Verapamil hydrochloride

Cat. No.: HY-A0064

CAS No.: 152-11-4

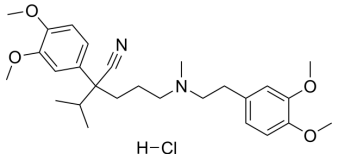
Molecular Formula: $C_{27}H_{39}ClN_2O_4$

Molecular Weight: 491.06

Target: Calcium Channel; P-glycoprotein; Cytochrome P450

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Metabolic Enzyme/Protease

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (101.82 mM; Need ultrasonic)
DMSO : ≥ 31 mg/mL (63.13 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0364 mL	10.1821 mL	20.3641 mL
5 mM	0.4073 mL	2.0364 mL	4.0728 mL
10 mM	0.2036 mL	1.0182 mL	2.0364 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (50.91 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% saline
   Solubility: ≥ 5 mg/mL (10.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Verapamil hydrochloride ((±)-Verapamil hydrochloride) is a calcium channel blocker and a potent and orally active first-generation P-glycoprotein (P-gp) inhibitor. Verapamil hydrochloride also inhibits CYP3A4. Verapamil hydrochloride has the

	potential for high blood pressure, heart arrhythmias and angina research[1][2][3].
IC_{50} & Target	CYP3
In Vitro	The EverFluor FL Verapamil (EFV) uptake by TR-iBRB2 cells is inhibited by cationic drugs, and inhibits by verapamil in a concentration-dependent manner with an IC_{50} of 98.0 μM[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Given orally Verapamil is useful for the prophylaxis of atrioventricular reentry tachycardia, and also in modulating the atrioventricular nodal response in atrial fibrillation[2]. Verapamil is injected i.v. into a femoral vein prior to ischemia. Verapamil (1 mg/kg) significantly decreases the incidence of ventricular arrhythmias including premature ventricular contractions (PVC), ventricular tachycardia (VT) and ventricular fibrillation (VF) for 45-min coronary artery occlusion. Total arrhythmia scores are significantly increased when the heart is subjected to ischemia. Verapamil (1 mg/kg) significantly prevents the enhancement of total arrhythmia scores induced by ischemia[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Cells (1×10^5) are treated with 10 nM Bortezomib and/or 70 μM Verapamil for 16 hours and incubated for another 4 hours with Alamar-Blue. Activity of the mitochondrial dehydrogenase results in conversion of the coloring, which is followed by measurement of the absorption using a spectrophotometer[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Rats[3] Adult male Sprague-Dawley (SD) rats (250−350 g) are used. Verapamil (1 mg/kg) is injected i.v. into a femoral vein 10 min prior to ischemia. A sham group undergoes the same surgical procedures, except the suture underneath the LAD is left untied. In another series of experiment, arrhythmia is induced by Bay K8644, an L-type calcium channel agonist, at a dose of 0.1 mg/kg given i.v. into the FV. Verapamil (1 mg/kg) is administered 10 min prior to Bay K8644. All injections are performed within 30 sec. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2017 Apr 10;31(4):501-515.e8.
- Adv Mater. 2023 Sep 27:e2211980.
- Cell Stem Cell. 2023 Apr 6;30(4):378-395.e8.
- Bioact Mater. 2021 Apr 21;6(11):4073-4082.
- Research (Wash D C). 2024 Feb 21.

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REFERENCES

[1]. Gowarty JL, et al. Verapamil as a culprit of palbociclib toxicity. J Oncol Pharm Pract. 2019 Apr;25(3):743-746.

[2]. Krikler DM. Verapamil in arrhythmia. Br J Clin Pharmacol. 1986;21 Suppl 2:183S-189S.

[3]. Zhou P, et al. Anti-arrhythmic effect of Verapamil is accompanied by preservation of cx43 protein in rat heart. PLoS One. 2013 Aug 12;8(8):e71567.

[4]. Rehnqvist N,et al. Effects of metoprolol vs verapamil in patients with stable angina pectoris. The Angina Prognosis Study in Stockholm (APSIS). Eur Heart J. 1996 Jan;17(1):76-81.

[5]. Kubo Y, et al. Blood-to-Retina Transport of Fluorescence-Labeled Verapamil at the Blood-Retinal Barrier. Pharm Res. 2018 Mar 12;35(5):93.

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