PD 123319 ditrifluoroacetate

Cat. No.: HY-10259A

CAS No.: 136676-91-0

Molecular Formula: $C_{35}H_{34}F_6N_4O_7$

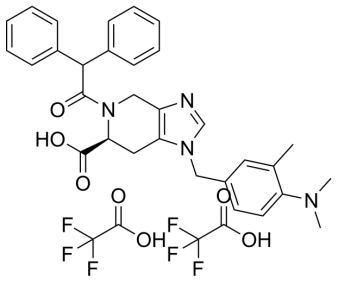
Molecular Weight: 736.66

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 36 mg/mL (48.87 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3575 mL	6.7874 mL	13.5748 mL
5 mM	0.2715 mL	1.3575 mL	2.7150 mL
10 mM	0.1357 mL	0.6787 mL	1.3575 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (135.75 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PD 123319 (ditrifluoroacetate) is a potent, selective AT2 angiotensin II receptor antagonist with IC_{50} of 34 nM.

IC_{50} & Target

AT2 Receptor

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.

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.

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