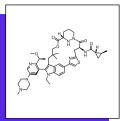
Small Molecules of the Month

OCTOBER 2023 drughunter.com

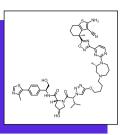


RAS Inhibitor A122 RAS(MULTI)

oral tri-complex RAS(MULTI)(ON) inhibitor undisclosed relative RMC-6236 in Ph. I/Ib for solid tumors

from structure-based design of previous KRAS tricomplex inhibitors

Press Release, October 2023 REVOLUTION MEDICINES, REDWOOD CITY, CA



ACBI3 pan-KRAS

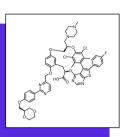
subcutaneous pan-KRAS degrader

preclinical proof-of-concept

structure-based design from KRASi w/ VHL ligase binder

bioRxiv, October 2023

BOEHRINGER INGELHEIM, AT / CETPD, UK

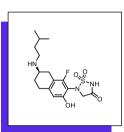


ABBV-467 MCL-1

IV selective MCL-1 inhibitor Ph. I for relapsed/refractory multiple myeloma terminated

from NMR-based fragment screen and structure-based design *Commun. Med.* October 2023

ABBVIE, NORTH CHICAGO, IL

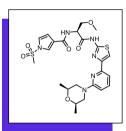


ABBV-CLS-484 PTPN2/N1

oral first-in-class PTPN2/N1 active site inhibitor

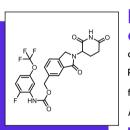
Ph. I for locally advanced or metastatic tumors

structure-based design from thiadiazolidinone dioxide *Nature*, October 2023 ABBVIE, NORTH CHICAGO, IL



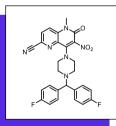
FHD-286 BRG1/BRM

oral selective allosteric BRG1/BRM ATPase inhibitor Ph. I for metastatic uveal melanoma from screen for BRG1/BRM inhibitors *Press Release*, October 2023 FOGHORN THERAPEUTICS, CAMBRIDGE, MA



MRT-2359 gspt1

oral GSPT1-directed molecular glue degrader Ph. I/II for selected cancers from HTS of glue degrader library and AI-driven opt. *Press Release*, October 2023 MONTE ROSA THERAPEUTICS, MA / BASEL, CH



BMS-502

PF-07202954

oral extended-half-life DGAT2 inhibitor

from half-life extension of ervogastat

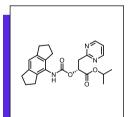
ACS Med. Chem. Lett., October 2023

PFIZER, CAMBRIDGE, MA / GROTON, CT

Ph. I discontinued due to ervogastat success

DGAT2

DGKα/ζ DGKα/ζ inhibitor preclinical for PD-1 resistant tumors from HTS and optimization *Sci. Transl. Med.*, October 2023 BRISTOL MYERS SQUIBB, CAMBRIDGE, MA



NT-0796

oral brain-penetrant NLRP3 inhibitor prodrug Ph. Ib/IIa for cardiovascular risk and neuroinflammation from SAR and prodrug development of known NLRP3 inhibitor CP-456,773

J. Med. Chem., October 2023 NODTHERA, ESSEX, UK

MTK458

PINK1 oral brain penetrant PINK1 activator preclinical for Parkinson's Disease from screen of kinetin analogs *Press Release*, October 2023 MITOKININ, CA / ABBVIE, IL



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