

Product Data Sheet

Product Name: A 77636 hydrochloride

Cat. No.: GC11183

Chemical Properties

Cas. No. 145307-34-2

Chemical Name (1R,3S)-3-((1s,3R,5R,7S)-adamantan-1-yl)-1-(aminomethyl)isochroman-5,6-diol hydrochloride

SMILES OC(C1=C(C=C2)[C@H](CN)O[C@@H](C1)[C@]3(C[C@H](C4)C5)C[C@@H]4C[C@H]5C3)=C2O.Cl

Formula $C_{20}H_{27}NO_3 \cdot HCl$ M.Wt 365.9

Solubility DMF: 33 mg/ml, DMSO: 33 mg/ml, Ethanol: 33 mg/ml, Ethanol: PBS (pH 7.2) (1:6): 0.14 mg/ml
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

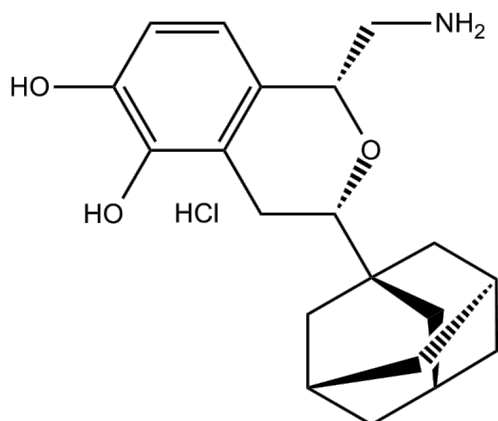
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines MDA-MB-231 cells

Preparation Method 4T1.2 mouse mammary tumor cells were cultured in DMEM, the culture media contained 10% fetal bovine serum (FBS) and antibiotics (50units/ml penicillin, and 50µg/ml streptomycin). Cells were maintained at 37°C and 5% CO₂ in a humidified incubator. Then add 2, 5, and 10µM A 77636 in the culture media for 32-48h.

Reaction Conditions 2, 5, and 10µM; 32-48h

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Applications A 77636 (2, 5, and 10 μ M) reduced the cellular motility of MDA-MB-231 cells in a dose-dependent manner in the wound healing scratch assay.

Animal experiment [2]:

Animal models Male hD1 mice, 8–10 weeks old

Preparation Method Mice were placed inside individual locomotor activity (LMA) boxes for 1 hour during an acclimation period. Mice were then dosed with test compounds (oral or subcutaneous) and were replaced inside individual LMA boxes for the start of LMA. Photocell activity (total ambulations) was collected for 60 minutes using software from Hamilton Kinder. DETQ was dissolved in 20% 2-hydroxypropyl- β -cyclodextrin, and D1 agonists SKF 82958 and A 77636 and D1 antagonist SCH39166 were dissolved in 0.9% dihydrexidine saline.

Dosage form 3-60mg/kg; s.c.

Applications The D1 agonists SKF 82958 and A 77636 showed an increase in horizontal locomotion and rearing at lower doses.

References:

- [1]. Minami, Kazumasa, et al. "Inhibitory effects of dopamine receptor D1 agonist on mammary tumor and bone metastasis." Scientific reports 7.1 (2017): 45686.
[2]. Svensson, Kjell A., et al. "An allosteric potentiator of the dopamine D1 receptor increases locomotor activity in human D1 knock-in mice without causing stereotypy or tachyphylaxis." The Journal of pharmacology and experimental therapeutics 360.1 (2017): 117-128.

Background

A 77636 hydrochloride is a selective dopamine D1 receptor agonist with $pK_i=7.40\pm0.09$; $K_i=39.8$ nM and $EC_{50}=1.1$ nM. A 77636 is commonly used in Parkinson's disease research [1].

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In vitro, pretreatment of SK-N-MC cells with A 77636 (200nM; 1h) led to a persistent elevation of cAMP levels, and can be blocked by SCH 23390 [2]. A 77636 (1-20μM) upregulated the phosphorylation of eIF2α, inhibited proliferation, motility, and bone metastatic activity of 4T1.2 mammary tumor cells and MDA-MB-231 breast cancer cells [3].

In vivo, A 77636 (3μmole/kg; s.c.) increased locomotor activity and decreased the severity of the parkinsonian-like symptoms in marmosets treated with MPTP (1-Methyl-4-phenyl-1,2,3,6-tetrahydropyridine) induced parkinsonian-like model [1]. A 77636 (3-60mg/kg; s.c.) increased horizontal locomotion and rearing at lower doses in Male hD1 mice [4]. A 77636 (3 and 10mg/kg; i.p.) produced dose-related attenuation and decreased peak responding to cocaine in Swiss-Webster mice [5]. A 77636 (0.1–1.0mg/kg, s.c.) exerted a suppressant effect on food intake in rat, due to a reduction in meal size and duration [6].

References:

- [1]. Kebabian, John W., et al. "A 77636: a potent and selective dopamine D1 receptor agonist with antiparkinsonian activity in marmosets." *European journal of pharmacology* 229.2-3 (1992): 203-209.
- [2]. Lin, Chun Wei, et al. "Persistent activation of the dopamine D1 receptor contributes to prolonged receptor desensitization: studies with A 77636." *The Journal of pharmacology and experimental therapeutics* 276.3 (1996): 1022-1029.
- [3]. Minami, Kazumasa, et al. "Inhibitory effects of dopamine receptor D1 agonist on mammary tumor and bone metastasis." *Scientific reports* 7.1 (2017): 45686.
- [4]. Svensson, Kjell A., et al. "An allosteric potentiator of the dopamine D1 receptor increases locomotor activity in human D1 knock-in mice without causing stereotypy or tachyphylaxis." *The Journal of pharmacology and experimental therapeutics* 360.1 (2017): 117-128.
- [5]. Chausmer, Allison L., and Jonathan L. Katz. "Comparison of interactions of D1-like agonists, SKF 81297, SKF 82958 and A 77636, with cocaine: locomotor activity and drug discrimination studies in rodents." *Psychopharmacology* 159.2 (2002): 145-153.

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