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产品名称：WAY-262611
产品别名：WAY-262611

生物活性：

Description	WAY-262611 is a wingless β-Catenin agonist that increases bone formation rate with an EC50 of 0.63 μM in TCF-Luciferase assay.
IC_{50} & Target	EC50: 0.63 μM (β-Catenin)[1]
In Vitro	WAY-262611 has the most potent activity in the primary assay, low kinase inhibition potential, and high solubility[1].
In Vivo	WAY-262611 has excellent pharmacokinetic properties and shows a dose dependent increase in the trabecular bone formation rate in ovariectomized rats following oral administration. Calvariae from wt mice treated with WAY-262611 shows statistically increased BFR, while similarly treated KO animals are no different from control. This indicates that WAY-262611 is acting via the Wnt β-catenin pathway and most likely through inhibition of Dkk-1[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 42 mg/mL (131.90 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1405 mL	15.7025 mL	31.4051 mL
	5 mM	0.6281 mL	3.1405 mL	6.2810 mL
	10 mM	0.3141 mL	1.5703 mL	3.1405 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: ≥ 1.67 mg/mL (5.24 mM); Clear solution

此方案可获得 ≥ 1.67 mg/mL (5.24 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 16.699999 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: ≥ 1.67 mg/mL (5.24 mM); Clear solution

此方案可获得 ≥ 1.67 mg/mL (5.24 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 16.699999 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 1.67 mg/mL (5.24 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (5.24 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Pelletier JC, et al. (1-(4-(Naphthalen-2-yl)pyrimidin-2-yl)piperidin-4-yl)methanamine: a wingless beta-catenin agonist that increases bone formation rate. J Med Chem. 2009 Nov 26;52(22):6962-5.

实验参考：

Animal Administration	Rats: WAY-262611 is dissolved in DMSO and diluted with saline for iv (Rats). WAY-262611 is prepared in 0.5% methylcellulose/2% Tween-80 for po OVX rats14 are treated orally with 5 (po, vehicle=0.5% methylcellulose/2% Tween-80, qd, 28 days) at four doses. Trabecular bone formation rate (BFR) in the tibia is established in all dose groups at the end of the in-life portion of the study. A clear dose response and activity as low as 0.3 mg/kg/day are observed[1]. Mice: To confirm activity via the Wnt pathway, the calvariae of wild type (wt) and Dkk-1 knockout (KO) mice are treated with 5 once a day for 7 days (DMSO solution, sc injection). The KO animals are not expected to respond because of the inherent inability to inhibit a missing target protein, while wild type animals with fully expressed Dkk-1 are expected to show a pharmacological response [1].
References	[1]. Pelletier JC, et al. (1-(4-(Naphthalen-2-yl)pyrimidin-2-yl)piperidin-4-yl)methanamine: a wingless beta-catenin agonist that increases bone formation rate. J Med Chem. 2009 Nov 26;52(22):6962-5.