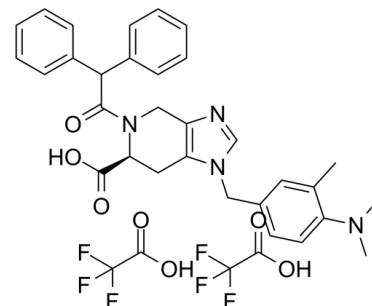


Data Sheet

Product Name:	PD 123319 (ditrifluoroacetate)
Cat. No.:	CS-1547
CAS No.:	136676-91-0
Molecular Formula:	C ₃₅ H ₃₄ F ₆ N ₄ O ₇
Molecular Weight:	736.66
Target:	Angiotensin Receptor
Pathway:	GPCR/G Protein
Solubility:	H ₂ O : ≥ 36 mg/mL (48.87 mM)



BIOLOGICAL ACTIVITY:

PD 123319 (ditrifluoroacetate) is a potent, selective **AT₂ angiotensin II receptor** antagonist with **IC₅₀** of 34 nM. IC₅₀ & 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. ¹²⁵I-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 (IC₅₀ of 92.9 nM) for DuP-753. PD-123319 does not have any effect on ¹²⁵I-AII binding to this site. The second class of binding sites is more sensitive to PD-123319, with an IC₅₀ of 6.9 nM, and has a much lower affinity for DuP-753 (IC₅₀ around 10 microM)^[2].

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.

CAIndexNames:

1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 1-[[4-(dimethylamino)-3-methylphenyl]methyl]-5-(2,2-diphenylacetyl)-4,5,6,7-tetrahydro-, (6S)-, 2,2,2-trifluoroacetate (1:2)

SMILES:

FC(F)(C(O)=O)F.FC(F)(C(O)=O)F.O=C([C@H]1CC2=C(N=CN2CC3=CC=C(N(C)C)C(C)=C3)CN1C(C(C4=CC=CC=C4)C5=CC=CC=C5)=O)O

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

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