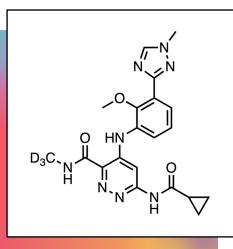


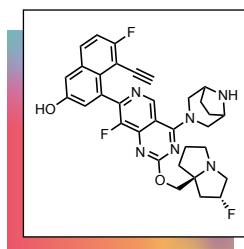
2022 Small Molecules of the Year

drughunter.com



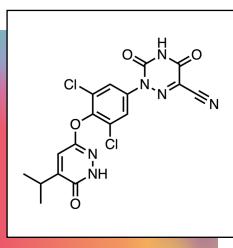
BMS TYK2 inhibitor

FIC, oral, allosteric TYK2 inhibitor
FDA-approved for plaque psoriasis
opt. + SBDD of in-house phenotypic HTS hit
deucravacitinib (BMS-986165, SOTYKTU®)
BRISTOL MYERS SQUIBB, NY



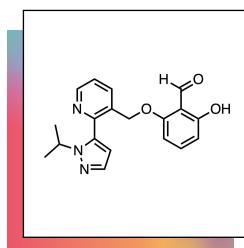
Mirati/Array/Pfizer KRAS^{G12D} inhibitor

oral, BID, reversible KRAS^{G12D} inhibitor
entering Ph. I/II for KRAS^{G12D}-mutated tumors
from SBDD of KRAS^{G12C} inhibitor
MRTX1133
MIRATI THERAPEUTICS/PFIZER, CO (ARRAY)



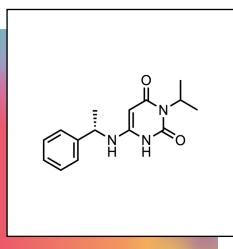
Madrigal THR β -selective agonist

oral, QD THR β -selective agonist
Ph. III for NASH
opt. of triiodothyronine
resmetirom (MGL-3196)
MADRIGAL PHARMACEUTICALS, PA



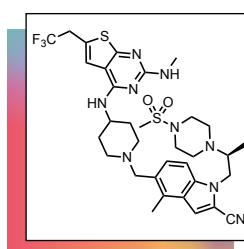
GBT/Pfizer HbS polymerization inhibitor

FIC, oral, QD, reversible covalent HbS pol. inhibitor
accelerated approval in SCD
opt. + SBDD of natural product (5HMF) analogs
oxelotor (GBT440, OXBRYTA®)
GLOBAL BLOOD THERAPEUTICS, CA/PFIZER, NY



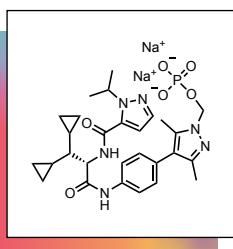
Myokardia/BMS cardiac myosin inhibitor

FIC, oral, QD, cardiac myosin inhibitor
FDA-approved for obstructive HCM
opt. of HTS hit for sarcomere activity inhibition
mavacamten (MYK461, CAMZYOS®)
MYOKARDIA, CA/BRISTOL MYERS SQUIBB, NY



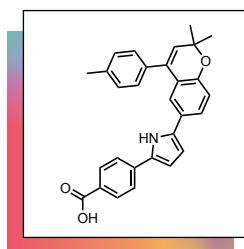
Kura Oncology menin-MLL1 inhibitor

oral, QD menin-MLL1 inhibitor
Ph. I/II for leukemia
from HTS and SBDD
ziftomenib (KO-539)
KURA ONCOLOGY/UMICH-GREMBECKA LAB



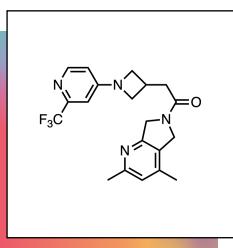
LEO Pharma IL-17A modulator

oral, allosteric, IL-17A inactivator prodrug
Ph. I for psoriasis
SBDD from known compound
LP0200
LEO PHARMA, BALLERUP, DK



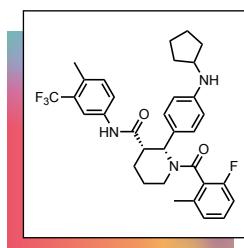
YourChoice/UMN RAR- α antagonist

oral, non-hormonal male contraceptive
oral efficacy (99%) in preclinical model
SBDD from retinoic acid
YCT529
YOURCHOICE THERAPEUTICS/UMN-GEORG LAB



Cerevel/Pfizer M4 PAM

oral, QD M4 positive allosteric modulator
Ph. II for schizophrenia
discovery not disclosed
emraclidine (CVL-231)
CEREVEL THERAPEUTICS, CAMBRIDGE, MA



ChemoCentryx C5aR antagonist

FIC, oral, QD C5aR antagonist
FDA-approved as adjunctive treatment for AAV
discovery not disclosed
avacopan (CCX-168, TAVNEOS®)
CHEMOCENTRYX, CA/AMGEN INC., CA