TASP0390325

Cat. No.: HY-117820

CAS No.: 1642187-96-9

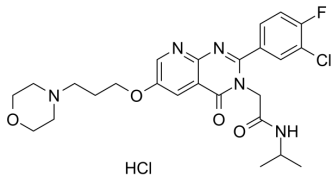
Molecular Formula: $C_{25}H_{30}Cl_2FN_5O_4$

Molecular Weight: 554.44

Target: Vasopressin Receptor

Pathway: GPCR/G Protein

Storage: -20°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

DMSO : 100 mg/mL (180.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8036 mL	9.0181 mL	18.0362 mL
	5 mM	0.3607 mL	1.8036 mL	3.6072 mL
	10 mM	0.1804 mL	0.9018 mL	1.8036 mL

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

BIOLOGICAL ACTIVITY

Description

TASP0390325 is a high affinity and orally active arginine vasopressin receptor 1B (V1B receptor) antagonist with antidepressant and anxiolytic activities[1].

In Vitro

TASP0390325 shows a high affinity and potent antagonist activity for V1B receptors[1].
TASP0390325 dose-dependently inhibits [^{3}H]-AVP binding to recombinant human V1B receptors with the IC_{50} value of 2.72 nM[1].
TASP0390325 also inhibits [^{3}H]-AVP binding to rat anterior pituitary membranes, with the IC_{50} value of 2.22 nM[1].
TASP0390325 potently attenuates the 2.5 nM AVP-induced increase in $[Ca^{2+}]_i$, with IC_{50} values of and 20.2 nM[1].
Pretreatment with TASP0390325 inhibits the retention of ^{11}C-TASP699 in a dose-dependent manner. Binding of ^{11}C-TASP699 to monkey pituitary slices is specifically localized to the anterior lobe. The radioligand binding is inhibited by TASP0390325 in a concentration-dependent manner. The IC_{50} value of TASP0390325 is 2.16 nM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TASP0390325 blocks the anterior pituitary V1B receptor in vivo. Oral administration of TASP0390325 antagonized the increase in plasma ACTH levels induced by CRF/dDAVP in rats, indicating that TASP0390325 blocks the anterior pituitary V1B receptor in vivo. TASP0390325 (1 mg/kg) significantly antagonizes CRF/dDAVP-induced plasma ACTH in rats[1].
Oral administration of TASP0390325 also exerts antidepressant effects in two models of depression (a forced swimming test

and an olfactory bulbectomy model)[1].

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

Animal Model:	Male Sprague-Dawley (SD) rats (211-246 g)[1]
Dosage:	0.3 and 1 mg/kg (TASP0390325 is suspended in 0.5% methylcellulose 400)
Administration:	Oral administration
Result:	Antagonized the increase in plasma ACTH levels induced by CRF/dDAVP in rats at a dose of 1 mg/kg. In contrast, 0.3 and 1.0 mg/kg itself did not significantly affect basal ACTH levels.

REFERENCES

[1]. M Iijima, et al. Antidepressant and anxiolytic profiles of newly synthesized arginine vasopressin V1B receptor antagonists: TASP0233278 and TASP0390325. Br J Pharmacol. 2014 Jul;171(14):3511-25.

[2]. Kazumi Koga, et al. High-Contrast PET Imaging of Vasopressin V 1B Receptors with a Novel Radioligand, ^{11}C-TASP699. J Nucl Med. 2017 Oct;58(10):1652-1658.

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