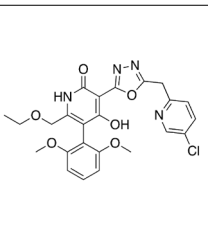


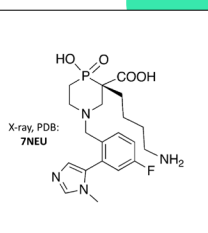
LSN3318839

GLP-1R/GLP molecular glue agonist (PAM)
Oral blood glucose \downarrow , additive w/ sitagliptin
From 220k compd cell-based screen + PK opt.
J. Med. Chem., Mar. 15, 2021
Lilly Research Laboratories, Indianapolis, IN



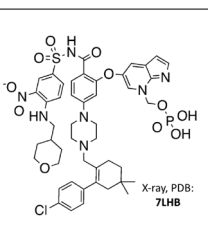
BMS-986224

Oral apelin receptor GPCR agonist
Completed Ph. I for heart failure, discontinued
From cell-based HTS and opt.
J. Med. Chem., Mar. 19, 2021
Bristol Myers Squibb, Princeton, NJ



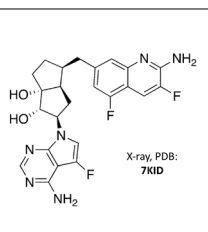
S62798

Fibrinolytic TAFIa carboxypeptidase inhibitor
Ph. II candidate for pulm. embolism; discont.
From literature pharmacophore and SBDD
J. Med. Chem., Mar. 25, 2021
Servier, Croissy-sur-Seine, FR



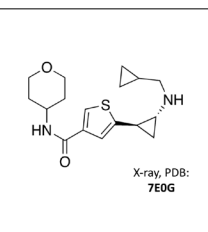
ABBV-167

Venetoclax prodrug with reduced food effect
Enhanced bioavailability in healthy volunteers
From venetoclax heterocycle N-alkylation
Mol. Cancer Ther. Mar. 30, 2021
AbbVie, North Chicago, IL



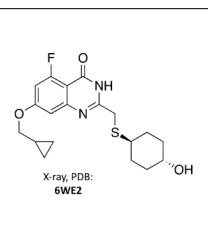
"compound 72"

Oral PRMT5 inh. for treating cancers
Projected human dose of 15 mg QD w/ 6 HBD
SBDD from SAM cofactor
J. Med. Chem., Mar. 23, 2021
Merck & Co, Boston, MA



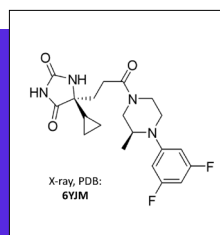
TAK-418

Brain-penetrant LSD1 inh. for neuro. disorders
Sociability \uparrow in vivo, completed Ph. I in HV
Tranilycypromine class mechanism-based inh.
Sci. Adv., Mar. 12, 2021
Takeda Pharmaceuticals, Fujisawa, JP



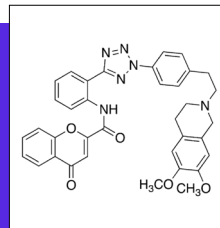
RBN-012759

>300-fold family-selective PARP14 inh. tool
90x IC₅₀ coverage at 500 mpk BID PO in vivo
From PARP-preferring directed library + SBDD
Cell Chem. Bio., Mar. 10, 2021
Ribon Therapeutics, Cambridge, MA



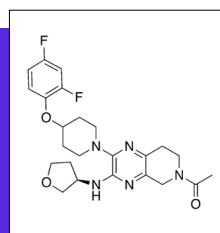
GLPG1972 / S201086

Zinc-binding ADAMTS-5 metalloproteinase inh.
Oral candidate in Ph. II for osteoarthritis
50k compd HTS enriched for zinc-binding + opt.
J. Med. Chem., Mar. 15, 2021
Galapagos SASU, FR / Servier, FR



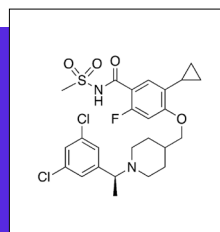
encephalid

Gut-restrict. P-gp inh. for oral paclitaxel combo
Efficacious in Ph. III, NDA filed but CRL issued
From opt. of tariquidar
J. Med. Chem., Mar. 17, 2021
Athenex / Hanmi Pharmaceutical, Seoul, KR



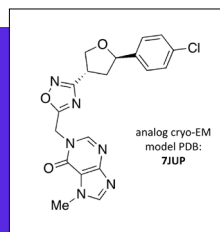
CVN424

CNS pen. GPR6 GPCR inverse agonist
Oral QD Ph. II candidate for Parkinson's
From 360k compd cell-based HTS + opt.
J. Pharmacol. Exp. Ther., Apr. 1, 2021
Cerevance / Takeda California, San Diego, US



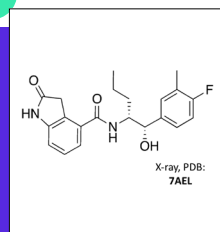
GDC-0310

Zwitterionic oral selective Na_v1.7 inh. for pain
Predicted QD dosing, completed Ph. I, discont.
PK optimization of prior scaffold
J. Med. Chem., Mar. 8, 2021
Genentech, South San Francisco, CA / Xenon



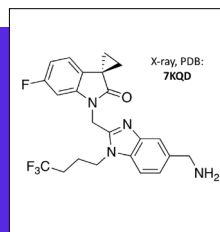
"compound 20"

Cryo-EM-characterized TRPA1 ion channel inh.
Oral efficacy (10 mpk BID) in asthma model
Rational design from literature starting point
J. Med. Chem., Mar. 22, 2021
Genentech, South San Francisco, CA



GSK716 (13)

Mutant α 1-antitrypsin folding corrector
Sub- μ M in cell, high predicted human %F
From 2T component DEL + SBDD
Bioorg. Med. Chem. Lett., Mar. 19, 2021
GlaxoSmithKline, Stevenage, UK / UCL



sisunatovir

Oral lung penetrant RSV fusion inhibitor
Ph. II candidate (50 mg BID) for RSV infect.
Opt. from literature starting point
J. Med. Chem., Mar. 17, 2021
Reviral Ltd, Hertfordshire, UK