

Certificate of Analysis

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Product Name: Efonidipine hydrochloride monoethanolate

Catalog No.: 3733

Batch No.: 1

CAS Number: 111011-76-8

IUPAC Name: 5-(5,5-Dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3-pyridinecarboxylic acid 2-[phenyl(phenylmethyl)amino]ethyl ester hydrochloride monoethanolate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{34}H_{38}N_3O_7P \cdot HCl \cdot C_2H_5OH$

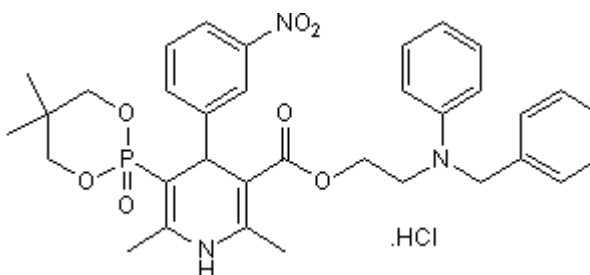
Batch Molecular Weight: 714.18

Physical Appearance: Yellow solid

Solubility: DMSO to 100 mM

Storage: Desiccate at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.8% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	60.54	6.35	5.88
Found	60.41	6.33	5.72

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Description:

Selective blocker of L-type and T-type Ca²⁺ channels. Displays minimal inhibition of N- and P/Q-type channels and no inhibition of R-type channels. R(-) and S(+)-enantiomers display different channel selectivity; S(+)-Efonidipine blocks L-type and T-type channels whereas R(-)-Efonidipine displays selectivity for T-type channels. Exhibits antihypertensive activity.

Physical and Chemical Properties:

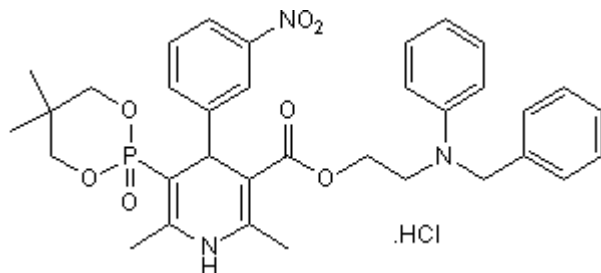
Batch Molecular Formula: C₃₄H₃₈N₃O₇P.HCl.C₂H₅OH

Batch Molecular Weight: 714.18

Physical Appearance: Yellow solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Desiccate at +4°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Masumiya *et al* (1998) Inhibition of myocardial L- and T-type Ca²⁺ currents by efonidipine: possible mechanism for its chronotropic effect. *Eur.J.Pharmacol.* **349** 351. PMID: 9671117.

Furukawa *et al* (2004) Identification of R(-)-isomer of efonidipine as a selective blocker of T-type Ca²⁺ channels. *Br.J.Pharmacol.* **143** 1050. PMID: 15545287.

Shin *et al* (2008) A selective T-type Ca²⁺ channel blocker R(-) efonidipine. *Naunyn-Schmied.Arch.Pharmacol.* **377** 411.

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