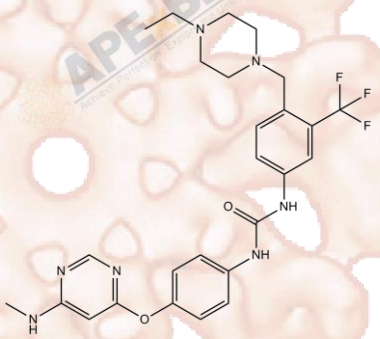
Product Data Sheet

AST 487

Cat. No.:	A3194
CAS No.:	630124-46-8
Formula:	C26H30F3N7O2
M.Wt:	529.56
Synonyms:	NVP-AST 487
Target:	Tyrosine Kinase
Pathway:	FLT3
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾26.5 mg/mL in DMSO; insoluble in H2O; ⩾51.2 mg/mL in EtOH

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	1.8884 mL	9.4418 mL	18.8836 mL
5 mM	0.3777 mL	1.8884 mL	3.7767 mL
10 mM	0.1888 mL	0.9442 mL	1.8884 mL

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

Biological Activity

Shortsummary	RET kinase inhibitor
IC_{50} & Target	0.88 μM (RET kinase)

In Vitro

Cell Viability Assay

Cell Line:	Baf3 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:	10 min; IC50=34±4 nmol/L

	Applications:	Data derived from a panel of Baf3 murine pro–B cell lymphoma lines rendered growth factor–independent by transduction with various activated tyrosine kinases, suggested cellular specificity for RET-driven proliferation (IC50 for PTC3-RET–driven Baf3 cells, 34±4 nmol/L), with activity against FLT3 as well, and to a lesser extent,Bcr-ABL–dependent proliferation
In Vivo	**Animal experiment**	
	Animal models:	Female athymic nude mice
	Dosage form:	50 mg/kg; oral taken
	Applications:	NVP-AST487 given p.o. evoked a dose-dependent inhibition of growth of NIH3T3-RETC634W xenografts, with doses >30 mg/kg/d causing significant reductions in tumor size. The effects of the compound on RET expression and phosphorylation in tumor extracts was analyzed 6 h following the final treatment. Reductions in tumor RET phosphorylation in NVP-AST487–treated animals were clearly seen, particularly at doses ≥30 mg/kg. Interestingly, there was also a dose-dependent decrease of RET expression, with one of three tumors analyzed in the 30 mg/kg group and three of three tumors in the 50 mg/kg group showing a dramatic reduction in RET protein levels.
	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. Hsieh YL, Kan HW, et al. "Distinct TrkA and Ret modulated negative and positive neuropathic behaviors in a mouse model of resiniferatoxin-induced small fiber neuropathy." Exp Neurol. 2018 Feb;300:87-99.PMID:29106982

See more customer validations on www.apexbt.com.

References

[1] Yu K, Toral-Barza L, Shi C, et al. Biochemical, cellular, and in vivo activity of novel ATP-competitive and selective inhibitors of the mammalian target of rapamycin[J]. Cancer research, 2009, 69(15): 6232-6240.

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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