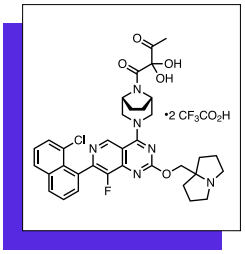
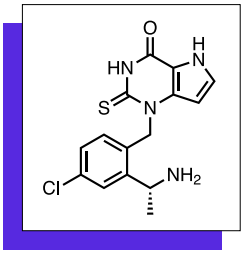
Small Molecules of the Month

August 2022
drughunter.com



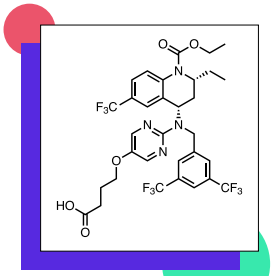
Compound 3 | KRAS^{G12R}

KRAS^{G12R} mutant-selective covalent inhibitor
privileged arginine-reactive functional group
from previously disclosed K-Ras inhibitors
J. Am. Chem. Soc.
UCSF, San Francisco, CA



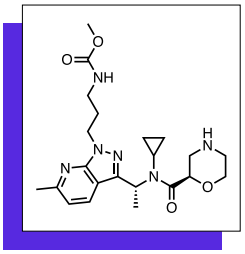
AZD4831 | MPO

oral MPO covalent inhibitor
Ph. IIb/III candidate in HFpEF
previous literature and selectivity opt
J. Med. Chem.
AstraZeneca, Gothenburg, SE



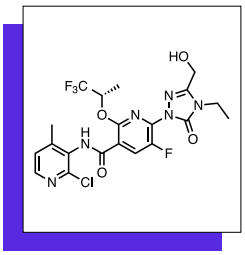
Obicetrapib | CETP

oral CETP inhibitor
Ph. III candidate in cardiology
Signif. lipid lowering effect at 5 mg PO QD
Nat. Med.
Monash University, Clayton, AU
NewAmsterdam Pharma B.V., Naarden, NL



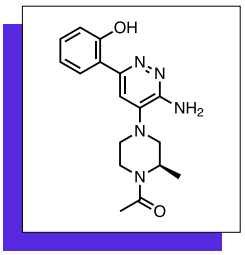
SPH3127 | Renin

oral Renin Inhibitor
Ph. III candidate in essential hypertension
SBDD and PK profile opt
J. Med. Chem.
Mitsubishi Tanabe, Yokohama, JP
Shanghai Pharmaceuticals, Shanghai, CN



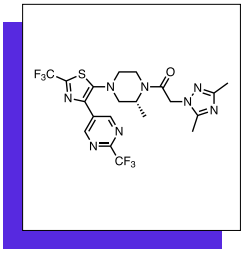
Compound 19 | DHODH

oral DHODH inhibitor
tumor growth inhibition in xenograft models
from virtual screening and SBDD
J. Med. Chem.
Janssen, Spring House, PA



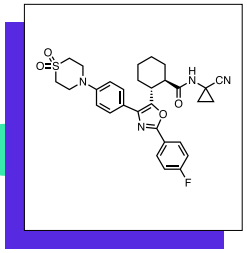
GNE-064 | SMARCA2/4 and PBRM1

oral SMARCA2/4 and PBRM1 selective inhibitor
oral PK observed in mouse
from 43k cmps HTS and SBDD
J. Med. Chem.
Genentech, South San Francisco, CA



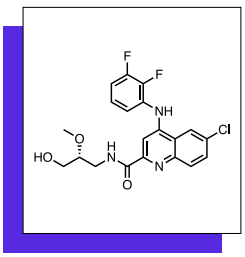
ACT-660602 | CXCR3

oral CXCR3 antagonist
efficacy in mice model of lung inflammation
from HTS and opt
J. Med. Chem.
Idorsia Pharmaceuticals Ltd., Allschwil, CH



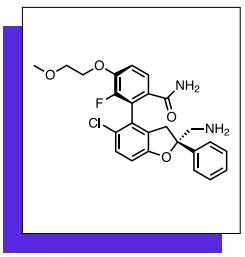
Compound 23 | Cat K

oral CAT K inhibitior
reduced uCTX-I levels in dog model
from opt of a previously disclosed cmpd
Bioorg. Med. Chem. Lett.
Merck, West Point, PA



Compound 7 | 20S proteasome

oral 20S proteasome inhibitor
efficacy in stage II mouse model of HAT
3 million cmpd HTS and SBDD
J. Med. Chem.
Novartis Institutes for Biomedical Research, Emeryville, CA



Compound 6 | YAP- TEAD

YAP-TEAD PPI inhibitor
single digit nanomolar potency
virtual screening and SBDD
ChemMedChem
Novartis, Basel, Switzerland

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