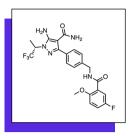
Small Molecules of the Month

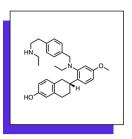
January 2023 drughunter.com



pirtobrutinib

oral, reversible BTK inhibitor approved for R/R MCL, in Ph. II/III for CLL/SLL, NHL activity against Cys^{C481} BTK mutants *FDA approval*, January 27, 2023

REDX, ALDERLY PARK, UK (LOXO/LILLY)



elacestrant

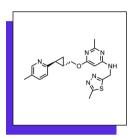
estrogen receptor (ER)

oral, non-steroidal SERD/SERM

approved for ER+, HER2-, mESR1 adv./metastatic BC

degrades ER alpha in dose-dependent manner FDA approval, January 27, 2023

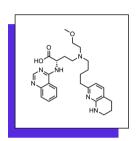
EISAI, TOKYO, JP (STEMLINE/MENARINI)



MK-8189 PDE10A

oral PDE10A inhibitor
Ph. Ilb for schizophrenia
from fragment screen + SBDD

J. Med. Chem., January 10, 2023
MERCK, WEST POINT, PA



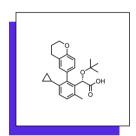
bexotegrast

ανβ6/ανβ1

oral, dual-selective $\alpha v \beta 6-\alpha v \beta 1$ integrin inhibitor in Ph. II for IPF + PSC related to reported integrin inhibitors

Press release, January 22, 2023

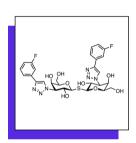
PLIANT THERAPEUTICS, SAN FRANCISCO, CA



BDM2

LEDGF-IN

oral HIV-1 IN-LEDGF/p75 allosteric inhibitor Ph. I for FIH safety from cell screen of INLAI library *BioRxiv*, January 28, 2022 BIODIM, ROMAINVILLE, FR



GB0139

galectin-3

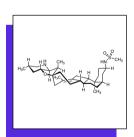
inhaled galectin-3 inhibitor

Ph. II for IPF + Ph. Ib/IIa for COVID-19 pneumonitis

synthetic galactoside derivative

medRxiv, January 10, 2023

GALECTO, INC., COPENHAGEN, DE



saridegib

SMO

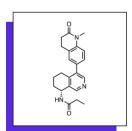
oral SMO inhibitor

Ph. III for Gorlin syndrome

from SAR of in-house library hit

Press release, January 27, 2023

INFINITY PHARM., CAMBRIDGE, MA (SOL-GEL)



baxdrostat

aldosterone synthase

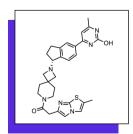
oral aldosterone synthase (CYP11B2) inhibitor

Ph. I/II for HTN, CKD, primary aldosteronism

from in-house screen of aldosterone synthase inhibitors

Press release, January 9, 2023

ROCHE, BASEL, CH (CINCOR/ASTRAZENECA)



PF-6870961 GHSR1a

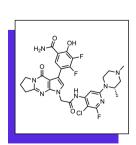
GHSR1a inverse agonist (metabolite of oral molecule)

Ph. la for heavy alcohol drinking

major hydroxy metabolite of PF-5190457

JPET, January 11, 2023

NIDA/NIAAA, NIH (PFIZER)



JNJ-65234637

BCL₆

oral BCL6 BTB inhibitor

promising in vitro safety + in vivo oral PK data

from virtual screen + SBDD

ACS Med. Chem. Lett., January 12, 2023

OICR, TORONTO, CA (JANSSEN)

