



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **DY131**
产品别名: **GSK 9089**

生物活性:

Description

DY131(GSK 9089) is a novel selective agonist of ERRβ and ERRγ; displays minimal activity at ERRα, ERα and ERβ at concentrations up to 30 μM. IC50 value: Target: ERRβ/γ DY131, a selective ERRγ agonist, could potentiate the ERRγ-induced growth inhibition in LNCaP- ERRγ and DU145- ERRγ cells in a dose-dependent manner compared with respective parental cells. DY131 inhibited the growth of the ERα-positive endometrial cancer cells but promoted that of the ERα-negative cancer cells. DY131 had no effect on the structurally related receptors ERRα or the estrogen receptors alpha and beta (ERalpha/beta). DY131 appears to inhibit Smo signaling through a common binding site shared by previously reported Smo agonists and antagonists.

In Vitro:

DMSO : 50 mg/mL (160.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
	1 mM		3.2115 mL	16.0576 mL	32.1151 mL
	5 mM		0.6423 mL	3.2115 mL	6.4230 mL
	10 mM		0.3212 mL	1.6058 mL	3.2115 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。

储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:

——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.03 mM, 饱和度未知) 的澄清溶液。

以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀; 向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (8.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.03 mM, 饱和度未知) 的澄清溶液。

以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。

Solvent&Solubility



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (8.03 mM); Suspended solution 此方案可获得 ≥ 2.5 mg/mL (8.03 mM, 饱和度未知) 的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Wang Y, Arvanites AC, Davidow L, et al. Selective identification of hedgehog pathway antagonists by direct analysis of smoothened ciliary translocation . ACS Chem Biol. 2012,15;7(6):1040-8. [2]. Kumar P, Mendelson CR. Estrogen-related receptor gamma (ERRgamma) mediates oxygen-dependent induction of aromatase (CYP19) gene expression during human trophoblast differentiation. Mol Endocrinol. 2011;25(9):1513-26. [3]. Yamamoto T, Mori T, Sawada M, et al. Estrogen-related receptor-γ regulates estrogen receptor-α responsiveness in uterine endometrial cancer. Int J Gynecol Cancer. 2012;22(9):1509-16. [4]. Yu S, Wang X, Ng CF, et al. ERRgamma suppresses cell proliferation and tumor growth of androgen-sensitive and androgen-insensitive prostate cancer cells and its implication as a therapeutic target for prostate cancer. Cancer Res. 2007;67(10):4904-14. [5]. Donna D. Yu, Barry Marc Forman. Identification of an agonist ligand for estrogen-related receptors ERRβ/γ. Bioorganic & Medicinal Chemistry Letters. 2005,15(5): 1311-1313.

源叶生物