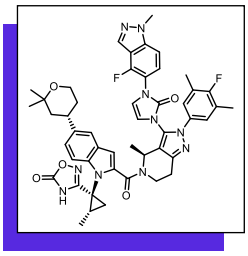
Small Molecules of the Month

JUNE 2023
drughunter.com



orforglipron

GLP-1R

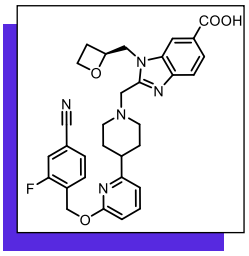
oral non-peptide GLP-1R agonist

Ph. III for obesity + type 2 diabetes

from LLC-PK1 cell HTS + opt

N. Engl. J. Med., June 23, 2023

CHUGAI, SHIZUOKA, JP; ELI LILLY, INDIANAPOLIS, IN



danuglipron

GLP-1R

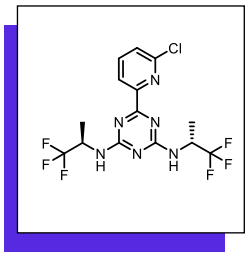
oral GLP-1-RA full agonist

obesity Ph. IIb, 2.5-120 mg QD, T2D Ph. II, 40-200 mg

from sensitized cell HTS of 2.8M cmpds + opt.

Press release, June 26, 2023

PFIZER, CAMBRIDGE, MA



vorasidenib

IDH1/2

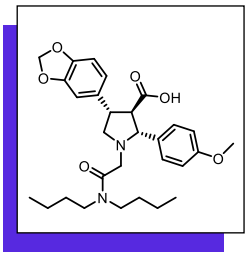
oral first-in-class dual IDH1/IDH2 inhibitor

efficacy in Ph. III for mIDH grade 2 gliomas, 40 mg QD

from SBDD of prior mIDH inhibitor

N. Engl. J. Med., June 4, 2023

AGIOS, CAMBRIDGE; SERVIER, BOSTON, MA



atrasentan

ET_AR

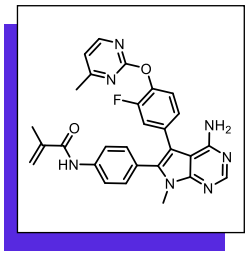
oral ET_A receptor antagonist

Ph. III for IgAN, 0.75 mg QD, Ph. II in Alport Syndrome

ligand-based design from prior ET_AR antagonists

Acquisition announcement, June 12, 2023

ABBOTT LABS / CHINOOK THERAPEUTICS



lirafugratinib (RLY4008)

FGFR2

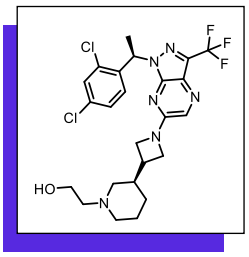
oral covalent FGFR2 inhibitor

Ph. II for cholangiocarcinoma

from rational design with MD simulations

Cancer Discov., June 4, 2023

RELAY THERAPEUTICS INC., CAMBRIDGE, MA



FLX475

CCR4

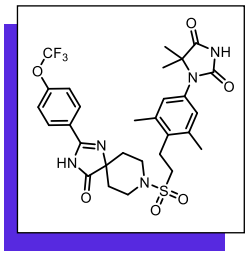
oral CCR4 antagonist

Ph. II for immune oncology, 100 mg QD

from optimization of prior CCR4 ligands

J. Clin. Oncol., June 1, 2023

RAPT THERAPEUTICS, SO. SAN FRANCISCO, CA



PCO371

PTH1R

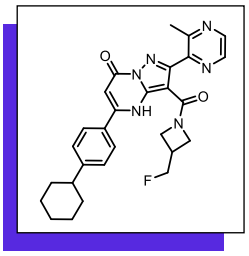
oral PTH1R agonist

preclinical for parathyroid hormone disorders

functional screen vs. cells expressing hPTHR1

Nature, June 7, 2023

CHUGAI, SHIZUOKA, JP



GNE-7883

pan-TEAD

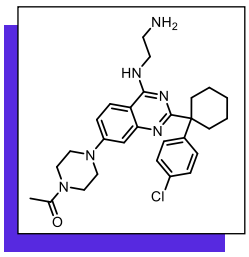
pan-TEAD inhibitor

preclinical efficacy in xenograft models

from TEAD3-YAP HTS of >2M cmpds + opt.

Nature, June 5, 2023

GENENTECH, SOUTH SAN FRANCISCO, CA



compound 13

DCAF1 ligand

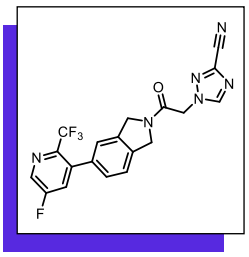
DCAF1 binder

preclinical, applied to targeted protein degradation

from HTS + optimization

ACS Med. Chem. Lett. June 2, 2023

NOVARTIS, BASEL, CH



CT3

topoisomerase II

irreversible trypanosomal topo. II inhibitor

efficacy in Chagas and sleeping sickness models

from phenotypic screen against trypanosomes

Science, June 29, 2023

NOVARTIS (NITD), EMERYVILLE, CA / SINGAPORE