Prazosin hydrochloride

Cat. No.: HY-B0193A

CAS No.: 19237-84-4

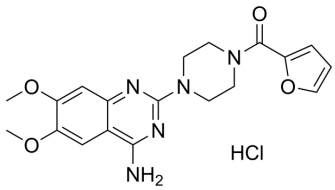
Molecular Formula: $C_{19}H_{22}ClN_5O_4$

Molecular Weight: 419.86

Target: Adrenergic Receptor; Autophagy

Pathway: GPCR/G Protein; Neuronal Signaling; Autophagy

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (34.04 mM; Need ultrasonic)
H_2O : 0.59 mg/mL (1.41 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3817 mL	11.9087 mL	23.8175 mL
5 mM	0.4763 mL	2.3817 mL	4.7635 mL
10 mM	0.2382 mL	1.1909 mL	2.3817 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.43 mg/mL (3.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (3.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Prazosin hydrochloride is a well-tolerated, CNS-active α1-adrenergic receptor antagonist for the research of high blood pressure and alcohol use disorders[1]. Prazosin hydrochloride potently inhibits Norepinephrine (NE)-stimulated 45Ca efflux with an IC_{50} of 0.15 nM[2].Prazosin hydrochloride inhibits organic cation transporters OCT-1 and OCT-3 with IC_{50}s of 1.8, and 13 μM, respectively[3].

IC_{50} & Target

α adrenergic receptor

In Vitro

Prazosin (0, 2.5, 5, 7.5, 10, 15, 20, 30, 40 and 50 μM) effectively inhibits the proliferation of U251 and U87 cells[4].

?Prazosin inhibits the migration and invasion of U251 and U87 cells[4].
?Prazosin treatment decreases the protein expression of components of the PI3K/AKT/mTOR signaling pathway. Prazosin (13.16 and 11.57 μM for U251 and U87 cells, 48 hours) decreases the expression levels of P70 and cyclin D1, which are downstream target genes of the PI3K/AKT/mTOR signaling pathway[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	U251 and U87 cells
Concentration:	0, 2.5, 5, 7.5, 10, 15, 20, 30, 40 and 50 μM.
Incubation Time:	48 hours
Result:	The IC_{50}s were 13.16±0.95 and 11.57±0.79 μM for U251 and U87 cells, respectively.

Western Blot Analysis

Cell Line:	U251 and U87 cells
Concentration:	13.16 and 11.57 μM for U251 and U87 cells, respectively
Incubation Time:	48 hours
Result:	Protein expression levels of Bax and active Caspase-3 were increased. Bcl-2 levels were also decreased after prazosin treatment (P<0.05). The expression of p-AKT and p-mTOR, P70 and cyclin D1 were decreased.

In Vivo

Peripheral administration of Prazosin (0, 0.5, 1.0, 1.5 or 2.0 mg/kg; i.p.) can suppress not only central α1-adrenergic-mediated hyperexcitability but also stress-induced anxiety[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Fifty-five alcohol-naive male rats from the 60th generation of selective breeding for alcohol preference[1]
Dosage:	0.5, 1.0, 1.5, or 2.0 mg/kg
Administration:	Injected intraperitoneally (IP); 0.5 mg/mL; once a day at 15 min prior to onset of the daily two hour two-bottle choice, alcohol versus water, access period for two consecutive days and then three weeks later for five consecutive days.
Result:	Significantly reduced alcohol intake during the initial two daily administrations, and this reduction of alcohol intake was maintained for five consecutive days by daily prazosin treatment in the subsequent more prolonged trial.

CUSTOMER VALIDATION

- Cell Discov. 2023 Feb 7;9(1):16.
- J Exp Med. 2023 Nov 6;220(11):e20230577.
- Biomed Pharmacother. 2020 Apr;124:109731.
- J Transl Med. 2022 Oct 2;20(1):444.
- J Med Chem. 2021 Mar 11;64(5):2725-2738.

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REFERENCES

[1]. Dennis D Rasmussen, et al. The alpha1-adrenergic receptor antagonist, prazosin, reduces alcohol drinking in alcohol-preferring (P) rats. Alcohol Clin Exp Res. 2009 Feb;33(2):264-72.

[2]. W S Colucci, et al. Nonlinear relationship between alpha 1-adrenergic receptor occupancy and norepinephrine-stimulated calcium flux in cultured vascular smooth muscle cells.Mol Pharmacol. 1985 May;27(5):517-24.

[3]. Deborah L White, et al. OCT-1-mediated influx is a key determinant of the intracellular uptake of imatinib but not nilotinib (AMN107): reduced OCT-1 activity is the cause of low in vitro sensitivity to imatinib.Blood. 2006 Jul 15;108(2):697-704.

[4]. Jing Zhang, et al. Prazosin inhibits the proliferation, migration and invasion, but promotes the apoptosis of U251 and U87 cells via the PI3K/AKT/mTOR signaling pathway. Exp Ther Med. 2020 Aug;20(2):1145-1152.

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