

Certificate of Analysis

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Product Name: Enzastaurin

Catalog No.: 5994

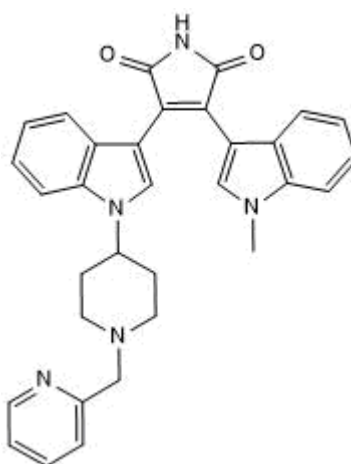
Batch No.: 1

CAS Number: 170364-57-5

IUPAC Name: 3-(1-Methyl-1*H*-indol-3-yl)-4-[1-[1-(2-pyridinylmethyl)-4-piperidinyl]-1*H*-indol-3-yl]-1*H*-pyrrole-2,5-dione

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₃₂H₂₉N₅O₂
Batch Molecular Weight: 515.6
Physical Appearance: Orange solid
Solubility: DMSO to 20 mM
Storage: Store at -20°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	74.54	5.67	13.58
Found	74.45	5.59	13.5

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

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Description:

Potent PKC β inhibitor (IC₅₀ values are 6, 39, 83 and 110 nM for PKC β , PKC α , PKC γ and PKC ϵ , respectively). Suppresses proliferation and induces apoptosis in HCT116 colon carcinoma and U87MG glioblastoma cells in vitro. Reduces tumor growth and exhibits antiangiogenic activity in HT-29 colon carcinoma xenografts in mice. Also suppresses growth of HCT116 and U87MG xenografts in mice. Orally active.

Physical and Chemical Properties:

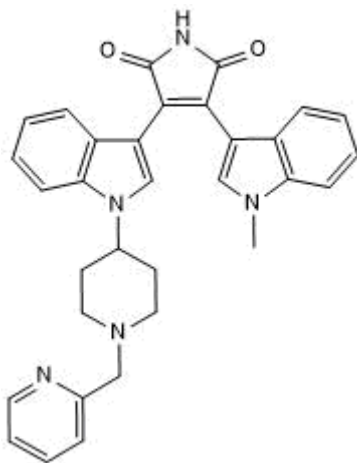
Batch Molecular Formula: C₃₂H₂₉N₅O₂

Batch Molecular Weight: 515.6

Physical Appearance: Orange solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

CAUTION - This product is light sensitive and we recommend that the solid material and any solutions obtained are protected from exposure to light.

Solubility & Usage Info:

DMSO to 20 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:

Graff *et al* (2005) The protein kinase C β -selective inhibitor, Enzastaurin (LY317615.HCl), suppresses signaling through the AKT pathway, induces apoptosis, and suppresses growth of human colon cancer and glioblastoma xenografts. *Cancer Res.* **65** 7462. PMID: 16103100.

Teicher *et al* (2001) Antiangiogenic and antitumor effects of a protein kinase C β inhibitor in human HT-29 colon carcinoma and human CaKi1 renal cell carcinoma xenografts. *Anticancer Res.* **21** 3175. PMID: 11848470.

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