Mizacorat

Cat. No.: HY-120012

CAS No.: 1893415-00-3

Molecular Formula: $C_{27}H_{28}F_2N_4O_3$

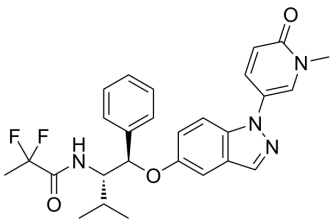
Molecular Weight: 494.53

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 (202.21 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0221 mL	10.1106 mL	20.2212 mL
5 mM	0.4044 mL	2.0221 mL	4.0442 mL
10 mM	0.2022 mL	1.0111 mL	2.0221 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 (5.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mizacorat (AZD9567; compound 15) is a potent, oral active, non-steroidal and selective glucocorticoid receptor modulator (SGRM), with an IC_{50} of 3.8 nM. Exhibits excellent efficacy in the streptococcal cell wall (SCW) reactivation model of joint inflammation[1].

IC_{50} & Target

IC50: 3.8 nM (Glucocorticoid receptor)[1].

In Vivo

Mizacorat (15 mg/kg/day, Oral gavage daily for 8 days) treatment shows excellent in vivo efficacy in a rat model of joint inflammation[1].

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

Animal Model:	Antigen-induced joint inflammation model in female Lewis rats (175-200 g), sensitized by an intra-articular injection of 5 μg of SCW[1].
Dosage:	15 mg/kg/day.
Administration:	Oral gavage daily for 8 days.
Result:	Inhibited ankle swelling in the rat SCW model.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2022 Jan;9(3):e2102435.
- Acta Pharmacol Sin. 2022 Sep;43(9):2429-2438.
- J Med Chem. 2022 Nov 18.
- Eur J Med Chem. 2022 Apr 20;237:114382.

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REFERENCES

[1]. Ripa L, et al. Discovery of a Novel Oral Glucocorticoid Receptor Modulator (AZD9567) with Improved Side Effect Profile. J Med Chem. 2018 Mar 8;61(5):1785-1799.

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