

Product Data Sheet

Product Name: TSU-68 (SU6668, Orantinib)

Cat. No.: GC16732

Chemical Properties

Cas. No. 252916-29-3

Chemical Name 3-[2,4-dimethyl-5-[(Z)-(2-oxo-1H-indol-3-ylidene)methyl]-1H-pyrrol-3-yl]propanoic acid

SMILES CC1=C(NC(=C1CCC(=O)O)C)C=C2C3=CC=CC=C3NC2=O

Formula $C_{18}H_{18}N_2O_3$

M.Wt 310.35

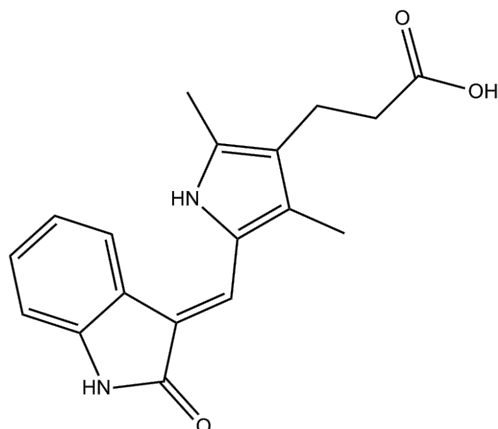
Solubility $\geq 15.5\text{mg/mL}$ in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Ki: Flk-1 trans-phosphorylation (2.1 mM), FGFR1 trans-phosphorylation (1.2 mM), and PDGFR autophosphorylation (0.008 mM).

Vascular endothelial growth factor, fibroblast growth factor (FGF), and platelet-derived growth factor

Caution: Product has not been fully validated for medical applications. For research use only.

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(PDGF) and their cognate receptor tyrosine kinases are strongly implicated in angiogenesis associated with solid tumors. TSU-68 is a novel inhibitor of these receptors.

In vitro: Biochemical kinetic studies using isolated Flk-1, FGF receptor 1, and PDGF receptor β kinases revealed that TSU-68 has competitive inhibitory properties with respect to ATP. In cellular systems, TSU-68 inhibited receptor tyrosine phosphorylation and mitogenesis after stimulation of cells by appropriate ligands [1].

In vivo: Oral or i.p. administration of TSU-68 in athymic mice resulted in significant growth inhibition of a diverse panel of human tumor xenografts of glioma, melanoma, lung, colon, ovarian, and epidermoid origin [1].

Clinical trial: Phase I clinical study indicated that TSU-68 can be safely combined with standard doses of carboplatin-paclitaxel, with the combination manifesting promising antitumor activity [2].

References:

- [1] Laird AD, Vajkoczy P, Shawver LK et al. SU6668 is a potent antiangiogenic and antitumor agent that induces regression of established tumors. *Cancer Res.* 2000 Aug 1;60(15):4152-60.
- [2] Okamoto I, Yoshioka H, Takeda K et al. Phase I clinical study of the angiogenesis inhibitor TSU-68 combined with carboplatin and paclitaxel in chemotherapy-naïve patients with advanced non-small cell lung cancer. *J Thorac Oncol.* 2012 Feb;7(2):427-33.

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