For Research Use Only

Maritoclax

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Catalog Number: CM03117

产品信息

Catalog Number:
CM03117

CAS号:
1227962-62-0

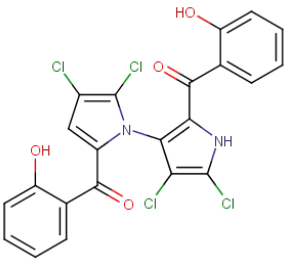
分子式:
$C_{22}H_{12}Cl_4N_2O_4$

主要靶点:
BCL

主要通路:
凋亡

分子量:
510.15

溶解度:
DMSO:43 mg/mL (84.29 mM)



靶点活性

MCL-1:10.1 μM

体外活性

Maritoclax (Marinopyrrole A) (3 μM) induced-cell death is associated with MCL1 decrease and translation inhibition.?Maritoclax (Marinopyrrole A) induces a dephosphorylation of EIF4EBP1 concomitant to a decrease of EIF4E phosphorylation[3].?Maritoclax (Marinopyrrole A) is much more effective against Bcl-2-dependent RS4;11 cells (IC50: 2 μM) when compared to Mcl-1-dependent HeLa cells (IC50: 20 μM)[4].Maritoclax (Marinopyrrole A) blocks the binding of Bim BH3 α-helix to Mcl-1 but not Bcl-XL.?Maritoclax (Marinopyrrole A) markedly inhibits the viability of Mcl-1-IRES-BimEL cells (EC50=1.6 μM) with a selectivity greater than 40-fold over Bcl-2-IRES-BimEL (EC50=65.1 μM) and Bcl-XL-IRES-BimEL (EC50=70.0 μM) cells.?Maritoclax (Marinopyrrole A) induces cell death selectively in Mcl-1-dependent but not Bcl-2- or Bcl-XL-dependent leukemia cells.?Maritoclax (Marinopyrrole A) induces proteasome-mediated Mcl-1 degradation without induction of Mcl-1 phosphorylation and Noxa expression.?Maritoclax (Marinopyrrole A) inhibits Mcl-1 interaction with Bim in intact cells and triggers cytochrome c release from isolated mitochondria.?Maritoclax (Marinopyrrole A) synergistically sensitizes lymphoma/leukemia cells to ABT-737[1].?Maritoclax (Marinopyrrole A) shows activity against all tested S. aureus strains, including glycopeptide-intermediate and vancomycin-resistant MRSA, and has potent activities against other Gram-positive organisms.?In addition, Maritoclax (Marinopyrrole A) is active against H. influenzae but is inactive against other tested Gram-negative strains.?Maritoclax (Marinopyrrole A) displays substantial concentration-dependent killing against MRSA strain TCH1516 and is far more rapid in its antibiotic action than either vancomycin or linezolid.?Maritoclax exhibits a favorable therapeutic index, with 50% inhibitory concentrations (IC50) in excess of 20× above the MIC in each case: 32 to 64 μg/mL against HeLa cells and 8 to 32 μg/mL against L929 cells[2].

描述

Maritoclax (Marinopyrrole A) is a novel and specific Mcl-1 inhibitor, shows >8 fold selectivity than BCL-xl (IC50 > 80 μM),with an IC50 value of 10.1 μM.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years

For technical support and original validation data for this product please contact
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