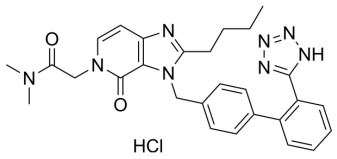
EMD 66684

Cat. No.:	HY-103247
CAS No.:	1216884-39-7
Molecular Formula:	$C_{28}H_{31}ClN_8O_2$
Molecular Weight:	547.05
Target:	Angiotensin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

EMD 66684 is an antagonist of Angiotensin II Type 1 (AT1) receptor. EMD 66684 shows potent binding affinities for the AT1 subtype Ang II receptor with an IC_{50} value of 0.7 nM. EMD 66684 also serves as an antiischemic cytoprotectant [1]-[5].

IC_{50} & Target

Angiotensin II Type 1
0.7 nM (IC_{50})

In Vitro

Ang II is known to activate at least two receptor subtypes, namely, AT1 and AT2 receptors[1].
EMD 66684 (0.1 μM) decreases Ang II (0.1 mM)-induced in basal and NS-induced NPY overflow, attenuates the NS-induced stimulation of both NE and NPY release[1].
EMD 66684 (0.01 nM-1 μM; 0, 30, 60 min) exhibits a time-dependent inhibition against Ang II in DMR (dynamic mass redistribution) responses, with IC_{50}s of 181.97 nM (0 min), 0.22 nM (30 min), 0.17 nM (60 min), respectively[2].
EMD 66684 exhibits binding affinities for the AT1 subtype Ang II receptor with an IC_{50} value of 0.7 nM in rat adrenal cortical membranes, and inhibits Ang II-Induced contraction in rabbit aortic rings with an IC_{50} value of 0.2 nM[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Hep G2 cells (liver hepatocellular carcinoma cell line)
Concentration:	1 nM
Incubation Time:	1 hour
Result:	Completely blocked the Ang II responses Ang II-induced response.

In Vivo

EMD 66684 (0.1, 0.3, 1 mg/kg; i.v.; once) results in a long lasting fall in blood pressure[3].
EMD 66684 (0.1 μM; 45 min) decreases the NS-induced overflow of NE and NPY from preparations from SHRs at 10-12 weeks old[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Conscious furosemide-treated SHR (Spontaneous Hypertension Rat)[3]
Dosage:	0.1, 0.3, 1 mg/kg

Administration:	Intravenous injection; once; as potassium salts to conscious furosemide-treated SHR
Result:	Showed a long lasting fall in blood pressure, resulted mean arterial pressure (MAP) decreased in a dose-dependent manner.

REFERENCES

[1]. Westfall TC, et al. Interactions of neuropeptide y, catecholamines, and angiotensin at the vascular neuroeffector junction. Adv Pharmacol. 2013;68:115-39.

[2]. Qu L, et al. Systematic characterization of AT1 receptor antagonists with label-free dynamic mass redistribution assays. J Pharmacol Toxicol Methods. 2020 Mar-Apr;102:106682.

[3]. Mederski WW, et al. Non-peptide angiotensin II receptor antagonists: synthesis and biological activity of a series of novel 4,5-dihydro-4-oxo-3H-imidazo[4,5-c]pyridine derivatives. J Med Chem. 1994 May 27;37(11):1632-45.

[4]. Byku M, et al. Nerve stimulation induced overflow of neuropeptide Y and modulation by angiotensin II in spontaneously hypertensive rats. Am J Physiol Heart Circ Physiol. 2008 Nov;295(5):H2188-97.

[5]. Avkran M, et al. Treatment of ischemia with an angiotensin II antagonist: UK, GB2337701. 1999-12-01.

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