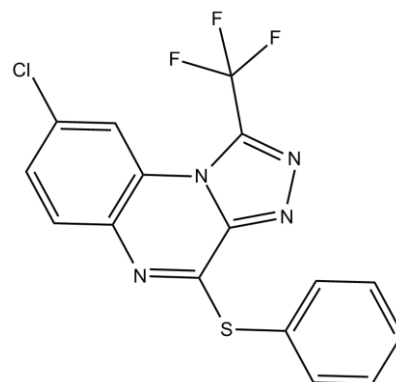


Product Data Sheet

Chemical Properties

Product Name:	R-7050
Cas No.:	303997-35-5
M.Wt:	380.8
Formula:	C ₁₆ H ₈ ClF ₃ N ₄ S
Synonyms:	TNF- α Antagonist III
Chemical Name:	8-chloro-4-(phenylthio)-1-(trifluoromethyl)-[1,2,4]triazolo[4,3-a]quinoxaline
Canonical SMILES:	<chem>ClC1=CC(N2C3=NN=C2C(F)(F)F)=C(C=C1)N=C3SC4=CC=CC=C4</chem>
Solubility:	Soluble in DMSO
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.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request



Biological Activity

Targets : Apoptosis

Pathways: TNF- α

Description:

EC50: 0.631 μ M by TNF- α by ICAM-1 ELISA assay

R-7050 is a TNF- α receptor signaling antagonist.

TNF- α induces biological activity through stimulation of the tumor necrosis factor receptor.

Although plenty of pre-clinical and clinical evidence suggests a detrimental role, small molecule TNF- α signaling pathway inhibitors remain largely unexplored in the context of a brain hemorrhage.

In vitro: R-7050 was identified as a selective inhibitor of TNF- α induced cellular signaling by using differential library screening. Unlike biologic TNF inhibitors directly binding TNF- α , R-7050 could not affect binding of TNF- α to TNFR. In contrast, R-7050 was able to selectively inhibit the association of TNF receptor with intracellular adaptor molecules [1].

In vivo: In previous animal study, R-7050 was tested to attenuate neurovascular injury after Intracerebral hemorrhage (ICH) in mice. Results showed that up to 2h post-injury administration of R-7050 was able to significantly reduce blood-brain barrier opening and attenuate edema development at 24h post-ICH. In addition, neurological outcomes were also improved over the first 3 days after injury. Whereas, R-7050 was not found to be able to reduce hematoma volume, indicating the beneficial effects of TNFR inhibition were downstream of clot formation/resolution [2].

Clinical trial: Up to now, R-7050 is still in the preclinical development stage.

Reference:

[1] Gururaja TL, Yung S, Ding R, Huang J, Zhou X, McLaughlin J, Daniel-Issakani S, Singh R, Cooper RD, Payan DG, Masuda ES, Kinoshita T. *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.

[2] King MD, Alleyne CH Jr, Dhandapani KM. *TNF- α receptor antagonist, R-7050, improves neurological outcomes following intracerebral hemorrhage in mice.* *Neurosci Lett.* 2013 May 10;542:92-6.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com