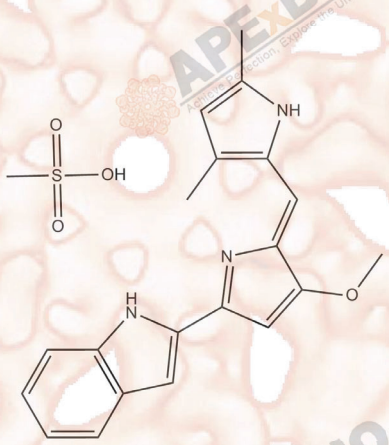
Product Data Sheet

Obatoclax mesylate (GX15-070)

Cat. No.: A4194
CAS No.: 803712-79-0
Formula: C20H19N3O·CH4O3S
M.Wt: 413.5
Synonyms:
Target: Apoptosis
Pathway: Bcl-2 Family
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾20.7 mg/mL in DMSO, ⩾3.15 mg/mL in EtOH with ultrasonic and warming,insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.4184 mL	12.0919 mL	24.1838 mL
5 mM	0.4837 mL	2.4184 mL	4.8368 mL
10 mM	0.2418 mL	1.2092 mL	2.4184 mL

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

Biological Activity

Shortsummary	Potent Bcl-2 inhibitor
IC_{50} & Target	0.22 μM (Ki) (Bcl-2)

In Vitro

Cell Viability Assay

Cell Line:	UMSCC-22A cells stably expressing GFP-LC3
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	200 nM, 48 hours
Applications:	After the treatment, cells were fixed in 4% paraformaldehyde and then stained

		with Hoechst 33258. A confocal microscope was used to visualize GFP-LC3 punctate dots. Treatment of these cells for 24 or 48 h with obatoclax (100 or 200 nM) resulted in relocalization of the GFP-LC3 protein to punctate cytoplasmic dots, an indicator of autophagosome formation. Treatment with obatoclax resulted in an approximately 10-fold increase in the average number of puncta per cell at 48 h as well as 24 h.
In Vivo	**Animal experiment**	
	Animal models:	Beige-nude-XID mice injected with SUDHL4 cells
	Dosage form:	Intraperitoneal injection, 3.0 mg/kg
	Applications:	Obatoclax (3.0 mg/kg) had little effect on tumor growth while carfilzomib (2.0 mg/kg) by itself significantly reduced tumor size. Combined treatment resulted in minimal tumor growth, an effect significantly greater than that observed with either agent alone. IVIS imaging of luciferase-expressing tumor cells confirmed the marked reduction in tumor growth with combined therapy. Kaplan-Meier analysis also demonstrated that that carfilzomib significantly increased the survival of obatoclax-treated mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Xiang XY, Kang JS, et al. "SIRT3 participates in glucose metabolism interruption andapoptosis induced by BH3 mimetic S1 in ovarian cancer cells." Int J Oncol. 2016Aug;49(2):773-84.PMID:27277143

See more customer validations on www.apexbt.com.

References

[1] Yazbeck VY, Li C, Grandis JR, Zang Y, Johnson DE. Single-agent obatoclax (GX15-070) potently induces apoptosis and pro-survival autophagy in head and neck squamous cell carcinoma cells. Oral Oncol. 2014 Feb;50(2):120-7.

[2] Dasmahapatra G, Lembersky D, Son MP, Patel H, Peterson D, Attkisson E, Fisher RI, Friedberg JW, Dent P, Grant S. Obatoclax interacts synergistically with the irreversible proteasome inhibitor carfilzomib in GC- and ABC-DLBCL cells in vitro and in vivo. Mol Cancer Ther. 2012 May;11(5):1122-32.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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