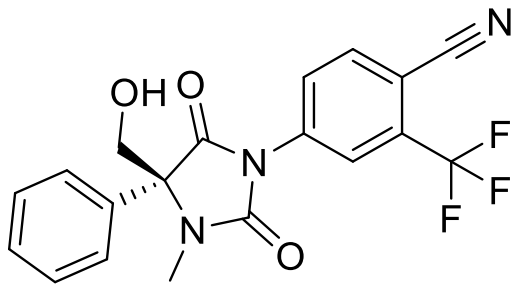
Product data sheet

MedKoo Cat#: 527902 Name: GLPG-0492 CAS: 1215085-92-9 Chemical Formula: $C_{19}H_{14}F_3N_3O_3$ Exact Mass: 389.0987 Molecular Weight: 389.3342	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GLPG-0492, also known as DT-200, is a non-steroidal selective androgen receptor modulator potentially for the treatment of Duchenne muscular dystrophy. GLPG0492 treatment partially prevents immobilization-induced muscle atrophy with a trend to promote muscle fiber hypertrophy in a dose-dependent manner. Gene expression studies performed on tibialis samples revealed that both GLPG0492 was slowing down muscle loss by negatively interfering with major signaling pathways controlling muscle mass homeostasis.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50.0	128.42

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.57 mL	12.84 mL	25.69 mL
5 mM	0.51 mL	2.57 mL	5.14 mL
10 mM	0.26 mL	1.28 mL	2.57 mL
50 mM	0.05 mL	0.26 mL	0.51 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Zierau O, Kolodziejczyk A, Vollmer G, Machalz D, Wolber G, Thieme D, Keiler AM. Comparison of the three SARMs RAD-140, GLPG0492 and GSK-2881078 in two different in vitro bioassays, and in an in silico androgen receptor binding assay. J Steroid Biochem Mol Biol. 2019 May;189:81-86. doi: 10.1016/j.jsbmb.2019.02.014. Epub 2019 Feb 27. PMID: 30825507.

In vivo study

1. Nique F, Hebbe S, Triballeau N, Peixoto C, Lefrançois JM, Jary H, Alvey L, Manioc M, Housseman C, Klaassen H, Van Beeck K, Guédin D, Namour F, Minet D, Van der Aar E, Feyen J, Fletcher S, Blanqué R, Robin-Jagerschmidt C, Deprez P. Identification of a 4-(hydroxymethyl)diarylhydantoin as a selective androgen receptor modulator. J Med Chem. 2012 Oct 11;55(19):8236-47. doi: 10.1021/jm300281x. Epub 2012 Sep 25. PMID: 22957947.
2. Hotta N, Kakuta H, Fukasawa H, Koh N, Sakakibara F, Nakamura J, Hamada Y, Wakao T, Hara T, Mori K, et al. Effect of a potent new aldose reductase inhibitor, (5-(3-thienyltetrazol-1-yl)acetic acid (TAT), on diabetic neuropathy in rats. Diabetes Res Clin Pract. 1995 Feb;27(2):107-17. doi: 10.1016/0168-8227(95)01033-a. PMID: 7607048.

7. Bioactivity

Product data sheet

Biological target:

GLPG0492 is a non-steroidal selective androgen receptor modulator (potency 12 nM).

In vitro activity

Molecular modeling of the binding to the androgen receptor ligand binding domain suggests slight differences in the binding modes of RAD-140, GSK-2881078 and GLPG0492. In conclusion, androgenic activity of the three non-steroidal compounds in the two different in vitro test systems confirmed the results of the in silico modeling of the androgen receptor binding.

Reference: J Steroid Biochem Mol Biol. 2019 May;189:81-86. https://pubmed.ncbi.nlm.nih.gov/30825507/

In vivo activity

Sciatic nerve blood flow (SNBF) was markedly lower (about 43.4%) in untreated diabetic (DC) rats than in non-diabetic controls (NC). A significant delay in caudal motor nerve conduction velocity (MNCV) and significantly higher glucose, sorbitol and fructose values were observed in the sciatic nerve, accompanied by a markedly higher sorbitol concentration in erythrocytes. In contrast, TAT-treated diabetic groups (DT-10, DT-40 and DT-200) had significantly higher SNBF, MNCV and sciatic nerve myo-inositol values and lower sciatic nerve sorbitol and fructose levels and erythrocyte sorbitol concentration than the DC group.

Reference: Diabetes Res Clin Pract. 1995 Feb;27(2):107-17. https://pubmed.ncbi.nlm.nih.gov/7607048/