Taiclone®
Quality Guarantee

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

LY2857785

Catalog No: tcsc3336

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1619903-54-6

Formula:
$C_{26}H_{36}N_6O$

Pathway:
Cell Cycle/DNA Damage

Target:
CDK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
448.6

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Product Description

LY2857785 is a type I reversible and competitive ATP kinase inhibitor against **CDK9** (**IC_{50}** 11 nM) and other transcription kinases **CDK8** (**IC_{50}** 16 nM), and **CDK7** (**IC_{50}** 246 nM).

IC50 & Target: IC50: 11 nM (CDK9), 16 nM (CDK8), 246 nM (CDK7)[1]

In Vitro: LY2857785 shows good selectivity against a panel of 114 protein kinases, with only 5 other protein kinases inhibited with potency (IC_{50}) less than 0.1 μM, and a total of 14 kinases less than 1 μM. At the cellular level, LY2857785 inhibits CTD P-Ser2 and CTD P-Ser5 in U2OS cells at IC_{50}s 0.089 (n=13) and 0.042 (n=1) μM, respectively. However, LY2857785 only induces a moderate G_2-M DNA content increase, from 35% to 55%, with EC_{50} 0.135 μM. LY2857785 shows potent compound exposure- and time-dependent cell proliferation inhibition in MV-4-11, RPMI8226, and L363 cells. When incubated between 4 to 24 hours, the cell growth inhibition potency reaches a maximal effect at 8 hours with IC_{50}s 0.04, 0.2, and 0.5 μM for MV-4-11, RPMI8226, and L363 cells, respectively. LY2857785-induced cancer cell apoptosis is also time dependent, reaching maximal potency at 8 hours with IC_{50} 0.5 μM in L363 cells [1].

In Vivo: In HCT116 xenograft tumor-bearing mice, LY2857785 demonstrates dose-dependent RNAP II CTD P-Ser2 inhibition potently with TED50 of 4.4 mg/kg and TEC50 of 0.36 μM. LY2857785 also shows significant duration of CTD P-Ser2 inhibition for 3 to 6 hours at TED70 (8 mg/kg) in HCT116 and MV-4-11 nude mice xenograft models. In the nude rat MV-4-11 xenograft model, LY2857785 similarly shows dose-dependent CTD P-Ser2 inhibition for 8 hours at TED70 (7 mg/kg) and TED90 (10 mg/kg). LY2857785 demonstrates the most dramatic tumor regression in the AML MV-4-11 xenograft tumor model either by i.v. bolus in mice or i.v. infusion in rats[1].