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

SCH772984

Catalog No: tcsc1421

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
942183-80-4

Formula:
$C_{33}H_{33}N_9O_2$

Pathway:
Stem Cell/Wnt;MAPK/ERK Pathway

Target:
ERK;ERK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 51 mg/mL (86.78 mM)

Observed Molecular Weight:
587.67

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Product Description

SCH772984 potently inhibits **ERK1** and **ERK2** activity with **IC_{50}** values of 4 and 1 nM, respectively.

IC50 & Target: IC50: 4/1 nM (ERK1/2)[1]

In Vitro: SCH772984 shows EC_{50} values less than 500 nM in approximately 88% and 49% of BRAF-mutant (n=25) or RAS-mutant (n=35) tumor lines, respectively. Flow cytometric analysis of SCH772984-sensitive melanoma cells revealed a G1 arrest as well as an increase in the sub-G_1 fraction indicative of apoptosis. Less than 20% of cells wild-type for both RAS and BRAF (n=61) are sensitive to SCH772984[1].

In Vivo: Treatment of BRAF-mutant LOX melanoma xenografts with SCH772984 (50 mg/kg twice daily) leads to 98% tumor regression. Dose-dependent antitumor activity is also observed in the KRAS-mutant pancreatic MiaPaCa model, with 36% regression at 50 mg/kg twice daily. Importantly, tumor regression is accompanied by robust inhibition of ERK phosphorylation in tumor tissue. SCH772984 is well tolerated on this schedule as measured by morbidity, lethality, or body weight loss[1].