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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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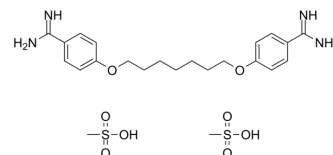
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Heptamidine dimethanesulfonate

Cat. No.:	HY-16918A
CAS No.:	161374-55-6
Molecular Formula:	C ₂₃ H ₃₆ N ₄ O ₈ S ₂
Molecular Weight:	560.68
Target:	Others
Pathway:	Others
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 62.5 mg/mL (111.47 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		1.7835 mL	8.9177 mL	17.8355 mL
		5 mM		0.3567 mL	1.7835 mL	3.5671 mL
		10 mM		0.1784 mL	0.8918 mL	1.7835 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (3.71 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.71 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.71 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Heptamidine dimethanesulfonate (SBi4211 dimethanesulfonate) is a potent Pentamidine-related inhibitor of the calcium-binding protein S100B (K _d =6.9 μM), selectively kills melanoma cells with S100B over those without S100B ^[1] . Heptamidine is a useful tool for the investigation of Myotonic dystrophy (DM) ^[2] .
IC ₅₀ & Target	K _d : 6.9 μM (calcium-binding protein S100B) ^[1]
In Vitro	Heptamidine is a Pentamidine-S100B complex, two molecules of pentamidine bind per monomer of S100B, which performs to be an inhibitor for S100B ^[1] . Heptamidine (20 μM) does not decrease CUG levels significantly when compares to Propamidine and Pentamidine, and

exhibits cytotoxic at concentrations above 17.5 μ M in HeLa cells expressing 960 CUG repeats^[2].
Heptamidine rescues mis-splicing of minigene reporters in a HeLa cell DM1 model with an EC₅₀ value of 15 μ M^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Heptamidine (intraperitoneal injection; 20 or 30 mg/kg; 7 days) causes a dose-dependent reduction of exon 7a inclusion in HSALR mice, returning to wild type levels (6 $\pm$ 1%) when at 20 mg/kg dose, the myotonia is reduced from grade 3 to grade 1 (occasional myotonic discharge) or grade 0 at both 20 or 30 mg/kg^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Homozygous HSALR transgenic mice in line 20b (FVB inbred background) with a Myotonic dystrophy (DM) mouse model ^[2]
Dosage:	20 or 30 mg/kg
Administration:	Intraperitoneal injection; 7 days; once daily
Result:	Reversed splicing defects and rescues myotonia in a DM mouse model.

REFERENCES

- [1]. McKnight LE, et al. Structure-Based Discovery of a Novel Pentamidine-Related Inhibitor of the Calcium-Binding Protein S100B. ACS Med Chem Lett. 2012 Dec 13;3(12):975-979. Epub 2012 Sep 25.
- [2]. Coonrod LA, et al. Reducing levels of toxic RNA with small molecules.ACS Chem Biol. 2013 Nov 15;8(11):2528-37.

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

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