

# **Product** Data Sheet

## TGN-020 sodium

Cat. No.:HY-W008574ACAS No.:1313731-99-5Molecular Formula: $C_8H_5N_4NaOS$ Molecular Weight:228.21

Target: PROTAC Linkers

Pathway: PROTAC

**Storage:** 4°C, sealed storage, away from moisture and light

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light)

### **SOLVENT & SOLUBILITY**

In Vitro

H<sub>2</sub>O: 100 mg/mL (438.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	4.3819 mL	21.9096 mL	43.8193 mL
	5 mM	0.8764 mL	4.3819 mL	8.7639 mL
	10 mM	0.4382 mL	2.1910 mL	4.3819 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: Saline
  Solubility: 10 mg/mL (43.82 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility:  $\ge$  5 mg/mL (21.91 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 5 mg/mL (21.91 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5 mg/mL (21.91 mM); Clear solution

# **BIOLOGICAL ACTIVITY**

Description

TGN-020 sodium is a selective Aquaporin 4 (AQP4) inhibitor with an IC<sub>50</sub> of 3.1  $\mu$ M<sup>[1][2]</sup>. TGN-020 sodium is an alkyl chain-based PROTAC linker that can be used in the synthesis of PROTACs<sup>[3]</sup>. TGN-020 sodium alleviates edema and inhibits glial scar formation after spinal cord compression injury in rats<sup>[4]</sup>.

In Vitro

PROTACs contain two different ligands connected by a linker; one is a ligand for an E3 ubiquitin ligase and the other is for the target protein. PROTACs exploit the intracellular ubiquitin-proteasome system to selectively degrade target proteins<sup>[3]</sup>.

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

#### In Vivo

TGN-020 sodium (0.02 mg/ $\mu$ L; two microliter intravitreal injections) can suppress retinal edema in STZ-induced diabetic rats (nine-week-old male Wistar rats) retinas<sup>[2]</sup>.

TGN-020 sodium (100 mg/kg; ip; single dose immediately followed SCI) promotes functional recovery at days 3, 7, 14, 21, and 28, as well as reduces the degree of edema and inhibits the expression of AQP4, GFAP, PCNA at days 3 after SCI<sup>[4]</sup>.

TGN-020 sodium inhibits the glial scar formation and upregulates GAP-43 expression in adult female Sprague-Dawley rats (180-220 g, 9-10 weeks old) with SCI<sup>[4]</sup>.

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

### **CUSTOMER VALIDATION**

- J Adv Res. 2023 Mar 18;S2090-1232(23)00086-3.
- Transl Psychiatry. 2023 Oct 6;13(1):310.
- Neurosci Bull. 2021 Oct 27.
- Mol Neurobiol. 2023 Sep 11.
- Chin Med. 2023 Oct 10;18(1):128.

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#### **REFERENCES**

- [1]. Vincent J Huber, et al. Identification of aquaporin 4 inhibitors using in vitro and in silico methods. Bioorg Med Chem. 2009 Jan 1;17(1):411-7.
- [2]. Shou Oosuka, et al. Effects of an Aquaporin 4 Inhibitor, TGN-020, on Murine Diabetic Retina. Int J Mol Sci. 2020 Mar 27;21(7):2324.
- [3]. An S, et al. Small-molecule PROTACs: An emerging and promising approach for the development of targeted therapy drugs. EBioMedicine. 2018 Oct;36:553-562.
- [4]. Jian Li, et al. TGN-020 alleviates edema and inhibits astrocyte activation and glial scar formation after spinal cord compression injury in rats. Life Sci. 2019 Apr 1;222:148-157.

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

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