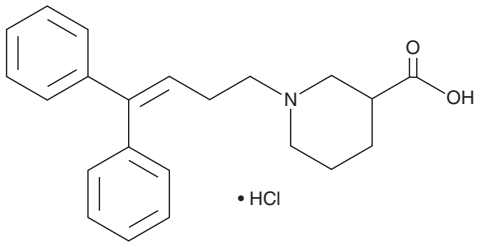
PRODUCT INFORMATION

SKF 89976A (hydrochloride)

Item No. 42449

CAS Registry No.:	85375-15-1
Formal Name:	1-(4,4-diphenyl-3-buten-1-yl)-3-piperidinecarboxylic acid, monohydrochloride
MF:	$C_{22}H_{25}NO_2 \bullet HCl$
FW:	371.9
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

SKF 89976A (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the SKF 89976A (hydrochloride) in the solvent of choice, which should be purged with an inert gas. SKF 89976A (hydrochloride) is sparingly soluble (1-10 mg/ml) in DMSO and ethanol.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of SKF 89976A (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. SKF 89976A (hydrochloride) is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

SKF 89976A is an inhibitor of GABA transporter 1 (GAT1; IC_{50}s = 0.13 and 0.64 µM for the human and rat transporters, respectively).[1] It is selective for GAT1 over rat GAT2, human GAT3, and human betaine/GABA transporter 3 (BGT3; IC_{50}s = 550, 944, and 7,210 µM, respectively). SKF 89976A inhibits GABA uptake in rat synaptosome preparations (IC_{50} = 341 nM).[2] It inhibits DMCM-induced seizures in mice (ED_{50} = 3.1 mg/kg).

References

1. Borden, L.A., Murali Dhar, T.G., Smith, K.E., *et al.* Tiagabine, SK&F 89976-A, CI-966, and NNC-711 are selective for the cloned GABA transporter GAT-1. *Eur. J. Pharmacol.* **269(2),** 219-224 (1994).
2. Andersen, K.E., Braestrup, C., Grønwald, F.C., *et al.* The synthesis of novel GABA uptake inhibitors. 1. Elucidation of the structure-activity studies leading to the choice of (R)-1-[4,4-bis(3-methyl-2-thienyl)-3-butenyl]-3-piperidinecarboxylic acid (tiagabine) as an anticonvulsant drug candidate. *J. Med. Chem.* **36(12),** 1716-1725 (1993).

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