

# Maritoclax

**Catalog No: tcsc1973** 

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

**Specifications** 

#### CAS No:

1227962-62-0

#### Formula:

 $\mathsf{C}_{22}\mathsf{H}_{12}\mathsf{CI}_4\mathsf{N}_2\mathsf{O}_4$ 

### 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 **McI-1** inhibitor with an **IC**<sub>50</sub> value of 10.1  $\mu$ M, and shows >8 fold selectivity than BCL-xl (IC<sub>50</sub> > 80  $\mu$ M).

In Vitro: Maritoclax (Marinopyrrole A) blocks the binding of Bim BH3  $\alpha$ -helix to Mcl-1 but not Bcl-XL. Maritoclax (Marinopyrrole A) markedly inhibits the viability of McI-1-IRES-BimEL cells (EC<sub>50</sub>=1.6  $\mu$ M) with a selectivity greater than 40-fold over BcI-2-IRES-BimEL  $(EC_{50}=65.1 \mu M)$  and BcI-XL-IRES-BimEL  $(EC_{50}=70.0 \mu M)$  cells. Maritoclax (Marinopyrrole A) induces cell death selectively in McI-1dependent 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<sup>[1]</sup>. 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<sub>50</sub>) in excess of 20× above the MIC in each case: 32 to 64  $\mu$ g/mL against HeLa cells and 8 to 32  $\mu$ g/mL against L929 cells<sup>[2]</sup>. 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 <sup>[3]</sup>. Maritoclax (Marinopyrrole A) is much more effective against Bcl-2-dependent RS4;11 cells (IC<sub>50</sub>: 2  $\mu$ M) when compared to Mcl-1dependent HeLa cells  $(IC_{50}: 20 \ \mu M)^{[4]}$ .





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