**Proteins** 

## **Product** Data Sheet

# TMPyP4 tosylate

Cat. No.: HY-108477 CAS No.: 36951-72-1 Molecular Formula:  $C_{72}H_{66}N_8O_{12}S_4$ Molecular Weight: 1363.6

G-quadruplex; Telomerase; Cholinesterase (ChE); SARS-CoV Target: Pathway: Cell Cycle/DNA Damage; Neuronal Signaling; Anti-infection

4°C, sealed storage, away from moisture Storage:

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

### **SOLVENT & SOLUBILITY**

In Vitro DMSO: 10 mg/mL (7.33 mM; Need ultrasonic)

H<sub>2</sub>O: 5 mg/mL (3.67 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	0.7334 mL	3.6668 mL	7.3335 mL
	5 mM	0.1467 mL	0.7334 mL	1.4667 mL
	10 mM			

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 7.14 mg/mL (5.24 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1 mg/mL (0.73 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1 mg/mL (0.73 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description TMPyP4 tosylate (TMP 1363) is a quadruplex-specific ligand. TMPyP4 tosylate inhibits the interaction between Gquadruplexes and IGF-1. TMPyP4 tosylate is a telomerase inhibitor and inhibits cancer cells proliferation. TMPyP4 tosylate is also a stabilizer of nucleic acid secondary structure and an acetylcholinesterase inhibitor. Besides, TMPyP4 tosylate has antiviral activity against SARS-CoV-2<sup>[1][2][3][6]</sup>.

IC <sub>50</sub> & Target	AChE	G-quadruplex	Telomerase	SARS-CoV-2
In Vitro	TMPyP4 tosylate (50 μM, 96 h	) inhibits telomerase activity in to	elomerase positive HOS cells <sup>[2]</sup> .	

TMPyP4 tosylate (50  $\mu$ M, 48 or 96 h) inhibits the growth of HOS cells<sup>[2]</sup>. TMPyP4 tosylate (50  $\mu$ M, 96 h) induces cell apoptosis in HOS, Saos-2, MG-63, and U2OS cell lines<sup>[2]</sup>. TMPyP4 tosylate (100  $\mu$ M, 24 or 48 h) increases cell cycle regulatory proteins and MAPKs in K562 cells<sup>[4]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay<sup>[2]</sup>

Cell Line:	HOS cells	
Concentration:	50 μΜ	
Incubation Time:	48 or 96 h	
Result:	Time-dependently inhibited cell viability.	
Western Blot Analysis <sup>[4]</sup>		
Cell Line:	K562 cells	
Concentration:	100 μΜ	
Incubation Time:	24 or 48 h	

Increased the expression of p21<sup>CIP1</sup> protein and p57<sup>KIP2</sup> protein.

#### In Vivo

TMPyP4 tosylate (10 and 20 mg/kg, i.p., two times weekly) inhibits tumor growth in MX-1 tumor model<sup>[5]</sup>. TMPyP4 tosylate (15 mg/kg or 30 mg/kg, i.n.) decreases the mean viral loads in SARS-CoV-2-infected hamster<sup>[6]</sup>. TMPyP4 tosylate (30 mg/kg, i.n., hamsters) shows a  $C_{max}$  of 17.88  $\mu$ g/mL at 1 h, and a half-life ( $T_{1/2}$ ) of 6.36  $h^{[6]}$ . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MX-1 mammary chemoadjuvant model <sup>[5]</sup>	
Dosage:	10 and 20 mg/kg	
Administration:	Intraperitoneal injection (i.p.), twice weekly.	
Result:	Inhibited tumor growth and prolonged mice survival.	
Animal Model:	SARS-CoV-2-infected hamster <sup>[6]</sup>	
Dosage:	15 mg/kg or 30 mg/kg	
Administration:	i.n., starting at 1 h prior to virus inoculation and continuing until 3 days post infection	
Result:	Decreased the mean viral loads in the nasal wash, nasal turbinate and lung tissues.	

## **CUSTOMER VALIDATION**

• Microbiol Spectr. 2022 Apr 21;e0046022.

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Result:

#### **REFERENCES**

- [1]. Fujiwara N, et al. a Stabilizer of Nucleic Acid Secondary Structure, Is a Novel Acetylcholinesterase Inhibitor. PLoS One. 2015 Sep 24;10(9):e0139167.
- [2]. Mikami-Terao Y, et al. Antitumor activity of G-quadruplex-interactive agent TMPyP4 in K562 leukemic cells. Cancer Lett. 2008 Mar 18;261(2):226-34.
- [3]. Grand CL, et al. The cationic porphyrin TMPyP4 down-regulates c-MYC and human telomerase reverse transcriptase expression and inhibits tumor growth in vivo. Mol Cancer Ther. 2002 Jun;1(8):565-73. Erratum in: Mol Cancer Ther. 2003 Feb;2(2):208.
- [4]. Qin G, et al. RNA G-quadruplex formed in SARS-CoV-2 used for COVID-19 treatment in animal models. Cell Discov. 2022 Sep 6;8(1):86.
- [5]. Chen H, et al. Insuline-like growth factor type I selectively binds to G-quadruplex structures. Biochim Biophys Acta Gen Subj. 2019 Jan;1863(1):31-38.
- [6]. Fujimori J, et al. Antitumor effects of telomerase inhibitor TMPyP4 in osteosarcoma cell lines. J Orthop Res. 2011 Nov;29(11):1707-11.

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

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