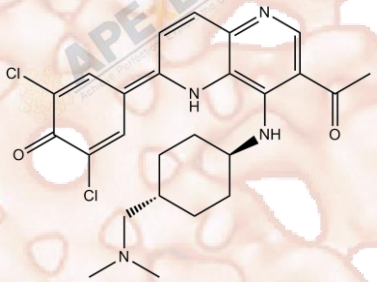
Product Data Sheet

OTSSP167



Cat. No.:	B1321
CAS No.:	1431697-89-0
Formula:	C25H28Cl2N4O2
M.Wt:	487.42
Synonyms:	
Target:	PI3K/Akt/mTOR Signaling
Pathway:	MELK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.0516 mL	10.2581 mL	20.5162 mL
5 mM	0.4103 mL	2.0516 mL	4.1032 mL
10 mM	0.2052 mL	1.0258 mL	2.0516 mL

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

Biological Activity

Shortsummary	MELK inhibitor
IC_{50} & Target	0.41nM (MELK)

In Vitro

Cell Viability Assay

Cell Line:	A549, T47D, DU4475, and 22Rv1 cancer cells
Preparation method:	Limited 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:	30 μM, 37 °C

	Applications:	OTSSP167 inhibited A549 (lung), T47D (breast), DU4475 (breast), and 22Rv1 (prostate) cancer cells with the IC50 values of 6.7, 4.3, 2.3, and 6.0 nM, respectively. OTSSP167 inhibited the phosphorylation of PSMA1 and DBNL. OTSSP167 suppressed mammosphere formation of breast cancer cells through the inhibition of PSMA1 phosphorylation.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing MDA-MB-231 xenografts, mice carrying A549 (lung cancer) xenografts
	Dosage form:	Intravenous administration, 20 mg/kg, once every two days; Oral administration, 10 mg/kg once a day
	Applications:	In mice bearing MDA-MB-231 xenografts, intravenous administration of OTSSP167 at 20 mg/kg once every two days resulted in tumor growth inhibition (TGI) of 73%. Oral administration at 10 mg/kg once a day revealed TGI of 72%. In mice carrying A549 (lung cancer) xenografts, treatment with 1, 5, and 10 mg/kg once a day of OTSSP167 by intravenous administration revealed TGI of 51, 91, and 108%, respectively and those by oral administration of 5 and 10 mg/kg once a day revealed TGI of 95 and 124%, respectively. In DU145 (prostate cancer) and MIAPaCa-2 (pancreatic cancer) xenograft models, oral administration of 10 mg/kg once a day resulted in TGI of 106 and 87%, respectively.
	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

See more customer validations on www.apexbt.com.

References

[1]. Chung S, Suzuki H, Miyamoto T, et al. Development of an orally-administrative MELK-targeting inhibitor that suppresses the growth of various types of human cancer[J]. Oncotarget, 2012, 3(12): 1629.

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.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com