Obatoclax Mesylate

Cat. No.: HY-10969

CAS No.: 803712-79-0

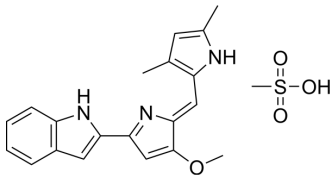
Molecular Formula: $C_{21}H_{23}N_3O_4S$

Molecular Weight: 413.49

Target: Bcl-2 Family; Autophagy; Parasite

Pathway: Apoptosis; Autophagy; Anti-infection

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (30.23 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4184 mL	12.0922 mL	24.1844 mL
	5 mM	0.4837 mL	2.4184 mL	4.8369 mL
	10 mM	0.2418 mL	1.2092 mL	2.4184 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (2.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (2.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Obatoclax Mesylate (GX15-070 Mesylate), a BH3 mimetic, is a pan-BCL-2 family proteins inhibitor with a K_i of 220 nM for BCL-2[1][2]. Obatoclax Mesylate induces autophagy-dependent cell death and targets cyclin D1 for proteasomal degradation. Obatoclax Mesylate has anti-cancer and broad-spectrum antiparasitic activity[3][4].

IC_{50} & Target

Bcl-2	Mcl-1	Bcl-xL	Bcl-W
220 nM (Ki)	1-7 μM (Ki)	1-7 μM (Ki)	1-7 μM (Ki)

Bcl-B
1-7 μM (Ki)

In Vitro

Obatoclax Mesylate (GX15-070 Mesylate) inhibits BCL-2, BCL-XL, MCL-1, BCL-w, A1, and BCL-b with Ki values≈1-7 μM[2].

?Obatoclax Mesylate (50-200 nM; 24-72 hours) induces a dose- and time-dependent reduction of cell numbers in all human colorectal cancer cell lines. In particular, 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[1].
?Obatoclax Mesylate (400 nM; for 24 hours) induces autophagy in OSCC cells[3].
?Obatoclax Mesylate (50-200 nM; for 24 hours) provokes a dose-dependent increase in the G1-phase cell populations[1].
?Obatoclax Mesylate (25-200 nM; for 24 hours) indicates a marked drop in cyclin D1 levels as low as 50 nM[1].
?Obatoclax Mesylate induces T286 phosphorylation-dependent or -independent cyclin D1 degradation.?in HCT116 and LoVo cells, the steady-state levels of p-Cyclin D (T286) began to decline once exposed to obatoclax Mesylate (200 nM; 1, 3, 6, 12, 24 hours). Obatoclax Mesylate inhibits GSK3β but activates p38MAPK, while barely affecting ERK1/2 activity in HT-29 cells[1].
?Obatoclax Mesylate (50-450 nM) potently inhibits the clonogenic potential of oral cancer cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	human colorectal cancer HCT116, HT-29 and LoVo cells
Concentration:	50, 100, 200 nM
Incubation Time:	24, 48, and 72 hours
Result:	Induced a dose- and time-dependent reduction of cell numbers.

Cell Autophagy Assay[3]

Cell Line:	AW8507 and SCC029B cells
Concentration:	400 nM
Incubation Time:	24 hours
Result:	Induced autophagy in OSCC cells.

Cell Cycle Analysis[1]

Cell Line:	HCT116 and HT-29 cells
Concentration:	50, 100, 200 nM
Incubation Time:	24 hours
Result:	Provoked a dose-dependent increase in the G1-phase cell populations.

Western Blot Analysis[1]

Cell Line:	HCT116, HT-29 and LoVo cells
Concentration:	25, 50, 100, 200 nM
Incubation Time:	24 hours
Result:	Indicated a marked drop in cyclin D1 levels as low as 50 nM.

In Vivo

Obatoclax Mesylate (GX15-070 Mesylate; 1.15-5 mg/kg; intravenously injected; five consecutive days) exhibits potent antitumor activity in xenograft mouse models in a dose-dependent manner[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 weeks old female BALB/C nude mice bearing subcutaneous tumors[4]

Dosage:	1.15, 2.5, 5 mg/kg
Administration:	Intravenously injected (through lateral tail vein); five consecutive days (i.e. 5 injections)
Result:	Exhibited potent antitumor activity in xenograft mouse models in a dose-dependent manner.

CUSTOMER VALIDATION

- Cancer Lett. 2022 Nov 30;216028.
- Acta Pharmacol Sin. 2021 Aug;42(8):1298-1310.
- Biomed Pharmacother. 2020 Sep;129:110371.
- iScience. 13 August 2022, 104925.
- Am J Cancer Res. 2019 Mar 1;9(3):546-561.

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REFERENCES

[1]. Or CR, et al. Obatoclax, a Pan-BCL-2 Inhibitor, Targets Cyclin D1 for Degradation to Induce Antiproliferation in Human Colorectal Carcinoma Cells. Int J Mol Sci. 2016 Dec 27;18(1).

[2]. Nguyen M, et al. Small molecule obatoclax (GX15-070) antagonizes MCL-1 and overcomes MCL-1-mediated resistance to apoptosis. Proc Natl Acad Sci U S A. 2007 Dec 4;104(49):19512-7. Epub 2007 Nov 26.

[3]. Sulkshane P, et al. BH3 mimetic Obatoclax (GX15-070) mediates mitochondrial stress predominantly via MCL-1 inhibition and induces autophagy-dependent necroptosis in human oral cancer cells. Oncotarget. 2016 Aug 5;8(36):60060-60079.

[4]. Ehrenkaufer G, et al. Identification of anisomycin, prodigiosin and obatoclax as compounds with broad-spectrum anti-parasitic activity. PLoS Negl Trop Dis. 2020 Mar 20;14(3):e0008150.

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