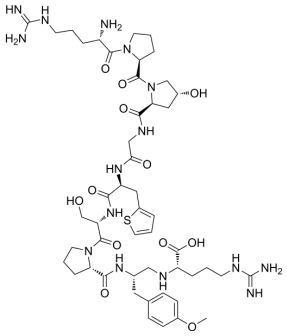
Lobradimil



Cat. No.: HY-105155

CAS No.: 159768-75-9

Molecular Formula: $C_{49}H_{75}N_{15}O_{12}S$

Molecular Weight: 1098.28

Target: Bradykinin Receptor

Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)

SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (45.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.9105 mL	4.5526 mL	9.1051 mL
5 mM	0.1821 mL	0.9105 mL	1.8210 mL
10 mM	0.0911 mL	0.4553 mL	0.9105 mL

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

BIOLOGICAL ACTIVITY

Description

Lobradimil (RMP 7), a synthetic bradykinin analog, is a potent and selective bradykinin B2 receptor agonist (K_i: 0.54 nM). Lobradimil increases the permeability of the BBB. Lobradimil can be used in the research of brain tumors[1].

IC_{50} & Target

Bradykinin B2 Receptor (B2R)

0.54 nM (Ki)

In Vitro

Lobradimil induces an increase in intracellular free calcium levels in RBME cells[3].

Lobradimil (0.01-0.5 nM, 15 min) increases the permeability of human brain microvascular endothelial cell (HMBEC) monolayers1[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Lobradimil (2.5-mg/kg bolus plus 10 mg/kg/h for 90 minutes) increases brain tumor permeability and shows hypotensive effects in RG2 glioma cells-implanted rats[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	RG2 glioma cells-implanted rats[2]
Dosage:	1.5-18 μg/kg
Administration:	i.v. infusion, 0.05 mL/min for 15min
Result:	Increased Carboplatin (HY-17393) uptake (up to 80%) into brain tumors in a dose-dependent manner.

REFERENCES

[1]. Warren K, et al. Phase II trial of intravenous lobradimil and carboplatin in childhood brain tumors: a report from the Children's Oncology Group. Cancer Chemother Pharmacol. 2006 Sep;58(3):343-7.

[2]. Elliott PJ, et al. Dissociation of blood-brain barrier permeability and the hypotensive effects of the bradykinin B2 agonist, RMP-7. Immunopharmacology. 1996 Jun;33(1-3):205-8.

[3]. Doctrow SR, et al. The bradykinin analog RMP-7 increases intracellular free calcium levels in rat brain microvascular endothelial cells. J Pharmacol Exp Ther. 1994 Oct;271(1):229-37.

[4]. Mackic JB, et al. Cereport (RMP-7) increases the permeability of human brain microvascular endothelial cell monolayers. Pharm Res. 1999 Sep;16(9):1360-5.

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