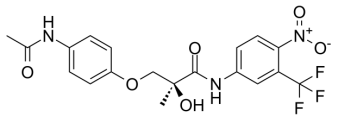
GTx-007

Cat. No.:	HY-12023
CAS No.:	401900-40-1
Molecular Formula:	$C_{19}H_{18}F_3N_3O_6$
Molecular Weight:	441.36
Target:	Androgen Receptor
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (226.57 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2657 mL	11.3286 mL	22.6572 mL
5 mM	0.4531 mL	2.2657 mL	4.5314 mL
10 mM	0.2266 mL	1.1329 mL	2.2657 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GTx-007 (S-4) is an orally active and selective nonsteroidal androgen receptor (AR) modulator (SARM) and a partial agonist, with K_i of 4 nM. GTx-007 (S-4) is identified as SARMs with potent and tissue-selective in vivo pharmacological activity[1][2].

In Vivo

GTx-007 (S-4) is only a partial agonist in the prostate and seminal vesicles, restoring them to 33.8 and 28.2% of intact animals, respectively[2].

GTx-007 significantly increased uterine expression of Wnt4 and Wnt7a[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C57BL/6J mice[2].
Dosage:	0.5 mg/mouse.
Administration:	Seven daily subcutaneous injections.
Result:	Resulted in a highly heterogeneous pattern of AR expression in all compartments, with a significant increase of AR-positive cells in the luminal epithelium compared to VC. Had approximately ten glands per uterine cross-section within an endometrium, with a compact stroma, consistent with overall endogenous steroid depletion. Did not detect any impact of GTx-007 on body weight.

CUSTOMER VALIDATION

- Drug Test Anal. 2020 Dec 7.
- Drug Test Anal. 2020 Aug 27.

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REFERENCES

[1]. Donghua Yin, et al. Pharmacodynamics of selective androgen receptor modulators. J Pharmacol Exp Ther. 2003 Mar;304(3):1334-40.

[2]. Ioannis Simitsidellis, et al. Selective androgen receptor modulators (SARMs) have specific impacts on the mouse uterus. J Endocrinol. 2019 Sep;242(3):227-239.

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