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Lieferung & Zahlungsart

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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

Azeliragon

Cat. No.: HY-50682

CAS No.: 603148-36-3

Molecular Formula: $C_{32}H_{38}ClN_3O_2$

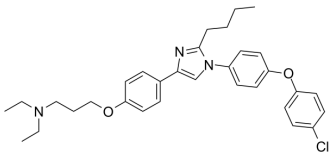
Molecular Weight: 532.12

Target: Amyloid-β

Pathway: Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (93.96 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 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

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)[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

- 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA