

# LY2874455

Catalog No: tcsc0907



## Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



## Specifications

### CAS No:

1254473-64-7

### Formula:

$C_{21}H_{19}Cl_2N_5O_2$

### Pathway:

Protein Tyrosine Kinase/RTK

### Target:

FGFR

### Purity / Grade:

>98%

### Solubility:

DMSO : 50 mg/mL (112.53 mM; Need ultrasonic); H2O :

### Observed Molecular Weight:

444.31

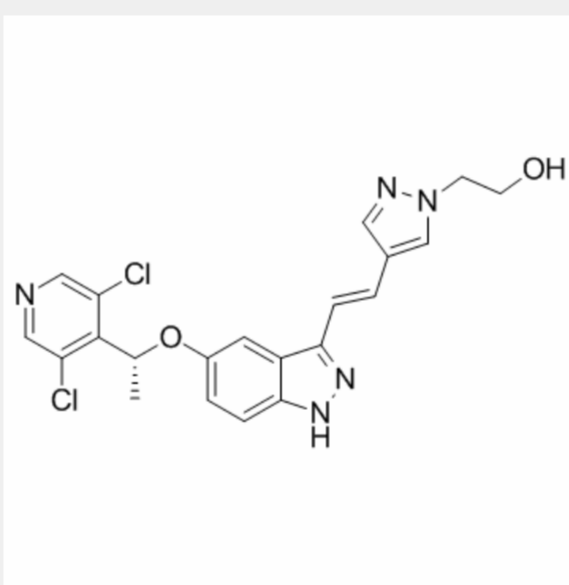
## Product Description

LY2874455 is a **pan-FGFR** inhibitor with **IC<sub>50</sub>**s of 2.8, 2.6, 6.4, 6 nM for **FGFR1**, **FGFR2**, **FGFR3**, **FGFR4**, respectively.

IC50 & Target: IC50: 2.8 nM (FGFR1), 2.6 nM (FGFR2), 6.4 nM (FGFR3), 6 nM (FGFR4)<sup>[1]</sup>

**In Vitro:** LY2874455 potently inhibits the Erk phosphorylation induced by FGF2 and FGF9 in both cell lines in a dose-dependent manner, with average IC<sub>50</sub> values of 0.3 to 0.8 nM. LY2874455 indeed inhibits FGFR2 phosphorylation in SNU-16 and KATO-III cells, with estimated IC<sub>50</sub> values of 0.8 and 1.5 nM, respectively. In addition, LY2874455 inhibits 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 nM. Together, these results suggest that LY2874455 inhibits FGFR in the cell. The relative IC<sub>50</sub> values of LY2874455 for KMS-11, OPM-2, L-363, and U266 cells are determined to be 0.57, 1.0, 60.4, and 290.7 nM, respectively<sup>[1]</sup>.

**In Vivo:** LY2874455 exhibits a rapid, robust, dose-dependent inhibition of tumor growth in all 4 models tested. Importantly, this molecule causes 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 day. Also, LY2874455 exhibits an excellent pharmacokinetic/pharmacodynamic relationship as shown by its dose-dependent inhibition of the tumor growth at TED<sub>50</sub> and TED<sub>90</sub> (1 and 3 mg/kg, respectively). When tested in the RT-112 tumor xenograft model on an intermittent dosing schedule (twice a day 1 week on and 1 week off or twice a day 2 days on and 2 days off), LY2874455 is also efficacious. When rats are dosed with LY2874455 at 1 and 3 mg/kg, which is 2.6- and 7.7-fold over the TED<sub>50</sub> (0.39 mg/kg) obtained in the rat heart IVTI assay, respectively, there are no significant changes observed in blood pressure. However, when rats are dosed with LY2874455 at 10 mg/kg, which is 25.6-fold over the TED<sub>50</sub>, there are significant increases observed in arterial pressures<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!