NNC05-2090 hydrochloride

Cat. No.: HY-103509

CAS No.: 184845-18-9

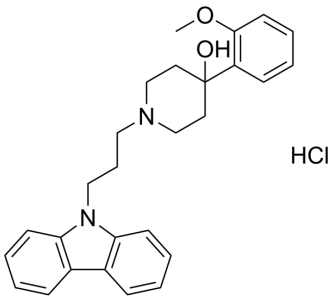
Molecular Formula: $C_{27}H_{31}ClN_2O_2$

Molecular Weight: 451

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

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

DMSO : 100 mg/mL (221.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2173 mL	11.0865 mL	22.1729 mL
	5 mM	0.4435 mL	2.2173 mL	4.4346 mL
	10 mM	0.2217 mL	1.1086 mL	2.2173 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NNC05-2090 (hydrochloride) is a GABA uptake inhibitor. NNC05-2090 is a betaine/GABA transporter (BGT-1) inhibitor with an IC_{50} value of 10.6 μM. NNC05-2090 can be used for the research of epilepsy and neurological disease[1][2].

In Vitro

NNC05-2090 hydrochloride shows IC_{50} values for binding with prazosin and spiperone of 266 and 1632 nM, respectively[1].
NNC05-2090 hydrochloride (0.1-100 μM) inhibits [^{3}H]GABA uptake in synaptosomes from rat cortex with an IC_{50} value of 4.4 μM[1].
NNC05-2090 hydrochloride (0.1-100 μM) inhibits [^{3}H]GABA uptake in synaptosomes prepared from inferior colliculus with an IC_{50} value of 2.5 μM[1].
NNC05-2090 hydrochloride inhibits serotonin, noradrenaline, dopamine transporters and BGT-1 with IC_{50} values of 5.29, 7.91, 4.08 and 10.6 μM, respectively[1].
NNC05-2090 hydrochloride inhibits GAT-1, GAT-2 and GAT-3 with IC_{50} values of 29.62, 45.29 and 22.51 μM, respectively[1].

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

In Vivo

NNC05-2090 hydrochloride (intraperitoneal injection) dose-dependently protects against maximal electroshock (MES) in mice with an ED_{50} values of 73 μmol/kg, and shows ED_{50} values against tonic and clonic convulsions in DBA/2 mice of 19 and 26 μmol /kg, respectively[1].

NNC05-2090 hydrochloride (0.01, 0.1 and 0.3 mg/kg; i.p. or i.,t., once) reverses mechanical allodynia in (partial sciatic nerve ligation) PSL model mice[2].

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

Animal Model:	Partial sciatic nerve ligation (PSL) mice with mechanical allodynia[2]
Dosage:	0.01, 0.1 and 0.3 mg/kg
Administration:	Intraperitoneal injection or intrathecal injection; 0.1 mg/kg, once
Result:	Dose-dependently reversed mechanical allodynia in PSL model mice by both intraperitoneal injection and intrathecal injection.

REFERENCES

[1]. Dalby NO, et al. Anticonvulsant properties of two GABA uptake inhibitors NNC 05-2045 and NNC 05-2090, not acting preferentially on GAT-1. Epilepsy Res. 1997 Jul;28(1):51-61.

[2]. Jinzenji A, et al. Antiallodynic action of 1-(3-(9H-Carbazol-9-yl)-1-propyl)-4-(2-methyoxyphenyl)-4-piperidinol (NNC05-2090), a betaine/GABA transporter inhibitor. J Pharmacol Sci. 2014;125(2):217-26.

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