

**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<sub>32</sub>H<sub>34</sub>Cl<sub>2</sub>N<sub>4</sub>O<sub>4</sub>S.½H<sub>2</sub>O

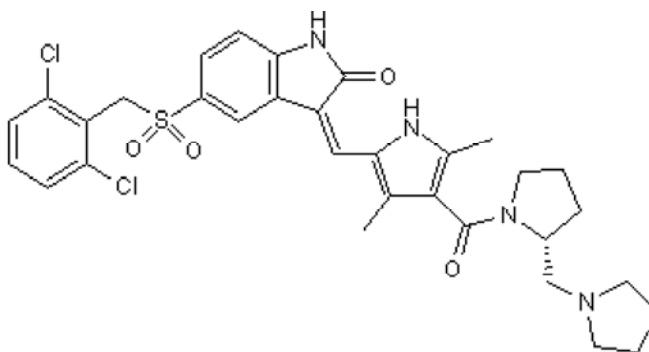
**Batch Molecular Weight:** 650.62

**Physical Appearance:** Yellow solid

**Solubility:** DMSO to 100 mM

**Storage:** Store at +4°C

**Batch Molecular Structure:**



**2. ANALYTICAL DATA**

**TLC:** R<sub>f</sub> = 0.2 (Dichloromethane:Methanol [9:1])

**HPLC:** Shows 98.2% purity

**Chiral HPLC:** Shows 98.6% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Optical Rotation:** [α]<sub>D</sub> = +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:**

PHA 665752 is a potent, selective and ATP-competitive inhibitor of MET kinase (IC<sub>50</sub> values are 9, 68, 200, 1400, 3000, 3800 and 6000 nM for MET, Ron, Fik-1, c-abl, FGFR1, EGFR and c-src respectively and > 10000 nM for IGF-IR, PDGFR, AURORA2, PKA, PKB $\alpha$ , p38 $\alpha$ , MK2 and MK3). Antitumor agent; inhibits tumorigenicity and angiogenesis in mouse lung cancer xenografts.

**Physical and Chemical Properties:**

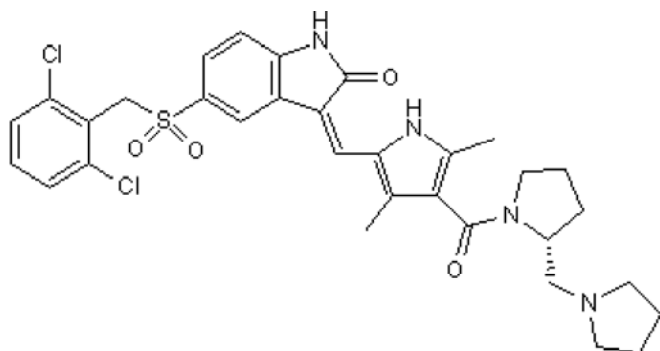
Batch Molecular Formula: C<sub>32</sub>H<sub>34</sub>Cl<sub>2</sub>N<sub>4</sub>O<sub>4</sub>S.½H<sub>2</sub>O

Batch Molecular Weight: 650.62

Physical Appearance: Yellow solid

**Minimum Purity:** ≥98%

**Batch Molecular Structure:**



**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.

**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.

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