

CRN04894

MC2R

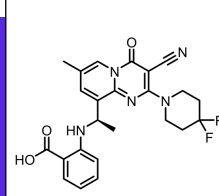
MC2R antagonist

Ph. II for congenital adrenal hyperplasia

structural modifications of previously disc.
MC4R antagonist and opt.

ACS Med. Chem. Lett., March, 2024

CRINETICS PHARMACEUTICALS, SAN DIEGO, CA



compound 17

PI3Kα(H1047R)

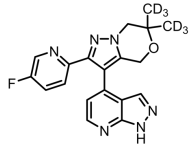
PI3Kα(H1047R) inhibitor

preclinical for oncology

from SBDD and opt. to minimize
liver metabolism

J. Med. Chem., March, 2024

MIRATI THERAPEUTICS, SAN DIEGO, CA



compound 21

CK1δ

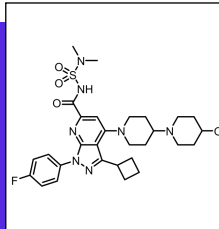
brain-penetrant CK1δ inhibitor

preclinical for sleep disorders

structure-based virtual screening of
in-house compd collection and SBDD

ACS Med. Chem. Lett., March, 2024

JANSSEN, SAN DIEGO, CA



GLPG2737

CFTR

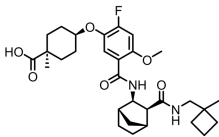
CFTR C2 corrector

Ph. II for cystic fibrosis, ADPKD (disc.)

from 105k compd HTS screen
and ADMET/PK opt.

J. Med. Chem., March, 2024

GALAPAGOS SASU, FR / ABBVIE, IL



AZD5462

RXFP1

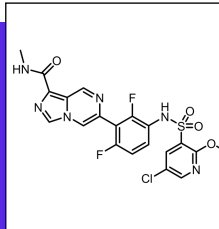
RXFP1 agonist

Ph. II for heart failure

literature starting point, opt. guided by
eD2M and metabolic parameters

J. Med. Chem., March, 2024

ASTRAZENECA, SE / MITSUBISHI TANABE, JP



HC-7366

GCN2

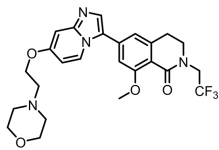
GCN2 kinase activator

Ph. I for oncology

literature-derived hits in the GCN2
assays and ADME opt.

J. Med. Chem., March, 2024

HIBERCELL, NY / PHARMARON, UK



GLPG3970

SIK2/SIK3

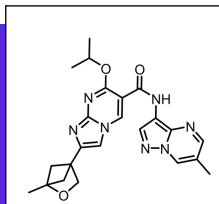
SIK2/SIK3 inhibitor

Ph. II for UC and RA

from 42k compd kinase-focused internal
library screen, SBDD, and SAR opt.

J. Med. Chem., March, 2024

GALAPAGOS SASU, ROMAINVILLE, FR



BIO-7488

IRAK4

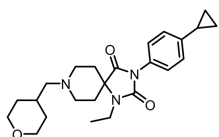
CNS-penetrant IRAK4 inhibitor

preclinical for ischemic stroke

rational design, opt. for CNS penetration,
amide stability and hERG safety

J. Med. Chem., March, 2024

BIOGEN, CAMBRIDGE, MA



compound 11

EBP

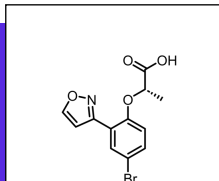
brain-penetrant EBP inhibitor

preclinical for neurology

literature starting point, SBDD and opt.
to increase metabolic turnover

J. Med. Chem., March, 2024

GENENTECH, CA / CONVELO THERAPEUTICS, OH



NMD670

CIC-1

CIC-1 chloride channel inhibitor

Ph. II for spinal muscular atrophy

from SAR opt. of previously reported
phenoxyacetic acid derivatives

Sci. Transl. Med., March, 2024

NMD PHARMA, AARHUS, DK