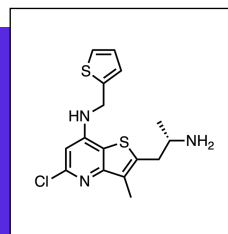


ervogastat

DGAT2

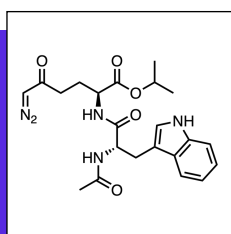
systemic, oral DGAT2 inhibitor
Ph. II for NASH with fibrosis
opt. of liver-targeting candidate PF-06427878
J. Med. Chem., 02 November 2022
PFIZER, CAMBRIDGE, MA AND GROTON, CT



PTC258

mutant ELP1 pre-mRNA

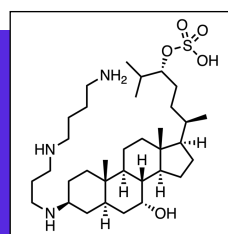
oral ELP1 ex20 splicing modulator
rescues motor coordination in FD mouse model
opt. from natural product from 1K compd screen
bioRxiv, 04 November 2022
MASS GENERAL / PTC THERAPEUTICS



sirpiglenastat

glutamine antimetabolite

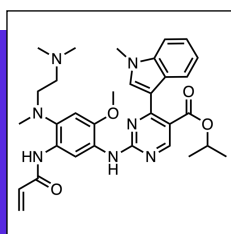
IV/SC glutamine antimetabolite prodrug
Ph. I/IIa in advanced solid tumors
dual prodrug of natural product
Sci. Adv., 16 November 2022
JOHNS HOPKINS / DRACEN PHARMACEUTICALS



ENT-01

α-synuclein

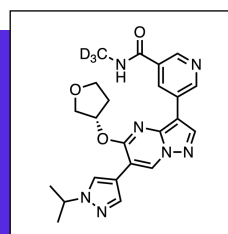
oral α-synuclein aggregation inhibitor
completed Ph. IIb for PD + constipation
natural product
Sci. Adv., 16 November 2022
ENTERIN INC., PHILADELPHIA, PA



mobocertinib

EGFR ex20 mutants

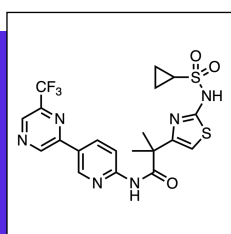
EGFR exon 20 mutant inhibitor, oral once-daily
FDA-approved for EGFR ex20+ NSCLC
from cellular screening + SBDD
Bioorg. Med. Chem. Lett., 21 November 2022
ARIAD/TAKEDA, CAMBRIDGE, MA



compound 29

FGFR2/3

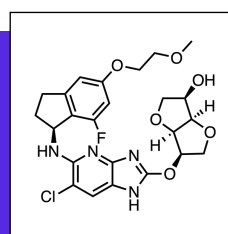
FGFR2/3 inhibitor
favorable PK profile in rats
opt. from HTS + SBDD & scaffold hopping
J. Med. Chem., 10 November 2022
INCYTE CORPORATION, WILMINGTON, DE



compound 27

CTPS1/2

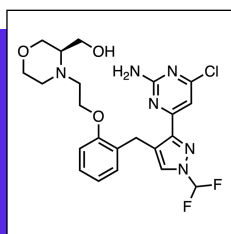
CTPS1/2 inhibitor
in vivo anti-inflammatory activity in mice
in-house HTS of 240K library + opt.
J. Med. Chem., 30 November 2022
SYGNATURE, UK AND STEP PHARMA, FR



compound 14d

β2-AMPK

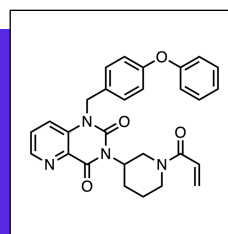
β2-AMPK activator
in vivo efficacy in diabetic mouse model
scaffold hopping from MK-8722 + opt.
Bioorg. Med. Chem. Lett., 17 November 2022
SHIONOGI & CO., OSAKA, JP



TDI-11861

sAC

oral sAC inhibitor
intended for male contraception
opt. from TDI-10229 + SBDD
J. Med. Chem., 08 November 2022
TRI-I TDI/WEILL CORNELL MEDICINE, NY



EG-011

WASp

WASp activator
in vivo antitumor activity
fortuitous scaffold hopping from ibrutinib
bioRxiv, 25 November 2022
INSTITUTE OF ONCOLOGY RESEARCH, CH