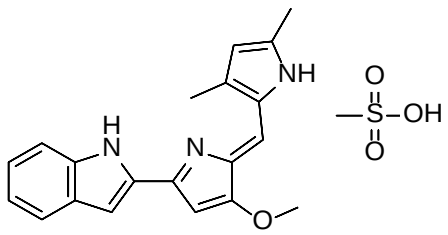
Product data sheet

MedKoo Cat#: 202050 Name: Obatoclax mesylate CAS: 803712-79-0 (mesylate) Chemical Formula: $C_{21}H_{23}N_3O_4S$ Exact Mass: 317.1528 Molecular Weight: 413.4900	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Obatoclax, also known as GX 015-070, a synthetic small-molecule inhibitor of the bcl-2 family of proteins with potential pro-apoptotic and antineoplastic activities. Obatoclax binds to members of the Bcl-2 protein family, preventing the binding of these anti-apoptotic proteins to the pro-apoptotic proteins Bax and Bak and so promoting the activation of the apoptotic pathway in Bcl-2-overexpressing cells. The Bcl-2 family of proteins (bcl-2, bcl-xl, bcl-w, and Mcl-1) are overexpressed in a wide variety of cancers, including those of the lymphatic system, breast, lung, prostate, and colon.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	5.0	12.09
DMSO	31.79	76.89
Ethanol	2.0	4.84

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.42 mL	12.09 mL	24.18 mL
5 mM	0.48 mL	2.42 mL	4.84 mL
10 mM	0.24 mL	1.21 mL	2.42 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Or CR, Chang Y, Lin WC, Lee WC, Su HL, Cheung MW, Huang CP, Ho C, Chang CC. 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):44. doi: 10.3390/ijms18010044. PMID: 28035994; PMCID: PMC5297679.
2. Nguyen M, Marcellus RC, Roulston A, Watson M, Serfass L, Murthy Madiraju SR, Goulet D, Viallet J, Bélec L, Billot X, Acoca S, Purisima E, Wiegmans A, Cluse L, Johnstone RW, Beauparlant P, Shore GC. 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. doi: 10.1073/pnas.0709443104. Epub 2007 Nov 26. PMID: 18040043; PMCID: PMC2148320.

In vivo study

1. Espona-Fiedler M, Manuel-Manresa P, Benítez-García C, Fontova P, Quesada R, Soto-Cerrato V, Pérez-Tomás R. Antimetastatic Properties of Prodigiosin and the BH3-Mimetic Obatoclax (GX15-070) in Melanoma. Pharmaceutics. 2022 Dec 28;15(1):97. doi: 10.3390/pharmaceutics15010097. PMID: 36678726; PMCID: PMC9862601.

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2. Sulkshane P, Teni T. 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. doi: 10.18632/oncotarget.11085. PMID: 28947954; PMCID: PMC5601122.

7. Bioactivity

Biological target:

Obatoclax Mesylate (GX15-070 Mesylate), a BH3 mimetic, is a pan-BCL-2 family proteins inhibitor with a Ki of 220 nM for BCL-2.

In vitro activity

In a panel of human colorectal cancer cell lines, obatoclax inhibits cell proliferation, suppresses clonogenicity, and induces G_1-phase cell cycle arrest, along with cyclin D1 downregulation. Cycloheximide chase analyses further revealed an evident reduction in the half-life of cyclin D1 protein by obatoclax, confirming that obatoclax downregulates cyclin D1 through induction of cyclin D1 proteasomal degradation. Lastly, threonine 286 phosphorylation of cyclin D1, which is essential for initiating cyclin D1 proteasomal degradation, was induced by obatoclax in one cell line but not others.

Reference: Int J Mol Sci. 2016 Dec 27;18(1):44. https://pubmed.ncbi.nlm.nih.gov/28035994/

In vivo activity

Potent single agent antitumor activity of Obatoclax was observed against SCC029B cell line derived subcutaneous tumors in xenograft mouse model. This study observed a significant ($p < 0.05$) reduction in the mean tumor volume without a significant decrease in the weight of the animals (Supplementary Figure S3). The effect was dose dependent with maximal activity observed at the cumulative dose of 5 mg/kg (Figure 11A).

Reference: Oncotarget. 2016 Aug 5;8(36):60060-60079. https://pubmed.ncbi.nlm.nih.gov/28947954/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.