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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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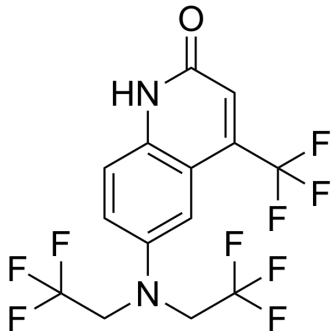
LGD-2226

Cat. No.:	HY-105318
CAS No.:	328947-93-9
Molecular Formula:	$C_{14}H_9F_9N_2O$
Molecular Weight:	392.22
Target:	Androgen Receptor
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (318.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5496 mL	12.7479 mL	25.4959 mL
	5 mM	0.5099 mL	2.5496 mL	5.0992 mL
	10 mM	0.2550 mL	1.2748 mL	2.5496 mL

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

BIOLOGICAL ACTIVITY

Description

LGD-2226 is a selective and orally active androgen receptor modulator with an EC_{50} of 0.2 nM and a K_i of 1.5 nM for human androgen receptor. LGD-2226 shows tissue selectivity in animal models, with reduced effects on prostate compared to muscle. LGD-2226 can be used for muscle wasting, osteoporosis and sexual dysfunction[1][2].

IC_{50} & Target

EC50: 0.2 nM (Androgen receptor)[1]; Ki: 1.5 nM (Androgen receptor)[1]

In Vitro

LGD-2226 (Compound 4m) occupies the same binding pocket as dihydrotestosterone (DHT), and in general, the protein backbone is superposable to that observed with DHT. Just like the carbonyl group of DHT, the quinolone carbonyl forms hydrogen bond interactions with GLN711 and ARG752. GLN711 forms an additional hydrogen bond with the quinolone NH of LGD-2226. The trifluoroethyl groups of LGD-2226 occupy the same space in the receptor as the C and D rings of the steroid[1].

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

In Vivo

LGD-2226 (Compound 4m; 1-100 mg/kg; oral administration; daily; for 2 weeks; adult oORDX rats) has a pronounced effect on the levator ani muscle, maintaining the muscle weight at the eugonadal levels at an approximate 3 mg/kg dose. LGD-2226 has weak trophic effects on the prostate. An amount of 100 mg/kg LGD-2226 is required to maintain prostate weight at intact levels. These data clearly show the tissue selectivity of LGD-2226[1].

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

Animal Model:	Adult orchidectomized (ORDX) rats[1]
Dosage:	1-100 mg/kg
Administration:	Oral administration; daily; for 2 weeks
Result:	Had a pronounced effect on the levator ani muscle, maintaining the muscle weight at the eugonadal levels at an approximate 3 mg/kg dose.

REFERENCES

[1]. van Oeveren A, et al. Discovery of 6-N,N-bis(2,2,2-trifluoroethyl)amino- 4-trifluoromethylquinolin-2(1H)-one as a novel selective androgen receptor modulator. J Med Chem. 2006 Oct 19;49(21):6143-6.

[2]. Miner JN, et al. An orally active selective androgen receptor modulator is efficacious on bone, muscle, and sex function with reduced impact on prostate. Endocrinology. 2007 Jan;148(1):363-73.

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