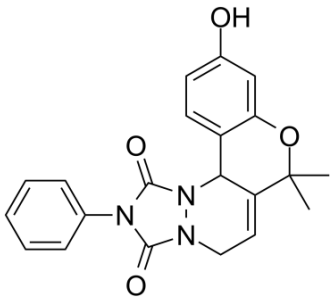
Inflachromene

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:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



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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