Certificate of Analysis

Product Name: **threo Ifenprodil hemitartrate** **Catalog No.: 2892** **Batch No.: 1**

IUPAC Name: (1*S**,2*S**)-*threo*-2-(4-Benzylpiperidino)-1-(4-hydroxyphenyl)-1-propanol hemitartrate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{21}H_{27}NO_2.½C_4H_6O_6.H_2O$

Batch Molecular Weight: 418.51

Physical Appearance: White solid

Solubility: water to 25 mM
DMSO to 100 mM

Storage: Store at RT

2. ANALYTICAL DATA

TLC: R_f = 0.41 (Dichloromethane:Methanol [9:1])

HPLC: Shows 99.3% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	66.01	7.71	3.35
Found	65.7	7.64	3.6

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Description:

Potent σ receptor agonist (K_i values are 59.1 and 2 nM for σ1 and σ2 receptors respectively) and GluN2B (formally NR2B) subunit-selective NMDA receptor antagonist (IC_{50} values are 0.22 and 324.8 μM at GluN2B and GluN2A respectively). Displays ~8-fold reduced affinity at α-adrenoceptors compared to Ifenprodil (Cat.No. 0545). Inhibits the hERG potassium channel (IC_{50} = 88 nM) and exhibits antiarrhythmic activity in vivo. Please refer to IUPHAR Guide to Pharmacology for the most recent naming conventions. Please see product datasheet on www.tocris.com for full description.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{21}H_{27}NO_2.½C_4H_6O_6.H_2O$

Batch Molecular Weight: 418.51

Physical Appearance: White solid

Minimum Purity: ≥98%

Storage: Store at RT

Solubility & Usage Info:

water to 25 mM
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:

Monassier *et al* (2007) $σ_2$-receptor ligand-mediated inhibition of inwardly rectifying K^+channels in the heart. J.Pharmacol.Exp.Ther. ***322*** 341. PMID: 17460149.

Avenet *et al* (1996) Antagonist properties of the stereoisomers of ifenprodil at NR1A/NR2A and NR1A/NR2B subtypes of the NMDA receptor expressed in *Xenopus oocytes*. Eur.J.Pharmacol. ***296*** 209. PMID: 8838458.

Hashimoto and London (1995) Interactions of *erythro*-ifenprodil, *threo*-ifenprodil and *erythro*-iodoifenprodil, and eliprodil with subtypes of σ receptors. Eur.J.Pharmacol. ***273*** 307. PMID: 7737340.