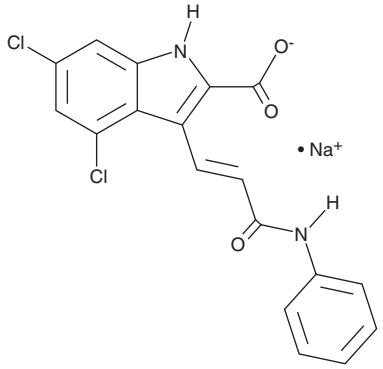
PRODUCT INFORMATION

Gavestinel (sodium salt)

Item No. 32845



CAS Registry No.:	153436-38-5
Formal Name:	4,6-dichloro-3-[(1E)-3-oxo-3-(phenylamino)-1-propen-1-yl]-1H-indole-2-carboxylic acid, monosodium salt
Synonym:	GV150526A
MF:	$C_{18}H_{11}Cl_2N_2O_3 \bullet Na$
FW:	397.2
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

Gavestinel (sodium salt) is supplied as a solid. A stock solution may be made by dissolving the gavestinel (sodium salt) in the solvent of choice, which should be purged with an inert gas. Gavestinel (sodium salt) is soluble in the organic solvent DMSO.

Description

Gavestinel is an NMDA receptor antagonist.[1,2] It selectively binds to the glycine site of the NMDA receptor over the glutamate binding site of NMDA, AMPA, or ionotropic kainate (GluK) receptors (K_is = 0.003, 10, 7.94, and 3.98 µM, respectively) and is selective for the NMDA receptor over a panel of 70 receptors (IC_{50}s = >10 µM for all). Gavestinel (0.03-0.35 µM) inhibits glutamate-induced increases in intracellular calcium levels in primary rat neonatal cerebral cortical neurons.[3] It reduces infarct volume in a rat model of focal ischemia induced by middle cerebral artery occlusion (MCAO) when administered intravenously at a dose of 3 mg/kg.[4]

References

1. Di Fabio, R., Capelli, A.M., Conti, N., *et al.* Substituted indole-2-carboxylates as *in vivo* potent antagonists acting as the strychnine-insensitive glycine binding site. *J. Med. Chem.* **40(6)**, 841-850 (1997).
2. Donati, D. and Di Fabio, R. Synthesis and pharmacological properties of novel glycine antagonists. *Pharm. Acta Helv.* **74(2-3)**, 239-245 (2000).
3. Tomasini, M.C., Antonelli, T., Trist, D.G., *et al.* Protective effect of GV150526A on the glutamate-induced changes in basal and electrically-stimulated cytosolic Ca^{++} in primary cultured cerebral cortical cells. *Neurochem. Int.* **32(4)**, 345-351 (1998).
4. Bordi, F., Pietra, C., Ziviani, L., *et al.* The glycine antagonist GV150526 protects somatosensory evoked potentials and reduces the infarct area in the MCAo model of focal ischemia in the rat. *Exp. Neurol.* **145(2 Pt 1)**, 425-433 (1997).

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