Org 43553

Cat. No.: HY-19464

CAS No.: 501444-88-8

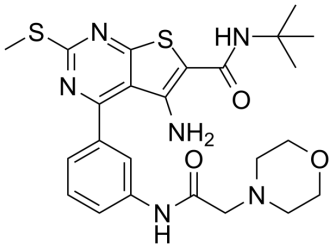
Molecular Formula: $C_{24}H_{30}N_6O_3S_2$

Molecular Weight: 514.66

Target: Others

Pathway: Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Org 43553 is an orally active and low molecular weight (LMW) luteinizing hormone receptor (LH-R) agonist. Org 43553 shows agonistic activity to human LH and FSH receptors with EC_{50} values of 3.7 and 110 nM, respectively. Org 43553 can be used for the research of endocrine[1].

In Vitro

Org 43553 (0-3 μM; 4 h) shows agonistic activity to human LH, FSH and TSH receptors[1].

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

Cell Viability Assay[1]

Cell Line:	CHO and HEK293 cell lines
Concentration:	0-3 μM
Incubation Time:	4 hours
Result:	Exhibited agonistic activity to human LH, FSH and TSH receptors with EC_{50} values of 3.7 nM, 110 nM and ⊠3 μM, respectively. Showed no CRF1-R agonistic effect in CHO-CRF1 cell line.

In Vivo

Org 43553 (5-50 mg/kg; p.o. once) triggers ovulation in immature mice and increases ovulation in GnRH-antagonist-treated rats[1].

Org 43553 (10-250 mg/kg; p.o. once) increases testosterone levels in male rats[1].

Pharmacokinetic Properties of Org 43553 in Rats and Dogs[1].

	Rats IV 5 mg/kg	Rats PO 50 mg/kg	Dogs IV 12.5 mg/kg	Dogs PO 50 mg/kg
AUC (h mg/L)	6.9±0.4	55.1±2.0	9.1±3.1	16.1±1.2
T_{max} (h)		3.3±3.3		0.9±1.0
C_{max} (mg/L)	5.1±2.3	3.8±0.9	8.7±2.2	4.1±1.7

$t_{1/2}$ (h)	3.4±1.3	4.5±1.8	1.5±1.3	3.5±2.5
CL (L/h)	0.7±0.1	0.9±0.1	1.5±0.6	3.1±0.2

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

Animal Model:	Immature Humegon primed mice and GnRH-antagonist-treated female Orga rats [1]
Dosage:	5-50 mg/kg
Administration:	Oral gavage; 50 mg/kg once
Result:	Triggered ovulation in 80% of the animals, with a mean number of 9.3 ova per ovulating animals. Dose-dependently increased the number of ovulated oocytes in the ampulla, and showed a similar ovulation number at a dose of 25 mg/kg compared with hCG group.

Animal Model:	Adult male rats[1]
Dosage:	10, 50 and 250 mg/kg
Administration:	Oral gavage; 10-250 mg/kg once
Result:	Significantly increased testosterone production in male rats and at a dose of 250 mg/kg the testosterone levels were very similar to those induced by hCG.

REFERENCES

[1]. van de Lagemaat R, et al. Induction of ovulation by a potent, orally active, low molecular weight agonist (Org 43553) of the luteinizing hormone receptor. Hum Reprod. 2009 Mar;24(3):640-8.

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