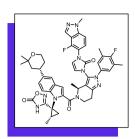
# **Small Molecules of the Month**

# JUNE 2023 drughunter.com

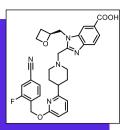


### orforglipron

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



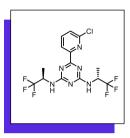
### danuglipron

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



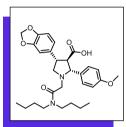
### vorasidenib

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

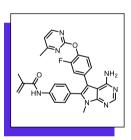
 $\mathbf{ET}_{\mathbf{A}}\mathbf{R}$ 

oral ET<sub>A</sub> receptor antagonist

Ph. III for IgAN, 0.75 mg QD, Ph. II in Alport Syndrome ligand-based design from prior ET<sub>A</sub>R antagonists

Acquisition announcement, June 12, 2023

**ABBOTT LABS / CHINOOK THERAPEUTICS** 



## lirafugratinib

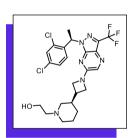
FGFR2

oral covalent FGFR2 inhibitor
Ph. Il for cholangiocarcinoma

from rational design with MD simulations

Cancer Discov., June 4, 2023

RELAY THERAPEUTICS INC., CAMBRIDGE, MA



### **FLX475**

CCR4

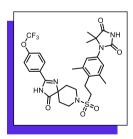
oral CCR4 antagonist

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** 

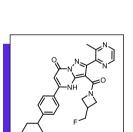
oral PTH1R agonist

preclinical for parathyroid hormone disorders

functional screen vs. cells expressing hPTHR1

*Nature,* June 7, 2023

CHUGAI, SHIZUOKA, JP



#### **GNE-7883**

pan-TEAD

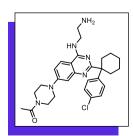
pan-TEAD inhibitor

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

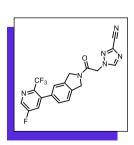
DCAF1 binder

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. Il inhibitor efficacy in Chagas and sleeping sickness models from phenotypic screen against trypanosomes

Science, June 29, 2023

**NOVARTIS (NITD), EMERYVILLE, CA / SINGAPORE** 

