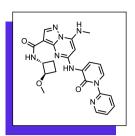
Small Molecules of the Month

March 2023 drughunter.com



TAK-279 (NDI-034858)

TYK2

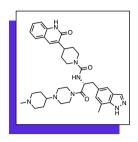
oral, once-daily, allosteric TYK2 inhibitor

Ph. Ilb for moderate-to-severe plaque psoriasis

FEP+-supported core hopping + VLS w/ TYK2-JH2 Xtal structure

Press release, March 18, 2023

NIMBUS THERAPEUTICS, MA / TAKEDA, JP



zavegepant

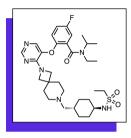
CGRP

CGRP receptor antagonist, first nasal spray
FDA-approved for acute migraines in adults

rational design based on known CGRP antagonists

FDA approval, March 10, 2023

BRISTOL MYERS SQUIBB, NY / PFIZER, INC., NY



revumenib

menin-KMT2A

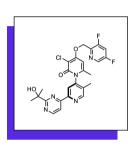
oral menin-KMT2A inhibitor

Ph. I/II for r/r leukemia, CRC & solid tumors

discovery not disclosed

Nature, March 15, 2023

VITAE, MADISON, NJ / SYNDAX, WALTHAM, MA



zunsemetinib

MK2

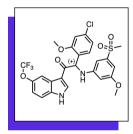
oral p38a-MK2 inhibitor

Ph. Ila for hidradenitis suppurativa (failed)

rational design from ATP-competitive molecule

Press release, March 6, 2023

CONFLUENCE, MO / ACLARIS THERAPEUTICS, PA



JNJ-1802

DEN\

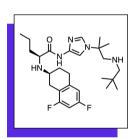
oral first-in-class DENV (NS3-NS4B) inhibitor

Ph. I for dengue

from a DENV-2 phenotypic antiviral screen

Nature, March 15, 2023

JANSSEN, BE + FR



nirogacestat

gamma secretase

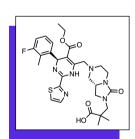
oral gamma secretase inhibitor

Ph. III for DT/AF + Ph. II for OvGCTs

single-digit nanomolar potency for $A\beta$ reduction in vitro

Press release, February 27, 2023

PFIZER / SPRINGWORKS THERAPEUTICS, INC.



linvencorvir

HBV core protein

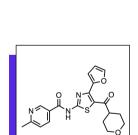
allosteric HBV modulator

Ph. II for chronic hepatitis B (CHB)

opt. of known allosteric heteroaryl pyrimidine core protein modulator

J. Med. Chem., March 10, 2023

ROCHE, SHANGHAI, CN



KW-6356

A_{2A}R

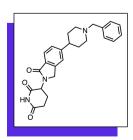
oral A_{2A} receptor antagonist/inverse agonist

Ph. II for Parkinson's Disease

 2^{nd} gen. A_{2A} receptor antagonist

ASPET, March 9, 2023

KYOWA KIRIN CO., LTD., SHIZUOKA, JP



DKY709

IK7F2

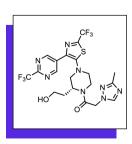
oral IKZF2-selective molecular glue degrader

Ph. I/lb for adv. solid tumors

opt. of pomalidomide analog

Cell Chemical Biology, March 1, 2023

NOVARTIS, CAMBRIDGE, MA



ACT-777991

CXCR3

oral reversible CXCR3 antagonist

Ph. I in healthy subjects

opt. of known CXCR3 antagonists

J. Med. Chem., March 8, 2023

IDORSIA PHARMACEUTICALS LTD, CH

