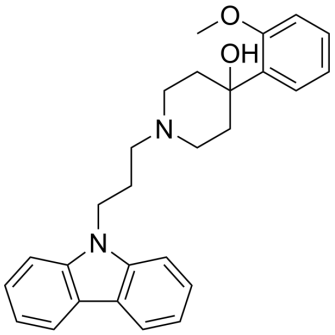
NNC 05-2090

Cat. No.:	HY-120146
CAS No.:	184845-43-0
Molecular Formula:	$C_{27}H_{30}N_2O_2$
Molecular Weight:	414.54
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	NNC 05-2090 is aGABA uptake inhibitor and inhibitor of the β-GABA transporter (BGT-1) (IC_{50} sub>: 10.6 μM). NNC 05-2090 also inhibits mGAT2 with a K_i value of 1.4 μM. NNC 05-2090 has anticonvulsant activity and can be used in the study of epilepsy and neurological diseases[1][2][3].
In Vitro	NNC 05-2090 shows IC_{50} values for binding with prazosin and spiperone of 266 and 1632 nM, respectively[1]. NNC 05-2090 (0.1-100 μM) inhibits [^{3}H]GABA uptake in synaptosomes from rat cortex with an IC_{50} value of 4.4 μM[1]. NNC 05-2090 (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]. NNC 05-2090 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]. NNC 05-2090 inhibits GAT-1, GAT-2 and GAT-3 with IC_{50} values of 29.62, 45.29 and 22.51 μM, respectively[1]. NNC 05-2090 dose-dependently inhibited sound-induced tonic and clonic convulsions in DBA/2 mice with an ED_{50} value 19 μmol/kg[2]. NNC 05-2090 dose-dependently antagonized tonic hindlimb extension in the maximal electroshock (MES) test with an ED_{50} values of 73 mmol/kg[2]. NNC 05-2090 significantly (PB0.05) reduces generalized seizure severity (seizure grade 3-5) at highest doses (72-242 mmol/kg) and NNC 05-2090 also significantly reduced afterdischarge duration at these doses (P<0.05)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	NNC 05-2090 (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]. NNC 05-2090 (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[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. C Thomsen, et al. 1-(3-(9H-carbazol-9-yl)-1-propyl)-4-(2-methoxyphenyl)-4-piperidinol, a novel subtype selective inhibitor of the mouse type II GABA-transporter. Br J Pharmacol. 1997 Mar;120(6):983-5.

[2]. N O Dalby, 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.

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