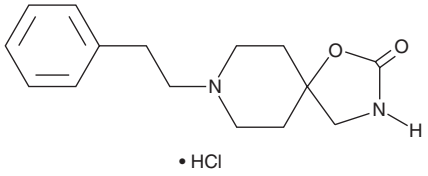
PRODUCT INFORMATION

Fenspiride (hydrochloride)

Item No. 25512

CAS Registry No.: 5053-08-7
Formal Name: 8-(2-phenylethyl)-1-oxa-3,8-diazaspiro[4.5]decan-2-one, monohydrochloride
Synonym: Decaspiride
MF: $C_{15}H_{20}N_2O_2 \bullet HCl$
FW: 296.8
Purity: ≥95%
UV/Vis.: λ_{max}: 238, 288 nm
Supplied as: A crystalline 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

Fenspiride (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the fenspiride (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Fenspiride (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of fenspiride (hydrochloride) in these solvents is approximately 20 mg/ml. Fenspiride (hydrochloride) is slightly soluble in 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 fenspiride (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of fenspiride (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Fenspiride is an antagonist of histamine H_1 receptors and a non-steroidal anti-inflammatory drug (NSAID).[1,2] It inhibits histamine-induced contraction of isolated guinea pig trachea but not histamine-induced inotropy of isolated guinea pig heart. It also inhibits phosphodiesterase 4 (PDE4), PDE5, and PDE3 (IC_{50}s = 69, ~158, and 363 µM, respectively, in isolated human bronchi derived from patients with lung cancer).[3] It is selective for these phosphodiesterases over PDE1 and PDE2, where it provides less than 25% inhibition. Fenspiride potentiates the airway relaxant effects of isoproterenol (Item No. 15592) and sodium nitroprusside indicating an effect on cAMP and cGMP phosphodiesterases, respectively. Aerosolized fenspiride (1 mg/ml) reverses bronchoconstriction induced by capsaicin and, when used at aerosolized concentrations ranging from 1-10 mg/ml, reduces cough induced by citric acid in a guinea pig model of cough.[2]

References

1. Rognoni, F., Marchini, F., Piacenza, G., *et al. Boll. Chim. Farm.* **117(7)**, 397-401 (1978).
2. Laude, E.A., Bee, D., Crambes, O., *et al. Eur. Respir. J.* **8(10)**, 1699-1704 (1995).
3. Cortijo, J., Naline, E., Ortiz, J.L., *et al. Eur. J. Pharmacol.* **341(1)**, 79-86 (1998).

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