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)

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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