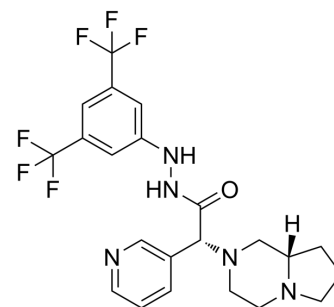


(α R,8aS)-GSK1614343

Cat. No.:	HY-113906A		
CAS No.:	1092476-84-0		
Molecular Formula:	C ₂₂ H ₂₃ F ₆ N ₅ O		
Molecular Weight:	487.44		
Target:	GHSR		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (205.15 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
1 mM		2.0515 mL	10.2577 mL	20.5153 mL
5 mM		0.4103 mL	2.0515 mL	4.1031 mL
10 mM		0.2052 mL	1.0258 mL	2.0515 mL

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

BIOLOGICAL ACTIVITY

Description

(α R,8aS)-GSK1614343 (compound 18a, d2) is a cyclized ghrelin antagonist with an pIC₅₀ value of 8.4. (α R,8aS)-GSK1614343 shows a competitive antagonism of hGHSR1a with a mean pK_b value of 8.06^[1].

In Vitro

(α R,8aS)-GSK1614343 (0.1-1 μ M) demonstrates a surmountable and competitive antagonism of hGHSR1a with a mean pK_B value of 8.06^[1].

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

In Vivo

(α R,8aS)-GSK1614343 (10 mg/kg; i.v. once) inhibits GH release induced by exogenous ghrelin administration^[1].

(α R,8aS)-GSK1614343 (i.v. and p.o.) exhibits good oral bioavailability (F=46%), a limited clearance in blood (Cl_b=28 mL/min/kg) and a suitable half-life (5.0 h). In terms of rat brain penetration, a brain to blood AUC ratio of 2.2 is observed after p.o. administration of the compound at a dose of 3 mg/kg^[1].

(α R,8aS)-GSK1614343 exhibits a clean profile in terms of inhibition potential for the major human CYP450 isoforms with IC₅₀ value of \geq 10 μ M^[1].

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

REFERENCES

[1]. <https://pubmed.ncbi.nlm.nih.gov/20593439/>

Caution: Product has not been fully validated for medical applications. For research use only.

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