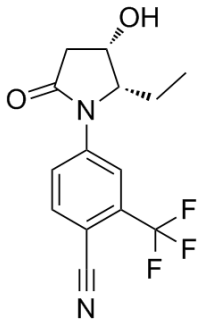
Androgen receptor modulators 1

Cat. No.:	HY-101781
CAS No.:	1114546-03-0
Molecular Formula:	$C_{14}H_{13}F_3N_2O_2$
Molecular Weight:	298.26
Target:	Androgen Receptor
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	Androgen receptor modulators 1 is a **selective androgen receptor modulator (SARM)**. Androgen receptor modulators 1 has strong agonistic activities with an **EC_{50}** of 4.7 nM[1].
IC_{50} & Target	EC50: 4.7 nM (SARM)[1]

In Vivo

Androgen receptor modulators 1 (Compounds 2f) induces sexual behavior at the minimal dose (0.5 mg/kg/day, qd, po, 7 days). Androgen receptor modulators 1 could act as androgen agonist on the CNS[1].

Androgen receptor modulators 1 shows good metabolic stabilities with little species difference between rat, dog, mouse, monkey and human[1].

Animal Model:	8-weeks-old castrated male SD rats[1]
Dosage:	0.15, 0.50, 1.5, 5.0, 15 mg/kg
Administration:	Oral, daily, 7 day
Result:	After treatment with Androgen receptor modulators 1 for 3 weeks, sexual behavior induction is confirmed by the pseudopregnancy rate in female rats. It induces sexual behavior at the minimal dose (0.5 mg/kg/day, qd, po)[1].

Animal Model:	Male Sprague–Dawley rats of 8 weeks[1]
Dosage:	1 mg/kg (i.v.) or 10 mg/kg (oral)
Administration:	i.v. or oral 15, and 30 min and at 1, 2, 4, 8, 12, and 24 h
Result:	Androgen receptor modulators 1 shows good systemic exposure and oral bioavailability (76%), and the low CL demonstrates that it is metabolized and excreted very slowly[1].

REFERENCES

[1]. Aikawa K, et al. Synthesis and biological evaluation of novel selective androgen receptor modulators (SARMs) Part III: Discovery of 4-(5-oxopyrrolidine-1-yl)benzonitrile derivative 2f as a clinical candidate. Bioorg Med Chem. 2017 Jul 1;25(13):3330-3349.

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