Inflachromene

Cat. No.: HY-113772

CAS No.: 908568-01-4

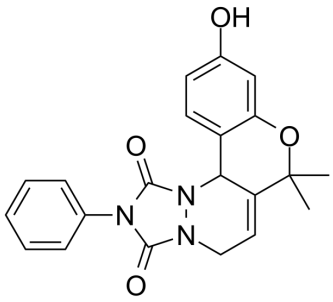
Molecular Formula: $C_{21}H_{19}N_3O_4$

Molecular Weight: 377.39

Target: Others

Pathway: Others

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 (264.98 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6498 mL	13.2489 mL	26.4978 mL
	5 mM	0.5300 mL	2.6498 mL	5.2996 mL
	10 mM	0.2650 mL	1.3249 mL	2.6498 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 (6.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Inflachromene, a microglial inhibitor, binds to HMGB1 and HMGB2 and exerts anti-inflammatory effects. Inflachromene effectively downregulates proinflammatory functions of HMGB and reduces neuronal damage. Inflachromene can be used for the research of neuroinflammatory disorders[1][2].

IC_{50} & Target

HMGB[2]

In Vitro

Inflachromene (0.01-100 μM; 24 h) efficiently blocks LPS-induced nitrite release in a dose-dependent manner without any toxicity in BV-2 microglial cells[2].

Inflachromene (1-10 μM) suppresses the increased levels of inflammation-related genes, such as Il6, Il1b, Nos2 and Tnf, after LPS stimulation[2].
Inflachromene (5 μM) reduces LPS-induced secretion of the proinflammatory cytokine TNF-α[2].
Inflachromene (5 μM; 30 min) substantially suppresses the nuclear translocation of NF-κB and the degradation of IκB[2].
Inflachromene (1-10 μM; 30 min) inhibits LPS-induced phosphorylation of ERK, JNK and p38 MAPK in microglia[2].
Inflachromene (10 μM; 30 min) completely prevents the death of cocultured neuroblastoma and primary neuronal cells by inhibiting microglia-mediated neurotoxicity[2].
Inflachromene (1-10 μM; 24 h) has no significant effect on the viability of neurons[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Inflachromene (2-10 mg/kg; i.p. once daily for 4 days) effectively blocks LPS-mediated microglial activation[2].
Inflachromene (10 mg/kg; i.p. once daily for 30 days) significantly reduces the progression of disease, as determined by EAE clinical score[2].
Inflachromene (1 mg/kg; i.v.) exhibits long half-life (14.1±6.43 h) and moderate V_{ss} (2.02±1.02 L/kg)[1].
Inflachromene (1 mg/kg; p.o.) exhibits high oral bioavailability (94%) and C_{max} (0.59±0.16 g/mL)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6 mice (11 weeks; 25-30 g) are treated with LPS[2]
Dosage:	2, 10 mg/kg
Administration:	I.p. once daily for 4 days
Result:	Blocked LPS-mediated microglial activation, even at a dose of 2 mg/kg.

Animal Model:	Sprague-Dawley (SD) rats (7 weeks; 230-250 g)[1]
Dosage:	1 mg/kg (Pharmacokinetic Analysis)
Administration:	I.v. and p.o. administration
Result:	I.v.: $t_{1/2}$=14.1±6.43 h; CL= 0.14±0.01 L/kg/h; V_{ss}=2.02±1.02 L/kg. P.o.: $t_{1/2}$=7.96±1.16 h; F=94%; C_{max}=0.59±0.16 g/mL.

REFERENCES

[1]. Lee HH, et, al. A validated UPLC-MS/MS method for pharmacokinetic study of inflachromene, a novel microglia inhibitor. J Pharm Biomed Anal. 2019 Mar 20; 166: 183-188.

[2]. Lee S, et, al. A small molecule binding HMGB1 and HMGB2 inhibits microglia-mediated neuroinflammation. Nat Chem Biol. 2014 Dec; 10(12): 1055-60.

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