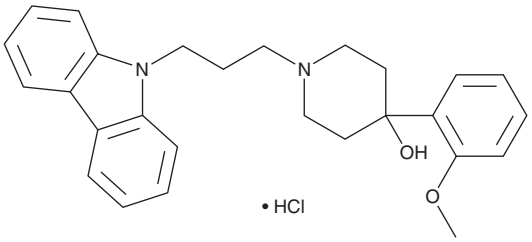
PRODUCT INFORMATION

NNC 05-2090 (hydrochloride)

Item No. 42491

CAS Registry No.: 184845-18-9
Formal Name: 1-[3-(9H-carbazol-9-yl)propyl]-4-(2-methoxyphenyl)-4-piperidinol, monohydrochloride
MF: $C_{27}H_{30}N_2O_2 \bullet HCl$
FW: 451.0
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

NNC 05-2090 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the NNC 05-2090 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. NNC 05-2090 (hydrochloride) is slightly soluble (0.1-1 mg/ml) in DMSO and acetonitrile.

NNC 05-2090 (hydrochloride) is slightly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

NNC 05-2090 is an antagonist of betaine/GABA transporter 1 (BGT1; IC_{50} = 5.1 µM for the human transporter).[1] It also inhibits mouse GABA transporter 1 (GAT1), mouse GAT2, mouse GAT3, rat serotonin transporter (SERT), rat noradrenaline transporter (NET), and rat dopamine transporter (DAT; IC_{50}s = 29.62, 45.29, 22.51, 5.29, 7.91, and 4.08 µM, respectively, in CHO cells expressing the mouse or rat transporters).[2] Intravenous administration of NNC 05-2090 (0.01 or 0.03 mg/kg) increases the latency to paw withdrawal in a mouse model of partial sciatic nerve ligation-induced allodynia. It decreases immobility time in the forced swim test in mice when administered at a dose of 2 mg/kg. NNC 05-2090 inhibits sound-induced clonic and tonic seizures and maximal electroshock-induced seizures in mice (ED_{50}s = 26, 19, and 73 µmol/kg, respectively).[3]

References

1. Nakada, K., Yoshikawa, M., Ide, S., *et al.* Cyclopropane-based conformational restriction of GABA by a stereochemical diversity-oriented strategy: Identification of an efficient lead for potent inhibitors of GABA transports. *Bioorg. Med. Chem.* **21(17)**, 4938-4950 (2013).
2. Jinzenji, A., Sogawa, C., Miyawaki, T., *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.* **125(2)**, 217-226 (2014).
3. Dalby, N.O., Thomsen, C., Fink-Jensen, A., *et al.* Anticonvulsant properties of two GABA uptake inhibitors NNC 05-2045 and NNC 05-2090, not acting preferentially on GAT-1. *Epilepsy Res.* **28(1)**, 51-61 (1997).

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