

LY2090314

Catalog No: tcsc1633

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

603288-22-8

Formula:

 $\mathsf{C}_{\mathbf{28}}\mathsf{H}_{\mathbf{25}}\mathsf{FN}_{\mathbf{6}}\mathsf{O}_{\mathbf{3}}$

Pathway: Stem Cell/Wnt;PI3K/Akt/mTOR

Target:

GSK-3;GSK-3

Purity / Grade:

>98%

Solubility: DMSO : \geq 31 mg/mL (60.48 mM)

Observed Molecular Weight:

512.53

Product Description

LY2090314 is a potent inhibitor of glycogen synthase kinase-3 (GSK-3) with IC₅₀ values of 1.5 nM and 0.9 nM for GSK-3α and

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GSK-3β, respectively.

IC50 & Target: IC50: 0.9 nM (GSK-3β), 1.5 nM (GSK-3α)^[1]

In Vitro: LY2090314 (20 nM) promotes a time-dependent stabilization of β -catenin total protein as well as an induction of Axin2. LY2090314 is highly selective towards GSK3 as demonstrated by its fold selectivity relative to a large panel of kinases. LY2090314 potently induces apoptotic cell death in a panel of melanoma cell lines irrespective of BRAF mutation status. Cell death induced by LY2090314 is dependent on β -catenin and GSK3 β knockdown increases the sensitivity of cells to LY2090314. LY2090314 remains active in cell lines resistant to Vemurafenib and has an independent mechanism of action^[2].

In Vivo: LY2090314 exhibits high clearance (approximating hepatic blood flow) and a moderate volume of distribution (appr 1-2 L/kg) resulting in rapid elimination (half-life appr 0.4, 0.7, and 1.8-3.4 hours in rats, dogs, and humans, respectively). LY2090314 is rapidly cleared by extensive metabolism with negligible circulating metabolite exposures due to biliary excretion of metabolites into feces with no apparent intestinal reabsorption^[1]. LY2090314 (25 mg/kg Q3D, i.v.) elevates Axin2 gene expression in vivo, demonstrates single agent activity in the A375 xenograft model of melanoma and enhances the efficacy of DTIC^[2].



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