Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

LY2109761

Catalog No: tcsc0571

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
700874-71-1

Formula:
$C_{26}H_{27}N_5O_2$

Pathway:
Autophagy;TGF-beta/Smad

Target:
Autophagy;TGF-β Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 30 mg/mL (67.95 mM)

Observed Molecular Weight:
441.52

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Product Description

LY2109761 is an orally active, selective **TGF-β receptor type I/II (TβRI/II)** dual inhibitor with **K_i** of 38 nM and 300 nM, respectively, and negatively affects the phosphorylation of Smad2.

IC50 & Target: Ki: 38 nM (TβRI), 300 nM (TβRII)

In Vitro: LY2109761 significantly inhibits the growth of L3.6pl/GLT soft agar colonies in a dose-dependent manner, and results in appr 33% inhibition at 2 μM and 73% inhibition at 20 μM. Targeting TβRI/II kinase activity with LY2109761 (5 μM) almost completely suppresses both the basal and TGF-β1-stimulated migration of L3.6pl/GLT cells[1]. LY2109761 induces a dose-dependent reduction in phosphorylation of Smad-2. HLE endogenous phosphorylation of Smad-2 is inhibited by LY2109761. LY2109761 blocks migration on different ECM proteins and invasion of both HLE and HLF through a 3-dimensional structure. LY2109761 increases E-cadherin mRNA expression after 24 hours and protein levels after 48 hours[2]. LY2109761 pretreatment reduces clonogenic survival in cell cultures of U87MG and T98 following radiation, resulting in an increase in the radiosensitivity with a DEF0.1 of 1.30 and 1.37, respectively[3].

In Vivo: LY2109761 (50 mg/kg, p.o.) greatly reduces the tumor volume and increases the median survival duration of the mice to 45.0 days. The mice treated with LY2109761 develop significantly fewer metastatic lesions and, in some of them, no metastatic lesion, as indicated by the GFP signal, can be identified in the abdomen[1]. LY2109761 enhances radiation-induced tumor growth delay in a U87MG subcutaneous xenograft tumor model in BALB/c nude mice. LY2109761 increases survival in an orthotopical CSLC glioblastoma model and enhanced antitumor activity of radiation[2].