

PHARMACEUTICAL SALTS & OTHER COMPLEXES

A SOLID FORM STRATEGY



EYAL BARASH



GENE QUINN



BarashLaw

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Pharmaceutical Salts and Other Complexes – A Solid Form Strategy

Eyal H. Barash, J.D.

eyal.barash@ebarashlaw.com

www.ebarashlaw.com

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Eyal Brash founded Barash Law LLC in 2009 to be a “roving” in-house General and IP counsel to early and mid-stage start-ups primarily coming out of the Purdue Research Park in West Lafayette, Indiana. He advises or has advised more than 20 start-up companies from Purdue alone and taken them through multiple stages of development including in-licenses, out-licenses, public offerings, private sales and public exits. In 2018, one of Eyal’s clients, Endocyte, Inc. was sold to Novartis for over \$2B. Eyal was chief patent counsel to Endocyte for almost 10 years. Eyal is also a prolific speaker and lecturer, giving presentations primarily in life science IP throughout the globe. He has a particular interest in drug repositioning and repurposing and lectures on this topic frequently. Eyal’s current clients have a global footprint and are in the pharmaceutical, medical device, energy, defense, and agriculture sectors.



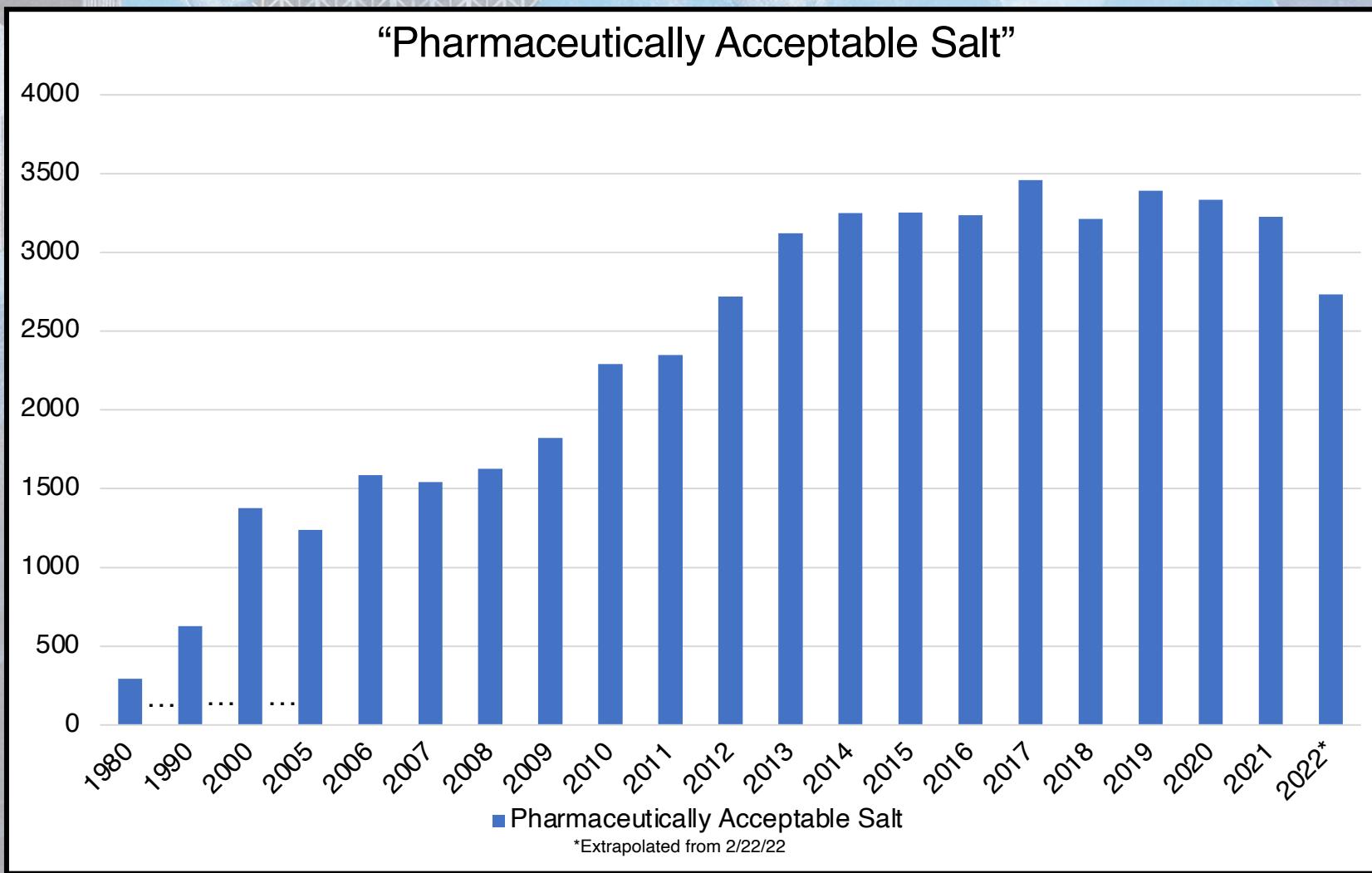
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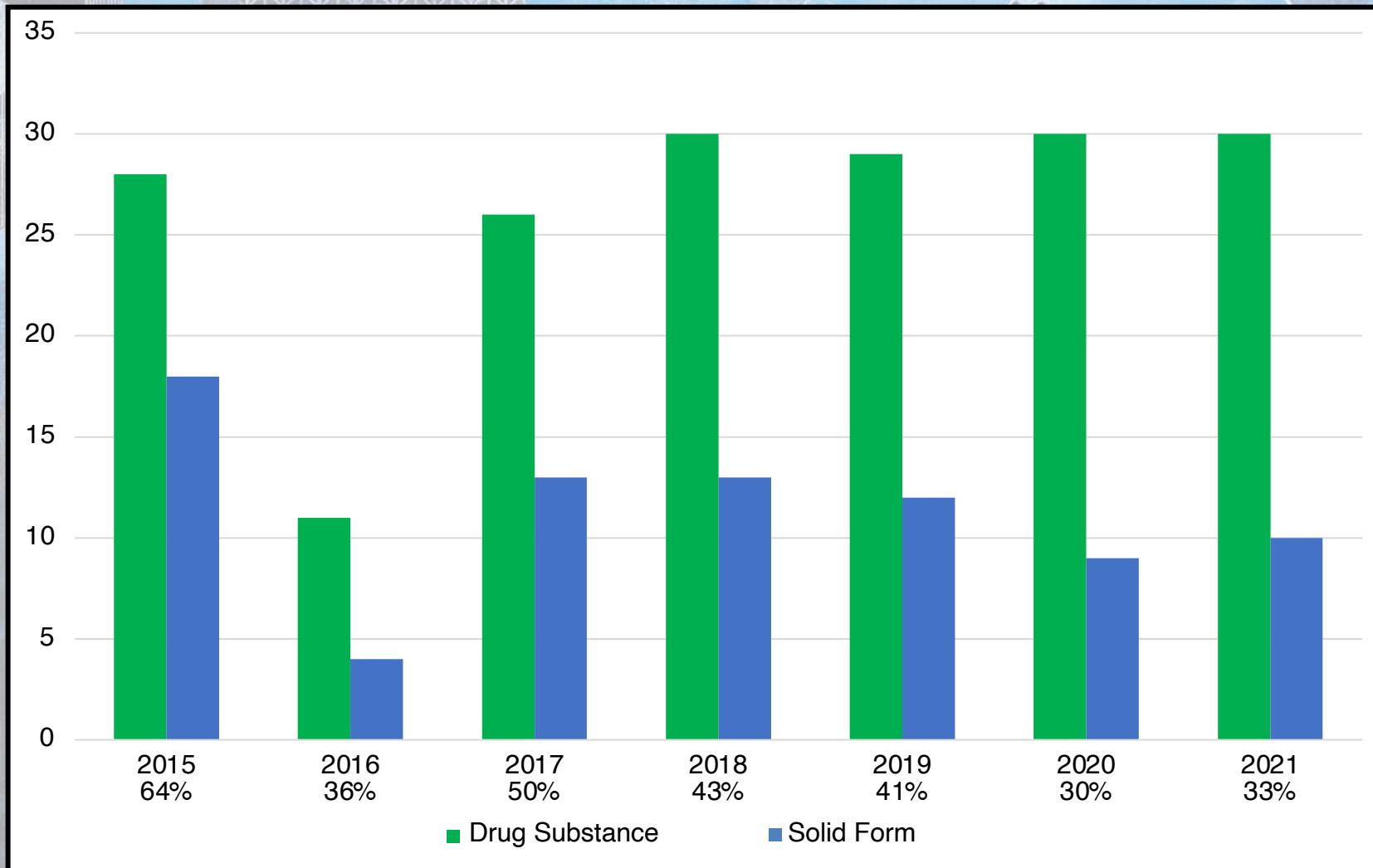
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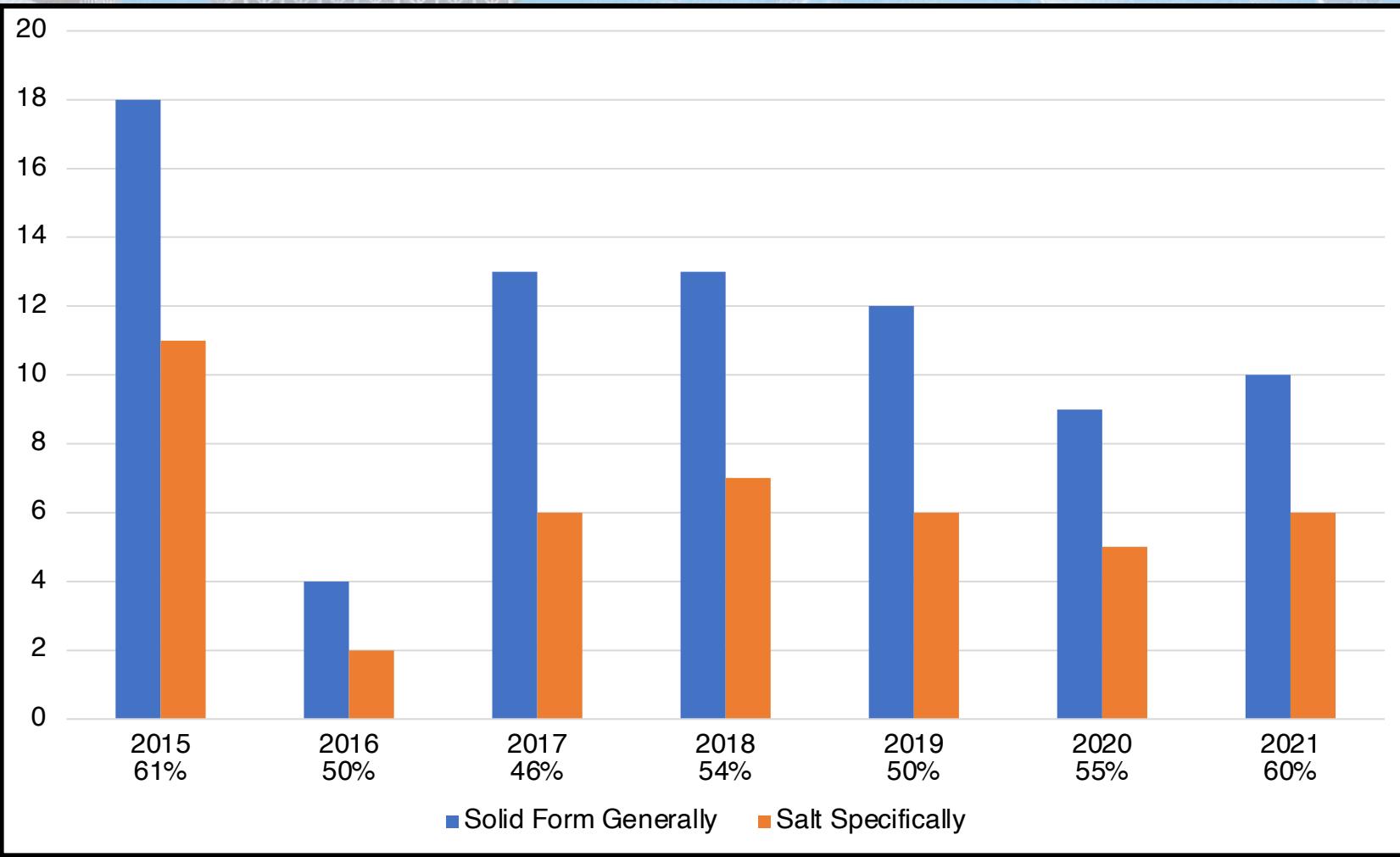
Salt Patents at the USPTO



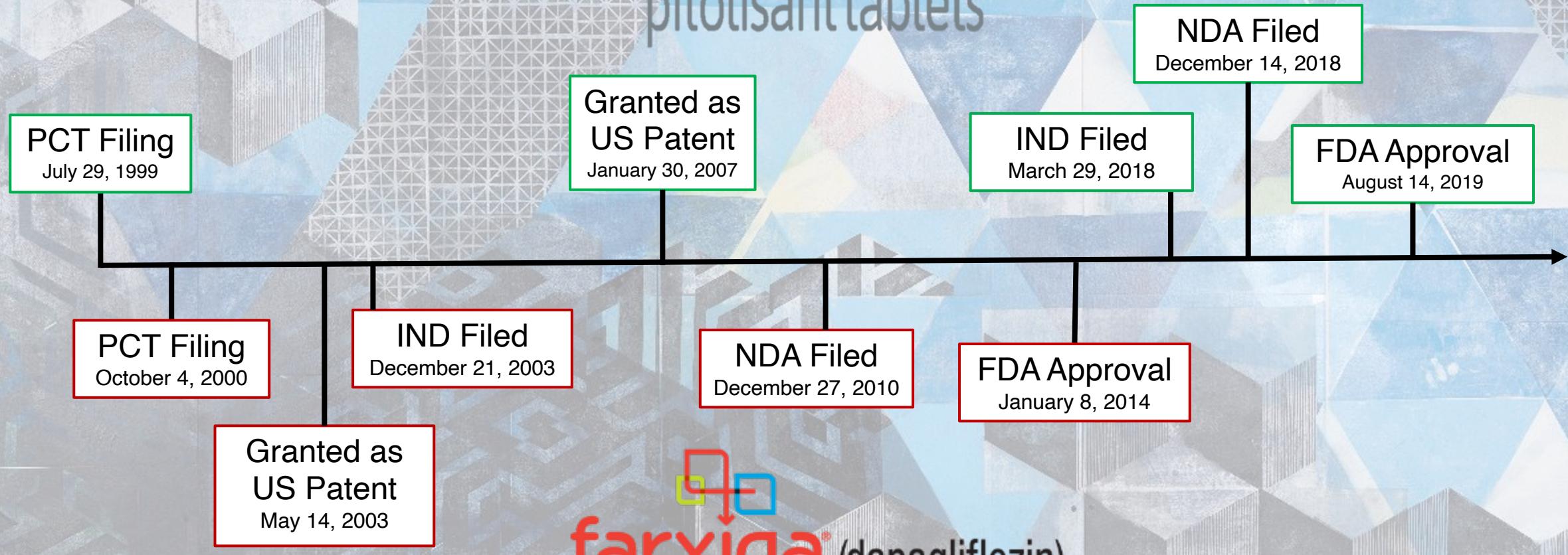
Drugs with Drug Substance Patents and Solid Form Patents 2015-2022



Drugs with Solid Form Patents Generally and Solid Salt Patents Specifically



Wakix® pitolisant tablets



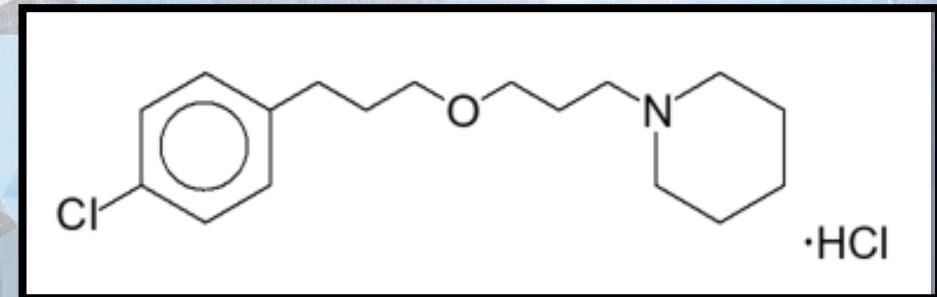

farxiga® (dapagliflozin)

Example - **Wakix**[®] pitolisant tablets

pitolisant hydrochloride

“WAKIX is a histamine-3 (H3) receptor antagonist/inverse agonist indicated for the treatment of excessive daytime sleepiness (EDS) in adult patients with narcolepsy”
– from Label

- Approved Aug. 14, 2019
- Structure from Label



U.S. Patent No. 7,169,928

- Claim 13 is the label claim
 - Covers the salt of the API generally

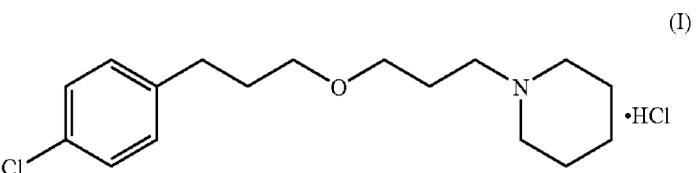
13. The compound according to claim 1, wherein the compound is 3-(4-chlorophenyl)propyl-3-piperidinopropyl-ether, or its pharmaceutically acceptable salts, hydrates, or hydrated salts, or its optical isomers, racemates, diastereoisomers or enantiomers.

- Filed as PCT on 7/29/1999
- 188-day Patent Term Adjustment
- 7/29/1999 + 20 years + 188 days = **2/2/2020**

U.S. Patent No. 8,207,197

- Claim 1

1. Crystalline 1-[3-[3-(4-chlorophenyl)propoxy]propyl]-piperidine monohydrochloride of formula (I)



optionally comprising water up to 6%, and having an X-ray diffractogram that comprises characteristic peaks (2θ) at 11.2° , 19.9° , 20.7° and $34.1^\circ \pm 0.2^\circ$

- Covers the HCl salt specifically

- Filed as PCT on 2/6/2006
- 1115-day Patent Term Adjustment
- $2/6/2006 + 20 \text{ years} + 1115 \text{ days} =$
2/25/2029
- PTE petition adds another 389 days

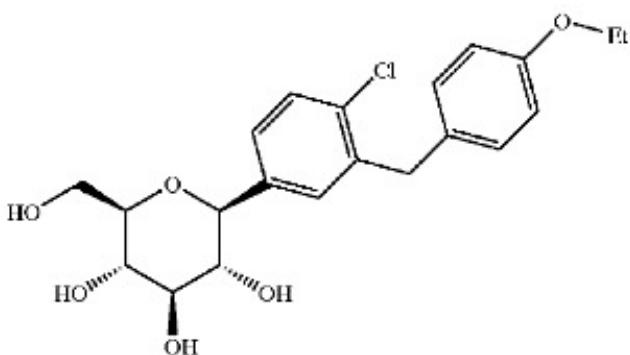


Example- farxiga® (dapagliflozin)

Solid Form Patent (BMS/AstraZeneca)

Expires October 4, 2025

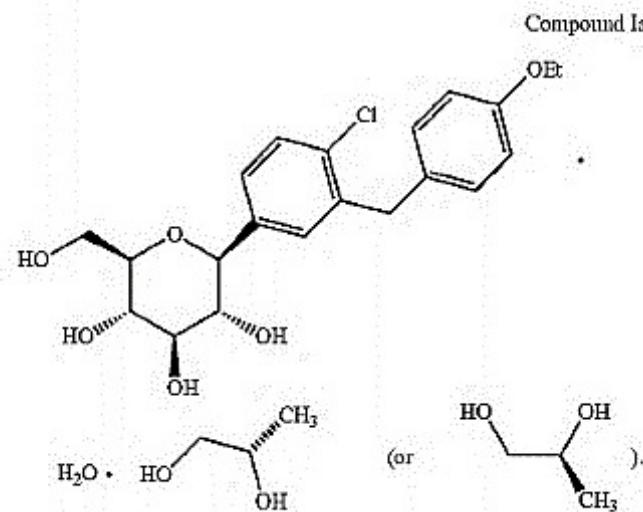
1. A compound having the structure



or a pharmaceutically acceptable salt, a stereoisomer thereof, or a prodrug ester thereof.

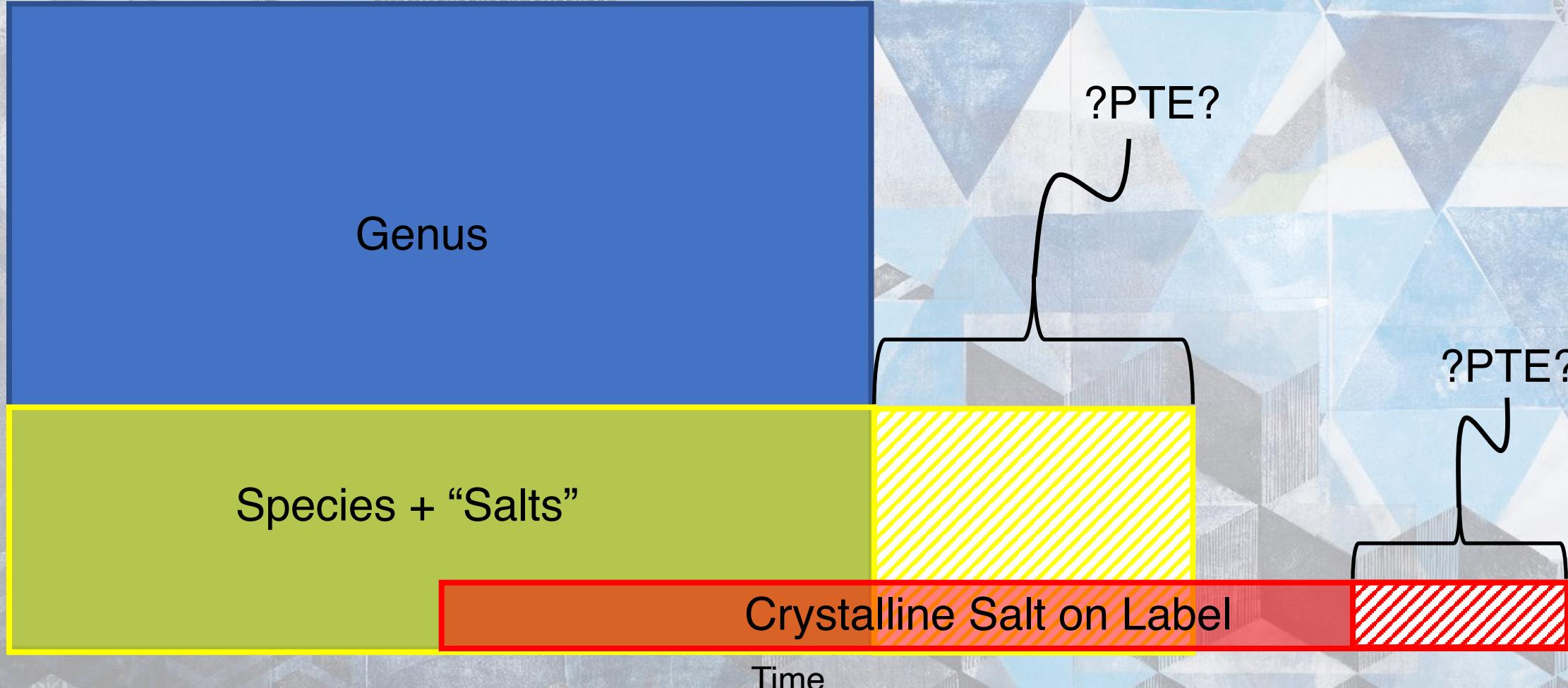
Expires December 16, 2029

1. A crystalline (S)-propylene glycol ((S)-PG) solvate compound Ia (form SC-3)



API Patent Diagram

Claim Breadth



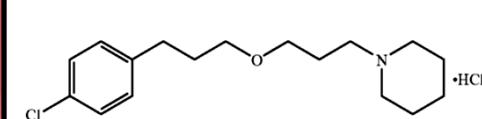
Wakix®

US 7,169,928

13. The compound according to claim 1, wherein the compound is 3-(4-chlorophenyl)propyl-3-piperidinopropyl-ether, or its pharmaceutically acceptable salts, hydrates, or hydrated salts, or its optical isomers, racemates, diastereoisomers or enantiomers.

US 8,207,197

1. Crystalline 1-[3-[3-(4-chlorophenyl)propoxy]propyl]-piperidine monohydrochloride of formula (I)



optionally comprising water up to 6%, and having an X-ray diffractogram that comprises characteristic peaks (θ) at 11.2° , 19.9° , 20.7° and $34.1^\circ \pm 0.2^\circ$

Claim Breadth

7/29/1999

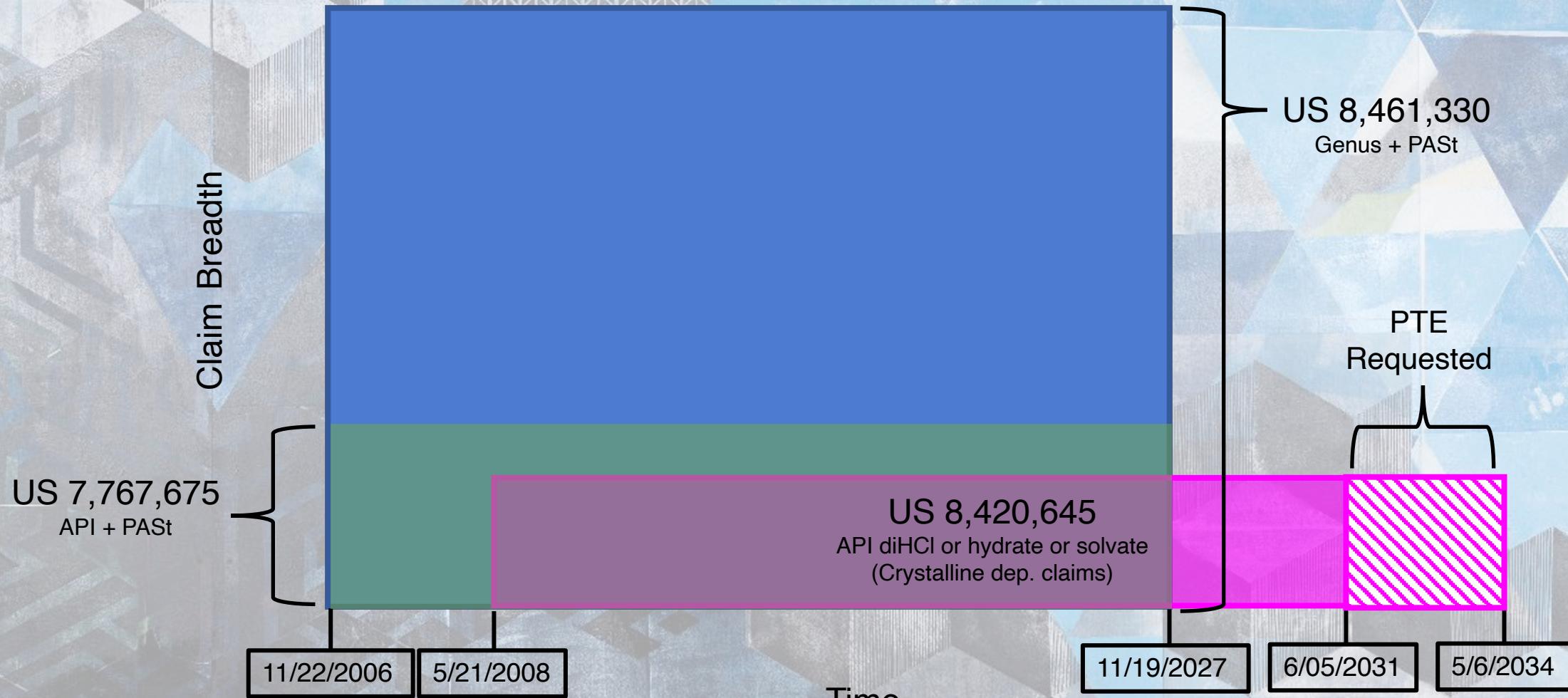
2/6/2006

2/20/2020

3/21/2030*

Time

Tabrecta®



Cases to Consider

- Pfizer v. Apotex 480 F.3d 1348 (Fed. Cir. 2007)
- Grunenthal GmbH v. Alkem Labs Ltd. 919 F.3d (Fed. Cir. 2019)
- Mylan v. Merck (IPR2020-00040) May 7, 2021
- T 2730/16 (Dec. 7, 2020)



Offices of Barash Law LLC

Located at:
300 Main Street, Suite 201
Lafayette, IN 47901

eyal.barash@ebarashlaw.com