

Product Name: LY2874455 Revision Date: 01/10/2021

# **Product Data Sheet**

## LY2874455

**Cat. No.:** A3576

**CAS No.:** 1254473-64-7

Formula: C21H19Cl2N5O2

**M.Wt:** 444.31

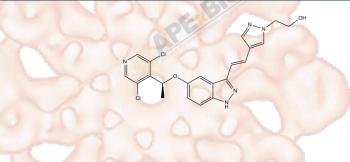
**Synonyms:** LY 2874455; LY-2874455

Target: Tyrosine Kinase

Pathway: FGFR

Storage: Store at -20°C





# Solvent & Solubility

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

In Vitro

Preparing Stock Solutions	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 <sub>50</sub> & 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	
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	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
	310	FRS2, an immediate downstream target of FGFR in these cell lines, again with
	ole **	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
	310	tumor growth in the RT-112, SNU-16, and OPM-2 tumor models, especially
	OE	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.

### **APExBIO Technology**

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