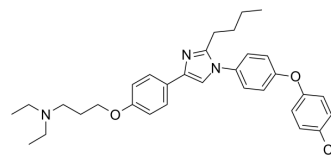


## Azeliragon

<b>Cat. No.:</b>	HY-50682		
<b>CAS No.:</b>	603148-36-3		
<b>Molecular Formula:</b>	C <sub>32</sub> H <sub>38</sub> ClN <sub>3</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	532.12		
<b>Target:</b>	Amyloid- $\beta$		
<b>Pathway:</b>	Neuronal Signaling		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 50 mg/mL (93.96 mM; Need ultrasonic)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		1.8793 mL	9.3964 mL	18.7928 mL
<b>5 mM</b>			0.3759 mL	1.8793 mL	3.7586 mL	
		<b>10 mM</b>		0.1879 mL	0.9396 mL	1.8793 mL
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: <math>\geq</math> 2.5 mg/mL (4.70 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-<math>\beta</math>-CD in saline) Solubility: <math>\geq</math> 2.5 mg/mL (4.70 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: <math>\geq</math> 2.5 mg/mL (4.70 mM); Clear solution</li> </ol>					

### BIOLOGICAL ACTIVITY

<b>Description</b>	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) <sup>[1]</sup> . Azeliragon also can cross the blood-brain barrier (BBB) <sup>[2]</sup> .
<b>In Vitro</b>	Azeliragon (4 nM; 16 hours; T cells) treatment inhibits of wild type mice (WT) but not the deletion of the receptor (RAGE <sup>-/-</sup> mice) T cells and significant reduction in the production of IFN- $\gamma$ <sup>[3]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

	Cell Viability Assay <sup>[3]</sup>	
	Cell Line:	Purified T cells from RAGE <sup>-/-</sup> or WT B6 mice.
	Concentration:	4 nM
	Incubation Time:	16 hours
	Result:	Inhibited of WT but not RAGE <sup>-/-</sup> T cells, and significantly reduced the level of IFN- $\gamma$ .
<b>In Vivo</b>	Azeliragon (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) <sup>[3]</sup> . 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 <sup>[3]</sup> .
	Dosage:	100 mcg/d
	Administration:	Intraperitoneal injection; every day
	Result:	Prolonged islet auto and allograft survival.

## CUSTOMER VALIDATION

- Neuro Oncol. 2022 Nov 17;noac250.
- Biochim Biophys Acta Mol Basis Dis. 2021 Jun 22;1867(10):166186.
- NPJ Breast Cancer. 2023 Jul 13;9(1):59.
- J Nat Med. 2021 Feb 24.
- Clinics (Sao Paulo). 2021 Mar 8;76:e2348.

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## REFERENCES

- [1]. Burstein AH, et al. Assessment of Azeliragon 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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