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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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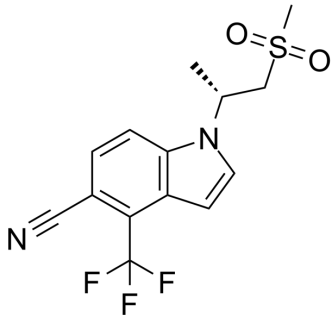
GSK-2881078

Cat. No.:	HY-100186
CAS No.:	1539314-06-1
Molecular Formula:	$C_{14}H_{13}F_3N_2O_2S$
Molecular Weight:	330.33
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 : ≥ 33 mg/mL (99.90 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0273 mL	15.1364 mL	30.2728 mL
5 mM	0.6055 mL	3.0273 mL	6.0546 mL
10 mM	0.3027 mL	1.5136 mL	3.0273 mL

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

BIOLOGICAL ACTIVITY

Description

GSK-2881078 is an orally active and nonsteroidal selective androgen receptor modulator (SARM) which act as partial AR agonists in androgenic tissues while mainly as complete AR agonists in synthetic metabolic tissues,induces AR-mediated transcriptional activation in PC3(AR)$_2$ cells (EC_{50} = 3.99 nM) and the effect can be inhibited by the non-steroidal AR antagonist Bicalutamide. GSK-2881078 can be used in research of muscle weakness and cachexia associated with both chronic and acute illness[1][2][3][4].

In Vitro

GSK-2881078 has EC_{50}s of 4.44 μM and 3.99 × 10^{-3} μM for reporter gene of androgen inducible yeast and PC3(AR)$_2$ cells (human prostate carcinoma cell), respectively[4].

GSK-2881078 (10^{-5}-10 μM, 24 h) stimulates reporter gene expression dose-dependently in the PC3(AR)$_2$, increasing the relative luminescence units from 140% to 17340%[4].

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

CUSTOMER VALIDATION

- J Steroid Biochem Mol Biol. 2019 Feb 27;189:81-86.
- Drug Test Anal. 2021 Oct 29.
- Drug Test Anal. 2020 Dec 7.
- Drug Test Anal. 2020 Aug 27.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Xie Y, et al. Overview of the development of selective androgen receptor modulators (SARMs) as pharmacological treatment for osteoporosis (1998-2021). Eur J Med Chem. 2022;230:114119.

[2]. Clark RV, et al. Safety, pharmacokinetics and pharmacological effects of the selective androgen receptor modulator, GSK2881078, in healthy men and postmenopausal women. Br J Clin Pharmacol. 2017 Oct;83(10):2179-2194.

[3]. Neil D, et al. GSK2881078, a SARM, Produces Dose-Dependent Increases in Lean Mass in Healthy Older Men and Women. J Clin Endocrinol Metab. 2018;103(9):3215-3224.

[4]. Zierau O, et al. 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.

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