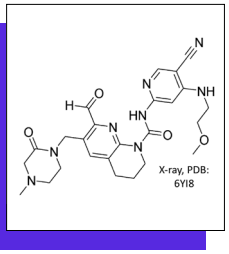
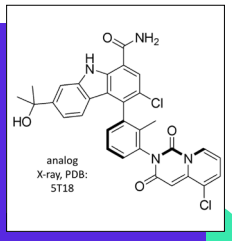
Small Molecules of the Month

September 2020
drughunter.com



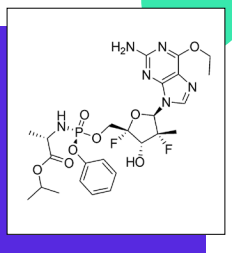
FGF401 (roblitinib)

Oral reversible-covalent FGFR4 kinase inhibitor
First sel. FGFR4i in clinical studies; for HCC
From biochemical HTS and SBDD
J. Med. Chem., Oct. 1, 2020
Novartis, Basel, CH



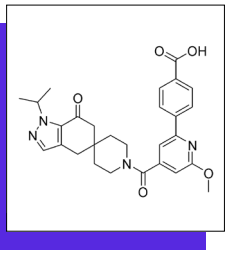
BMS-986143

Oral reversible selective BTK kinase inhibitor
Related cmpds in dev. for inflamm. conditions
From rational design of prior crystallized leads
ACS Med. Chem. Lett., Sep. 22, 2020
Bristol Myers Squibb, Princeton, NJ



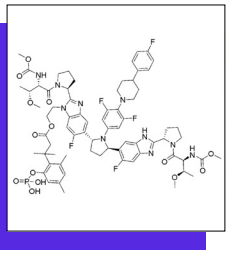
AL-611

Oral HCV NS5B polymerase inhibitor prodrug
Preclin.candidate, discont.'d due to compet.
From optimization of prior leads
J. Med. Chem., Sep. 24, 2020
Janssen BioPharma, South San Francisco, CA



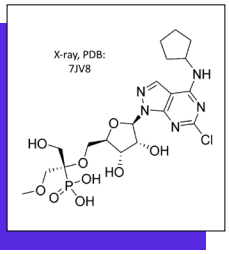
PF-05221304

Liver-targeted acetyl-CoA carboxylase inhibitor
Oral hepatoselective activity observed in HV
From opt. of prior candidate for liver uptake
J. Med. Chem., Sep. 18, 2020
Pfizer, Cambridge, MA / Groton, CT



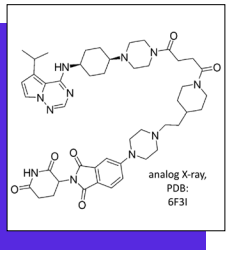
"compound 9"

Trimethyl-lock prodrug of HCV NS5A inh.
Improved bioavailability in preclinical species
From derivatization of pibrentasvir
J. Med. Chem., Sep. 3, 2020
AbbVie, North Chicago, IL



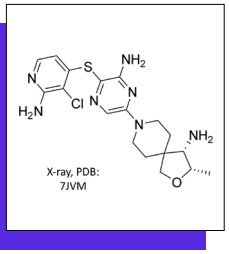
OP-5244

Potent, oral CD73 nucleotidase inhibitor
Oral activity in vivo, available in higher species
From SBDD of known ligand
J. Med. Chem., Sep. 24, 2020
ORIC Pharma, South San Francisco, CA



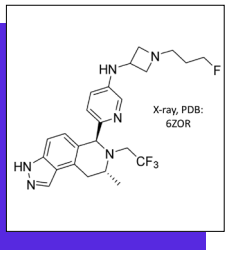
"compound 23"

Selective degrader of IRAK3 pseudokinase
In vitro degradation in primary macrophages
From mining of internal kinase inh. and linking
J. Med. Chem., Sep. 24, 2020
AstraZeneca, Waltham, MA / Cambridge, UK



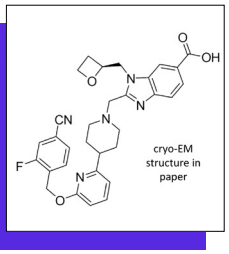
TNO155

First-in-class allosteric SHP2 phosphatase inh.
Oral agent in multiple trials for cancer
From 1.5M cmpd biochemical HTS + SBDD
J. Med. Chem., Sep. 24, 2020
Novartis, Cambridge, MA



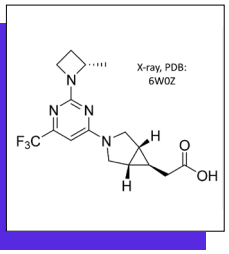
AZD9833

Oral selective ER degrader and antagonist
In Ph. IIb studies for ER+ breast cancer
From ligand-based design and opt.
J. Med. Chem., Sep. 29, 2020
AstraZeneca, Cambridge, UK / Waltham, MA



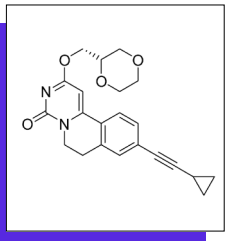
PF-06882961

Oral GLP-1R class B GCPR agonist
Oral glucose-lowering activity observed in HV
From sensitized cell HTS of 2.8M cmpds + opt.
bioRxiv, Sep. 30, 2020
Pfizer, Cambridge, MA / Groton, CT



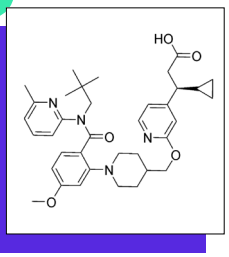
PF-06835919

First-in-class ketohexokinase (KHK) inhibitor
Oral candidate in Ph. II for NAFLD/NASH
From frag.-based screening, SBDD, and opt.
J. Med. Chem., Sep. 27, 2020
Pfizer, Cambridge, MA / Groton, CT



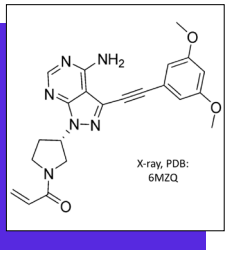
GLPG1205

GPR84 GPCR negative allosteric modulator
Oral Ph. II candidate for IPF
From 200k cmpd biochem. HTS and opt.
J. Med. Chem. Sep. 29, 2020
Galapagos, Romainville, FR / Mechelen, BE



SCO-267

Oral full agonist of GPR40 GCPR
Oral efficacy in obesity model, entered Ph. I
From optimization of prior molecule
J. Med. Chem., Sep. 24, 2020
Takeda / SCOHIA Pharma, Fujisawa, JP



TAS-120 (futibatinib)

Oral irreversible FGFR1-4 inhibitor
In Ph. I-III trials for FGFR+ advanced tumors
From structure-based design
Cancer Res., Sep. 24, 2020
Taiho Pharmaceutical, Tokyo, JP

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