

MK-0616

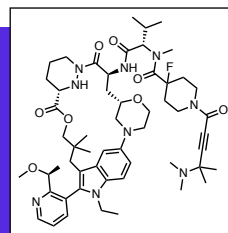
PCSK9

oral macrocyclic peptide inhibitor of PCSK9
Ph. III for hypercholesterolemia planned 2H 2023

from mRNA display + SBDD

J. Am. Coll. Cardiol., April 25, 2023

MERCK, RAHWAY, NJ



RMC-6291

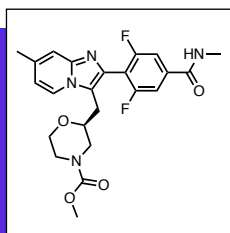
KRAS^{G12C}

oral KRAS^{G12C}(ON) tri-complex inhibitor
Ph. I/II for adv. solid tumors

from FBDD + SBDD

AACR, April 2023

REVOLUTION MEDICINES, REDWOOD CITY, CA



camlipixant

P2X3

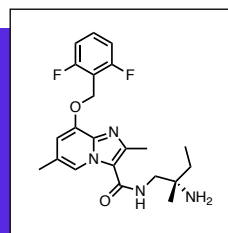
oral BID P2X3 receptor antagonist

Ph. III for first-line treatment of refractory chronic cough

non-competitive homotrimeric receptor antagonist; discovery not disclosed

GSK acquisition announcement, April 18, 2023

ASTRAZENECA/NEOMED/BELLUS HEALTH/GSK



BAY-747

sGC

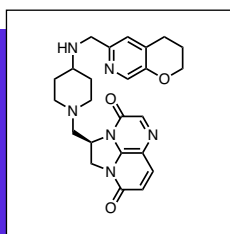
oral QD sGC stimulator

Ph. I in healthy volunteers completed

from HTS + optimization

J. Med. Chem., April 11, 2023

BAYER, WUPPERTAL, DE



gepotidacin

bacterial topoisomerase

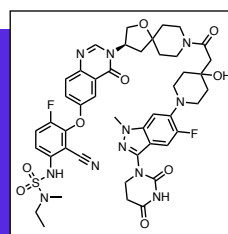
oral BID antibacterial Type II topoisomerase inhibitor

Ph. III for uncomplicated UTIs

from unbiased antibacterial screen + opt.

ECCMID, April 2023

GLAXO (GSK), STEVENAGE, UK



CFT1946

BRAV600X

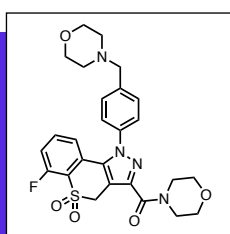
oral mutant-selective BRAFV600X degrader

Ph. I for BRAF-driven cancers

from CRBN-based E3 recruiter + vemurafenib

AACR, April 2023

C4 THERAPEUTICS, WATERTOWN, MA



IOA-244 (roginolisib)

PI3Kd

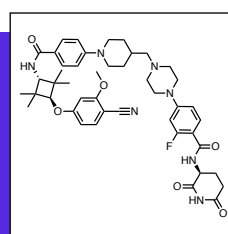
oral QD non-competitive PI3Kd inhibitor

Ph. I in metastatic cancers

from focused kinase inhibitor screen (60K cmpds) + opt.

Cancer Res. Commun., April 14, 2023

MERCK SERONO / IONCTURA, CH



ARV-766

AR

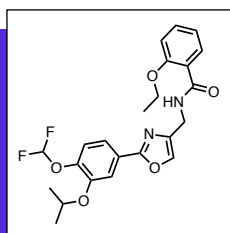
oral AR-degrading PROTAC

Ph. II for adv. prostate cancer

from CRBN-based E3 recruiter + AR ligand

AACR, April 2023

ARVINAS, NEW HAVEN, CT



difamilast

PDE4B

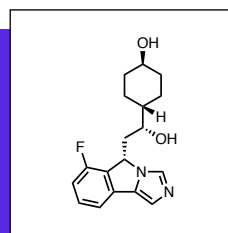
topical PDE4 inhibitor

marketed in Japan

PDE4B subtype-selective inhibitor; discovery not disclosed

JPET, April 11, 2023

OTSUKA, TOKYO, JP



navoximod

IDO

oral IDO1 inhibitor

Ph. I completed (50-800 mg) for cancer

from phenylimidazole + SBDD

JPET, April 14, 2023

NEWLINK GENETICS / GENENTECH