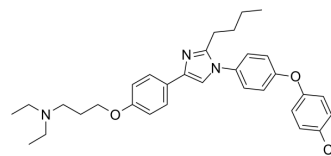


Azeliragon

Cat. No.:	HY-50682		
CAS No.:	603148-36-3		
Molecular Formula:	C ₃₂ H ₃₈ ClN ₃ O ₂		
Molecular Weight:	532.12		
Target:	Amyloid-β		
Pathway:	Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (93.96 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
		Concentration				
		1 mM		1.8793 mL	9.3964 mL	18.7928 mL
5 mM			0.3759 mL	1.8793 mL	3.7586 mL	
		10 mM		0.1879 mL	0.9396 mL	1.8793 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 3 mg/mL (5.64 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 3 mg/mL (5.64 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3 mg/mL (5.64 mM); Clear solution 					

BIOLOGICAL ACTIVITY

Description	Azeliragon (TTP488) is an orally bioavailable inhibitor of the receptor for advanced glycation end products (RAGE) in development as a potential treatment to slow disease progression in patients with mild Alzheimer's disease (AD) ^[1] . Azeliragon also can cross the blood-brain barrier (BBB) ^[2] .
In Vitro	Azeliragon (4 nM; 16 hours; T cells) treatment inhibits of wild type mice (WT) but not the deletion of the receptor (RAGE ^{-/-} mice) T cells and significant reduction in the production of IFN-γ ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay ^[3]	
Cell Line:	Purified T cells from RAGE ^{-/-} or WT B6 mice.
Concentration:	4 nM
Incubation Time:	16 hours
Result:	Inhibited of WT but not RAGE ^{-/-} T cells, and significantly reduced the level of IFN- γ .

In Vivo	
Azeliagon (100 mcg/d; intraperitoneal injection; every day) treatment reduces syngeneic islet graft and islet allograft in NOD and B6 mice (Islets were isolated from young prediabetic NOD/LtJ mice and transplanted into NOD mice with spontaneous diabetes; islets were isolated from WT BALB/c mice and transplanted into B6 mice with diabetes) ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
Animal Model:	Prediabetic NOD/LtJ (6-7 week old) mice, NOD mice with spontaneous diabetes, WT BALB/c mice (8-10 week old) and B6 mice with diabetes ^[3] .
Dosage:	100 mcg/d
Administration:	Intraperitoneal injection; every day
Result:	Prolonged islet auto and allograft survival.

CUSTOMER VALIDATION

- Biochim Biophys Acta Mol Basis Dis. 2021 Jun 22;1867(10):166186.
- J Nat Med. 2021 Feb 24.
- Clinics (Sao Paulo). 2021 Mar 8;76:e2348.
- Patent. US11058903.

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REFERENCES

- [1]. Burstein AH, et al. Assessment of Azeliagon QTc Liability Through Integrated, Model-Based Concentration QTc Analysis. Clin Pharmacol Drug Dev. 2019 May;8(4):426-435.
- [2]. Bongarzone S, et al. Targeting the Receptor for Advanced Glycation Endproducts (RAGE): A Medicinal Chemistry Perspective. J Med Chem. 2017 Sep 14;60(17):7213-7232.
- [3]. Chen Y, et al. RAGE ligation affects T cell activation and controls T cell differentiation. J Immunol. 2008 Sep 15;181(6):4272-8.

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

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