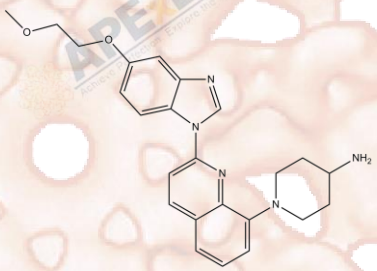
Product Data Sheet

CP-673451



Cat. No.:	B2173
CAS No.:	343787-29-1
Formula:	C24H27N5O2
M.Wt:	417.52
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	VEGFR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾2.39 mg/mL in EtOH with gentle warming and ultrasonic; ⩾20.9 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.3951 mL	11.9755 mL	23.9509 mL
5 mM	0.4790 mL	2.3951 mL	4.7902 mL
10 mM	0.2395 mL	1.1975 mL	2.3951 mL

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

Biological Activity

Shortsummary	PDGFRα/β inhibitor,potent and selective
IC_{50} & Target	1 nM (PDGFRβ), 10 nM (PDGFRα), 252 nM (c-Kit), 450 nM (VEGFR1), 450 nM (VEGFR2)

In Vitro

Cell Viability Assay

Cell Line:	PAE-β cells and H526 cells
Preparation method：	The solubility of this compound in DMSO is > 20.9 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:	0 nM ~ 3 mM

	Applications:	In PAE-β cells, CP-673451 inhibited PDGFR-β in a dose-dependent manner, with the IC50 value of 6.4nM. CP-673451 also inhibited c-kit in H526 cells, with the IC50 value of 1.1 μM. However, CP-673451 was > 180× selective for PDGFR-β compared with c-kit in H526 cells.
In Vivo	**Animal experiment**	
	Animal models:	Mouse sponge angiogenesis model
	Dosage form:	3, 10 or 30 mg/kg; p.o.; q.d., for 5 days
	Applications:	CP-673451 (3, 10 or 30 mg/kg; p.o.; q.d., for 5 days) inhibited PDGF-BB-induced angiogenesis by 70 ~ 90%. Corresponding Cmax plasma concentrations after the last dose were 5.5 ~ 419 ng/mL. Besides, CP-673451 showed selective inhibition on PDGF-BB-induced angiogenesis over VEGF- or bFGF-induced angiogenesis (no inhibition observed).
	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]. Roberts W G, Whalen P M, Soderstrom E, et al. Antiangiogenic and antitumor activity of a selective PDGFR tyrosine kinase inhibitor, CP-673,451. Cancer research, 2005, 65(3): 957-966.

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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