

# 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:**

>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.

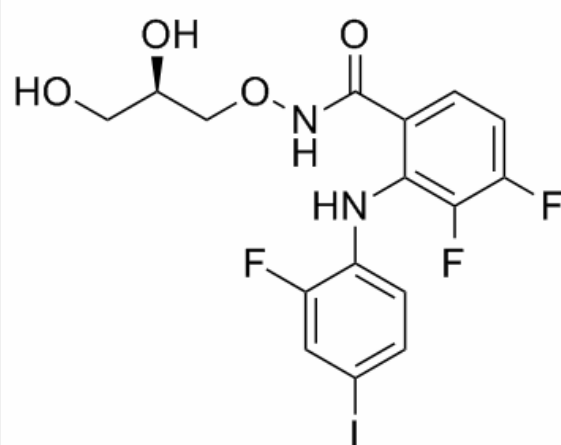
**Product Description**

PD0325901 is a selective and non ATP-competitive **MEK** inhibitor with **IC<sub>50</sub>** 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:** PD0325901 shows higher permeability, and should be able to achieve higher systemic exposures than CI-1040<sup>[1]</sup>. 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 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<sup>[2]</sup>.

**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<sup>[2]</sup>.



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