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产品名称：GLPG0492
产品别名：GLPG0492

生物活性：

Description

GLPG0492 is a novel selective androgen receptor modulator; exhibited anabolic activity on muscle, strongly dissociated from the androgenic activity on prostate after oral dosing. IC50 value: Target: AR modulator GLPG0492 has very good pharmacokinetic properties, including bioavailability in rat (F > 50%), and is currently under evaluation in phase I clinical trials [1]. GLPG0492 is a new non-steroidal selective androgen receptor modulator that is currently under development for musculo-skeletal diseases such as sarcopenia and cachexia. In acute exhaustion tests, a surrogate of the 6-min walking test used in DMD patients, GLPG0492 preserved running performance, whereas vehicle- or comparator-treated animals showed a significant increase in fatigue (30-50%) [2]. GLPG0492 treatment partially prevents immobilization-induced muscle atrophy with a trend to promote muscle fiber hypertrophy in a dose-dependent manner. Interestingly, GLPG0492 was found as efficacious as TP at reducing muscle loss while sparing reproductive tissues. Furthermore, gene expression studies performed on tibialis samples revealed that both GLPG0492 and TP were slowing down muscle loss by negatively interfering with major signaling pathways controlling muscle mass homeostasis [3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (128.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5685 mL	12.8426 mL	25.6852 mL
	5 mM	0.5137 mL	2.5685 mL	5.1370 mL
	10 mM	0.2569 mL	1.2843 mL	2.5685 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 (6.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.42 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 (6.42 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.42 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.42 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.42 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 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]. Nique F, et al. Identification of a 4-(hydroxymethyl)diarylhydantoin as a selective androgen receptor modulator. J Med Chem. 2012 Oct 11;55(19):8236-47. [2]. Cozzoli A, et al. GLPG0492, a novel selective androgen receptor modulator, improves muscle performance in the exercised-mdx mouse model of muscular dystrophy. Pharmacol Res. 2013 Jun;72:9-24. [3]. Blanqué R, et al. Characterization of GLPG0492, a selective androgen receptor modulator, in a mouse model of hindlimb immobilization. BMC Musculoskelet Disord. 2014 Sep 3;15:291.