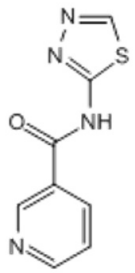
Product Data Sheet

Product Name: TGN 020
Cat. No.: GC50160

Chemical Properties

Cas. No.	51987-99-6		
SMILES	O=C(NC1=NN=CS1)C2=CN=CC=C2		
Formula	$C_8H_6N_4OS$	M.Wt	206.22
Solubility	DMF: 100μ g/ml,DMSO: 2 mg/ml,DMSO:PBS (pH 7.2) (1:9): 100μ g/ml,Ethanol: 100μ g/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]:

Cell lines TR-MUL5 cells

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Preparation Method	Cells were incubated in high glucose (25mM) or physiological low glucose (5.5mM) medium for 2-3 days in the presence or absence of TGN 020 (100nM) or bevacizumab. Volume changes of TR-MUL5 and intracellular ROS levels were determined by flow cytometric analysis of ethidium fluorescence.
Reaction Conditions	100nM; 48-72h
Applications	The increase in cell volume and the production of intracellular ROS under high glucose conditions were inhibited by TGN 020, and the inhibitory effect was as good as that of bevacizumab.

Animal experiment [2]:

Animal models	Sprague-Dawley rats
Preparation Method	Animals were randomly assigned to the following three groups: Sham group which were only subject to laminectomy without compression of spinal cord, SCI group which underwent 35g impounder compression for 5min at T10, TGN 020 group which received TGN 020 (100mg/kg, i.p.) immediately followed SCI. Each group was equally and randomly assigned into four subgroups for the following experiments: (A)Spinal cord water content determination; (B)Western blotting; (C)Immunofluorescent assay, Hematoxylin-Eosin staining and Nissl staining; and (D)Locomotor function test. These rats in all groups were sacrificed 3 day or 4weeks after injury.
Dosage form	100mg/kg; i.p.

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Applications	TGN 020 can alleviate spinal cord edema, inhibit glial scar formation, and promote axonal regeneration, conferring beneficial effects on recovery in rats.

References:
[1]Oosuka S, Kida T, Oku H, et al. Effects of an aquaporin 4 inhibitor, TGN-020, on murine diabetic retina[J]. International Journal of Molecular Sciences, 2020, 21(7): 2324.
[2]Li J, Jia Z, Xu W, et al. TGN-020 alleviates edema and inhibits astrocyte activation and glial scar formation after spinal cord compression injury in rats[J]. Life sciences, 2019, 222: 148-157.

Background

TGN 020 is a selective aquaporin 4 (AQP4) inhibitor with an IC_{50} value of 3μM[1]. AQP4 is mainly located in the astrocyte end feet around brain blood vessels and regulates ion and water homeostasis in the brain[2]. TGN 020 is an alkyl chain-based protein degradation targeted chimeric molecule (PROTAC) linker that can be used for the synthesis of PROTAC[3].

In vitro, TGN 020 (100nM) treatment of TR-MUL5 cells for 48-72h inhibited the increase in cell volume and the production of intracellular ROS under high glucose conditions[4].

In vivo, TGN 020 (100mg/kg) was intraperitoneally injected into rats with spinal cord compression injury, which reduced spinal cord edema, inhibited glial scar formation, and promoted axon regeneration[5]. TGN 020 (200mg/kg) was intraperitoneally injected into mice with cerebral ischemia-reperfusion injury, which reduced injury-induced inflammation and cell apoptosis, improved lymphatic function, and inhibited the ERK1/2 pathway[6].

References:
[1] Nishikawa Y, Oku H, Morishita S, et al. Negative impact of AQP-4 channel inhibition on survival of retinal ganglion cells and glutamate metabolism after crushing optic nerve[J]. Experimental Eye Research, 2016, 146: 118-127.
[2] Rosu G C, Catalin B, Balseanu T A, et al. Inhibition of aquaporin 4 decreases amyloid Aβ40 drainage around cerebral vessels[J]. Molecular neurobiology, 2020, 57: 4720-4734.
[3] Yuan Y, Peng W, Lei J, et al. AQP4 Endocytosis-Lysosome Degradation Mediated by MMP-9/β-

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DG Involved in Diabetes Cognitive Impairment[J]. Molecular Neurobiology, 2024: 1-16.
[4] Oosuka S, Kida T, Oku H, et al. Effects of an aquaporin 4 inhibitor, TGN-020, on murine diabetic retina[J]. International Journal of Molecular Sciences, 2020, 21(7): 2324.
[5] Li J, Jia Z, Xu W, et al. TGN-020 alleviates edema and inhibits astrocyte activation and glial scar formation after spinal cord compression injury in rats[J]. Life sciences, 2019, 222: 148-157.
[6] Li X, Xie Z, Zhou Q, et al. TGN-020 Alleviate Inflammation and Apoptosis After Cerebral Ischemia–Reperfusion Injury in Mice Through Glymphatic and ERK1/2 Signaling Pathway[J]. Molecular Neurobiology, 2024, 61(2): 1175-1186.

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