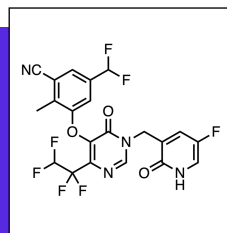


## PF-07258669 MCR4

oral melanocortin-4 receptor antagonist  
Ph. I candidate  
focused screen of 28K library for MC4R activity  
*J. Med. Chem.*, February 19, 2023

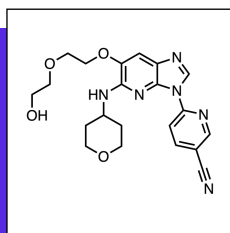
PFIZER INC., GROTON, CT



## PYR01 HIV Gag-Pol

bifunctional, Gag-Pol allosteric glue  
NNRTI + potent ex vivo HIV-1 TACK activity  
HTS of >6K NNRTIs for TACK activity  
*Sci. Transl. Med.*, February 22, 2023

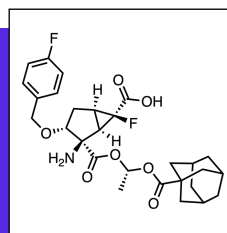
MERCK & CO. INC., RAHWAY, NJ



## GLPG2534 IRAK4

oral IRAK4 inhibitor  
promising activity in mouse model  
for atopic dermatitis  
HTS of kinase-focused 25K compd library  
vs. IRAK4 catalytic domain  
*Sci. Trans. Med.*, February 15, 2023

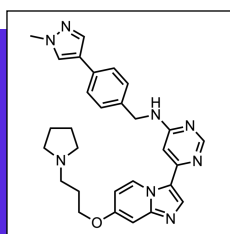
GALAPAGOS, FR + BE



## TP0473292 mGluR2/3

mGluR2/3 antagonist prodrug  
Ph. II for depression  
prodrug of TP0178894  
(hydrophilic glutamate analog)  
*Drug Metab. Dispos.*, February 8, 2023

TAISHO PHARMACEUTICAL CO., TOKYO, JP

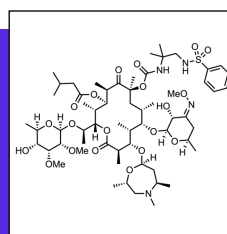


## M4205 KIT

selective KIT inhibitor  
Ph. I. for advanced GIST  
HTS of ELF library (~450K compds) for  
sel. KIT<sup>V654A</sup> inhibition

*J. Med. Chem.*, February 2, 2023

MERCK HEALTHCARE KGAA, DARMSTADT, DE

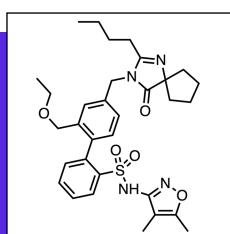


## SEQ-9 Mtb 23S ribosome

oral Mtb 23S bacterial ribosome inhibitor  
potent in vitro + in vivo activity against  
*Mycobacterium tuberculosis*  
opt. of sequanamycin macrolides

*Cell*, February 23, 2023

SANOPI, FR + CH

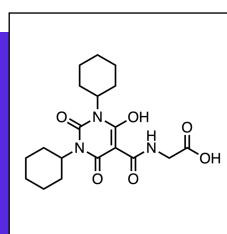


## sparsentan ET/ATR

FIC oral, once-daily, dual ET<sub>A</sub>/AT<sub>1</sub> antagonist  
FDA-approved for proteinuria reduction  
in primary IgAN  
opt. of known ET<sub>A</sub>/AT<sub>1</sub> antagonist

*FDA accelerated approval*, February 17, 2023

TRAVERE THERAPEUTICS, SAN DIEGO, CA

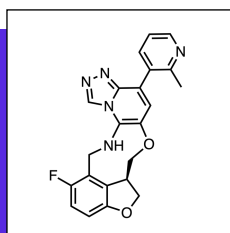


## daprodustat HIF-PHD

oral, once-daily, pan-PHD inhibitor  
FDA-approved for anemia in CKD patients  
designed PHD cofactor mimetic

*FDA approval*, February 1, 2023

GSK, PLC, LONDON, UK

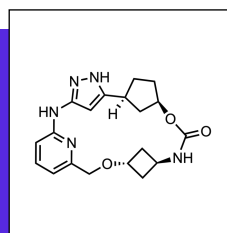


## pociredir EED (PRC2)

oral, allosteric PRC2 inhibitor (EED)  
Ph. Ib for sickle cell disease (on hold)  
VLS, FBLD, de novo design + opt.

*Press release*, February 24, 2023

FULCRUM THERAPEUTICS, CAMBRIDGE, MA



## QR-6401 CDK2

oral, macrocyclic CDK2 inhibitor  
robust activity in ovarian cancer xenograft models  
AI generative modeling + opt.

*ACS. Med. Chem. Lett.*, February 8, 2023

REGOR THERAPEUTICS GROUP, SHANGHAI, CN