Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

Maritoclax (Marinopyrrole A) is a novel and specific **Mcl-1** inhibitor with an **IC_{50}** value of 10.1 μM, and shows >8 fold selectivity than BCL-xl (IC_{50} > 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 (EC_{50}=1.6 μM) with a selectivity greater than 40-fold over Bcl-2-IRES-BimEL (EC_{50}=65.1 μM) and Bcl-XL-IRES-BimEL (EC_{50}=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 (IC_{50}) 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]. 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 (IC_{50}: 2 μM) when compared to Mcl-1-dependent HeLa cells (IC_{50}: 20 μM)[4].