

Certificate of Analysis

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Product Name: Sunitinib malate

Catalog No.: 3768

Batch No.: 1

CAS Number: 341031-54-7

IUPAC Name: *N*-[2-(Diethylamino)ethyl]-5-[(*Z*)-(5-fluoro-1,2-dihydro-2-oxo-3*H*-indol-3-ylidene)methyl]-2,4-dimethyl-1*H*-pyrrole-3-carboxamide (2*S*)-2-hydroxybutanedioate salt

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₂H₂₇FN₄O₂·C₄H₆O₅

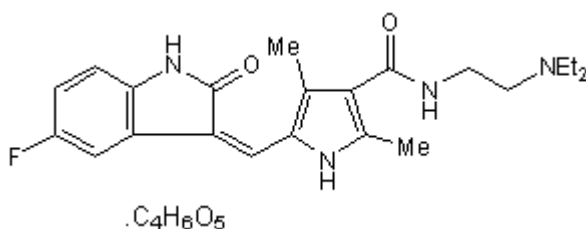
Batch Molecular Weight: 532.56

Physical Appearance: Orange solid

Solubility: DMSO to 25 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

Carbon Hydrogen Nitrogen

	Carbon	Hydrogen	Nitrogen
Theoretical	58.64	6.25	10.52
Found	58.53	6.27	10.4

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

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Description:

Potent, ATP-competitive VEGFR, PDGFR β and KIT inhibitor (K_i values are 2, 9, 17, 8 and 4 nM for VEGFR -1, -2, -3, PDGFR β and KIT respectively). Also inhibits cellular receptor phosphorylation of FLT3, RET and CSF-1R. Exhibits antiangiogenic and antitumor activity in multiple xenograft models.

Physical and Chemical Properties:

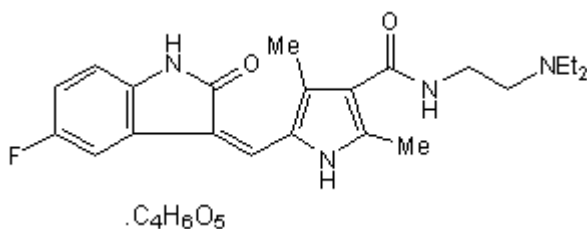
Batch Molecular Formula: C₂₂H₂₇N₄O₂.C₄H₆O₅

Batch Molecular Weight: 532.56

Physical Appearance: Orange solid

Minimum Purity: >99%

Batch Molecular Structure:



References:

Mendel *et al* (2003) In vivo antitumor activity of SU11248, a novel tyrosine kinase inhibitor targeting vascular endothelial growth factor and platelet-derived growth factor receptors: determination of a pharmacokinetic/pharmacodynamic relationship. *Clin.Cancer Res.* **9** 327. PMID: 12538485.

O'Farrell *et al* (2003) SU11248 is a novel FLT3 tyrosine kinase inhibitor with potent activity in vitro and in vivo. *Blood* **101** 3597. PMID: 12531805.

Faivre *et al* (2007) Molecular basis for sunitinib efficacy and future clinical development *Nat.Rev.Drug Discov.* **6** 734. PMID: 17690708.

Roskoski (2007) Sunitinib: a VEGF and PDGF receptor protein kinase and angiogenesis inhibitor. *Biochem.Biophys.Res.Comm.* **356** 323.

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 25 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.

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