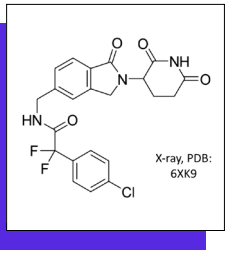
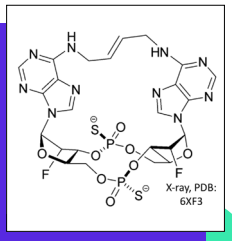
Small Molecules of the Month

February 2021
drughunter.com



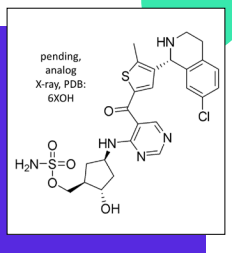
CC-90009

CRBN-based GSPT1 molecular glue degrader
Intravenous agent in Ph. I for AML + MDS
From phenotypic screen of CRBN mod library
J. Med. Chem., Feb. 16, 2021
Celgene/Bristol Myers Squibb, San Diego, CA



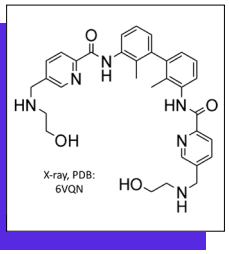
E7766 (STING)

Intratumoral STING receptor agonist
In Ph. I for adv. solid tumors as single agent
From macrocyclization of cyclic dinucleotide
ChemMedChem., Jan. 31, 2021
Eisai Inc., Cambridge, MA



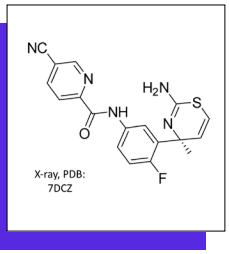
TAK-981

Mechanism-based SAE E1 ligase inhibitor
First-in-class, Ph. II (IV) for adv. solid tumors
From phenotypic screen and scaffold hop
J. Med. Chem., Feb. 25, 2021
Millenium/Takeda, Cambridge, MA



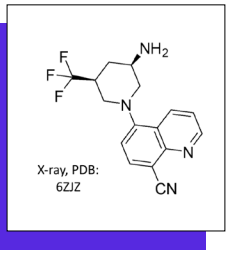
ARB-272572

PD-L1 inhibitor via induced internalization
Oral activity (10 mpk QD) in humanized model
From biochemical HTRF screening
Nat. Comm., Feb. 22, 2021
Arbutus Biopharma Inc, Warminster, PA



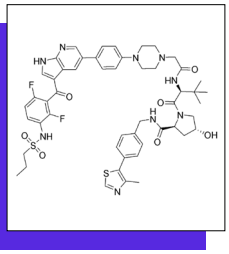
atabecestat

First patented BACE1 protease inhib. of series
Ph. II/III in Alzheimer's, discontinued (tox.)
From phenotypic screen and SBDD
J. Med. Chem., Feb. 15, 2021
Shionogi Pharmaceutical, Osaka, JP



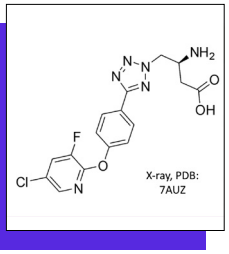
M5049

Selective TLR7/8 inhibitor (dimer stabilizer)
In Ph. I for lupus (oral twice-daily)
From screening for TLR7/8-selective agents
J. Pharmacol. Exp. Ther., Mar. 1, 2021
EMD Serono/Merck KGaA, Billerica, MA



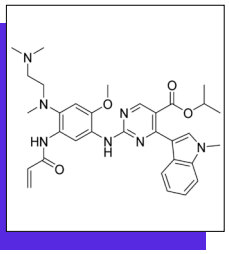
SJF-0628

Mut. BRAF sel. heterobifunctional degrader
Efficacy in xenograft at 50 mg/kg IP BID
VHL-based degrader from vemurafenib
Nat. Comm., Feb. 10, 2021
Yale University, New Haven, CT



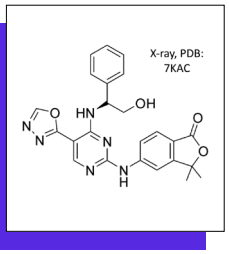
LYS006

Selective oral LTA4H metalloenzyme inhibitor
In multiple inflamm. Ph. II incl. colitis + NASH
1800 cmpd fragment screen + frag. merging
J. Med. Chem., Feb. 16, 2021
Novartis, Basel, CH



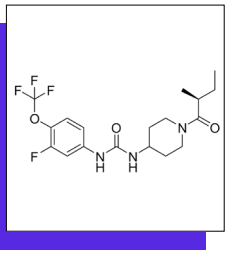
mobocertinib (TAK-788)

EGFR exon 20 mutant inhibitor, oral once-daily
Breakthrough Therapy for ex20+ NSCLC (Ph.I)
From cellular screening + SBDD
Cancer Discovery, Feb. 25, 2021
ARIAD/Takeda, Cambridge, MA



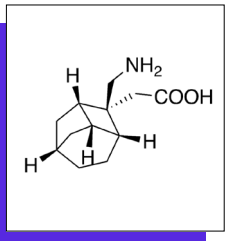
"compound 24"

>50x family-selective HPK1 kinase inhibitor
Tumor clearance w/ PD-1i (oral 100 mpk BID)
From IRAK4 inhibitor and homology modeling
ACS. Med. Chem. Lett., Feb. 19, 2021
Bristol Myers Squibb, Cambridge, MA



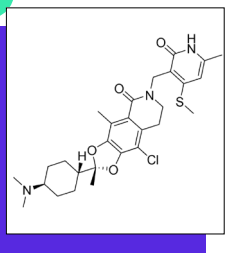
EC5026

pM oral sEH epoxide hydrolase inhibitor
Completed Ph. I HV study + in animal health
Epoxide-opening transition-state mimic
J. Med. Chem., Feb. 7, 2021
EicOsis Human Health Inc., Davis, CA



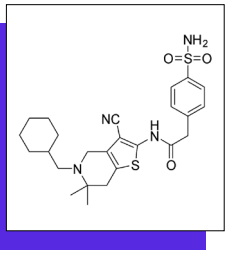
HSK16149

Oral, brain-penetrant VGCC inhibitor
Ph. II/III for diabetic neuropathic pain in China
Gabapentenoid
J. Pharmacol. Exp. Ther., Mar. 1, 2021
Haisco Pharmaceutical, Chengdu, CN



CPI-1328

Femtomolar EZH2 hist. methyltransferase inh.
Oral activity (10-25 mg/kg QD) in xenograft
From opt. of prior ligand
J. Bio. Chem., Jan. 30, 2021
Constellation Pharma, Cambridge, MA



NITD-688

Pan-serotype dengue virus NS4B inhibitor
Oral preclin. candidate, trial planned
From 1.5M cmpd phenotypic screen + opt.
Sci. Transl. Med., Feb. 3, 2021
Novartis (NITD), Emeryville, CA

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