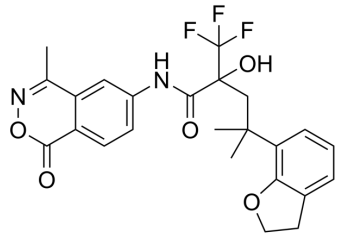
ZK 216348

Cat. No.:	HY-123352
CAS No.:	669073-68-1
Molecular Formula:	$C_{24}H_{23}F_3N_2O_5$
Molecular Weight:	476.45
Target:	Glucocorticoid Receptor
Pathway:	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 : 200 mg/mL (419.77 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0989 mL	10.4943 mL	20.9886 mL
	5 mM	0.4198 mL	2.0989 mL	4.1977 mL
	10 mM	0.2099 mL	1.0494 mL	2.0989 mL

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

BIOLOGICAL ACTIVITY

Description

ZK 216348 ((+)-ZK 216348) is a nonsteroidal selective glucocorticoid receptor agonist with an IC_{50} of 20.3 nM. ZK 216348 also binds to Progesterone and mineralocorticoid receptors with IC_{50}s of 20.4 nM and 79.9 nM, respectively. ZK 216348 has antiinflammatory activity similar to Prednisolone and induces less transactivation-mediated side effects[1][2].

IC_{50} & Target

IC50: 20.3 nM (Glucocorticoid recepto), 20.4 nM (Progesterone receptor) and 79.9 nM (mineralocorticoid receptor)[1]

In Vitro

In human peripheral blood mononuclear cells (PBMCs), ZK 216348 inhibits TNF-α and IL-12 with IC_{50} of 89 nM and 52 nM, respectively[1].

Participation of an active GR in the antiinflammatory response of ZK 216348 is further investigated in Caco-2 cells, where the TNF-α-induced expression of the proinflammatory cytokine IL-8 is suppressed in the presence of ZK 216348[2].

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

In Vivo

ZK 216348 (1-30 mg/kg; subcutaneous injection; for 24 hours; NMRI mice and Wistar rats) treatment inhibits ear edema in both mice and rats. A markedly superior side-effect profile is found in ZK 216348 with regard to increases in blood glucose, spleen involution, and, to a lesser extent, skin atrophy[1].

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

Animal Model:	NMRI mice (26-28 g) and Wistar rats (140-160 g) injection with Croton oil[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg and 30 mg/kg
Administration:	Subcutaneous injection; for 24 hours
Result:	Inhibited ear edema in mice and rats.

REFERENCES

[1]. Schäcke H, et al. Dissociation of transactivation from transrepression by a selective glucocorticoid receptor agonist leads to separation of therapeutic effects from side effects. Proc Natl Acad Sci U S A. 2004 Jan 6;101(1):227-32.

[2]. Reuter KC, et al. Selective glucocorticoid receptor agonists for the treatment of inflammatory bowel disease: studies in mice with acute trinitrobenzene sulfonic acid colitis. J Pharmacol Exp Ther. 2012 Apr;341(1):68-80.

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