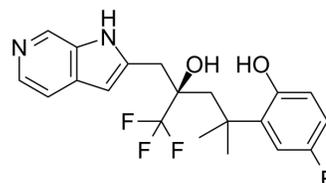


Glucocorticoid receptor agonist

Cat. No.:	HY-14234		
CAS No.:	1245526-82-2		
Molecular Formula:	C ₂₀ H ₂₀ F ₄ N ₂ O ₂		
Molecular Weight:	396.38		
Target:	Glucocorticoid Receptor		
Pathway:	Immunology/Inflammation; 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 : ≥ 100 mg/mL (252.28 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.5228 mL	12.6142 mL	25.2283 mL
	5 mM	0.5046 mL	2.5228 mL	5.0457 mL
	10 mM	0.2523 mL	1.2614 mL	2.5228 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Glucocorticoid receptor agonist is a Glucocorticoid receptor agonist that acts on Glucocorticoid receptor (GR), progesterone receptor (PR) and mineralocorticoid receptor (MR) with the IC₅₀ values of 2.1, 1200 and 210 nM, respectively. Glucocorticoid receptor agonist has steroid-like anti-inflammatory properties and may be used to improve metabolism and reduce increased levels of body fat and serum insulin^[1].

In Vitro

Glucocorticoid receptor agonist ((R)-16) has effect on IL-6 and MMTV with the IC₅₀ values of 3.3 and 80 nM, respectively, also

has effect on aromatase with the EC₅₀ value of 11 nM^[1].

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

In Vivo

Glucocorticoid receptor agonist ((R)-16) exhibits good pharmacokinetic properties in Sprague-Dawley rats^[1].

Glucocorticoid receptor agonist ((R)-16) (30 and 10 mg/kg, p.o., daily, 5 weeks) can reduce the increased levels of body fat and serum insulin and shows an effective inhibition of TNF- α production in LPS-stimulated mouse model^[1].

The pharmacokinetic parameters of Glucocorticoid receptor agonist ((R)-16) in Sprague-Dawley rat (5 mg/kg i.v. or 30 mg/kg p.o.)^[1].

Parameters	Cl (i.v.)(mL/min/kg)	V _{SS} (iv) (L/kg)	T _{1/2} (iv)(h)	C _{max} (po) (ng/mL)	AUC _{inf} (po) (h·ng/mL)	F(po)%
(R)-16	49	7.6	1.85	509	4879	48

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PROTOCOL

Animal Administration

Animal administration [1]Female Balb/c mice weighing approximately 20 g were used. Mice were administered the test compound and in Cremophor (po) approximately 60 min prior to LPS/D-gal administration. The volume of oral gavage was 0.15 mL. Then mice were administered LPS (E. coli LPS 055:85, 1.0 μ g/mouse) plus D-gal (50 mg/kg) intravenously in 0.2 mL of pyrogen-free saline. One hour after LPS/D-gal, each mouse was anesthetized, bled by cardiac puncture, and collected for serum TNF-R and compound levels. Blood samples were centrifuged at 2500 rpm for 10-15 min, the serum was decanted, and samples were stored frozen at -70°C until transfer either for TNF-R determination or to Drug Metabolism and Pharmacokinetics for plasma concentration analysis by HPLC. The concentration of TNF-R in the serum was measured by a commercially available ELISA kit. ELISA was performed. All samples are assayed in duplicate.

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

CUSTOMER VALIDATION

- Patent. US20220047602A1.

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REFERENCES

[1]. Doris Riether, et al. Nonsteroidal dissociated glucocorticoid agonists containing azaindoles as steroid A-ring mimetics. J Med Chem. 2010 Sep 23;53(18):6681-98.

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

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