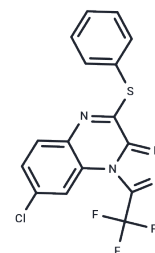


R-7050

Chemical Properties

CAS No. : 303997-35-5
Formula: C₁₆H₈ClF₃N₄S
Molecular Weight: 380.77
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	R-7050 (TNF- α Antagonist III) is a tumor necrosis factor receptor (TNFR) antagonist that exhibits heightened selectivity for TNF α .
Targets(IC50)	TNF
In vitro	R-7050 is a cell-permeable triazoloquinoxaline compound that selectively inhibits TNF- α induced cellular signaling. Unlike biologic TNF inhibitors (e.g. Infliximab, Etanercept, Adalimumab) that directly bind TNF- α and function as decoy receptors, R-7050 does not affect binding of TNF- α to TNFR. In contrast, R-7050 selectively inhibits the association of TNFR with intracellular adaptor molecules (e.g. TRADD, RIP), limits receptor internalization, and prevents subsequent cellular responses after TNF- α binding[2].
In vivo	Administered at doses of 6 mg/kg, R-7050 significantly attenuates Evans blue extravasation in brain tissue to 28.7 \pm 5.9 μ g and 30.3 \pm 1.9 μ g when given 0.5 hours or 2 hours after induced intracerebral hemorrhage (ICH), respectively, presenting statistical significance ($p < 0.05$ and $p < 0.01$ vs ICH, respectively) and comparability to sham-operated controls. Concurrently, brain water content, indicative of brain edema, increases markedly from 75.6 \pm 0.3% in sham to 81.5 \pm 0.5% post-ICH ($p < 0.05$ vs. sham). Doses of R-7050 (6, 12, or 18 mg/kg) effectively reduce the brain water content to 78.5 \pm 0.3%, 78.3 \pm 0.3%, and 79.3 \pm 0.5%, respectively, each significantly against ICH ($p < 0.05$) and with no significant difference among the dosages. However, a notable decrease in general activity/locomotion is observed with the highest dose (18 mg/kg). R-7050, at a 6 mg/kg dosage, also significantly mitigates the increase in brain water content post-ICH to levels akin to those in sham-operated mice ($p < 0.05$ vs ICH and not significantly different from sham), demonstrating its potential in reducing both brain edema and permeability post-ICH when administered timely.

Solubility Information

Solubility	DMSO: 10 mg/mL (26.26 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6263 mL	13.1313 mL	26.2626 mL
5 mM	0.5253 mL	2.6263 mL	5.2525 mL
10 mM	0.2626 mL	1.3131 mL	2.6263 mL
50 mM	0.0525 mL	0.2626 mL	0.5253 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Gururaja TL, et al. A class of small molecules that inhibit TNF α -induced survival and death pathways via prevention of interactions between TNF α RI, TRADD, and RIP1. *Chem Biol.* 2007 Oct;14(10):1105-18.
- Yi Y, Gao K, Lin P, et al. Staphylococcus aureus-Induced Necroptosis Promotes Mitochondrial Damage in Goat Endometrial Epithelial Cells. *Animals.* 2022, 12(17): 2218.
- King MD, et al. TNF- α receptor antagonist, R-7050, improves neurological outcomes following intracerebral hemorrhage in mice. *Neurosci Lett.* 2013 May 10;542:92-6.

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