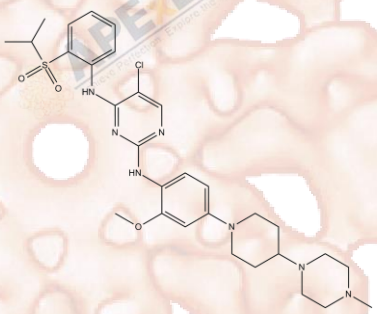
Product Data Sheet

TAE684 (NVP-TAE684)



Cat. No.:	A8251
CAS No.:	761439-42-3
Formula:	C30H40ClN7O3S
M.Wt:	614.2
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	ALK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾61.4 mg/mL in DMSO with gentle warming; insoluble in H2O; ⩾33.73 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.6281 mL	8.1407 mL	16.2813 mL
5 mM	0.3256 mL	1.6281 mL	3.2563 mL
10 mM	0.1628 mL	0.8141 mL	1.6281 mL

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

Biological Activity

Shortsummary	ALK inhibitor,potent and selective
IC_{50} & Target	3 nM (ALK)

In Vitro

Cell Viability Assay

Cell Line:	Ba/F3 and Ba/F3 NPM-ALK 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:	50 nM, 48 hours

	Applications:	Cells were treated with various concentrations of TAE684 for 72 h and were assessed for induction of apoptosis and growth arrest by f low cytometry every 24 h. Treatment with TAE684 increased the number of Annexin V-positive Ba/F3 NPM-ALK cells in a dose- and time-dependent manner, without affecting the survival of the parental Ba/F3 cell line. At 48 h after incubation with TAE684, 85–95% of cells stained Annexin V-positive in several independent experiments. In contrast, no increase in the number of Annexin V-positive cells was seen for parental Ba/F3 cells grown in the presence of IL-3.
In Vivo	**Animal experiment**	
	Animal models:	SCIDbeige mice injected with Karpas-299-luc cells
	Dosage form:	Oral administration; 1, 3, and 10 mg/kg; once daily
	Applications:	After 2 weeks of treatment, we observed a 100-fold reduction in bioluminescence signal in the 3- and 10-mg/kg treatment groups. Although the compound was not efficacious at 1 mg/kg, after 4 weeks of treatment with TAE684 at 3 and 10mg/kg, there was a significant delay in lymphoma development and 100- to 1,000-fold reduction in luminescence signal. The TAE684- (10mg/kg) treated group appeared healthy and did not display any signs of compound- or disease-related toxicity.
	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] Galkin A V, Melnick J S, Kim S, et al. Identification of NVP-TAE684, a potent, selective, and efficacious inhibitor of NPM-ALK. Proceedings of the National Academy of Sciences, 2007, 104(1): 270-275.

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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