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

Obatoclax

Catalog No: tcsc0133

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
803712-79-0

Formula:
$C_{21}H_{23}N_3O_4S$

Pathway:
Autophagy;Apoptosis

Target:
Autophagy;Bcl-2 Family

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 48.8 mg/mL (118.02 mM)

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Alternative Names:
Obatoclax Mesylate;GX15-070

Observed Molecular Weight:
413.49

Product Description

Obatoclax is a **BCL-2** family antagonist, which binds this cytosolic form of **BCL-2** with a $\mathbf{K_i}$ of ≈220 nM. Obatoclax inhibits this interaction for all BCL-XL, MCL-1, BCL-w, A1, and BCL-b (K_i≈1-7 μM).

IC50 & Target: Ki: ~220 nM (Bcl-2)[5]

In Vitro: Obatoclax (0, 0.5, 1, 2.5, 5, and 10 μM) effectively abrogates the growth of OCI-AmL3 cells, and similar results are seen in HL60, KG1, and U937 cells. Obatoclax also displays low-dose antiproliferative properties that are accompanied by a S/G2 cell cycle block. Obatoclax (10 μM) induces apoptosis proceeds through the intrinsic apoptotic pathway after neutralization of Mcl-1. Obatoclax synergizes with AraC and ABT-737 in inducing apoptosis in AmL cell lines. Obatoclax (250 nM) induces apoptosis and selectively inhibits colony formation of primary AmL cells[1]. Obatoclax induces cell death, with IC_{50} of 3.18 μM, 0.85 μM, and 0.76 μM for K1, BCPAP, and KTC-1 cells, respectively. Obatoclax also enhances cytotoxicity of Vemurafenib through inducing mixed cell death forms, loss of MOMP, suppression of mitochondrial respiration, and cellular glycolysis. Obatoclax regulates both the induction and degradation phases of authophagy, and promotes Mcl-1/Beclin-1 dependent autophagy in K1 cells[2]. Obatoclax inhibits cell proliferation and induces G1 cell-cycle arrest in a panel of human colorectal cancer cell lines, and the IC_{50} of cell proliferation at 72 h are 25.85, 40.69, and 40.01 nM for HCT116, HT-29, and LoVo cells, respectively. Obatoclax (0, 25, 50, 100, 200 nM) downregulates cyclin D1 to induce G1-phase arrest and consequent antiproliferation. Obatoclax (200 nM) targets cyclin D1 for proteasome-mediated degradation[3]. Obatoclax (500 nM, 1 μM) induces necrotic cell death and induces a block in autophagy, unrelated to cell death at 500 nM. Obatoclax localizes to lysosomes, affects lysosome structure and properties, but does not cause massive lysosomal permeabilization[4].

In Vivo: The LY3009120 monotherapy or Obatoclax+Vemurafenib (20 mg/kg/day for combination) retards tumor growth more thoroughly in subcutaneous xenograft model of thyroid cancer[2]. Obatoclax (5 mg/kg, i.p.) effectively reduces tumor growth in mice [4].