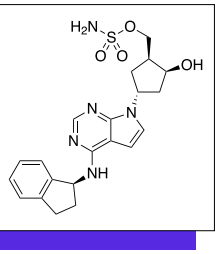
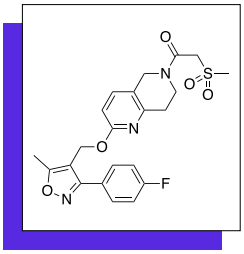
Small Molecules of the Month

May 2022
drughunter.com



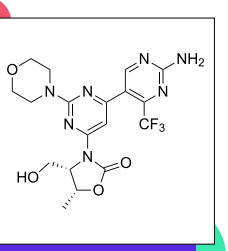
Pevonedistat | NEDD8-activating enzyme (NAE)

intravenous NEDD8-activating enzyme inhibitor
Ph. III candidate for oncology
from HTS and optimization
Drug Metab. Dispos.
Takeda, Lexington, MA



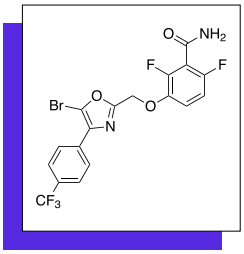
Compound 20 | α5-GABA$_A$

oral α5-GABAA receptor NAM
oral efficacy in CIAS rodent models
scaffold hopping from literature starting point
J. Med. Chem.
Gedeon Richter, Budapest, HU



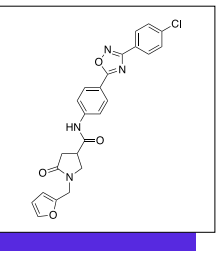
NVP-CLR457 | pan-class IA PI3K

oral pan-class IA PI3K inhibitor
Ph. I in advanced solid tumors
opt. from previous clinical candidate
J. Med. Chem.
Novartis (NIBR), Basel, CH



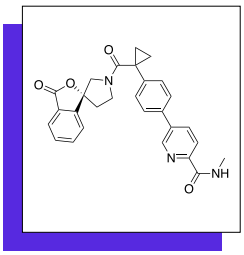
TXA6101 | FtsZ

gram-negative FtsZ inhibitor
In vitro efficacy against E. coli
Literature starting point and opt
J. Antibiot.
TAXIS Pharmaceuticals, Monmouth Junction, NJ



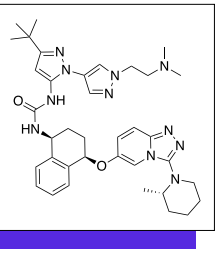
RLX-33 | RXFP3

intraperitoneal Relaxin-3/RXFP3 antagonist
in vivo efficacy in induced food intake rats models
from 19000 compound HTS and opt.
J. Med. Chem.
Research Triangle Institute, Durham, NC



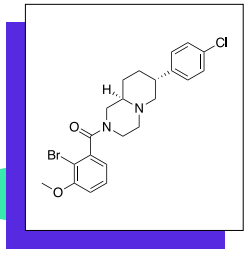
INCB13739 | 11β-HSD1

oral tissue-targeting 11β-HSD1 inhibitor
Ph. II in T2DM/obesity completed (QD)
scaffold-hopping from cortisone
and prior 11β-HSD1 inh.
Bioorg. Med. Chem. Lett.
Incyte Research Institute, Wilmington, DE



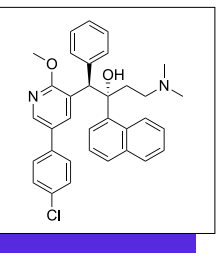
Compound 4e | p38α/β MAPK

inhaled p38α/β MAPK inhibitor
effective in the rat LPS-induced lung inflamm. model
SBDD and focus on slow dissociation kinetics
J. Med. Chem.
Chiesi Farmaceutici, Parma, IT



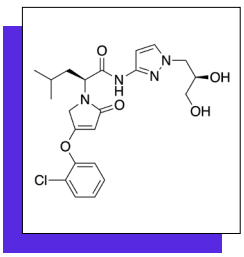
MAGLi 432 | MAGL

CNS penetrant, intraperitoneal, reversible MAGL inh.
in vivo target engagement in brain but lack of efficacy
from opt. of a screening hit
bioRxiv
Roche, Basel, CH



Sudapyridine (WX-081) | mycobacterial ATP-Synthase

oral ATP-synthase inhibitor
Ph. I candidate for pulmonary tuberculosis
toxicol. risk mitigation from prev. disclosed inhibitor
Bioorg. Med. Chem. Lett.
WuXi AppTec, Shanghai, CN



Dorzagliatin | Glucokinase

oral allosteric glucokinase activator
Ph. III candidate in T2DM
HbA1c reduction vs placebo
Nat. Med.
Hua Medicine, Shanghai, CN