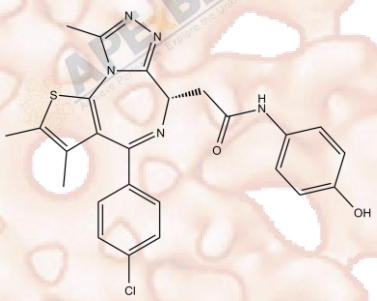
Product Data Sheet

OTX-015



Cat. No.:	A3692
CAS No.:	202590-98-5
Formula:	C25H22ClN5O2S
M.Wt:	491.99
Synonyms:	OTX 015;OTX015
Target:	Chromatin/Epigenetics
Pathway:	Bromodomain
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾24.6 mg/mL in DMSO; insoluble in H2O; ⩾106 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.0326 mL	10.1628 mL	20.3256 mL
5 mM	0.4065 mL	2.0326 mL	4.0651 mL
10 mM	0.2033 mL	1.0163 mL	2.0326 mL

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

Biological Activity

Shortsummary	BRD inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Human tumor cells
Preparation method:	Soluble in DMSO > 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:	72 h.

	Applications:	OTX-015 inhibits the growth of a variety of human cancer cell lines. OTX-015 exhibits growth inhibition with GI50 values of 60-200 nM for most hematologic malignancies tested.
In Vivo	**Animal experiment**	
	Animal models:	BLAB/c-nu/nu mice bearing established Ty82 BRD-NUT midline carcinoma xenografts.
	Dosage form:	0, 10, 30 or 100 mg/kg qd or 10 mg/kg bid; 14 days; oral gavage.
	Applications:	OTX-015 significantly inhibits tumor growth and exhibits TGI by 79% and 61% at 100 mg/kg qd and 10 mg/kg bid.
	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 M, De Crignis E, et al. "T cell toxicity of HIV latency reversing agents." Pharmacol Res. 2018 Oct 23. pii: S1043-6618(18)30970-8.PMID:30366100

2. Qian G, Yao W, et al. "Co-inhibition of BET and proteasome enhances ER stress and Bim-dependent apoptosis with augmented cancer therapeutic efficacy. Cancer Lett. 2018 Oct 28;435:44-54.PMID:30059709

3. Abner E, Stoszko M, et al. "A new quinoline BRD4 inhibitor targets a distinct latent HIV-1 reservoir for re-activation from other 'shock' drugs." J Virol. 2018 Jan 17. pii: JVI.02056-17.PMID:29343578

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References

[1]. J. Kay Noel, Kazunori Iwata, Shinsuke Ooike, et al. Development of the BET bromodomain inhibitor OTX015 [J]. Mol Cancer Ther, 2013, 12(11 Suppl): C244.

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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