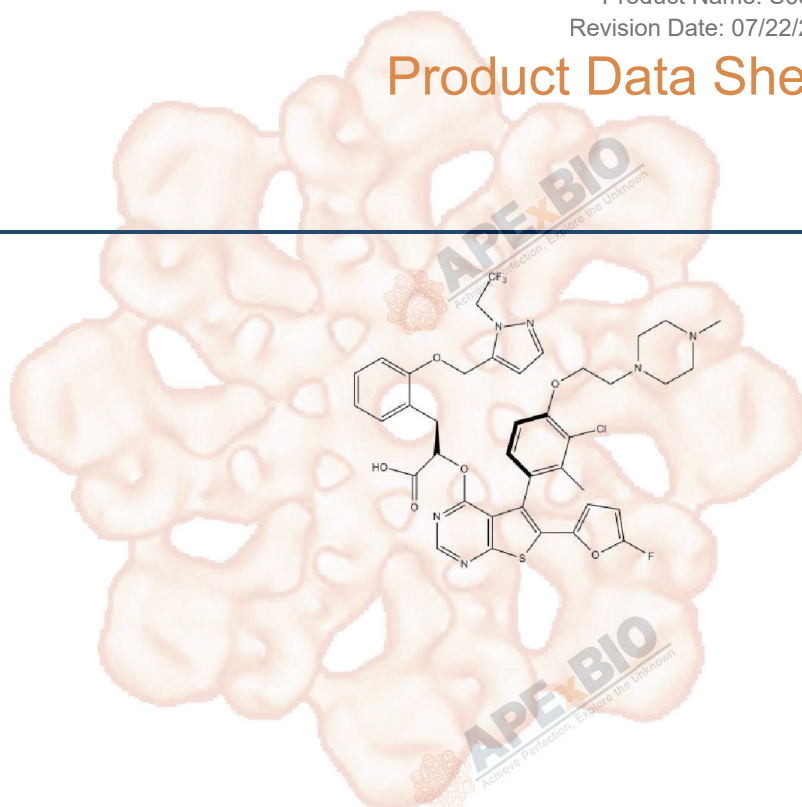


Product Data Sheet

S63845

Cat. No.:	A8737
CAS No.:	1799633-27-4
Formula:	C39H37ClF4N6O6S
M.Wt:	829.26
Synonyms:	
Target:	Apoptosis
Pathway:	Bcl-2 Family
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥20 mg/mL in MeOH; ≥41.45 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	1.2059 mL	6.0295 mL	12.0589 mL
	5 mM	0.2412 mL	1.2059 mL	2.4118 mL
	10 mM	0.1206 mL	0.6029 mL	1.2059 mL

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

Biological Activity

Shortsummary

MCL1 inhibitor

IC₅₀ & Target

Cell Viability Assay

In Vitro

Cell Line: Haematological cancer-derived cell lines, Myeloma cell lines, Human lymphomas and chronic myeloid leukaemia cell lines

Preparation method: This compound is soluble in DMSO. 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:	1-10 μ M, 48 h, 37°C
	Applications:	S63845 is a small molecule MCL1 inhibitor with K_i 1 μ M). In a panel of human lymphomas and chronic myeloid leukaemia 11 cell lines: five lines were highly sensitive to S63845 (IC50 1 μ M). In a panel of eight AML cell lines: all lines were sensitive to S63845 (IC50 4–233 nM) [1].
In Vivo	Animal experiment	
	Animal models:	Human multiple myeloma (H929 and AMO1) xenografted mice
	Dosage form:	Intravenously injected (i.v.), 25 mg/kg
	Applications:	Intravenously injected (i.v.) S63845 exerted dose-dependent anti-tumour activity in human multiple myeloma (H929 and AMO1) xenografts in immunocompromised mice, with maximal tumour growth inhibition (TGImax) of 114% in the AMO1 model and 103% in the H929 model. S63845 (25 mg/kg) induced complete regression in 7 out of 8 of the mice at 100 days after treatment in the AMO1 model. S63845 (i.v., 25 mg/kg, 5 days) cured 70% of immuno-competent C57BL/6 mice bearing E μ -Myc mouse lymphomas, with no side-effects evident in normal tissues. S63845 (12.5 mg/kg) showed potent activity in the MV4-11 human AML xenograft model, with a TGImax of 86%. S63845 (25 mg/kg) resulted in complete remission in 6 out of 8 mice after 80 days.
	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. Zhao X, Ren Y, et al. "BCL2 Amplicon Loss and Transcriptional Remodeling Drives ABT-199 Resistance in B Cell Lymphoma Models." *Cancer Cell*. 2019 May 13;35(5):752-766.e9.PMID:31085176
2. Liang H, Chen Z, et al. "Inhibition of cyclin E1 overcomes temozolomide resistance in glioblastoma by Mcl-1 degradation." *Mol Carcinog*. 2019 Aug;58(8):1502-1511.PMID:31045274
3. Brokatzky D, D?rflinger B, et al. "A non-death function of the mitochondrial apoptosis apparatus in immunity." *EMBO J*. 2019 Jun 3;38(11). pii:e100907.PMID:30979778
4. Annunziato S, de Ruiter JR, et al. "Comparative oncogenomics identifies combinations of driver genes and drug targets in BRCA1-mutated breast cancer." *Nat Commun*. 2019 Jan 23;10(1):397.PMID:30674894
5. Uchida A, Isobe Y, et al. "Targeting BCL2 with venetoclax is a promising therapeutic strategy for "double-protein-expression" lymphoma with MYC and BCL2 rearrangements." *Haematologica*. 2018 Dec 6. pii: haematol.2018.204958.PMID:30523053

See more customer validations on www.apexbt.com.

References

- [1]. Kotschy A, Szlavik Z, Murray J, et al. The MCL1 inhibitor S63845 is tolerable and effective in diverse cancer models. *Nature*. 2016

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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