Glucocorticoid receptor agonist

Cat. No.: HY-14234

CAS No.: 1245526-82-2

Molecular Formula: $C_{20}H_{20}F_4N_2O_2$

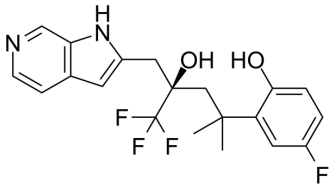
Molecular Weight: 396.38

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 / Mass / Concentration	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

1. 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
2. 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
3. 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 IC_{50} 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 IC_{50} values of 3.3 and 80 nM, respectively, also

has effect on aromatase with the EC_{50} 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

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

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 μg/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.

See more customer validations on www.MedChemExpress.com

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA