Product Data Sheet

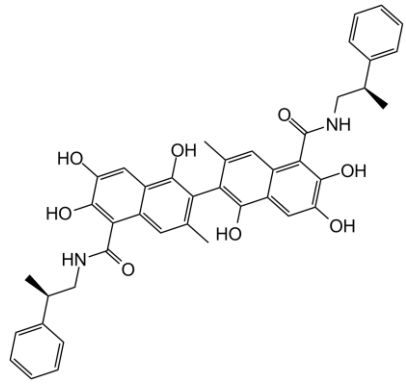
Chemical Properties

Product Name: Sabutoclax

Cas No.: 1228108-65-3

M.Wt: 700.78

Formula: C42H40N2O8



Chemical Name: 2,3,5-trihydroxy-7-methyl-N-[(2R)-2-phenylpropyl]-6-[1,6,7-trihydroxy-3-methyl-5-[[(2R)-2-phenylpropyl]carbamoyl]naphthalen-2-yl]naphthalene-1-carboxamide

Canonical SMILES: CC1=C(C(=C2C=C(C(=C(C2=C1)C(=O)NCC(C)C3=CC=CC=C3)O)O)O)C4=C(C=C5C(=C4O)C=C(C(=C5C(=O)NCC(C)C6=CC=CC=C6)O)O)C

Solubility: >205.6mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Apoptosis

Pathways: Bcl-2 Family

Description:

Sabutoclax is an inhibitor of pan-Bcl-2 family with IC50 values of 0.32, 0.31, 0.20 and 0.62 μM for Bcl-2, Bcl-xL, Mcl-1 and Bfl-1, respectively [1].
Sabutoclax is a derivative of apogossypolone. It showed a high binding affinity to Bcl-xL both in

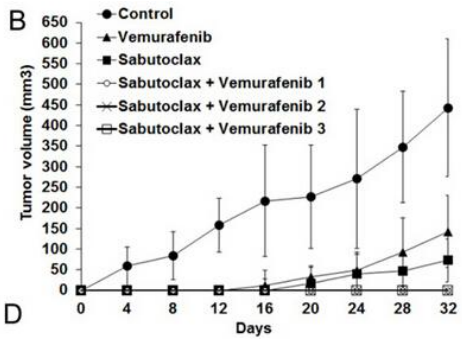
NMR binding assay and in ITC assay, with a Kd value of 0.11μM. Sabutoclax also showed better cell membrane permeability than other apogossypolone derivatives. In PC3 cells, sabutoclax inhibited cell growth with EC50 value of 0.13 μM. In human BP3 cell line, sabutoclax induced cell apoptosis with IC50 value of 0.049 μM. In mice bearing M2182 cancer xenografts, administration of sabutoclax significantly reduced the tumor size. At dose of 5 mg/kg, sabutoclax induced near complete tumor growth suppression [1].

***Reference**:*
[1] Wei J, Stebbins J L, Kitada S, et al. BI-97C1, an optically pure Apogossypol derivative as pan-active inhibitor of antiapoptotic B-cell lymphoma/leukemia-2 (Bcl-2) family proteins. Journal of medicinal chemistry, 2010, 53(10): 4166-4176.

Product Citations

1. Mishra P J, Mishra P J, Merlino G. "Integrated Genomics Identifies miR-32/MCL-1 Pathway as a Critical Driver of Melanomagenesis: Implications for miR-Replacement and Combination Therapy[J]." PloS one, 2016, 11(11): e0165102. PMID:27846237

Product Validation



Addition of low-dose (25nM to 5nM) sabutoclax and high-dose sabutoclax alone (500nM) result in significant reduction in tumor size in the A375 melanoma xenograft model

A375 melanoma xenograft model was used to assess the effects of high-dose vemurafenib (500nM) or sabutoclax (500nM) alone, and low-dose (25nM to 5nM) sabutoclax (S) and vemurafenib (V) combination (n = 5, control n = 10, 0.5 X 106 cells per mice); S+V-1 (V25nM+S25nM), S+V-2 (V12.5nM+S12.5nM) and S+V3 (V5nM+S5nM). Tumor growth was monitored at 4-day intervals. PLoS One. 2016 Nov 15;11(11):e0165102.

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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