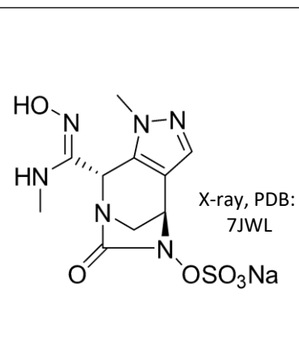
Small Molecules of the Month

September 2021

drughunter.com



ETX0462 | PBP1a/PBP3

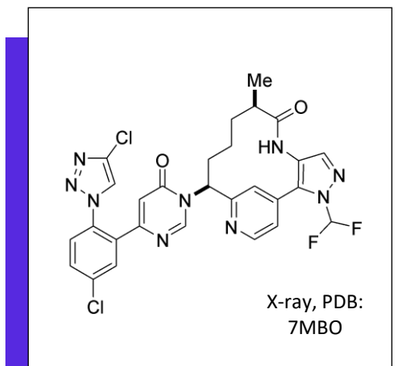
penicillin-binding protein + β-lactamase inh.

preclin. eff. at 50 mpk SC, 2,000 mpk tolerated

multitarget SBDD + opt. for porin permeability

Nature

Entasis Therapeutics



milvexian | FXIa

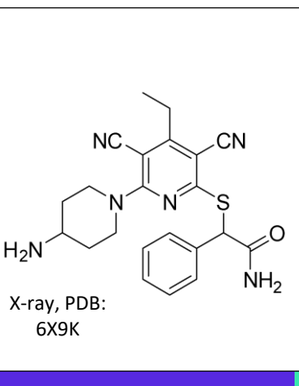
selective factor Xia serine protease inhib.

oral, phase II, cardiovascular

from opt. of previous macrocyclic FXIa inh.

Journal of Medicinal Chemistry

Bristol Myers Squibb



GSK3685032 | DNMT1

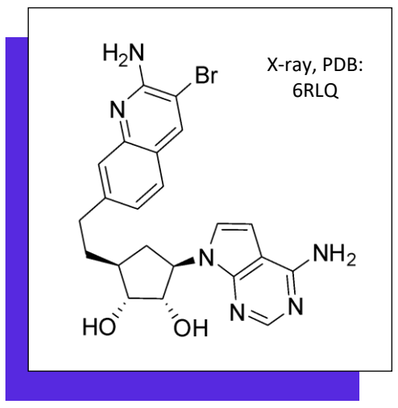
selective rev. DNA methyltransferase inhibitor

preclinical eff. at 30 mpk SC BID (xenograft)

from 1.8M cmpd enzymatic HTS + opt.

Nature Cancer

GlaxoSmithKline



onametostat | PRMT5

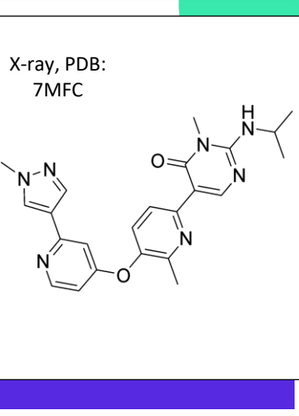
pseudo-irreversible methyltransferase inh.

oral, phase I, cancer

from adenosine derivative library + opt.

Molecular Cancer Therapeutics

Janssen R&D



vimseltinib | CSF1R

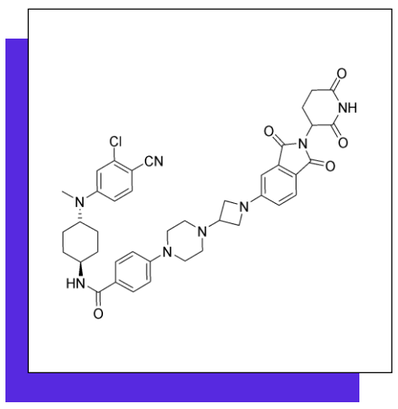
selective CSF1R kinase inhibitor

oral, 30 mg BIW, phase III, cancer

from structure-based design

Molecular Cancer Therapeutics

Deciphera Pharmaceuticals



ARD-2585 | AR

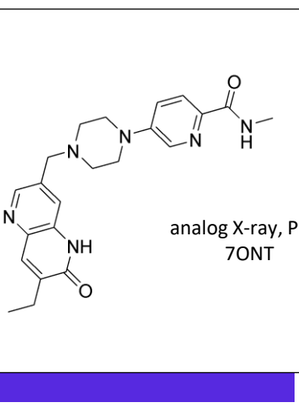
oral CRBN-based androgen receptor degrader

preclinical eff. at 10 mpk QD (xenograft)

from previously disclosed AR molecule

Journal of Medicinal Chemistry

University of Michigan



AZD5305 | PARP1

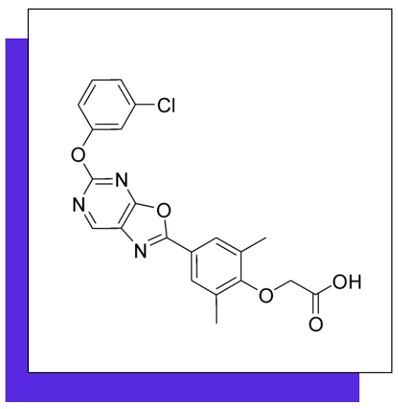
oral PARP1-DNA selective trapper

1 mpk QD in PDX model, phase I/II, cancer

from literature starting point

Journal of Medicinal Chemistry

AstraZeneca



SAR247799 | S1P1

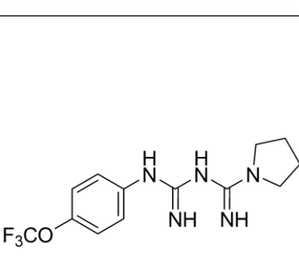
G-protein biased GPCR agonist

oral 10 mg QD, phase I, cardiovascular

from HTS and opt.

bioRxiv

Sanofi



IM156 | PC1

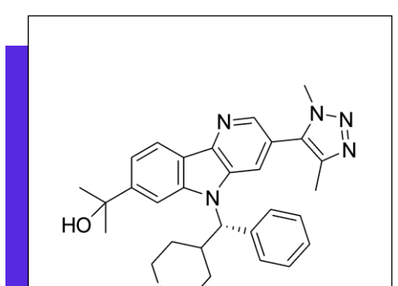
oral protein-complex 1 (OXPHOS) inhibitor

200-800 mg QD, phase I, cancer/IPF

from metformin

J. Pharmacol. Exp. Ther.

ImmunoMet Therapeutics



BMS-986158 | BET

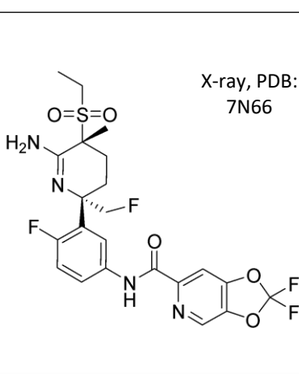
oral BET inhibitor

4.5 mg QD, phase I/II for cancer

from bromodomain-focused HTS, SBDD

Journal of Medicinal Chemistry

Bristol Myers Squibb



JNJ-67569762 | BACE1

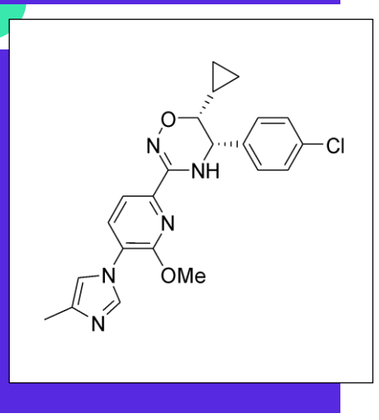
oral BACE1-selective inhibitor

preclinical, QTc observed in dogs

from literature starting point

Journal of Medicinal Chemistry

Janssen Pharmaceutica NV



FRM-024 | γ-secretase

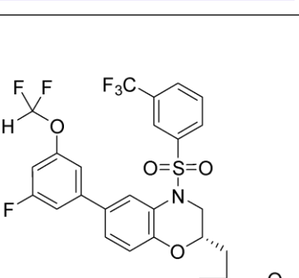
oral gamma secretase modulator

preclinical PD at 30 mpk single dose

from opt. of prior oxadiazine GSM

Journal of Medicinal Chemistry

FORUM Pharmaceuticals



cintirorgon | RORγ

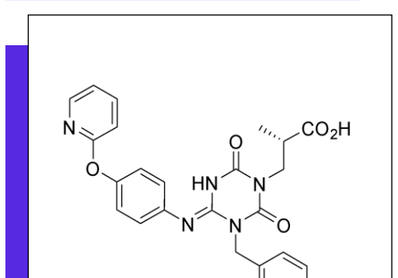
oral RORγ nuclear receptor agonist

450 mg BID, phase I/II, cancer

from change in MoA of RORγ antagonist + opt.

Journal of Medicinal Chemistry

Lycera



sivopixant | P2X3

purinergic receptor (ion channel) antagonist

up to 300 mg PO QD, phase IIb, chronic cough

from opt. of prior P2X3 antagonist

Bioorganic and Medicinal Chemistry Letters

Shionogi

HIGHLIGHTS FROM DRUG DISCOVERY ARTICLES PUBLISHED ONLINE | SEPT. 2021

drug hunter