACS National Medicinal Chemistry Symposium*, Seattle, Washington, June 25-29, 2006.
7. Co-organizer of a symposium entitled "Chemical Toxicity and Drug Safety Prediction" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *232nd ACS National Meeting*, San Francisco, CA., September 10-14, 2006.
8. Co-organizer of a symposium entitled "Chemical Toxicity and Drug Safety Prediction" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *234th ACS National Meeting*, Boston, MA., August 19-23, 2007.
9. Co-organizer of a symposium entitled "Drug Safety Prediction: Cytochrome P450 Enzyme Inhibition and Induction Mechanisms and Issues" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *236th ACS National Meeting*, Philadelphia, PA., August 17-21, 2008.
10. Organizer of a symposium entitled "Co-Crystals and Crystal Engineering of Pharmaceuticals" as part of the Division of Medicinal Chemistry program at the *236th ACS National Meeting*, Philadelphia, PA., August 17-21, 2008.
11. Co-organizer of a symposium entitled "Human Drug Metabolites in Safety Testing: Guidelines & Strategies" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *238th ACS National Meeting*, Washington, DC., August 16-20, 2009.
12. Co-organizer of "Recent Developments in Medicinal Chemistry", *ACS Northeast Regional Meeting*, Hartford, CT. October 7-10, 2009.
13. Organizer of "Discovery of New Drugs for Treatment of Hepatitis C", *New Directions in Small Molecule Drug Discovery, Keystone Symposium*, Fairmont Chateau Whistler, Whistler, British Columbia, April 20-25, 2010.
14. Co-organizer of a symposium entitled "Improving Drug Candidates by Design - A Focus on Physical Properties to Improve Disposition and Safety" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *240th ACS National Meeting*, Boston, MA., August 22-26, 2010.
15. Co-organizer of a symposium entitled "Revisiting Lipinski's Rules (or Bending the Rule of 5)" as part of the Division of Medicinal Chemistry Division's contribution to the *241st ACS National Meeting*, Anaheim, CA, March 27-31, 2011.
16. Co-organizer of a symposium entitled "The Medicinal Chemist's Toolbox – A Tactical and Strategic Inventory" as part of the Division of Medicinal Chemistry Division's contribution to the *242nd ACS National Meeting*, Denver, CO, August 28-September 1, 2011.
17. Co-organizer of a symposium entitled "Emerging Developments in Drug Toxicity Assays & Predictions" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the *242nd ACS National Meeting*, Denver, CO, August 28-September 1, 2011.

18. Co-organizer of a symposium entitled "Drug Safety – Idiosyncratic Drug Reactions" as part of the Divisions of Chemical Toxicology and Medicinal Chemistry's contribution to the 244th ACS National Meeting, Philadelphia, PA, August 19-23, 2012.
19. Co-organizer of a symposium entitled "The Medicinal Chemist's Toolbox – A Tactical and Strategic Inventory" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the 244th ACS National Meeting, Philadelphia, PA, August 19-23, 2012.
20. Co-organizer of a symposium entitled "Bioisosteres Redux - Strategic Deployment in the Design and Development of Drug Candidates" as part of the Division of Chemical Toxicology and Medicinal Chemistry Division's contribution to the 244th ACS National Meeting, Philadelphia, PA, August 19-23, 2012.
21. Co-organizer of a symposium entitled "The Medicinal Chemist's Toolbox – Conformation in Drug Design" as part of the Division of Medicinal Chemistry Division's contribution to the 246th ACS National Meeting, Indianapolis, IN, September 8-11th, 2013.
22. Co-organizer of a symposium entitled "Drug Safety – Challenges in Covalent Drug Design" as part of the Divisions of Chemical Toxicology and Medicinal Chemistry's contribution to the 246th ACS National Meeting, Indianapolis, IN, September 8-11th, 2013.
23. Co-organizer of a symposium entitled "Harnessing the Immune System with Small Molecules to Treat Chronic Diseases" as part of the Division of Medicinal Chemistry Division's contribution to the 246th ACS National Meeting, Indianapolis, IN, September 8-11th, 2013.
24. Co-organizer of a symposium entitled "New Approaches to Third World Diseases" as part of the Division of Medicinal Chemistry Division's contribution to the 247th ACS National Meeting, Dallas, TX, March 16-20th, 2014.
25. Co-organizer of a symposium entitled "The Medicinal Chemist's Toolbox: Tactical Strategies in the Design and Application of Affinity Probes" as part of the Division of Medicinal Chemistry Division's contribution to the 248th ACS National Meeting, San Francisco, CA, August 10-14th, 2014.
26. Co-organizer of a symposium entitled "The Medicinal Chemist's Toolbox" as part of the Division of Medicinal Chemistry Division's contribution to the 248th ACS National Meeting, San Francisco, CA, August 10-14th, 2014.
27. Co-organizer of a symposium entitled "Overview of Transporter-Mediated Hepatotoxicity" as part of the Divisions of Chemical Toxicology and Medicinal Chemistry's contribution to the 248th ACS National Meeting, San Francisco, CA, August 10-14th, 2014.
28. Co-organizer of a symposium entitled "The Role of Rings in Drug Design" as part of the Division of Medicinal Chemistry's contribution to the 249th ACS National Meeting, Denver, CO, March 22-26th, 2015.
29. Co-organizer of a symposium entitled "Approaches to Targeting RNA with Small Molecules" as part of the Division of Medicinal Chemistry's contribution to the 249th ACS National Meeting, Denver, CO, March 22-26th, 2015.
30. Co-organizer of a symposium entitled "Strategies in the Design and Characterization of Allosteric Inhibitors" as part of the Division of Medicinal Chemistry's contribution to the 250th ACS National Meeting, Boston, MA, August 16-20th, 2015.

31. Co-organizer of a symposium entitled "Medicinal Chemistry Toolbox: Understanding the roles of Inducible Pockets; Water & Small Structural Changes" as part of the Division of Medicinal Chemistry's contribution to the 250th ACS National Meeting, Boston, MA, August 16-20th, 2015.
32. Co-organizer of a symposium entitled "Medicinal Chemists' Toolbox: Recent Strategies & Tactics for Resolving Off-Target Liabilities" as part of the Division of Medicinal Chemistry's contribution to the 251st ACS National Meeting and Exposition, San Diego, CA, March 13-17th, 2016.
33. Co-organizer of a symposium entitled "Medicinal Chemists' Toolbox: Scaffolds and Privileged Scaffolds in Drug Design" as part of the Division of Medicinal Chemistry's contribution to the 252nd ACS National Meeting and Exposition, Philadelphia, PA, August 21-25th, 2016.
34. Co-organizer of a symposium entitled "Medicinal Chemists' Toolbox: Improving Oral Bioavailability and Circumventing CYP Liabilities" as part of the Division of Medicinal Chemistry's contribution to the 253rd ACS National Meeting and Exposition, San Francisco, CA, April 2-5th, 2017.
35. Co-organizer of a symposium entitled "Biophysical Methods in Medicinal Chemistry: Case Studies" as part of the Division of Medicinal Chemistry's contribution to the 254th ACS National Meeting and Exposition, Washington, DC, August 20-24th, 2017.
36. Co-organizer of a symposium entitled "Recent Advances in the Treatment of HIV-1 Infection and Approaches to a Cure" as part of the Division of Medicinal Chemistry's contribution to the 254th ACS National Meeting and Exposition, Washington, DC, August 20-24th, 2017.
37. Co-organizer of a symposium entitled "Toxicological Considerations in Antibody Drug Conjugate Design and Development" as part of the Division of Chemical Toxicology's contribution to the 254th ACS National Meeting and Exposition, Washington, DC, August 20-24th, 2017.
38. Co-organizer of a symposium entitled "Dipole and Charge in Drug Design (Medicinal Chemists' Toolbox Series)" 255th ACS National Meeting and Exposition, New Orleans, LA, March 18-22nd, 2018.
39. Co-organizer of a symposium entitled "The Impact of Small Molecule "Breakthrough Therapies" on Human Health" 255th ACS National Meeting and Exposition, New Orleans, LA, March 18-22nd, 2018.
40. Co-organizer of a symposium entitled "Immuno-oncology" at the 36th ACS National Medicinal Chemistry Symposium, April 20th – May 2nd, 2018, Nashville, TN.
41. Co-organizer of a symposium entitled "New Advances in Treating Rare Diseases" 256th ACS National Meeting and Exposition, Boston, MA, August 19-23rd, 2018.
42. Co-organizer of a symposium entitled "Emerging Trends in Target Identification" 256th ACS National Meeting and Exposition, Boston, MA, August 19-23rd, 2018.
43. Co-organizer of a symposium entitled "Nanomaterials in Drug Delivery: Efficacy and Toxicity Considerations" 256th ACS National Meeting and Exposition, Boston, MA, August 19-23rd, 2018.
44. Co-organizer of a symposium entitled "Ions Count: Acids, Bases and Zwitterions in Drug Design" (Medicinal Chemistry Toolbox Series) 257th ACS National Meeting and Exposition, Orlando, FL, March 31st – April 4th, 2019.

45. Co-organizer of a symposium entitled "Privileged and Under-Privileged Functional Groups in Drug Design" (Medicinal Chemistry Toolbox Series). *258th ACS National Meeting and Exposition*, San Diego, CA, August 25-29th, 2019.
46. Co-organizer of a TOXI-MEDI symposium entitled "Current Approaches to Discovery-Phase Safety Assessment in the Industry", *258th ACS National Meeting and Exposition*, San Diego, CA, August 25-29th, 2019.
47. Co-organizer of a TOXI-MEDI symposium entitled "Metabolism of Fluorinated Compounds & Safety Relevance", *260th ACS Virtual National Meeting and Exposition*, August 17-20th, 2020.
48. Co-organizer of a MEDI symposium entitled "Approaches to COVID-19", *261st ACS National Meeting and Exposition*, San Antonio, TX, March 21-25th, 2021.
49. Co-organizer of a MEDI symposium entitled "Medicinal Chemistry Toolbox Session: Conformational Control in Drug Design", *261st ACS National Meeting and Exposition*, San Antonio, TX, April 5-30th, 2021. April 6th, 2021.
50. Co-organizer of a MEDI symposium entitled "Application of Isosteres for the Phenyl Ring and Biphenyl Moiety in Drug Design", *262nd ACS National Meeting and Exposition*, Atlanta, GA, August 22-26th, 2021.
51. Co-organizer of a TOXI/MEDI symposium entitled "Harnessing the Microbiome for Disease Prevention and Therapy", *262nd ACS National Meeting and Exposition*, Atlanta, GA, August 22-26th, 2021.
52. Co-organizer of a MEDI symposium entitled "Ternary Complexes in Drug Design", *263rd ACS National Meeting and Exposition*, San Diego, CA, March 20-24th, 2022.
53. Co-organizer of a MEDI symposium entitled "Advances in Biophysical Methods for Target Engagement in Drug Discovery", *264th ACS National Meeting and Exposition*, Chicago, IL, August 21st-25th, 2022.
54. Co-organizer of a TOXI/MEDI joint symposium entitled "A Developing Role for Artificial Intelligence (AI) in Drug Discovery in Drug Design, Development, and Safety Assessment", *264th ACS National Meeting and Exposition*, Chicago, IL, August 21-25th, 2022.
55. Co-organizer of a MEDI symposium entitled "The Essentiality of Water in Drug Design" *265th ACS National Meeting and Exposition*, Indianapolis, IN, March 26-30th, 2023.
56. Co-organizer of a MEDI symposium entitled "Medicinal Chemist's Toolbox: Nonclassical Interactions in Drug Design" *266th ACS National Meeting and Exposition*, San Francisco, CA, August 13-17th, 2023.
57. Co-organizer of a TOXI/MEDI joint symposium entitled "Toxicity & Drug-Drug Interactions Resulting from Inhibition of Transporters", *266th ACS National Meeting and Exposition*, Chicago, IL, August 13-17th, 2023.
58. Co-organizer of a MEDI symposium entitled "Medicinal Chemist's Toolbox: Sub-stoichiometric Modulation of Proteins and Target Vulnerability" *267th ACS National Meeting and Exposition*, New Orleans, LA, March 26-30th, 2024.
59. Co-organizer of a MEDI symposium entitled "Monomeric and Heterobifunctional Degraders - State of the Art and Emerging Design Principles". *267th ACS National Meeting and Exposition*, New Orleans, LA, March 26-30th, 2024.

60. Co-organizer of a symposium entitled "A Medicinal Chemist's Toolbox: Contemporary Topics in Drug Design". *38th National Medicinal Chemistry Symposium*, Seattle, WA, June 23rd-26th, 2024. Wednesday, June 26th, 2024.
61. Co-organizer of a MEDI symposium entitled "A Medicinal Chemist's Toolbox: Contemporary and Emerging Heterocyclic Scaffolds, Scaffold Hops and Pharmacophores in Drug Design and Development". *268th ACS National Meeting and Exposition*, Denver, CO, August 18th-21st, 2024.
62. Co-organizer of a MEDI symposium entitled "Approaches to the Modulation of Enzyme Activation and/or Substrate Recognition". *268th ACS National Meeting and Exposition*, Denver, CO, August 18-21st, 2024.
63. Co-organizer of a TOXI/MEDI joint symposium entitled "The Role of Investigative Toxicology in Drug Discovery & Development". *268th ACS National Meeting and Exposition*, Denver, CO, August 18-21st, 2024.
64. Co-organizer of a MEDI symposium entitled "*A Medicinal Chemist's Toolbox: Drug Metabolism and Pathways in an Era of Increasing Structural Complexity*". *269th ACS National Meeting and Exposition*, San Diego, CA, March 23-27th, 2025.
65. Co-organizer of a MEDI symposium entitled "Peptide Conjugates – An Emerging New Era in Targeted Therapy". *269th ACS National Meeting and Exposition*, San Diego, CA, March 23-27th, 2025.
66. Co-organizer of a MEDI symposium entitled "Recent Progress in the Discovery of Non-Degrading Molecular Glues". *270th ACS National Meeting and Exposition*, Washington DC, CA, August 17-21, 2025.
67. Co-organizer of a MEDI symposium entitled "A Medicinal Chemist's Toolbox - Developments in the Applications & Impact of Physicochemical Modulation in Drug Design". *270th ACS National Meeting and Exposition*, Washington DC, CA, August 17-21, 2025.

SESSION CHAIRS - GENERAL

1. Session Chair at "*PK/PD for Medicinal Chemists*", an *ACS ProSpectives Conference*, Cambridge, MA, September 7-9, 2008.
2. Session Presider for the General Oral Session, Division of Medicinal Chemistry (MEDI), March 25th, 2012. *243rd ACS National Meeting & Exposition*, San Diego, CA, March 25-29th, 2012.
3. Session Presider for the General Oral Session, Division of Medicinal Chemistry (MEDI), August 19th, 2012. *244th ACS National Meeting & Exposition*, Philadelphia, PA, August 19th-23rd, 2012.
4. Session Presider for the General Oral Session, Division of Medicinal Chemistry (MEDI), August 11th, 2014. *248th ACS National Meeting & Exposition*, San Francisco, CA, August 10-14th, 2014.
5. Chair ACS Journals Sessions 2 and 3, Neglected Diseases, July 26th, 2017 at the *Royal Australian Chemical Institute (RACI) National Centenary Conference*, Melbourne, Australia, July 23-28, 2017.

CONFERENCES

1. Co-organizer of “Topics and Tactics in Current Drug Design”, an *ACS ProSpectives Meeting and Short Course*, Cambridge, MA, October 19-21st, 2008.
2. Co-organizer of “Tactics Approaches to the Challenge of Drug Failure”, an *ACS ProSpectives Meeting and Short Course*, Philadelphia, PA, October 4-6th, 2009.
3. Co-organizer of “Topics and Tactics in Drug Design and Delivery”, an *ACS ProSpectives Meeting and Short Course*, Washington DC, October 24-26th, 2010. Cancelled October 8th, 2010 for economic reasons.

WEBINARS

1. Moderated “Overview of the Drug Discovery and Development Process, Session 1” with Dr. Derek Lowe (Vertex) and Dr. Richard Connell (Pfizer), February 27th, 2014.
2. Co-chair with John Morrison (Bristol-Myers Squibb) of the ACS Webinars series *2015 Drug Design and Delivery* produced by the ACS and co-sponsored by the ACS MEDI division and the AAPS.
3. Moderated the ACS Webinar “Strategies to Improve Solubility of Drug Candidates” by Michael A. Walker as part of the *2015 Drug Design and Delivery Symposium* on Thursday, February 26th, 2015 at 2:00 pm ET.
4. Moderated the ACS Webinar “Prodrugs in Drug Discovery” by John Higgins as part of the *2015 Drug Design and Delivery Symposium* on Thursday, November 19th, 2015 at 2:00 pm ET.
5. Moderated the ACS Webinar “Design of Deliverable Macrocycles” by Scott Lokey as part of the *2016 Drug Design and Delivery Symposium* on Thursday, May 19th, 2016 at 2:00 pm ET.
6. Moderated the ACS Webinar “Cystic Fibrosis: Discovery of CFTR Modulators” by Peter Grootenhuys as part of the *2017 Drug Design and Delivery Symposium* on Thursday, April 20th, 2017 at 2:00 pm ET.
7. Moderated the ACS Webinar “World AIDS Day and the Fight Against HIV: Discovering and Developing Emtricitabine” By Dennis Liotta as part of the ACS Webinar Series 2017, Tuesday, November 28th, 2017 at 2:00 pm EST.
8. Moderated the ACS Webinar “Pitfalls and Promise of Central Nervous System Drug Discovery” by Valentin Gribkoff as part of the *2018 Drug Design and Delivery Symposium* on Thursday, June 28th, 2018 at 2:00 pm ET.
9. Moderated the ACS Webinar “How Computational Chemistry with Structural Biology is Enabling Drug Discovery” by Scott Edmondson (Nimbus Therapeutics) as part of the *2021 Drug Design and Delivery Symposium* on Thursday, June 24th, 2021 at 2:00 pm ET.

ROUNDTABLES

1. Roundtable discussion leader “How to Identify the Right “Druggable” Targets” Medicinal Chemistry Strategy Meeting USA 2014, The Sheraton Hotel, Boston, MA. November 5th, 2014.