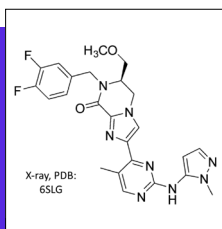


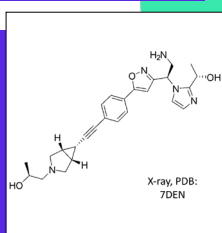
OI338

Oral, ultralong-acting insulin analog
Effective in Ph. IIa, hum. $t_{1/2}$ ~3 d, 4% F dog
Albumin-binding motif + reduced endocytosis
J. Med. Chem., Dec. 28, 2020
Novo Nordisk, Maaloev, DK



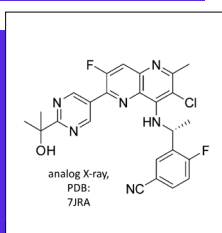
AZD0364

Oral reversible ERK1/2 kinase inhibitor
Combo eff. w/ MEKi in mKRAS model; in Ph. I
From historical kinase sel. data, SBDD + opt.
Mol. Cancer Ther. Dec. 3, 2020
AstraZeneca, Cambridge, UK



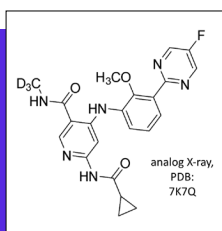
TP0586352

Non-hydroxamate LpxC deacetylase inh.
IV candidate for resist. gram-negative bacteria
From fragment-based discovery and opt.
Bioorg. Med. Chem., Dec. 29, 2020
Taisho Pharma, Saitama, JP



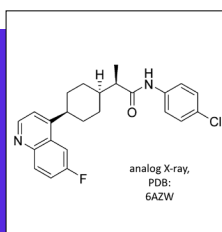
"compound 42"

TNFα allosteric inh. (asym. trimer stabilizer)
Oral eff. in arthritis model similar to biologic
Scaffold hop from lit. starting point + SBDD
J. Med. Chem., Dec. 1, 2020
Bristol-Myers Squibb, Princeton, NJ



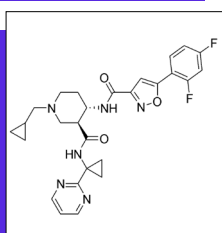
BMS-986202

Oral JH2-binding Tyk2 inhibitor
Ph. I in psoriasis complete
Backup candidate to BMS-986165 for psoriasis
J. Med. Chem., Dec. 28, 2020
Bristol-Myers Squibb, Princeton, NJ



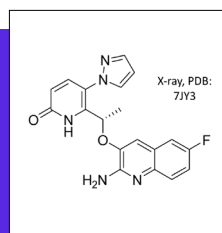
linrodostat

Oral sel. IDO1 dioxygenase inhibitor
100 mg QD, in I/O combo studies for cancer
Acts on apo-IDO1 displacing heme co-factor
Mol. Cancer Ther., Dec. 9, 2020
BMS, Princeton, NJ / Flexus, San Carlos, CA



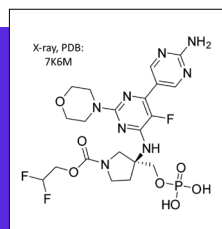
ACT-1004-1239

CXCR7 GPCR insurmountable antagonist
Target engagement in rodent, 61% F in dog
From 300k HTS vs. β -arrestin recruitment, opt.
J. Med. Chem., Dec. 14, 2020
Idorsia Pharma (Actelion), Allschwil, CH



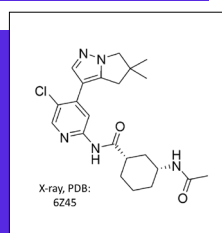
PF07059013

Oral noncovalent hemoglobin (HbS) modulator
2:1 binding, high RBC partition.; in Ph. I w/ HV
From virtual screening, NMR confirm. and opt.
J. Med. Chem., Dec. 24, 2020
Pfizer, Groton, CT / Cambridge, MA



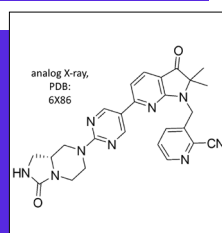
PF-06843195 prodrug

Oral PI3Kα-selective kinase inhibitor prodrug
Preclinical candidate for breast cancer
From mining of prior PI3K inh., SBDD, and opt.
J. Med. Chem., Dec. 24, 2020
Pfizer, San Diego, CA



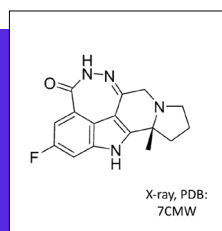
AZD4573

Short engagement, selective CDK9 kinase inh.
IV treatment in Ph. I for RR-heme cancers
Shortened $t_{1/2}$ from prior preclin. candidate
J. Med. Chem., Dec. 11, 2020
AstraZeneca, Cambridge, UK / Boston, MA



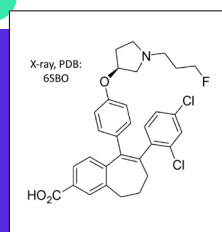
"compound 12"

TNFα allosteric inh. (asym. trimer stabilizer)
Oral eff. In arthritis model
From 18k compd 2D NMR frag. screen + SBDD
J. Med. Chem., Dec. 30, 2020
AbbVie, North Chicago, IL / Worcester, MA



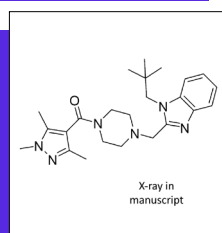
pamiparib

Oral, brain-penetrant PARP1/2 inh./DNA trap.
In Ph. III for maint. in ovarian + gastric cancer
Ligand-based design from lit. PARPi
J. Med. Chem., Dec. 2, 2020
Beigene, Beijing, CN



SAR439859

Oral sel. estrogen receptor degrader (SERD)
400 mg QD, in Ph. II for ER+/HER2- BC
From MTS of ER binders, opt. for degrd./antag.
Mol. Cancer Ther., Dec. 11, 2020
Sanofi, Vitry-sur-Seine, FR / Cambridge MA



"compound 1"

Anion-dependent farnesyl transferase inhib.
Cellular reactivation of HIV w/ vorinostat
From 2.9M compd phenotypic screen
ACS Med. Chem. Lett., Dec. 23, 2020
Merck, West Point, PA