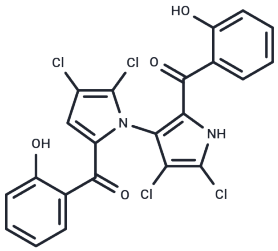
Data Sheet (Cat.No.T11944)

TargetMol

Maritoclax

Chemical Properties



CAS No. : 1227962-62-0

Formula: C22H12Cl4N2O4

Molecular Weight: 510.15

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Maritoclax (Marinopyrrole A) (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.
Targets(IC50)	Bcl-2 Family
In vitro	Maritoclax (Marinopyrrole A) (3 μM) induces cell death associated with MCL1 decrease and translation inhibition. It dephosphorylates EIF4EBP1 while reducing EIF4E phosphorylation[3]. Maritoclax is significantly more effective against Bcl-2-dependent RS4;11 cells (IC50: 2 μM) compared to Mcl-1-dependent HeLa cells (IC50: 20 μM)[4]. It blocks Bim BH3 α-helix binding to Mcl-1 but not Bcl-XL, and markedly inhibits the viability of Mcl-1-IRES-BimEL cells (EC50=1.6 μM) with over 40-fold selectivity compared to Bcl-2-IRES-BimEL (EC50=65.1 μM) and Bcl-XL-IRES-BimEL (EC50=70.0 μM) cells. Maritoclax selectively induces cell death in Mcl-1-dependent leukemia cells and causes proteasome-mediated Mcl-1 degradation without inducing Mcl-1 phosphorylation or Noxa expression. It inhibits Mcl-1 interaction with Bim in intact cells, triggers cytochrome c release from isolated mitochondria, and synergistically sensitizes lymphoma/leukemia cells to ABT-737[1]. Maritoclax is active against all tested S. aureus strains, including glycopeptide-intermediate and vancomycin-resistant MRSA, and has potent activity against other Gram-positive organisms, and H. influenzae but is inactive against tested Gram-negative strains. It shows substantial concentration-dependent killing against MRSA strain TCH1516 and acts more rapidly than vancomycin or linezolid. Maritoclax exhibits a favorable therapeutic index with IC50 values exceeding 20× above the MIC: 32–64 μg/mL against HeLa cells and 8–32 μg/mL against L929 cells[2].

Solubility Information

Solubility	DMSO: 43 mg/mL (84.29 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.92 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9602 mL	9.801 mL	19.6021 mL
5 mM	0.392 mL	1.9602 mL	3.9204 mL
10 mM	0.196 mL	0.9801 mL	1.9602 mL
50 mM	0.0392 mL	0.196 mL	0.392 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Doi K, et al. Discovery of marinopyrrole A (maritoclax) as a selective Mcl-1 antagonist that overcomes ABT-737 resistance by binding to and targeting Mcl-1 for proteasomal degradation. J Biol Chem. 2012 Mar 23;287(13): 10224-35.
Haste NM, et al. Pharmacological properties of the marine natural product marinopyrrole A against methicillin-resistant Staphylococcus aureus. Antimicrob Agents Chemother. 2011 Jul;55(7):3305-12.
Gomez-Bougie P, et al. The selectivity of Marinopyrrole A to induce apoptosis in MCL1high BCL2low expressing myeloma cells is related to its ability to impair protein translation. Br J Haematol. 2016 Aug 14.
Eichhorn JM, et al. Purported Mcl-1 inhibitor marinopyrrole A fails to show selective cytotoxicity for Mcl-1-dependent cell lines. Cell Death Dis. 2013 Oct 24;4:e880