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Norverapamil hydrochloride

Cat. No.: HY-100750

CAS No.: 67812-42-4

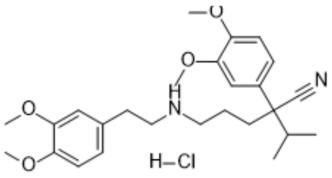
Molecular Formula: $C_{26}H_{37}ClN_2O_4$

Molecular Weight: 477.04

Target: Calcium Channel; Drug Metabolite; P-glycoprotein

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

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (104.81 mM)
DMSO : ≥ 31 mg/mL (64.98 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0963 mL	10.4813 mL	20.9626 mL
5 mM	0.4193 mL	2.0963 mL	4.1925 mL
10 mM	0.2096 mL	1.0481 mL	2.0963 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: ≥ 2.5 mg/mL (5.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Norverapamil hydrochloride ((±)-Norverapamil hydrochloride), an N-demethylated metabolite of Verapamil, is a L-type calcium channel blocker and a P-glycoprotein (P-gp) function inhibitor[1][2].

IC_{50} & Target

L-type calcium channel

In Vitro

Norverapamil hydrochloride ((±)-Norverapamil hydrochloride) is similarly effective as verapamil at inhibiting isoniazid and rifampicin tolerance and killing of intracellular M. tuberculosis in the absence of other drugs. norverapamil, also inhibits macrophage-induced tolerance and achieves similar serum levels to verapamil[1].
Verapamil and its major metabolite norverapamil were identified to be both mechanism-based inhibitors and substrates of

	CYP3A and reported to have non-linear pharmacokinetics in clinic[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Norverapamil hydrochloride (9 mg/kg; p.o.), a major metabolite of verapamil, has terminal half-life, AUC and Cmax values of 9.4 hours, 260 ng⋅h/ml, and 41.6 ng/mL, respectively[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats[3]
Dosage:	9 mg/kg (Pharmacokinetic Study)
Administration:	Oral administration
Result:	$t_{1/2}$=9.4 hours;AUC=260 ng⋅h/mL;C_{max}=41.6 ng/mL.

CUSTOMER VALIDATION

- Toxicol Lett. 2021 Sep 29;S0378-4274(21)00841-9.

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REFERENCES

[1]. Adams KN, et al. Verapamil, and its metabolite norverapamil, inhibit macrophage-induced, bacterial efflux pump-mediated tolerance to multiple anti-tubercular drugs. J Infect Dis. 2014 Aug 1;210(3):456-66.

[2]. Wang J et al. A semi-physiologically-based pharmacokinetic model characterizing mechanism-based auto-inhibition to predict stereoselective pharmacokinetics of verapamil and its metabolite norverapamil in human. Eur J Pharm Sci. 2013 Nov 20;50(3-4):290-302.

[3]. Choi DH, et al. Effects of simvastatin on the pharmacokinetics of verapamil and its main metabolite, norverapamil, in rats. Eur J Drug Metab Pharmacokinet. 2009 Jul-Sep;34(3-4):163-8.

[4]. Pauli-Magnus C, et al. Characterization of the major metabolites of verapamil as substrates and inhibitors of P-glycoprotein. J Pharmacol Exp Ther. 2000 May;293(2):376-82.

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