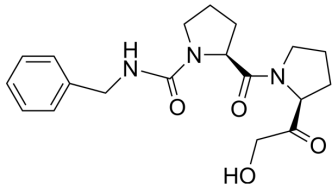
JTP-4819

Cat. No.:	HY-15112
CAS No.:	162203-65-8
Molecular Formula:	$C_{19}H_{25}N_3O_4$
Molecular Weight:	359.42
Target:	Prolyl Endopeptidase (PREP)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

JTP-4819 is a potent and specific inhibitor of prolyl endopeptidase (PREP) with IC_{50}s of 0.83 nM (in rat brain supernatant) and 5.43 nM (in Flavobacterium meningosepticum). JTP-4819 has blood-brain penetration, also improves the retention time of amnesia rats induced by Scopolamine (HY-N2096)[1][2].

In Vitro

JTP-4819 inhibits the degradation of substance P, arginine-vasopressin, thyrotropin-releasing hormone, neurotensin, oxytocin, bradykinin, and angiotensin II by purified PREP with IC_{50}s of 9.6, 13.9, 10.7, 14.0, 4.5, 7.6 and 10.6 nM, respectively [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

JTP-4819 (1 and 3 mg/kg 1 hr before acquisition or at 3 and 10 mg/kg 1 hr before; p.o.) significantly prolonged the retention time in the one-trial passive avoidance test in rats with Scopolamine (HY-N2096)-induced amnesia[1].

JTP-4819 (1 mg/kg and 3 mg/kg; p.o.; single dose) causes a significant increase in ACh release in the frontal cortex and hippocampus of young rats, as well as in both brain regions of aged rats at higher dose[1].

JTP-4819 combinded with substance P, arginine-vasopressin or thyrotropin-releasing hormone, improves the retention time of rats with scopolamine-induced amnesia[1].

Brain pharmacokinetics in rats[2]

	$AUC_{0\text{-}300\ min}$ (μg·min)	C_{max} (μM)	Brain/blood ratio	$t_{1/2\beta}$ (min)
Unblound concentrations				
Blood	1947	16.7		84
Cortex	206	1.78	0.13	77
Hippocampus	128	1.05	0.09	105
Striatum	178	1.26	0.10	128

Total tissue concentrations				
Plasma	4230	78.6		130
Brain	145	1.47	0.034	120

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Toide K, et al. JTP-4819: a novel prolyl endopeptidase inhibitor with potential as a cognitive enhancer. J Pharmacol Exp Ther. 1995 Sep;274(3):1370-8.

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