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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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LY2090314

Cat. No.: HY-16294

CAS No.: 603288-22-8

Molecular Formula: $C_{28}H_{25}FN_6O_3$

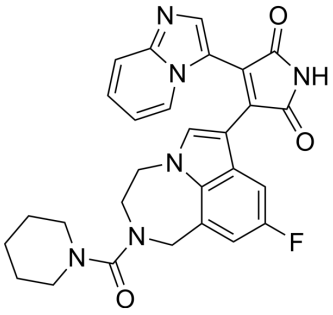
Molecular Weight: 512.53

Target: GSK-3

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (48.78 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9511 mL	9.7555 mL	19.5111 mL
	5 mM	0.3902 mL	1.9511 mL	3.9022 mL
	10 mM	0.1951 mL	0.9756 mL	1.9511 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 20% HP-β-CD/10 mM citrate pH 2.0
   Solubility: 10 mg/mL (19.51 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (2.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY2090314 is a potent inhibitor of glycogen synthase kinase-3 (GSK-3) with IC_{50} values of 1.5 nM and 0.9 nM for GSK-3α and GSK-3β, respectively.

IC_{50} & Target

GSK-3β	GSK-3α
0.9 nM (IC_{50})	1.5 nM (IC_{50})

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 GSK3β knockdown increases the sensitivity of cells to LY2090314. LY2090314 remains active in cell lines resistant to PLX4032 and has an independent mechanism of action[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Five million A375 human melanoma cancer cells are injected S.C. in the flank of female 6 to 8 week old athymic nude mice in a 1:1 mixture with matrigel. Mice are monitored daily for palpable tumors. When tumors reach appr 100 mm^2 mice are randomized into groups receiving either LY2090314 (25 mg/kg Q3D) or vehicle (20% Captisol/0.01N HCl) via i.v. administration. Tumor volume (measured by calipers) and animal body weight are recorded twice weekly. Tumor volumes are calculated using the formula: $(a^2 \times b)/2$ (a being the smaller and b being the larger dimension of the tumor). For combination studies with DTIC (60 mg/kg QD), LY2090314 is dosed at 2.5 mg/kg Q3D and tumor growth monitored. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Res. 2022 Jun;32(6):513-529.
- Nat Commun. 2019 Sep 25;10(1):4364.
- Elife. 2020 Dec 7;9:e61405.
- Stem Cell Reports. 2018 Dec 11;11(6):1539-1550.
- Int J Mol Sci. 2022, 23(3), 1312.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Zamek-Gliszczynski MJ, et al. Pharmacokinetics, metabolism, and excretion of the glycogen synthase kinase-3 inhibitor LY2090314 in rats, dogs, and humans: a case study in rapid clearance by extensive metabolism with low circulating metabolite exposure. Dr

[2]. Atkinson JM, et al. Activating the Wnt/β-Catenin Pathway for the Treatment of Melanoma--Application of LY2090314, a Novel Selective Inhibitor of Glycogen Synthase Kinase-3. PLoS One. 2015 Apr 27;10(4):e0125028.

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