

Maritoclax

Catalog No: tcsc1973



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1227962-62-0

Formula:

$C_{22}H_{12}Cl_4N_2O_4$

Pathway:

Apoptosis

Target:

Bcl-2 Family

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 43 mg/mL (84.29 mM)

Alternative Names:

Marinopyrrole A

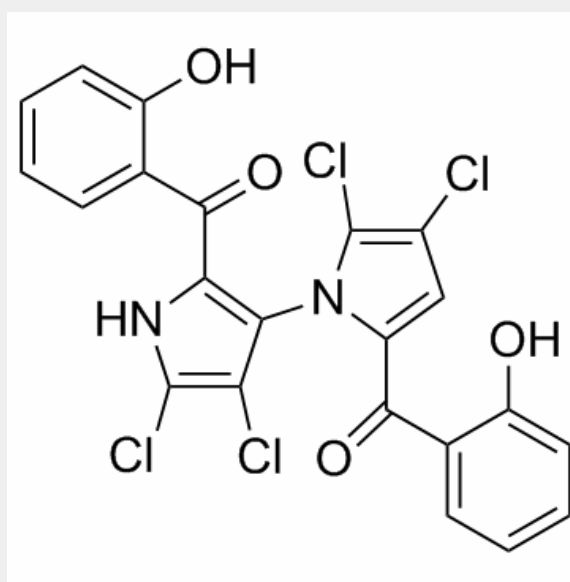
Observed Molecular Weight:

510.15

Product Description

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

In Vitro: 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 $\times$ above the MIC in each case: 32 to 64 $\mu\text{g/mL}$ against HeLa cells and 8 to 32 $\mu\text{g/mL}$ against L929 cells^[2]. 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].



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