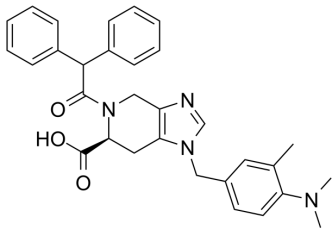
PD 123319

Cat. No.:	HY-10259
CAS No.:	130663-39-7
Molecular Formula:	$C_{31}H_{32}N_4O_3$
Molecular Weight:	508.61
Target:	Angiotensin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PD 123319 (ditrifluoroacetate) is a potent, selective AT2 angiotensin II receptor antagonist with IC_{50} of 34 nM.
IC_{50} & Target	IC50: 34 nM (AT2 Receptor)[1]
In Vitro	PD 123319 is shown to discriminate between two subclasses of AII receptors in many different tissues. ^{125}I-AII specifically label two classes of binding sites for AII in a membrane preparation of bovine adrenal glomerulosa cells. The first class (DuP-753 sensitive) represents approximately 85% of the total binding sites for AII and possesses a high affinity (IC_{50} of 92.9 nM) for DuP-753. PD-123319 does not have any effect on ^{125}I-AII binding to this site. The second class of binding sites is more sensitive to PD-123319, with an IC_{50} of 6.9 nM, and has a much lower affinity for DuP-753 (IC_{50} around 10 microM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3]	The lower limit of CBF autoregulation is studied in 16 SHR. Eight animals receive PD 123319, while eight serve as controls. PD 123319 or saline is administered intravenously, and the BP is allowed to stabilise for 10 minutes after the injection and prior to the commencement of the autoregulation study. Haemorrhagic hypotension is subsequently induced by withdrawing blood into a syringe. By this means, BP is reduced stepwise to the lowest obtainable level. Throughout the study, CBF is measured at 10 to 15 mmHg BP intervals. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Exp Med. 2022 Mar 7;219(3):e20211001.
- Redox Biol. October 2021, 102115.
- Int Immunopharmacol. 2022 Jun 17;110:108921.
- FASEB J. 2019 May;33(5):6254-6268.
- FASEB J. 2018 Sep;32(9):5051-5062.

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REFERENCES

[1]. Blankley CJ, et al. Synthesis and structure-activity relationships of a novel series of non-peptide angiotensin II receptor binding inhibitors specific for the AT2 subtype. J Med Chem. 1991 Nov;34(11):3248-60.

[2]. Boulay G, et al. Modulation of angiotensin II binding affinity by allosteric interaction of polyvinyl sulfate with an intracellular domain of the DuP-753-sensitive angiotensin II receptor of bovine adrenal glomerulosa. Mol Pharmacol. 1992 Apr;41(4):809-15

[3]. Estrup TM, et al. No effect of angiotensin II AT(2)-receptor antagonist PD 123319 on cerebral blood flow autoregulation. J Renin Angiotensin Aldosterone Syst. 2001 Sep;2(3):188-92.

[4]. Brillante DG, et al. Effects of intravenous PD 123319 on haemodynamic and arterial stiffness indices in healthy volunteers. J Renin Angiotensin Aldosterone Syst. 2005 Sep;6(2):102-6.

Caution: Product has not been fully validated for medical applications. For research use only.

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