Product Data Sheet

Inhibitors



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

> -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

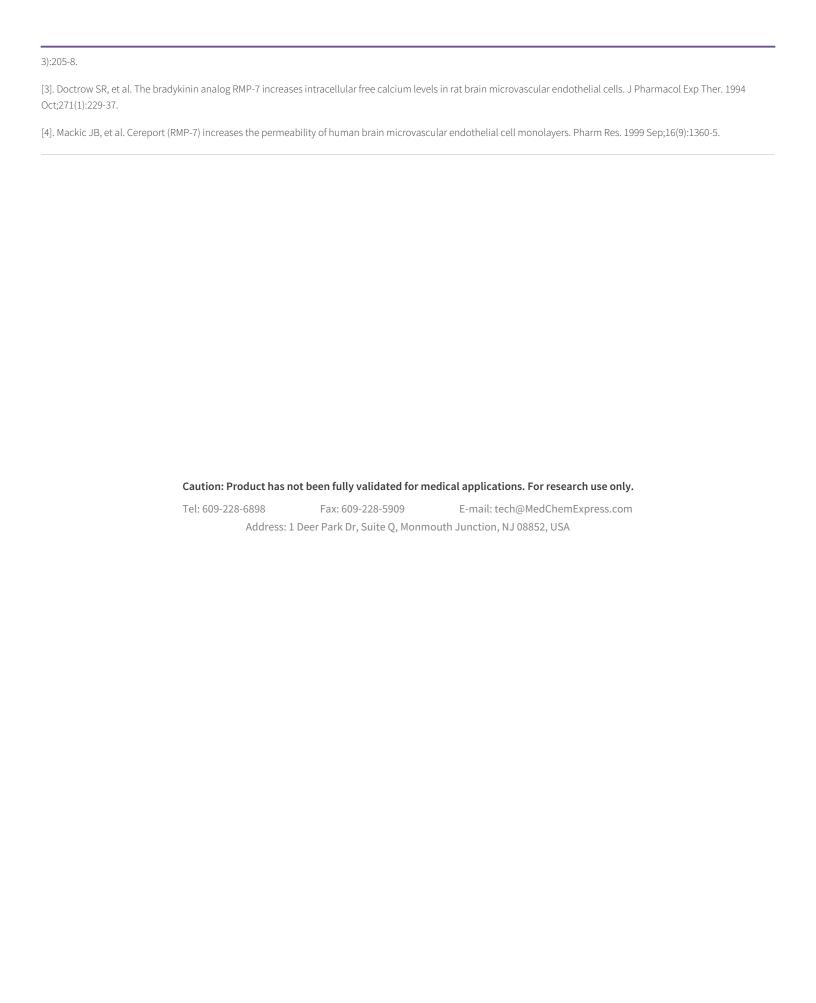
Description	Lobradimil (RMP 7), a synthetic bradykinin analog, is a potent and selective bradykinin B2 receptor agonist (K_i : 0.54 nM). Lobradimil increases the permeability of the BBB. Lobradimil can be used in the research of brain tumors ^[1] .	
IC ₅₀ & Target	Bradykinin B2 Receptor (B2R) 0.54 nM (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 <u>Carboplatin</u> (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-

Page 1 of 2



Page 2 of 2 www.MedChemExpress.com