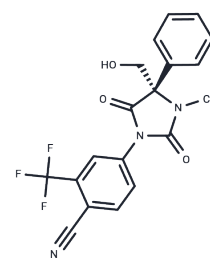


GLPG0492

Chemical Properties

CAS No. : 1215085-92-9
 Formula: C₁₉H₁₄F₃N₃O₃
 Molecular Weight: 389.33
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	GLPG0492 is a novel selective androgen receptor modulator. After oral dosing, it showed anabolic activity on muscle, strongly dissociated from the androgenic activity on the prostate.
Targets(IC50)	Androgen Receptor
In vitro	GLPG0492 is a new non-steroidal selective androgen receptor modulator that is currently under development for musculo-skeletal diseases such as sarcopenia and cachexia. GLPG0492 was found as efficacious as TP at reducing muscle loss while sparing reproductive tissues. GLPG0492 treatment partially prevents immobilization-induced muscle atrophy with a trend to promote muscle fiber hypertrophy in a dose-dependent manner. 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]. A surrogate of the 6-min walking test used in DMD patients, GLPG0492 preserved running performance, in acute exhaustion tests. Whereas vehicle- or comparator-treated animals showed a significant increase in fatigue (30-50%) [2]. 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].

Solubility Information

Solubility	DMSO: 45 mg/mL (115.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.14 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5685 mL	12.8426 mL	25.6852 mL
5 mM	0.5137 mL	2.5685 mL	5.137 mL
10 mM	0.2569 mL	1.2843 mL	2.5685 mL
50 mM	0.0514 mL	0.2569 mL	0.5137 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481