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

PD0325901

Catalog No: tcsc0062

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
391210-10-9

Formula:
$C_{16}H_{14}F_3IN_2O_4$

Pathway:
Autophagy;MAPK/ERK Pathway

Target:
Autophagy;MEK

Storage Buffer:
5%DMSO+40%PEG300+5%Tween80+50%water 5mg/ml

Purity / Grade:

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>98%

Solubility:
96.0 mg/mL (199.1 mM)
Ethanol 39.0 mg/mL (80.9 mM)
Water Insoluble

Alternative Names:
PD325901

Observed Molecular Weight:
482.19

Notes

Mechanism: PD0325901 is a derivative of CI-1040, which is a non-competitive inhibitor of MEK1/2.

Product Description

PD0325901 is a selective and non ATP-competitive **MEK** inhibitor with **IC_{50}** of 0.33 nM, roughly 500-fold more potent than CI-1040 on phosphorylation of ERK1 and ERK2.

IC50 & Target: IC50: 0.33 nM (MEK)

In Vitro: PF0325901 shows higher permeability, and should be able to achieve higher systemic exposures than CI-1040[1]. PD0325901 is exquisitely specific and highly potent against purified MEK, revealing a K_i^{app} of 1 nM against activated MEK1 and MEK2. PD0325901 is roughly 500-fold more potent than CI-1040 with respect to its cellular effects on phosphorylation of ERK1 and ERK2, displaying subnanomolar activity. PD0325901 prevents the growth of melanoma cell lines. PD0325901 inhibits the growth of TPC-1 cells and K2 cells with GC_{50} of 11 nM and 6.3 nM, respectively. PD0325901 significantly prevents the the growth of PTC cells harboring a BRAF mutation at very low concentration (10 nM) and only moderately increases the growth of the PTC cells carrying the RET/PTC1 rearrangement at the same concentration. PD0325901 effectively inhibits the phosphorylation of ERK1/2 in multiple PTC cell lines[2].

In Vivo: PD0325901 (25 mg/kg, p.o.) inhibits phosphorylation of ERK by more than 50% at 24 hours post-dosing. The dose required to produce a 70% incidence of complete tumor responses (C26 model) is 25 mg/kg/day versus 900 mg/kg/day for PD0325901 and CI-1040, respectively. Anticancer activity of PD 0325901 has been demonstrated for a broad spectrum of human tumor xenografts. PD0325901 (20-25 mg/kg/day, p.o.) treatment in mice, shows no tumor growth inoculated with PTC cells bearing a BRAF mutation. For PTC with the RET/PTC1 rearrangement, the average tumor volume of the orthotopic tumor is decreased by 58% as compared with controls. PTC cells carrying a BRAF mutation are more sensitive to PD0325901 than are PTC cells carrying the RET/PTC1 rearrangement[2].

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