Glucocorticoid receptor modulator 1

Cat. No.: HY-151876

CAS No.: 2868357-11-1

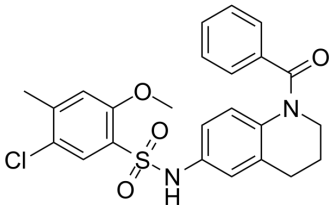
Molecular Formula: $C_{24}H_{23}ClN_2O_4S$

Molecular Weight: 470.97

Target: NF-κB; AP-1; Glucocorticoid Receptor

Pathway: NF-κB; Immunology/Inflammation; Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (212.33 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1233 mL	10.6164 mL	21.2328 mL
	5 mM	0.4247 mL	2.1233 mL	4.2466 mL
	10 mM	0.2123 mL	1.0616 mL	2.1233 mL

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

BIOLOGICAL ACTIVITY

Description

Glucocorticoid receptor modulator 1 is a highly potent and orally active non-steroidal selective glucocorticoid receptor modulator with an IC_{50} value of 9 nM and 130 nM for NF-κB and AP-1, respectively. Glucocorticoid receptor modulator 1 can effectively reduce the expression of inflammatory factors IL-6, IL-1β, TNF-α, also can relieve dermatitis in mice[1].

IC_{50} & Target

IC_{50}: 9 nM (NF-κB), 130 nM (AP-1)[1]

In Vitro

Glucocorticoid receptor modulator 1 (compound B53) shows inhibitory activities against NF-κB and AP-1 with IC_{50}s of 9 nM and 130 nM, respectively[1].

Glucocorticoid receptor modulator 1 (10 μM; 24 h) decreases the mRNA expression of the inflammatory factors of IL-6, IL-1β, TSLP, MMP-13, TNF-α, and CCL-2[1].

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

RT-PCR[1]

Cell Line:	Mouse macrophage RAW264.7 cells
Concentration:	10 μM

Incubation Time:	24 h
Result:	Practically decreased the mRNA expression of the inflammatory factors of IL-6, IL-1β, TSLP, MMP-13, TNF-α, and CCL-2. Reduced IL-6 and TNF-α dose-dependently with IC_{50}s of 6.90 μM and 7.74 μM, respectively.

In Vivo

Glucocorticoid receptor modulator 1 (5, 10 and 20 mg/kg; p.o.; for 24 days) relieves dermatitis in mice and suppresses the expression of inflammatory factors[1].

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

Animal Model:	Female BALB/C mice (5 weeks; 2,4-dinitrochlorobenzene-induced atopic dermatitis model) [1]
Dosage:	5, 10 and 20 mg/kg
Administration:	p.o.; for 24 days
Result:	Significantly improved the skin damage in a dose-dependent manner, and suppressed inflammatory factors of IL-6, IL-1β, TSLP, and MMP-13.

REFERENCES

[1]. Li D, Hou T, et al. Discovery and Optimization of N-Acyl-6-sulfonamide-tetrahydroquinoline Derivatives as Novel Non-Steroidal Selective Glucocorticoid Receptor Modulators. J Med Chem. 2022 Nov 18.

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