

AG-946

WT + mutant PKR

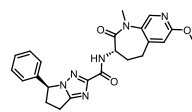
oral WT and mutant allosteric PKR activator

Ph. II for myelodysplastic syndromes

from SBDD and optimization

ChemMedChem, December 2023

AGIOS, CAMBRIDGE, MA



GNE684

RIP1

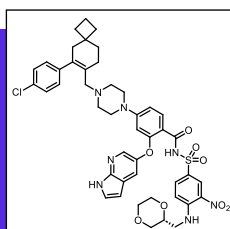
RIP1 inhibitor

preclinical, oral RIP1i GDC-8264 in Ph. Ib for aGVHD

from optimization of previous RIP1 inhibitors

Sci. Transl. Med., December 2023

GENENTECH, SO. SAN FRANCISCO, CA



lisaftoclax

BCL-2

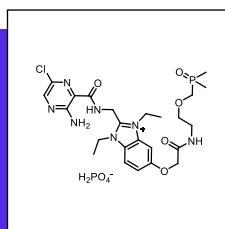
oral selective BCL-2 inhibitor

Ph. III for CLL/SLL

from optimization of previous BCL-2 inhibitor

Cell Mol. Immunol., December 2023

ASCENTAGE SUZHOU, CN / ROCKVILLE, MD



BI 1265162

ENaC

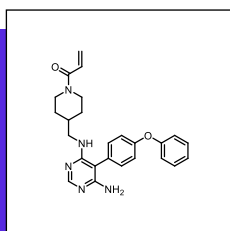
inhaled selective ENaC inhibitor

Ph. II for cystic fibrosis (terminated)

from optimization of ENaC inhibitor for Respimat® Soft MIST™ Inhaler

Eur. J. Med. Chem., December 2023

BOEHRINGER INGELHEIM, BIBERACH, DE



evobrutinib

BTK

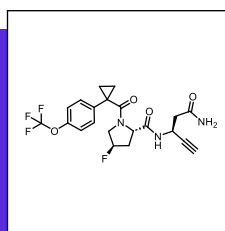
oral selective irreversible BTK inhibitor

Ph. III for MS (terminated)

from SBDD and opt. of BTK ligand B43

Press Release, December 2023

EMD SERONO / MERCK KGAA, DARMSTADT, DE



compound 18

AEP

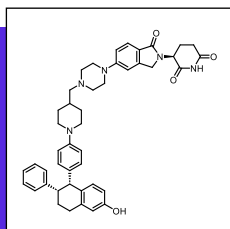
oral brain-penetrant selective irreversible AEP inhibitor

preclinical

from SBDD and opt. of peptide inhibitor

J. Med. Chem., December 2023

ROCHE, BASEL, CH



vepdegestrant

ER

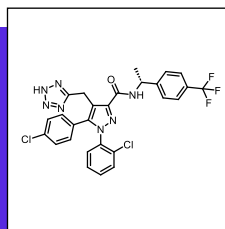
oral CRBN-based heterobifunctional ER degrader

Ph. III for advanced breast cancer

from screen of E3 recruiting ligands linked to ER binders

Press Release, December 2023

ARVINAS, NEW HAVEN, CT / PFIZER



TM38837

CB1

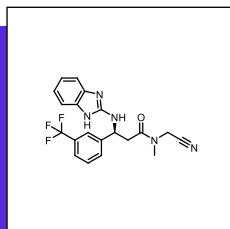
oral peripherally restricted CB1 antagonist

Ph. I (completed)

from lipophilicity reduction of CNS-penetrant rimonabant

Bioorg. Med. Chem. Lett., December 2023

7TM PHARMA, HOERSHOLM, DK



AP30663

KCa2

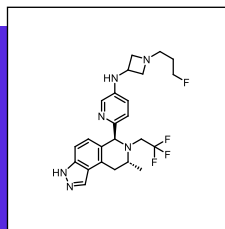
negative allosteric KCa2 channel modulator

Ph II (completed) for atrial fibrillation

identification undisclosed

Nat. Med., December 2023

ACESION, COPENHAGEN, DN



camizestrant

ER

oral selective estrogen receptor degrader (SERD)

Ph. III for breast cancer

from SBDD and optimization

Cancer Res., December 2023

ASTRAZENECA, CAMBRIDGE, UK / WALTHAM, MA