

Certificate of Analysis

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Product Name: SU 6668 Catalog No.: 3335 Batch No.: 1

CAS Number: 252916-29-3

IUPAC Name: 5-[1,2-Dihydro-2-oxo-3*H*-indol-3-ylidene)methyl]-2,4-dimethyl-1*H*-pyrrole-3-propanoic acid

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{18}N_2O_3$ Batch Molecular Weight: 310.35

Physical Appearance: orange-red solid

Solubility: 1eq. NaOH to 100 mM

DMSO to 100 mM

Storage: Store at RT

Batch Molecular Structure:

2. ANALYTICAL DATA

TLC: $R_f = 0.5$ (Pet.ether:Ethyl Acetate:Acetic acid [5:5:1])

HPLC: Shows >99.1% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 69.66 5.85 9.03 Found 69.92 5.93 9.09



Product Information

Print Date: May 18th 2012

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Description:

ATP-competitive PDGFR, VEGF and FGFR inhibitor (IC $_{50}$ values are 0.06, 2.43, 3.04 and > 100 μ M at PDGFR β , VEGFR2, FGFR1 and EGFR respectively). Inhibits proliferation of HUVEC and NIH3T3 cells in vitro (IC $_{50}$ values are 0.41, 9.3 and 16.5 μ M for VEGF, FGF and PDGF-stimulated growth respectively) and induces > 75% growth inhibition against a broad range of tumor types in vivo. Exhibits antiangiogenic, anti-inflammatory, antimetastatic and proapoptotic activity and is orally active.

Physical and Chemical Properties:

Batch Molecular Formula: C₁₈H₁₈N₂O₃ Batch Molecular Weight: 310.35 Physical Appearance: orange-red solid

Minimum Purity: >99%

Batch Molecular Structure:

Storage: Store at RT

Solubility & Usage Info:

1eq. NaOH to 100 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:

Sun et al (1999) Design, synthesis, and evaluations of substituted 3-[(3- or 4-carboxyethylpyrrol-2-yl)methylidenyl]indolin-2-ones as inhibitors of VEGF, FGF, and PDGF receptor tyrosine kinases. J.Med.Chem. **42** 5120. PMID: 10602697.

Laird et al (2000) SU6668 is a potent antiangiogenic and antitumor agent that induces regression of established tumors. Cancer Res. 60 4152. PMID: 10945623.

Yamamoto et al (2008) TSU68 prevents liver metastasis of colon cancer xenografts by modulating the premetastatic niche. Cancer Res. 68 9754. PMID: 19047154.

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