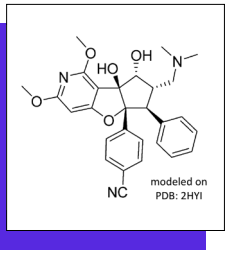
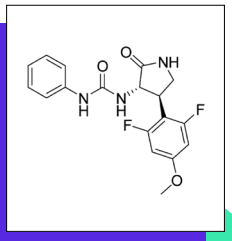
# Small Molecules of the Month

May 2020
drughunter.com



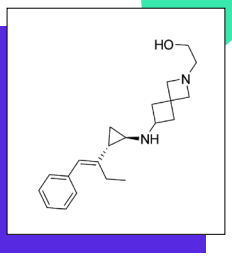

## eFT226 (zotatifin)

First-in-class eIF4A RNA helicase inhibitor
In clinical dev. for solid tumors (Ph. I, IV QW)
From optimization of a natural product
J. Med. Chem., May 29, 2020
eFFECTOR Therapeutics, San Diego, CA



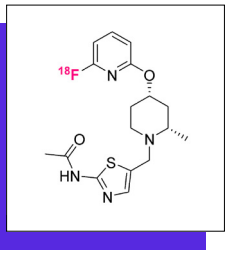
## BMS-986235/LAR-1219

Potent, selective, oral FPR2 GPCR agonist
For heart failure prevent. / entered Ph. I in HV
From optimization of known ligand
J. Med. Chem., May 24, 2020
Kyorin Pharmaceutical / Bristol-Myers Squibb



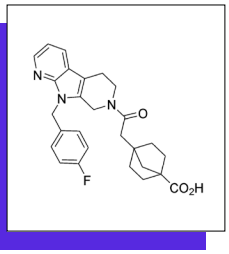
## "Compound 34"

Mech.-based inh. of monoamine oxidase LSD1
Orally efficacious in xenograft model
From optimization of known starting point
ACS Med. Chem. Lett., May 12, 2020
Constellation Pharma., Cambridge, MA



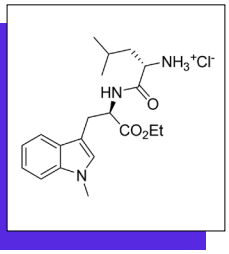
## [$^{18}$F]LSN3316612

PET ligand for brain O-GlcNAc hydrolase
Stably visualized in human HV
Discovery strategy undisclosed
Sci. Transl. Med., May 13, 2020
National Institutes of Health / Eli Lilly



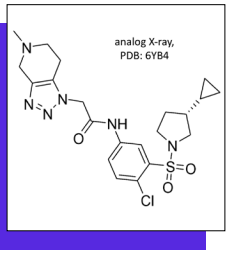
## ONO-8430506

Potent oral autotaxin phosphodiesterase inh.
Orally efficacious in xenograft
From HTS and ligand-based design
ACS Med. Chem. Lett., May 19, 2020
Ono Pharmaceutical, Osaka, JP



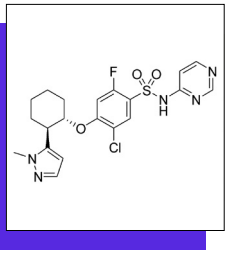
## NLG802

Oral prodrug of IDO1 inhibitor
Completed Ph. I in solid tumors
From derivatization of indoximod
Eur. J. Med. Chem., May 1, 2020
NewLink Genetics, Ames, IA



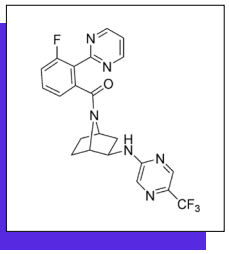

## GSK232

Potent, selective CECR2 bromodomain inh.
Cell permeable tool compound
From HTS + SBDD on ATAD2, CECR2 model
J. Med. Chem., May 28, 2020
GlaxoSmithKline, Stevenage, UK



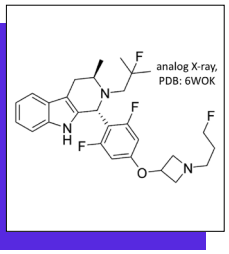
## DS-1971a

Potent, selective NaV1.7 ion channel inhibitor
Completed Ph. I in HV, discontinued in Ph. II
From optimization of known starting point
J. Med. Chem., May 26, 2020
Daiichi Sankyo, Tokyo, JP



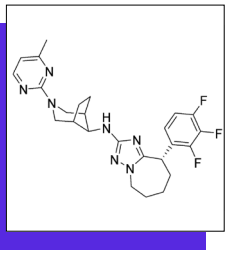
## JNJ-54717793

Oral, BP, selective OX1R GPCR antagonist
Orally efficacious in panic attack models
From optimization of internal OX2R antagonist
ACS Med. Chem. Lett., May 5, 2020
Janssen R&D, San Diego, CA



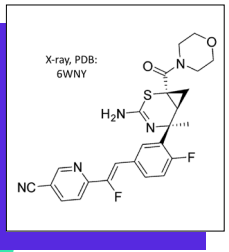

## GNE-149

Monovalent degrader + full antagonist of ER
Orally efficacious in xenograft model
From ligand-based design of full antag.
ACS Med. Chem. Lett., May 29, 2020
Genentech, South San Francisco, CA



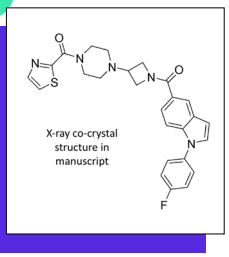
## RO7185876

Potent, selective, oral γ-secretase modulator
PD effect on Aβ in model, well-tol. in 2 species
From optimization of prior ligand
ACS Med. Chem. Lett., May 1, 2020
Roche, Basel, CH



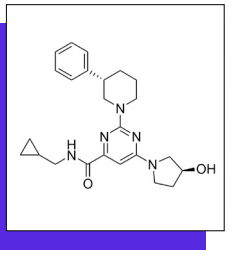

## "Compound 15"

Selective BACE1 protease inhibitor
Oral PD demonstrated on Aβ in model
From optimization of prior ligand
Bioorg. Med. Chem. Lett., May 1, 2020
Amgen, Thousand Oaks, CA




## "Compound 6g"

Potent, reversible MAGL serine hydrolase inh.
Orally efficacious in inflamm. pain model
From optimization of prior ligand
Bioorg. Med. Chem. Lett., May 7, 2020
Janssen R&D, Spring House, PA



## LEI401

Potent, BP, NAPE-PLD zinc hydrolase inhibitor
Brain penetrant IP tool compound
From HTS and optimization
Nat. Chem. Biol., May 11, 2020
Leiden University, Leiden, NL



drug hunter