

resmetirom

THR- β

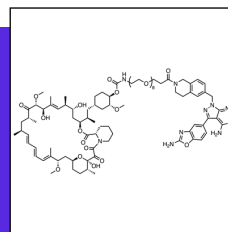
once daily, oral THR β -selective agonist

Ph. III for NASH

opt. of triiodothyronine

Company Announcement, December 19, 2022

MADRIGAL PHARMACEUTICALS, PA



RMC-5552

mTORC1

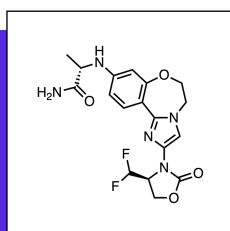
selective, bi-steric mTORC1 inhibitor

Ph. I/II for R/R solid tumors

opt. of rapalog+linker+mTOR inh

J. Med. Chem., December 19, 2022

REVOLUTION MEDICINES, REDWOOD CITY, CA



inavolisib

mutant PI3K α

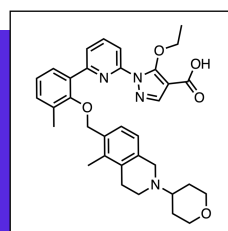
isoform-selective mutant PI3K α degrader

oral <9 mg QD, Ph. III in HR+/HER2- BC

from cellular characterization of PI3Ki and opt.

J. Med. Chem., December 1, 2022

GENENTECH, SO. SF, CA



BI 685509

sGC

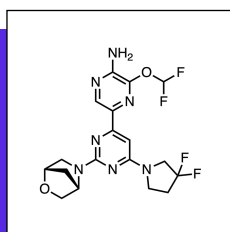
oral sGC activator

Ph. II for CKD & DKD

discovery not disclosed

J. Pharmacol. Exp. Ther., December 6, 2022

BOEHRINGER INGELHEIM PHARMACEUTICALS, CT



DN-1289

DLK/LZK

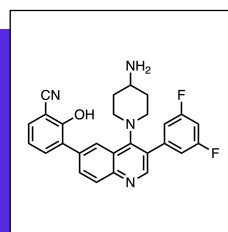
selective, CNS-penetrant dual DLK/LZK inhibitor

neuroprotective effects for in vivo + in vitro models for ALS

LBDD/SBDD + opt. from known molecule

J. Med. Chem., December 5, 2022

DENALI THERAPEUTICS, SO. SF, CA



paltusotine

SST2

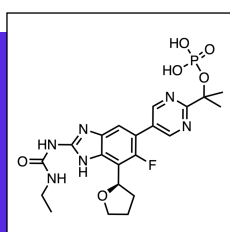
oral, non-peptide SST2 agonist

Ph. III for acromegaly + Ph. II for NETs

SAR on in-house library hit

ACS Med. Chem. Lett., December 10, 2022

CRINETICS PHARMACEUTICALS, CA



SPR720

GyrB

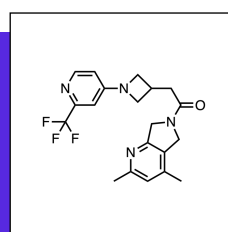
oral GyrB inhibitor

Ph. II for MAC pulmonary disease

HTS using a GyrB ATPase assay

bioRxiv, December 9, 2022

SPERO THERAPEUTICS, CAMBRIDGE, MA



emraclidine

M4

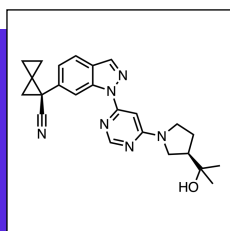
M4 positive allosteric modulator

Ph. II for schizophrenia

discovery not disclosed

Lancet, December 17, 2022

CEREVEL THERAPEUTICS, CAMBRIDGE, MA



compound 25

LRRK2

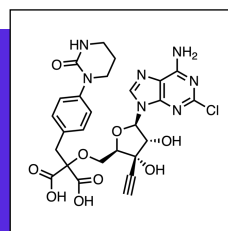
selective, CNS-penetrant LRRK2 kinase inhibitor

preclinical, low projected human dose

opt. of MLI-2 series, from HTS

J. Med. Chem., December 7, 2022

MERCK & CO., BOSTON, MA



compound 49

CD73

orally bioavailable CD73 inhibitor

single agent, dose-dependent antitumor efficacy in mice

opt. of known CD73 inhibitor

J. Med. Chem., December 18, 2022

CALITHERA BIOSCIENCES, CA