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Print Date: Sep 16th 2016

Certificate of Analysis

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Product Name: **PHA 665752** **Catalog No.: 2693** **Batch No.: 3**

CAS Number: 477575-56-7

IUPAC Name: (2*R*)-1-[[5-[(*Z*)-[5-[[(2,6-Dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3*H*-indol-3-ylidene]methyl]-2,4-dimethyl-1*H*-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)pyrrolidine

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{32}H_{34}Cl_2N_4O_4S.½H_2O$

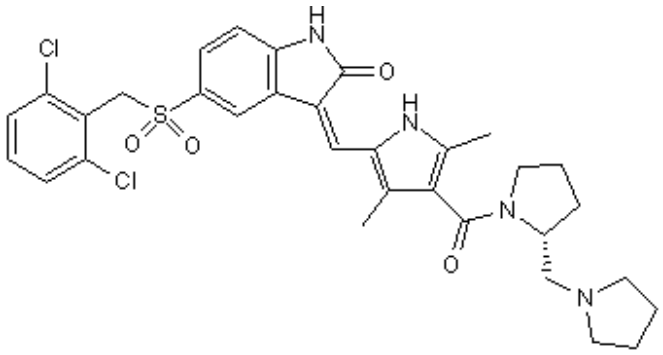
Batch Molecular Weight: 650.62

Physical Appearance: Yellow solid

Solubility: DMSO to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.2 (Dichloromethane:Methanol [9:1])

HPLC: Shows 98.5% purity

Chiral HPLC: Shows 98.6% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = +47 (Concentration = 1, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	59.07	5.42	8.61
Found	58.98	5.3	8.53

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Description:

Potent, selective and ATP-competitive inhibitor of MET kinase (IC_{50} values are 9, 68, 200, 1400, 3000, 3800 and 6000 nM for MET, Ron, Flk-1, c-abl, FGFR1, EGFR and c-src respectively and > 10000 nM for IGF-IR, PDGFR, AURORA2, PKA, PKBα, p38α, MK2 and MK3). Antitumor agent; inhibits tumorigenicity and angiogenesis in mouse lung cancer xenografts.

Physical and Chemical Properties:

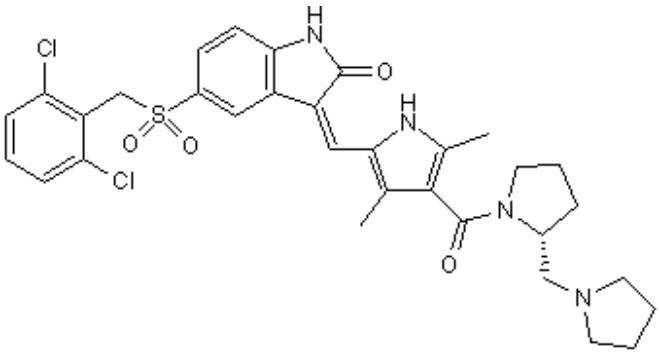
Batch Molecular Formula: $C_{32}H_{34}Cl_2N_4O_4S.½H_2O$

Batch Molecular Weight: 650.62

Physical Appearance: Yellow solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

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.

Licensing Information:

Sold for research purposes under agreement from Pfizer Inc.

References:

Tu *et al* (2010) Efficacy of c-Met inhibitor for advanced prostate cancer. BMC Cancer ***10*** 556. PMID: 20946682.

Puri *et al* (2007) A selective small molecule inhibitor of c-Met, PHA665752, inhibits tumorigenicity and angiogenesis in mouse lung cancer xenografts. Cancer Res. ***67*** 3529. PMID: 17440059.

Smolen *et al* (2006) Amplification of MET may identify a subset of cancers with extreme sensitivity to the selective tyrosine kinase inhibitor PHA-665752. Proc.Natl.Acad.Sci.USA ***103*** 2316.

Christensen *et al* (2003) A selective small molecule inhibitor of c-Met kinase inhibits c-Met-dependent phenotypes in vitro and exhibits cytoreductive antitumour activity *in vivo*. Cancer Res. ***63*** 7345. PMID: 14612533.