

Product Name: FK 888

Catalog No.: 2400

Batch No.: 1

CAS Number: 138449-07-7

IUPAC Name: (4*R*)-4-Hydroxy-1-[(1-methyl-1*H*-indol-3-yl)carbonyl]-L-prolyl-*N*-methyl-3-(2-naphthalenyl)-*N*-(phenylmethyl)-L-alaninamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₃₆H₃₆N₄O₄·½H₂O

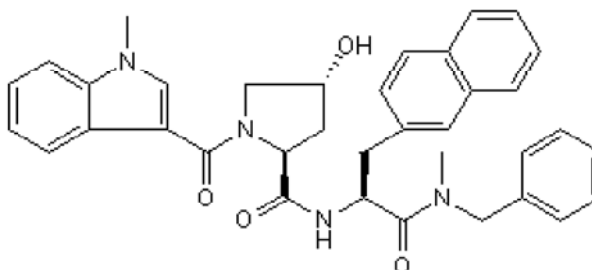
Batch Molecular Weight: 597.7

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows >98.2% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: [α]_D = -141 (Concentration = 1, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	72.34	6.24	9.37
Found	72.24	6.14	9.2

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Selective, high affinity tachykinin NK₁ receptor antagonist (K_i = 0.69 nM) that displays 320-fold selectivity for human over rat NK₁ receptors. Inhibits substance P-induced contraction of isolated guinea pig trachea (IC₅₀ = 32 nM) and inhibits substance P-induced airway constriction in vivo following i.v. and oral administration.

Physical and Chemical Properties:

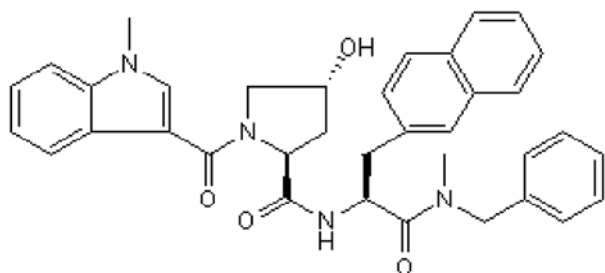
Batch Molecular Formula: C₃₆H₃₆N₄O₄·½H₂O

Batch Molecular Weight: 597.7

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol 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.

Licensing Information:

Sold with the permission of Astellas Pharma Inc.

References:

Aramori et al (1994) Subtype- and species-selectivity of a tachykinin receptor antagonist, FK888, for cloned rat and human tachykinin receptors. *Eur.J.Pharmacol.* **269** 277. PMID: 7531648.

Murai et al (1993) Effects of an NK₁ receptor antagonist, FK888, on constriction and plasma extravasation induced in guinea pig airway by neurokinins and capsaicin. *Eur.J.Pharmacol.* **236** 7. PMID: 7686493.

Fujii et al (1992) Pharmacological profile of a high affinity dipeptide NK₁ receptor antagonist. *Br.J.Pharmacol.* **107** 785. PMID: 1282073.

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