Product Data Sheet

LY2874455

Cat. No.:	A3576
CAS No.:	1254473-64-7
Formula:	C21H19Cl2N5O2
M.Wt:	444.31
Synonyms:	LY 2874455; LY-2874455
Target:	Tyrosine Kinase
Pathway:	FGFR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾44.4 mg/mL in DMSO; insoluble in H2O; ⩾6.34 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.2507 mL	11.2534 mL	22.5068 mL
5 mM	0.4501 mL	2.2507 mL	4.5014 mL
10 mM	0.2251 mL	1.1253 mL	2.2507 mL

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

Biological Activity

Shortsummary	FGF/FGFR Inhibitor
IC_{50} & Target	2.8 nM (FGFR1), 2.6 nM (FGFR2), 6.4 nM (FGFR3), 6 nM (FGFR4)

In Vitro

Cell Viability Assay

Cell Line:	HUVECs and RT-112 cells, gastric cancer cell lines, SNU-16 and KATO-III
Preparation method:	The solubility of this compound in DMSO is >22.2mg/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:	37°C, 1 hour

	Applications:	LY2874455 potently inhibited the Erk phosphorylation induced by FGF2 and FGF9 in both HUVECs and RT-112 cell lines in a dose-dependent manner, with the IC50 values of 0.3 to 0.8 nmol/L. LY2874455 inhibited FGFR2 phosphorylation in SNU-16 and KATO-III cells, with estimated IC50 values of 0.8 and 1.5 nmol/L, respectively. LY2874455 inhibited the phosphorylation of FRS2, an immediate downstream target of FGFR in these cell lines, again with a similar potency of 0.8 to 1.5 nmol/L.
In Vivo	**Animal experiment**	
	Animal models:	Mice xenografted with cancer cell lines with altered FGFR or FGF levels, RT-112 (overexpressing FGFR3), SNU-16 (amplified FGFR2), OPM-2 (overexpressing a mutant FGFR3), and NCI-H460 (a high level of FGF2)
	Dosage form:	1 mg/kg, 3 mg/kg, every day
	Applications:	LY2874455 exhibited a rapid, robust, dose-dependent inhibition of tumor growth in all 4 models tested. LY2874455 caused a significant regression of tumor growth in the RT-112, SNU-16, and OPM-2 tumor models, especially when dosed at 3 mg/kg twice a daily.
	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]. Zhao G, Li W, Chen D, et al. A novel, selective inhibitor of fibroblast growth factor receptors that shows a potent broad spectrum of antitumor activity in several tumor xenograft models[J]. Molecular cancer therapeutics, 2011, 10(11): 2200-2210.

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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