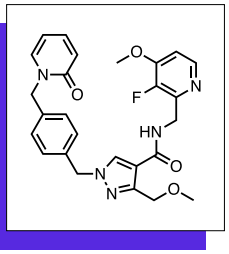
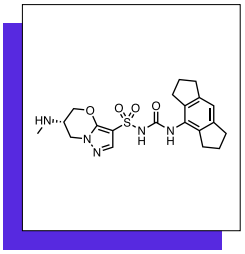
Small Molecules of the Month

October 2022
drughunter.com



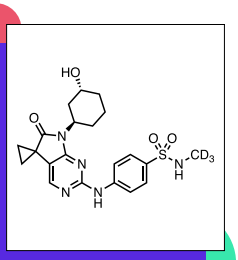
sebetralstat | plasma kallikrein

oral, on-demand plasma kallikrein inhibitor
Ph. III candidate for on-demand treatment of HAE attacks
opt. from a known starting point
J. Med. Chem.
KalVista Pharmaceuticals Ltd., Salisbury, U.K.



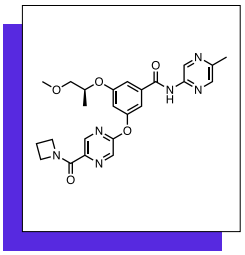
GDC-2394 | NLRP3

oral NLRP3 inhibitor
predicted human dose of 500 mg QD
LLE opt. and tox. mitig. from prev. clin. cand.
J. Med. Chem.
Genentech, South San Francisco, CA



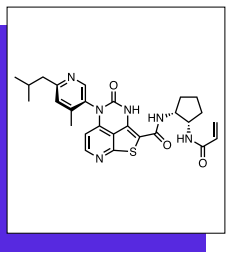
compound 5g | CDK2

oral CDK2 Inhibitor
oral/IV PK observed in rats
in-house HTS and scaffold hopping
ACS Med. Chem. Lett.
Incyte Research Institute, Wilmington, DE



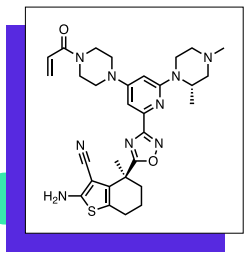
AZD1656 | glucokinase

oral glucokinase activator
Ph. II in renal transplant patients with DM2
AZD1092 opt. to avoid Ames test liability
Sci. Transl. Med.
AstraZeneca, Gothenburg, SE



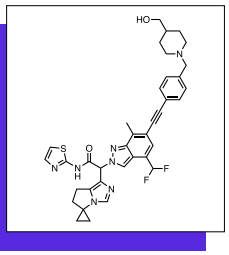
JNJ-64264681 | BTK

oral BTK inhibitor
Ph. I candidate in NHL/CLL patients
from Janssen cmp. collection screen and opt.
J. Med. Chem.
Janssen, San Diego, CA



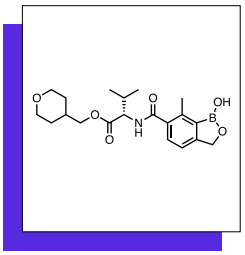
BI-0474 | KRAS^{G12C}

IP KRAS^{G12C}i related to oral candidate BI 1823911
TGI in NCI-H358 cancer xenograft mouse model
from 13k cmpd. HSQC-based screen and SBDD
J. Med. Chem.
Boehringer Ingelheim, Vienna, AT



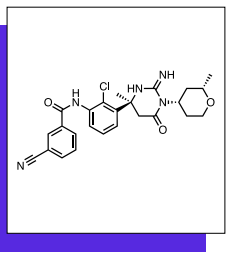
compound 57 | EGFR^{L858R}

oral EGFR^{L858R} inhibitor
efficacy in EGFR mutant mouse models
SBDD opt. from previously disclosed EGFRai
J. Med. Chem.
F. Hoffmann-La Roche, Basel, CH



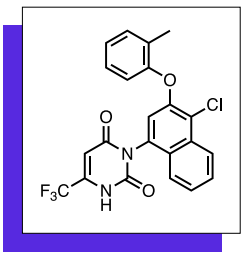
AN15368 | CPSF3

oral CPSF3 inhibitor for Chagas
uniformly curative in naturally infected rhesus macaques
from benzoxaborole library screen and opt.
Nat. Microbiol.
Anacor Pharmaceuticals, Palo Alto, CA



UCB7362 | plasmepsin X

oral PMX inhibitor for malaria
50 mg QD/7 days estimated to be curative
from guanidine-based focused lib. screen. and SBDD
J. Med. Chem.
UCB Biopharma, Braine-l'Alleud, BE



BAY-069 | BCAT1/2

oral BCAT1/2 inhibitor
favorable PK profile in rats
from 788762 cmpd. HTS and SBDD
J. Med. Chem.
Bayer Pharma AG, Berlin, DE

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