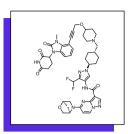
Small Molecules of the Month

drughunter.com



KT-474

IRAK4

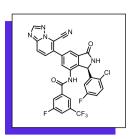
oral IRAK4 dearader

Ph. II in AD and HS

via SBDD of ligands for CRBN and IRAK4, and linker

Nat. Med., November 2023

KYMERA THERAPEUTICS, MA / SANOFI, NJ



RLY-2608

pan-mutant PI3K α

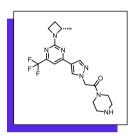
oral allosteric pan-mutant isoform-selective PI3K $\!\alpha$ inhibitor

Ph. I for PIK3CA mutant cancers

from DEL screen for mutant-specific allosteric inhibitors

Cancer Discov., November 2023

D.E. SHAW RESEARCH / RELAY THERAPEUTICS



LY3522348

hKHK-C/A

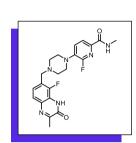
oral selective dual hKHK-C/A inhibitor

Ph. I in healthy volunteers

from fragment-based screen and SBDD

J. Med. Chem., November 2023

ELI LILLY, INDIANAPOLIS, IN



AZD9574

PARP1

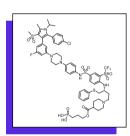
oral CNS-penetrant selective PARP1 inhibitor and trapper

Ph. I/II for advanced cancers

from binding profiling of known molecules, SBDD + PBDD

Nat. Med., November 2023

ASTRAZENECA, CAMBRIDGE, UK / BOSTON, MA



pelcitoclax

BCL-2/xL

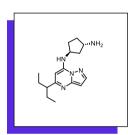
IV dual BCL-2/xL inhibitor prodrug

Ph. I/II for NHL

discovery undisclosed

Clin. Cancer. Res., November 2023

ASCENTAGE PHARMA, CN / MD



KB-0742

CDK9

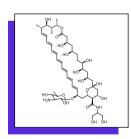
oral selective CDK9 inhibitor

Ph I/II for R/R solid tumors and NHL

from small-molecule microarray (SMM) screen and SBDD

J. Med. Chem., November 2023

KRONOS BIO, CAMBRIDGE, MA / SAN MATEO, CA



SF001 (AM-2-19)

ergosterol

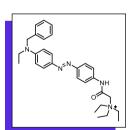
IV ergosterol extracting polyene antifungal

Ph. I single-ascending dose portion completed

from optimization of amphotericin B

Nature, November 2023

UNIVERSITY OF ILLINOIS, IL / SFUNGA, MA



KIO-301

HCN

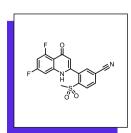
IVT photoswitchable HCN channel blocker

Ph. I/II for retinitis pigmentosa and choroideremia

based on photoswitchable affinity label

Press Release, November 2023

UC BERKELEY, CA / KIORA THERAPEUTICS, CA



FX-909

PPAR

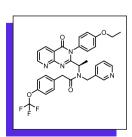
oral selective covalent PPARG inhibitor

Ph. I for solid tumors and urothelial carcinoma

from optimization of covalent inverse agonist T907

Cancer Res., November 2023

FLARE THERAPEUTICS, CAMBRIDGE, MA



AMG487

CXCR3

oral CXCR3 antagonist

Ph. II for psoriasis and arthritis – terminated

from HTS and optimization

Cell Discov., November 2023

CHEMOCENTRYX, CA / AMGEN, CA

