PRODUCT INFORMATION



A-77636 (hydrochloride)

Item No. 24316

CAS Registry No.: 145307-34-2

(1R,3S)-1-(aminomethyl)-3,4-dihydro-Formal Name:

3-tricyclo[3.3.1.1^{3,7}]dec-1-yl-1H-2-

benzopyran-5,6-diol, monohydrochloride

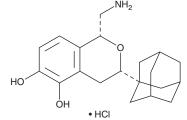
MF: C₂₀H₂₇NO₃ • HCl

365.9 FW: **Purity:** ≥98%

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

A-77636 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the A-77636 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. A-77636 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of A-77636 (hydrochloride) in these solvents is approximately 33 mg/ml.

A-77636 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-77636 (hydrochloride) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. A-77636 (hydrochloride) has a solubility of approximately 0.14 mg/ml in a 1:6 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

A-77636 is a dopamine D_1 receptor agonist ($K_i = 40 \text{ nM}$). It induces activity equal to or greater than dopamine (Item No. 21992) in an adenylate cyclase assay of D₁ receptor activity in fish retina and rat caudate putamen (EC₅₀s = 7.4 and 1.1 nM, respectively) but does not show agonist activity at D₂ receptors expressed in MMQ cells when used at concentrations up to 10 μ M. A-77636 (10 μ M) induces desensitization of dopamine-stimulated cAMP accumulation and downregulation of D_1 receptors, reducing D_1 receptor expression by 79% in C-6 glioma cells expressing monkey D_{1A} receptors.² In a marmoset model of Parkinson's disease induced by MPTP, A-77636 (3 μmol/kg, s.c.) increases locomotor activity 5.3-fold and reduces disease severity.1 Subcutaneous administration of A-77636 elicits cortical acetylcholine release at a dose of 1 µmol/kg.3 It also elicits over a 230% increase in cortical and hippocampal acetylcholine release when administered at a dose of 4 μmol/kg, an effect that is blocked by the D₁ antagonist SCH 23390 (Item No. 15631).

References

- 1. Kebabian, J.W., Britton, D.R., DeNinno, M.P., et al. A-77636: A potent and selective dopamine D₁ receptor agonist with antiparkinsonian activity in marmosets. Eur. J. Pharmacol. 229(2-3), 203-209 (1992).
- Lewis, M.M., Watts, V.J., Lawler, C.P., et al. Homologous desensitization of the $D_{1\Delta}$ dopamine receptor: Efficacy in causing desensitization dissociates from both receptor occupancy and functional potency. J. Pharmacol. Exp. Ther. 286(1), 345-353 (1998).
- 3. Acquas, E., Day, J.C., and Fibiger, H.C. The potent and selective dopamine D₁ receptor agonist A-77636 increases cortical and hippocampal acetylcholine release in the rat. Eur. J. Pharmacol. 260(1), 85-87 (1994).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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