

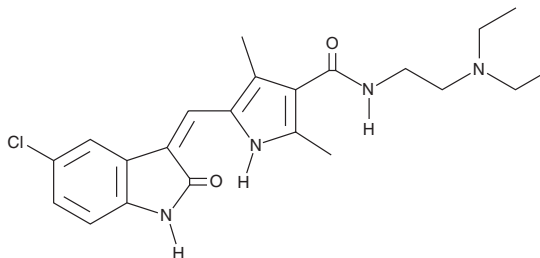
Product Information



SU 11652

Item No. 13577

CAS Registry No.: 326914-10-7
Formal Name: 5-[(Z)-(5-chloro-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl-1H-pyrrole-3-carboxamide
MF: C₂₂H₂₇ClN₄O₂
FW: 414.9
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that SU 11652 be stored as supplied at -20°C. It should be stable for at least two years.

SU 11652 is supplied as a crystalline solid. A stock solution may be made by dissolving the SU 11652 in the solvent of choice. SU 11652 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of SU 11652 in these solvents is approximately 10 mg/ml.

SU 11652 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SU 11652 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. SU 11652 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Several growth factor receptors (GFRs) act as receptor tyrosine kinases (RTKs). Ligand binding triggers autophosphorylation of tyrosine residues on intracellular portions of the receptor, potentiating signaling to cause the growth response. SU 11652 is a potent, cell-permeable, and ATP-competitive inhibitor of the tyrosine kinase activity of several GFRs, including platelet-derived GFR (PDGFR-β; IC₅₀ = 3 nM), vascular endothelial GFR (VEGFR-2; IC₅₀ = 27 nM), and fibroblast GFR (FGFR1; IC₅₀ = 170 nM), but not epidermal GFR (IC₅₀ > 20 μM).¹ SU 11652 also potently inhibits wild-type Kit in mast cells (IC₅₀ = 50 nM) and stops cell cycling while inducing apoptosis in cells expressing constitutively-active Kit mutants.² Furthermore SU 11652 reduces angiogenesis induced by estrogen in mice.³

References

1. Sun, L., Liang, C., Shirazian, S., *et al.* Discovery of 5-[5-Fluoro-2-oxo-1,2-dihydroindol-(3Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide, a novel tyrosine kinase inhibitor targeting vascular endothelial and platelet-derived growth factor receptor tyrosine kinase. *J. Med. Chem.* **46**, 1116-1119 (2003).
2. Liao, A.T., Chien, M.B., Shenoy, N., *et al.* Inhibition of constitutively active forms of mutant kit by multitargeted indolinone tyrosine kinase inhibitors. *Blood* **100(2)**, 585-593 (2002).
3. Heryanto, B., Lipson, K.E., and Rogers, P.A.W. Effect of angiogenesis inhibitors on oestrogen-mediated endometrial endothelial cell proliferation in the ovariectomized mouse. *Reproduction* **125**, 337-346 (2003).

Related Products

PD 173074 - Item. No. 13032 • Sunitinib Malate - Item. No. 13159 • SU 5416 - Item. No. 13342 • Axitinib - Item No. 13813

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WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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