Anti-inflammatory agent 35

Cat. No.: HY-148552

CAS No.: 2293951-00-3

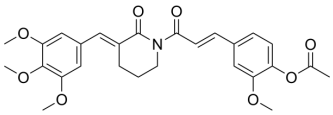
Molecular Formula: $C_{27}H_{29}NO_8$

Molecular Weight: 495.52

Target: p38 MAPK; ERK; NF-κB; Interleukin Related; TNF Receptor

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt; NF-κB; Immunology/Inflammation; Apoptosis

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (33.64 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0181 mL	10.0904 mL	20.1808 mL
	5 mM	0.4036 mL	2.0181 mL	4.0362 mL
	10 mM	0.2018 mL	1.0090 mL	2.0181 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 1.67 mg/mL (3.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Anti-inflammatory agent 35 (compound 5a27) is an orally active curcumin analogue with anti-inflammatory activity. Anti-inflammatory agent 35 blocks mitogen-activated protein kinase (MAPK) signaling and p65 nuclear translocation of NF-kB. Anti-inflammatory agent 35 also inhibits yellow neutrophil infiltration and pro-inflammatory cytokine production. Anti-inflammatory agent 35 significantly attenuates lipopolysaccharide (LPS)-induced acute lung injury (ALI) in vivo[1].

IC_{50} & Target

p38 MAPK	ERK	I-kappaBalpha	IL-6
p65	NF-κB		

In Vitro

Anti-inflammatory agent 35 (compound 5a27) (10 μM; 30 min) inhibits the production of proinflammatory cytokines (IL-6, TNF-α) induced by LPS (0.5 μg/mL; 24 h) without cytotoxicity in mouse primary macrophages (MPMs). Anti-inflammatory agent 35 inhibits the production of IL-6, TNF-α with IC50s of 2.23 μM and 2.40 μM, respectively[1].
Anti-inflammatory agent 35 (10 μM; 30 min) significantly inhibits LPS-induced activation in RAW 264.7 mouse macrophages. And it markedly inhibits p-p38 and p-ERK, decreases IκB level, indicating the suppression of MAPK and NF-κB signaling[1].

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

Western Blot Analysis[1]

Cell Line:	RAW 264.7 mouse macrophages
Concentration:	10 μM
Incubation Time:	30 min; followed by incubation with 0.5 μg/mL LPS for another 30 min
Result:	Decreased the phosphorylation of p38 and ERK. And down-regulated IκB (inhibitor of NF-κB), inhibits the transcription of TNF-α, IL-6, IL-1β, ICAM-1.

In Vivo

Anti-inflammatory agent 35 (compound 5a27) (50 mg/kg; po; single dose, monitored 0-25 min) has a better bioavailability than curcumin (HY-N0005)[1].

Anti-inflammatory agent 35 (10 mg/kg; ip; once daily for 1 week) improves LPS-induced ALI by inhibiting inflammation in mice model[1].

The pharmacokinetic parameters in rats[1]

Route	Dose (mg/kg)	$AUC_{(0-t)}$ (μg/L·h)	$AUC_{(0-\infty)}$ (μg/L·h)	$MRT_{(0-t)}$ (h)	$MRT_{(0-\infty)}$ (h)	$t_{1/2}$ (h)	T_{max} (h)	CLz/F (L/h/kg)	Vz/F (L/kg)	C_{max}
p.o	50	231.2	325.6	7.8	12.3	6.7	3.3	5062.6	827.1	113.3
i.v	5	34.3	122.4	11.3	19.9	0.2	0.1	404.4	59.5	16.4

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Animal Model:	ALI mouse model (C57BL/6 mice)[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection, once daily for 1 week; 30 min later every dose, followed by 5 mg/kg LPS, intratracheal injection
Result:	Significantly normalized the wet/dry ratio of lungs.

REFERENCES

[1]. Qian J, et al. Design and synthesis novel di-carbonyl analogs of curcumin (DACs) act as potent anti-inflammatory agents against LPS-induced acute lung injury (ALI). Eur J Med Chem. 2019 Apr 1;167:414-425.

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