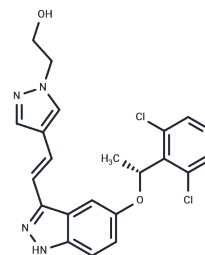


LY2874455

## Chemical Properties

CAS No. : 1254473-64-7  
 Formula: C<sub>21</sub>H<sub>19</sub>Cl<sub>2</sub>N<sub>5</sub>O<sub>2</sub>  
 Molecular Weight: 444.31  
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
*Actual storage temperature shall be subject to the COA.*



## Biological Description

Description	LY2874455 has been used in trials studying the treatment of Advanced Cancer.
Targets(IC50)	FGFR, VEGFR
In vitro	In mice carrying xenografts of RT-112, OPM-2 (DSMZ), SNU-16, or NCI-H460, LY2874455 (3 mg/kg, orally administered) demonstrated dose-dependent inhibition of tumor growth. Additionally, LY2874455 effectively inhibited FGF-induced Erk phosphorylation in mouse cardiac tissue, with TED50 and TED90 values of 1.3 and 3.2 mg/kg, respectively.
In vivo	LY2874455 exhibits FGFR-dependent anti-proliferative effects in KMS-11, OPM-2, SNU-16, and KATO-III cells. In RT-112 cells, HUVECs, KATO-III cells, and SNU-16 cells, LY2874455 inhibits FGF/FGFR-mediated signaling activity.
Kinase Assay	Biochemical filter-binding assays for detection of FGFR phosphorylation activities : Reaction mixtures contains 8 mM Tris-HCl (pH 7.5), 10 mmol/L HEPES, 5 mM dithiothreitol, 10 μM ATP, 0.5 μCi 33P-ATP, 10 mM MnCl <sub>2</sub> , 150 mM NaCl, 0.01% Triton X-100, 4% dimethyl sulfoxide, 0.05 mg/mL poly(Glu:Tyr) (4:1, average molecular weight of 20-50 kDa), and 7.5, 7.5, and 16 ng of FGFR1, FGFR3, and FGFR4, respectively, and are incubated at room temperature for 30 minutes followed by termination with 10% H <sub>3</sub> PO <sub>4</sub> . The reaction mixtures are transferred to 96-well MAFB filter plates that are washed 3 times with 0.5% H <sub>3</sub> PO <sub>4</sub> . After air-drying, the plates are read with a Trilux reader.
Cell Research	Cells (2,000 per well) are first grown in RPMI for 6 hours and treated with LY2874455 at 37 °C for 3 days. The cells are stained at 37°C for 4 hours and then solubilized at 37°C for 1 hour. Finally, the plate is read at 570 nm using a plate reader (Spectra Max Gemini XS). (Only for Reference)

## Solubility Information

Solubility	DMSO: 127.5 mg/mL (286.96 mM), Sonication is recommended. Ethanol: 53 mg/mL (119.29 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.43 mM), Sonication is recommended.

## A DRUG SCREENING EXPERT

### In vivo Formulation

Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.

### Preparing Stock Solutions

	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
50 mM	0.045 mL	0.2251 mL	0.4501 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Zhao G, et al. Mol Cancer Ther. 2011, 10(11), 2200-2210.

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