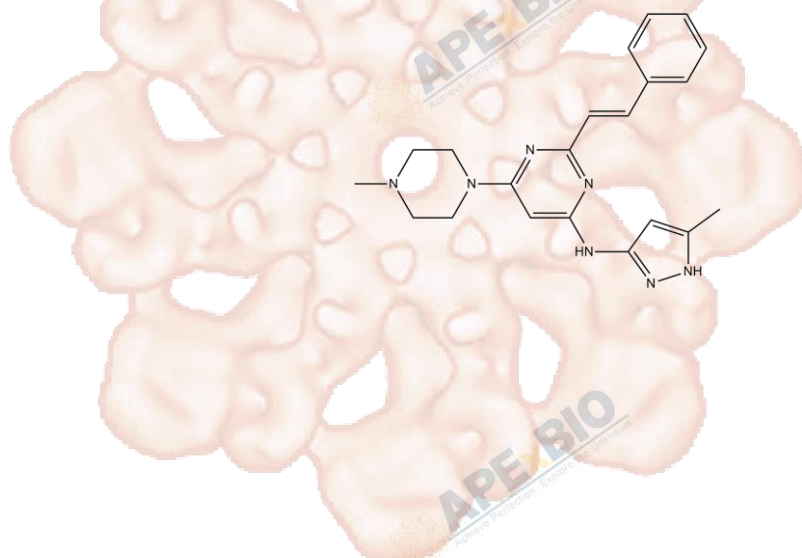


Product Data Sheet

ENMD-2076

Cat. No.:	A4130
CAS No.:	934353-76-1
Formula:	C ₂₁ H ₂₅ N ₇
M.Wt:	375.47
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Aurora Kinase
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥18.75 mg/mL in DMSO; ≥2.55 mg/mL in EtOH with ultrasonic

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.6633 mL	13.3166 mL	26.6333 mL
	5 mM		0.5327 mL	2.6633 mL	5.3267 mL
	10 mM		0.2663 mL	1.3317 mL	2.6633 mL

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

Biological Activity

Shortsummary

Selective Aurora A/Flt3 inhibitor

IC₅₀ & Target

14 nM (Aurora A), 1.86 nM (Flt3)

In Vitro

Cell Viability Assay

Cell Line: HUVECs

Preparation method: The solubility of this compound in DMSO is > 18.8 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 ~ 139 nM

	Applications:	In HUVECs, ENMD-2076 inhibited VEGFR2/KDR autophosphorylation, with an IC50 value of 7 nM. Moreover, ENMD-2076 also inhibited FGFR activity, with an IC50 value of 600 nM. In addition, ENMD-2076 inhibited VEGF- and FGF-stimulated HUVEC cell proliferation, with the IC50 values of 76 nM and 139 nM, respectively.
In Vivo	Animal experiment	
	Animal models:	Nude mice bearing multiple cancer cell line xenografts
	Dosage form:	25 ~ 302 mg/kg; p.o.
	Applications:	In nude mice bearing multiple cancer cell line xenografts, ENMD-2076 significantly and dose-dependently inhibited tumor growth. Moreover, ENMD-2076 similarly inhibited fast-growing (e.g. A375 melanoma) and slow-growing (e.g. HT29 colon carcinoma) tumors. In addition, ENMD-2076 was well tolerated up to 302 mg/kg/day, without weight loss or sign of morbidity, except for the A375 model.
	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]. Fletcher GC, Brokx RD, Denny TA, Hembrough TA, Plum SM, Fogler WE, Sidor CF, Bray MR: ENMD-2076 is an orally active kinase inhibitor with antiangiogenic and antiproliferative mechanisms of action. *Mol Cancer Ther* 2011, 10(1):126-137.

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 APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term 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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