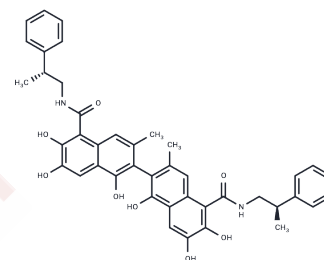


## Sabutoclax

### Chemical Properties

CAS No. :	1228108-65-3
Formula:	C42H40N2O8
Molecular Weight:	700.78
Storage:	Store at low temperature Powder: -20°C for 3 years   In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



### Biological Description

Description	Sabutoclax (BI-97C1)(BI-97C1) is a pan-Bcl-2 inhibitor, including Bcl-xL, Bcl-2, Mcl-1 and Bfl-1 with IC50 of 0.31 $\mu$ M, 0.32 $\mu$ M, 0.20 $\mu$ M and 0.62 $\mu$ M, respectively.
Targets(IC50)	Bcl-2 Family
In vitro	Sabutoclax (0.001-10 $\mu$ M; 72 hours) inhibits the growth of human prostate cancer and lung cancer cell lines[2]. Sabutoclax (0.01-1 $\mu$ M; 24-48 hours) induces apoptosis in human diffuse large B-cell lymphoma cells[2]. Sabutoclax (0-15 $\mu$ M; 48 hours) upregulates the levels of pro-apoptotic proteins in chemotherapy-resistant cells[1].
In vivo	Sabutoclax (1-5 mg/kg; intraperitoneal injection; every two days for a total of 18 days) reduces the growth of M2182 tumors in nude mice[2].
Kinase Assay	Competitive fluorescence polarization assays (FPA) : A Bak BH3 peptide (F-BakBH3) (GQVGRQLAIIGDDINR) is labeled at the N-terminus with fluorescein isothiocyanate (FITC) and purified by HPLC. For competitive binding assays, 100 nM GST-Bcl-XL $\Delta$ TM protein is preincubated with the tested compound at varying concentrations in 47.5 $\mu$ L PBS (pH = 7.4) in 96-well black plates at room temperature for 10 min, and then 2.5 $\mu$ L of 100 nM FITC-labeled Bak BH3 peptide is added to produce a final volume of 50 $\mu$ L. The wild-type and mutant Bak BH3 peptides are included in each assay plate as positive and negative controls, respectively. After 30 min incubation at room temperature, the polarization values in millipolarization units are measured at excitation/emission wavelengths of 480/535 nm with a multilabel plate reader. IC50 is determined by fitting the experimental data to a sigmoidal dose-response nonlinear regression model. Data reported are mean of three independent experiments. Performance of Bcl-2 and Mcl-1 FPA are similar. Briefly, 50 nM of GST-Bcl-2 or -Mcl-1 are incubated with various concentrations of compound (4 and 11-14) for 2 min, and then 15 nM FITC-conjugated-Bim BH3 peptide is added in PBS buffer. Fluorescence polarization is measured after 10 min.
Cell Research	ATP-LITE assay(Only for Reference)

## Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 22 mg/mL (31.39 mM),Sonication is recommended. DMSO: 150 mg/mL (214.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (14.27 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (14.27 mM),Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.427 mL	7.1349 mL	14.2698 mL
5 mM	0.2854 mL	1.427 mL	2.854 mL
10 mM	0.1427 mL	0.7135 mL	1.427 mL
50 mM	0.0285 mL	0.1427 mL	0.2854 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

## Reference

Yunhui Hu, et Al. Sabutoclax, pan-active BCL-2 protein family antagonist, overcomes drug resistance and eliminates cancer stem cells in breast cancer. *Cancer Lett.* 2018 Jun 1:423:47-59.

Wei J , et al. BI-97C1, an optically pure Apogossypol derivative as pan-active inhibitor of antiapoptotic B-cell lymphoma/leukemia-2 (Bcl-2) family proteins. *J Med Chem.* 2010 May 27; 53(10):4166-76.

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