(R)-STU104

Cat. No.: HY-150612

CAS No.: 2767124-77-4

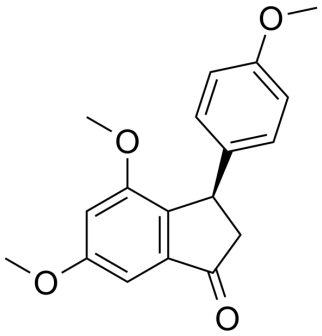
Molecular Formula: $C_{18}H_{18}O_4$

Molecular Weight: 298.33

Target: p38 MAPK

Pathway: MAPK/ERK Pathway

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

(R)-STU104 is a potent and orally active TAK1-MKK3 interaction inhibitor with IC_{50}s of 0.58 μM and 4.0 μM for TNF-α and MKK3 phosphorylation. (R)-STU104 suppresses the TAK1/MKK3/p38/MnK1/MK2/eIF4E signal pathways through binding with MKK3 and disrupting the TAK1 phosphorylating MKK3. (R)-STU104 can be used for researching ulcerative colitis[1].

IC_{50} & Target

IC_{50}: 0.58 μM (TNF-α), 4.0 μM (MKK3 phosphorylation)[1]

In Vitro

(R)-STU104 attenuates phosphorylation levels of Mnk1, MK2, and eIF4E, leading to the downregulation of TNF-α expression and production[1].

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

In Vivo

(R)-STU104 (1, 3 and 10 mg/kg; IG for 7 days) ameliorates the symptoms of DSS-induced experimental colitis in mice, and significantly inhibits inflammatory cytokine protein[1].

Pharmacokinetic Parameters of (R)-STU104 in male C57 mice[1].

	IV (5 mg/kg)	PO (30 mg/kg)
T_{max} (h)		0.083
AUC_{0-t} (μg/L·h)	7249	9895
$AUC_{0-\infty}$ (μg/L·h)	8635	16991
$MRT_{0-\infty}$ (h)	7.32	12.52
C_{max} (ng/mL)	2920	2290
$t_{1/2}$ (ng/mL)	9.29	8.67
V_Z (L/kg)	7.76	3.68

CL_Z (ng/mL)	0.58	0.29
F (%)	33%	

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

Animal Model:	C57BL/6 mice (dextran sodium sulfate (DSS)-induced acute ulcerative colitis)[1]
Dosage:	1, 3 and 10 mg/kg
Administration:	IG, for 7 days
Result:	Ameliorated the symptoms of DSS-induced experimental colitis, and significantly inhibited inflammatory cytokine protein TNF-α, IL-1β, IL-6, and IL-23.

REFERENCES

[1]. Tang ML, et al. Discovery of First-in-Class TAK1-MKK3 Protein-Protein Interaction (PPI) Inhibitor (R)-STU104 for the Treatment of Ulcerative Colitis through Modulating TNF-α Production. J Med Chem. 2022 May 12;65(9):6690-6709.

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