

Product Data Sheet

Product Name: R-7050
Cat. No.: GC11659

Chemical Properties

Cas. No. 303997-35-5

Chemical Name 8-chloro-4-(phenylthio)-1-(trifluoromethyl)-[1,2,4]triazolo[4,3-a]quinoxaline

SMILES C1C1=CC(N2C3=NN=C2C(F)(F)F)=C(C=C1)N=C3SC4=CC=CC=C4

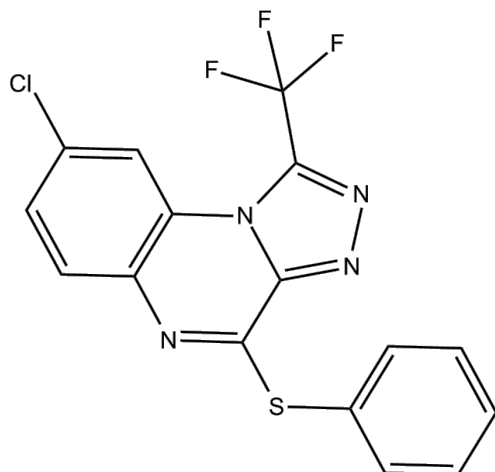
Formula $C_{16}H_8ClF_3N_4S$ M.Wt 380.8

Solubility DMSO (warmed with 50°C water bath) 9 mg/mL (23.64 mM) ; DMF: 10 mg/ml
Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Caution: Product has not been fully validated for medical applications. For research use only.

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Product Data Sheet

Cell lines	bEnd.3 cells
Preparation Method	bEnd.3 cells were pretreated with R-7050 (5 μ M) for 30min before being incubated with TNF- α (50ng/mL) for an additional 24h. Endothelial barrier integrity was assessed by measuring TEER using a Millicell ERS ohmmeter.
Reaction Conditions	5 μ M; 30min and additional 24h
Applications	Pretreatment with R-7050 can effectively reverse the decrease of TEER induced by TNF- α .
Animal experiment [1]:	
Animal models	Rat model of permanent cerebral ischemia
Preparation Method	Rats were pretreated with a single intraperitoneal injection of R-7050 (5mg/kg) 30min before permanent cerebral ischemia. Brain infarction volume was assessed by triphenyltetrazolium chloride (TTC) staining at 24h after ischemia.
Dosage form	5mg/kg; i.p.
Applications	Pretreatment with R-7050 reduced the cerebral infarction volume of rats with permanent cerebral ischemia after 24h of ischemia.

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References:

[1] Lin S Y, Wang Y Y, Chang C Y, et al. TNF- α receptor inhibitor alleviates metabolic and inflammatory changes in a rat model of ischemic stroke[J]. Antioxidants, 2021, 10(6): 851.

Background

R-7050 is a cell-permeable tumor necrosis factor receptor (TNFR) antagonist with higher selectivity for TNF- α ^[1]. TNF- α is a key mediator of immune and inflammatory responses, mediating host defense at physiological concentrations, while its overproduction can induce tissue damage and autoimmune pathology^[2,3]. R-7050 has been extensively utilized in studies related to autoimmune diseases, neuroinflammation (such as intracerebral hemorrhage models), and the tumor microenvironment^[4,5].

In vitro, mouse brain endothelial bEnd.3 cells were pretreated with R-7050 (5 μ M) for 30min and then incubated with TNF- α (50ng/mL) for an additional 24h, effectively reversing the TNF- α -induced decrease in transendothelial electrical resistance (TEER)^[6].

In vivo, R-7050 (5mg/kg) administered via intraperitoneal injection 30min prior to ischemia in a rat model of permanent cerebral ischemia reduced cerebral infarction volume at 24h post-ischemia^[6]. R-7050 (6mg/kg) administered as a single intraperitoneal injection at 0.5h or 2h after intracerebral hemorrhage in CD-1 mice effectively attenuated blood-brain barrier disruption at 24h post-hemorrhage^[7].

References:

[1] Mizuno S, Osaki E, Ohnishi H. TNF type-I receptor inhibitor, R-7050 attenuates acute kidney injury in a mouse model of crush syndrome. Pharmacol Pharm. 2018;9(12):547-8.

[2] Idrus H H, Budu H M. Biological effects of tumor necrosis factor alpha (TNF- α) in systemic inflammation[J]. Int. J. Med. Sci. Dent. Res, 2020, 3(3): 7-15.

[3] Jang D, Lee A H, Shin H Y, et al. The role of tumor necrosis factor alpha (TNF- α) in autoimmune disease and current TNF- α inhibitors in therapeutics[J]. International journal of molecular sciences,

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2021, 22(5): 2719.

[4] Ma Y, Cheng Q, Wang E, et al. Inhibiting tumor necrosis factor- α signaling attenuates postoperative cognitive dysfunction in aged rats[J]. Molecular Medicine Reports, 2015, 12(2): 3095-3100.

[5] Wen Y, Lu X, Ren J, et al. KLF4 in macrophages attenuates TNF α -mediated kidney injury and fibrosis[J]. Journal of the American Society of Nephrology: JASN, 2019, 30(10): 1925.

[6] Lin S Y, Wang Y Y, Chang C Y, et al. TNF- α receptor inhibitor alleviates metabolic and inflammatory changes in a rat model of ischemic stroke[J]. Antioxidants, 2021, 10(6): 851.

[7] King M D, Alleyne Jr C H, Dhandapani K M. TNF-alpha receptor antagonist, R-7050, improves neurological outcomes following intracerebral hemorrhage in mice[J]. Neuroscience letters, 2013, 542: 92-96.

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