Edonerpic maleate

Cat. No.: HY-17631A

CAS No.: 519187-97-4

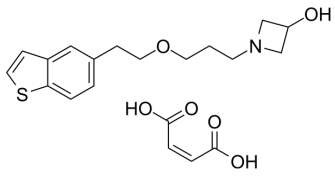
Molecular Formula: $C_{20}H_{25}NO_6S$

Molecular Weight: 407.48

Target: Amyloid-β

Pathway: Neuronal Signaling

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 : 100 mg/mL (245.41 mM; Need ultrasonic)
H_2O : 100 mg/mL (245.41 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.4541 mL	12.2705 mL	24.5411 mL
5 mM	0.4908 mL	2.4541 mL	4.9082 mL
10 mM	0.2454 mL	1.2271 mL	2.4541 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 140 mg/mL (343.58 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Edonerpic maleate is a novel neurotrophic agent which can inhibit amyloid-β peptides (Aβ).

IC_{50} & Target

amyloid-β peptides[1]

In Vitro

Edonerpic maleate (T-817MA) treatment preserves the cortical neurons in the presence of Aβ(1-42). Twenty-four hours of pretreatment, followed by the continuous presence of Edonerpic maleate, prevents oxidative stress-induced neuronal death at 0.1 and 1 μM. Edonerpic maleate almost completely prevents GSH reduction at 0.1 and 1 μM. Hippocampal slices with 1 μM Edonerpic maleate treatment generate more and much longer neurites than control slices. Edonerpic maleate

	significantly increases the neurite length at 0.1 and 1 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The post hoc test indicates that the mean density of PSA-positive cells is significantly larger in the vehicle and Aβ infusion+high-dose Edonerpic maleate (T-817MA) groups than that in the Aβ infusion control group (P<0.01). The results indicate that the vehicle and Aβ infusion+high-dose Edonerpic maleate groups display efficient learning in the place learning task (PLT), while these two groups also display vigorous neurogenesis. Treatment with Edonerpic maleate and donepezil does not increase the mean density of normal granule cells; there are no significant differences in the mean granule cell density among the Aβ infusion control, Aβ infusion+high-dose Edonerpic maleate, Aβ infusion+low-dose Edonerpic maleate and Aβ infusion+donepezil groups[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	A cortical neuron/glia coculture is prepared. Edonerpic maleate (T-817MA) is added to the cocultures at concentrations of 0 (control), 0.01, 0.1, and 1 μM, and the cells are subsequently incubated for 5 min or 24 h. H_2O_2 is then added to the coculture at a concentration of 100 μM, and the cells are incubated for another 24 h. For the normal group, the preparations are maintained in the medium with neither Edonerpic maleate nor H_2O_2. Neuronal cell viability is quantified by measuring the Monoclonal anti-microtubule-associated protein 2 (MAP2) immunoreactivity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Wistar rats (7 weeks, n=47) are used in this study. All rats are given food and water ad libitum in a clear cage and handled on three consecutive days before start of the experiments. The housing area is provided a temperature-controlled environment under a 12/12 h light cycle. These rats are divided into five groups: vehicle (n=11), Aβ infusion control (n=10), Aβ infusion+high-dose Edonerpic maleate (T-817MA) (8.4 mg/kg) (n=11), Aβ infusion+low-dose Edonerpic maleate (0.84 mg/kg) (n=9) and Aβ infusion+donepezil (0.5 mg/kg) (n=7)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hirata K, et al. A novel neurotrophic agent, T-817MA [1-{3-[2-(1-benzothiophen-5-yl) ethoxy] propyl}-3-azetidinol maleate], attenuates amyloid-beta-induced neurotoxicity and promotes neurite outgrowth in rat cultured central nervous system neurons. J Pharmacol Exp Ther. 2005 Jul;314(1):252-9.

[2]. Kimura T, et al. T-817MA, a neurotrophic agent, ameliorates the deficits in adult neurogenesis and spatial memory in rats infused i.c.v. with amyloid-beta peptide. Br J Pharmacol. 2009 Jun;157(3):451-63.

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