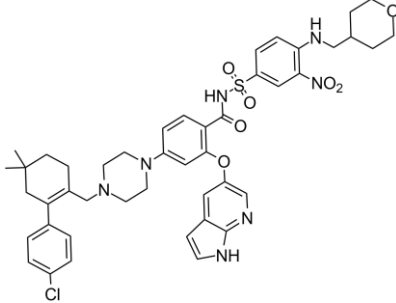


Product Data Sheet

Chemical Properties

Product Name:	ABT-199	
Cas No.:	1257044-40-8	
M.Wt:	868.44	
Formula:	C ₄₅ H ₅₀ ClN ₇ O ₇ S	
Synonyms:	ABT199, ABT 199, GDC0199, GDC-0199	
Chemical Name:	4-[4-[[2-(4-chlorophenyl)-4,4-dimethylcyclohexen-1-yl]methyl]piperazin-1-yl]-N-[3-nitro-4-(oxan-4-ylmethylamino)phenyl]sulfonyl-2-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)benzamide	
Canonical SMILES:	<chem>CC1(CCC(=C(C1)C2=CC=C(C=C2)Cl)CN3CCN(CC3)C4=CC(=C(C=C4)C(=O)NS(=O)(=O)C5=CC(=C(C=C5)NCC6CCOCC6)[N+](=O)[O-])OC7=CN=C8C(=C7)C=CN8)C</chem>	
Solubility:	>43.4mg/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 :	Bcl-2 Family
Pathways:	Apoptosis >> Bcl-2 Family
Description:	

ABT-199, developed through a structure-based reverse engineering process, is a novel and specific inhibitor of B-cell lymphoma/leukemia 2 (BCL-2) maintaining a sub-nanomolar affinity towards BCL-2 and over three orders of magnitude less affinity towards BCL-XL. It kills a diverse

array of non-Hodgkin lymphoma (NHL) and acute myelogenous leukemia cell lines as well as BCL-2 dependent but not BCL-XL dependent cells via suppressing mitochondrial pathway of apoptosis, exhibiting potent antitumor activity against a wide variety of hematologic malignancies while sparing platelets. According to previous studies, ABT-199 is capable of suppressing tumor growth in several human hematologic tumor xenograft models.

Reference:

Matthew S. Davids and Anthony Letai. *ABT-199: a new hope for selective BCL-2 inhibition. Cancer Cell 2013; 23(2): 139-141*

Protocol

Cell experiment:

Cell lines	normal human B cells, as well as CD4+and CD8+ T cells
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	24h ;4 μM
Applications	We first determined the in vitro sensitivity to ABT-199 of normal human B cells, as well as CD4+and CD8+ T cells in peripheral blood sampled from healthy donors (n=9). Significantly, normal peripheral B cells were intrinsically more sensitive (~1000-fold) to ABT-199 than either T-cell subset (mean ABT-199 LC50±s.e.m. for B cells, CD4 T cells and CD8 T cells were 3.0 ±0.9 nM , 2.5±0.6 μM and 1.3±0.7 μM , respectively; B versus CD4 T cells: P=0.008; and B versus CD8 T cells: P=0.004). The result shown that normal human peripheral blood B cells are highly sensitive to ABT-199, unlike T cells and myeloid cells.

Animal experiment [3]:

Animal models	Eμ-Myc mice
Dosage form	100 mg/kg ; Oral taken
Applications	We examined the effect of short-term treatment with ABT-199 (used at 100 mg/kg) on the lymphoid subpopulations in vivo to assess this and to model probable changes during therapy of patients. ABT-199 was administered orally, Consistent with our in vitro observations with murine and human cells, the drug substantially reduced peripheral B cells to a similar extent. These data suggested that

because of intrinsic insensitivity to selective Bcl-2 inhibition of key B- and T-precursor cells, longer-term administration of ABT-199 may have an impact on normal lymphopoiesis to a lesser degree.

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.

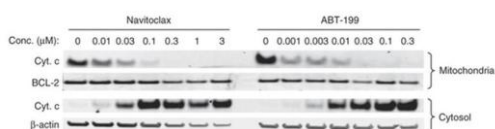
Reference:

[1] Khaw S L, Mérimo D, Anderson M A, et al. Both leukaemic and normal peripheral B lymphoid cells are highly sensitive to the selective pharmacological inhibition of prosurvival Bcl-2 with ABT-199[J]. *Leukemia*, 2014, 28(6): 1207-1215.

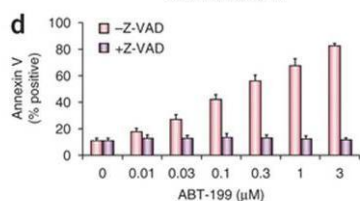
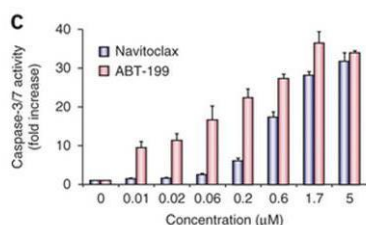
Product Citations

1. Winter PS, et al. "RAS signaling promotes resistance to JAK inhibitors by suppressing BAD-mediated apoptosis." *Sci Signal*. 2014 Dec 23. PMID:25538080
2. Xiang XY, Kang JS, et al. "SIRT3 participates in glucose metabolism interruption and apoptosis induced by BH3 mimetic S1 in ovarian cancer cells." *Int J Oncol*. 2016 Aug;49(2):773-84. PMID:27277143

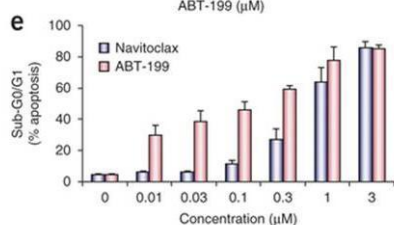
Product Validation



Treatment of ABT-199 induced apoptosis and cytochrome c release



Treatment of ABT-199 induced apoptosis



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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