Web: www.taiclone.com
Tel: +886-2-2735-9682
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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_{50}**s of 2.8, 2.6, 6.4, 6 nM for **FGFR1**, **FGFR2**, **FGFR3**, **FGFR4**, respectively.

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

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_{50} values of 0.3 to 0.8 nM. LY2874455 indeed inhibits FGFR2 phosphorylation in SNU-16 and KATO-III cells, with estimated IC_{50} 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_{50} 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[1].

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_{50} and TED_{90} (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_{50} (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_{50}, there are significant increases observed in arterial pressures[1].