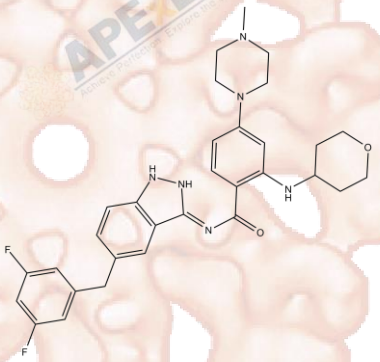
Product Data Sheet

Entrectinib

Cat. No.: B5859
CAS No.: 1108743-60-7
Formula: C31H34F2N6O2
M.Wt: 560.64
Synonyms:
Target: Tyrosine Kinase
Pathway: ALK
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾28.05 mg/mL in DMSO; insoluble in H2O; ⩾9.82 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7837 mL	8.9184 mL	17.8368 mL
5 mM	0.3567 mL	1.7837 mL	3.5674 mL
10 mM	0.1784 mL	0.8918 mL	1.7837 mL

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

Biological Activity

Shortsummary	Orally active inhibitor of ALK kinase
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	SH-SY5Y cells stably transfected with TrkB
Preparation method:	The solubility of this compound in DMSO is > 28.1 mg/mL. 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 ~ 200 nM; 1 hr

	Applications:	In SY5Y-TrkB cells, Entrectinib inhibited Trk phosphorylation in a dose-dependent manner. At the dose as low as 1 nM, Entrectinib significantly inhibited Trk phosphorylation, and complete inhibition was achieved at the concentration of 10 nM or higher concentrations. Meanwhile, Entrectinib showed no effect on the Trk-null parental SH-SY5Y cells.
In Vivo	**Animal experiment**	
	Animal models:	Nu/nu mice bearing SY5Y-TrkB cells
	Dosage form:	60 mg/kg; p.o.; b.i.d., 7 days/week
	Applications:	Entrectinib significantly inhibited tumor growth and prolonged EFS. Analyses of the tumors collected at different time points after treatment (1, 4 and 6 hrs, respectively) indicated inhibition of TrkB phosphorylation in mice treated with Entrectinib. Moreover, phosphorylation of p-PLCγ, p-Akt and p-Erk was also inhibited in Entrectinib-treated mice. In addition, Western blot results also indicated that phosphoprotein expression was significantly suppressed in xenografts upon Entrectinib treatment.
	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]. Iyer R, Wehrmann L, Golden RL, Naraparaju K, Croucher JL, MacFarland SP, Guan P, Kolla V, Wei G, Cam N, Li G, Hornby Z, Brodeur GM. Entrectinib is a potent inhibitor of Trk-driven neuroblastomas in a xenograft mouse model. Cancer Lett. 2016 Mar 28;372(2):179-86.

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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