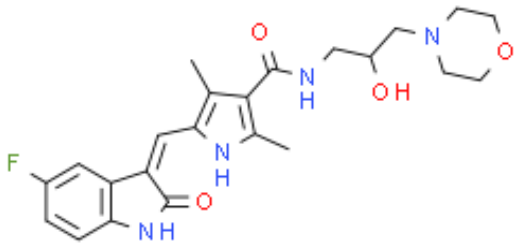
Product Data Sheet

Cas No.:	627908-92-3	Cat. No:	PC16052
Product Name:	SU14813		
Product synonym:	SU-14813游离态;5-[(5-氟-1,2-二氢-2-氧代-3H-吲哚-3-亚基)甲基]-N-[(2S)-2-羟基-3-(4-吗啉基)丙基]-2,4-二甲基-1H-吡咯-3-甲酰胺		
Chemical name:	SU14813		
MF:	C23H27FN4O4	FW:	442.4833
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	FC1C([H])=C([H])C2=C(C=1[H])/C(/C(N2[H])=O)=C(/[H])\C1=C(C([H])([H])[H])C(C(N([H])C([H])([H])[C@@]([H])(C([H])([H])N2C([H])([H])C([H])([H])OC([H])([H])C2([H])[H])O[H])=O)=C(C([H])([H])[H])N1[H]		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

酪氨酸激酶抑制剂，SU14813是多靶点受体酪氨酸激酶抑制剂，抑制 VEGFR2，VEGFR1，PDGFRβ and KIT 的 IC50 值分别为50，2，4，15 nM。

生物活性	SU14813 is a multi-targeted receptor tyrosine kinases inhibitor with **IC_{50}**s of 50, 2, 4, 15 nM for **VEGFR2**, **VEGFR1**, **PDGFRβ** and **KIT**.
IC50 & Target[1][2]	VEGFR1: 2 nM (IC_{50}); VEGFR2: 50 nM (IC_{50}); PDGFRβ: 4 nM (IC_{50}); KIT: 15 nM (IC_{50})

体外研究(In Vitro)	SU14813 inhibits ligand-dependent and ligand-independent proliferation, migration, and survival of endothelial cells and/or tumor cells expressing these targets. SU14813 inhibits cellular ligand-dependent phosphorylation of VEGFR-2 (transfected NIH 3T3 cells), PDGFR-β (transfected NIH 3T3 cells), KIT (Mo7e cells), and FLT3-internal tandem duplication (FLT3-ITD; MV4;11 cells) as well as FMS/CSF1R (transfected NIH 3T3 cells). SU14813 inhibits VEGFR-2, PDGFR-β, and KIT phosphorylation in porcine aorta endothelial cells overexpressing these targets, with cellular IC_{50} values of 5.2, 9.9, and 11.2 nM, respectively. SU14813 inhibits the growth of U-118MG with an IC_{50} of 50 to 100 nM. **Medlife has not independently confirmed the accuracy of these methods. They are for reference only.**
体内研究(In Vivo)	SU14813 inhibits VEGFR-2, PDGFR-β, and FLT3 phosphorylation in xenograft tumors in a dose- and time-dependent fashion. The plasma concentration required for *in vivo* target inhibition is estimated to be 100 to 200 ng/mL. Used as monotherapy, SU14813 exhibits broad and potent antitumor activity resulting in regression, growth arrest, or substantially reduces growth of various established xenografts derived from human or rat tumor cell lines. Treatment in combination with docetaxel significantly enhances both the inhibition of primary tumor growth and the survival of the tumor-bearing mice compared with administration of either agent alone. **Medlife has not independently confirmed the accuracy of these methods. They are for reference only.**
包装储存	Powder **-20°C** 3 years **4°C** 2 years In solvent **-80°C** 6 months **-20°C** 1 month

溶解度数据

体外研究:

DMSO : 44 mg/mL (99.44 mM; Need ultrasonic)

配制储备溶液	溶剂体积 质量 浓度	1 mg	5 mg	10 mg
	1 mM	2.2600 mL	11.2999 mL	22.5999 mL
	5 mM	0.4520 mL	2.2600 mL	4.5200 mL
	10 mM	0.2260 mL	1.1300 mL	2.2600 mL

* 产品不同，其溶解度不同。建议根据产品选择合适的溶剂配制储备溶液；配成溶液后，**建议分装保存，避免反复冻融造成的产品失效**。

储备液的保存方式和期限： -80°C, 6 months; -20°C, 1 month。-80°C 储存时，建议在 6 个月内使用，-20°C 储存时，建议在 1 个月内使用。

体内研究:

建议根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都建议先按照 **体外研究** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，**澄清的储备液可以根据储存条件，适当保存**；体内实验的工作液，**建议您现用现配，当天使用**； 以下溶剂前显示的百分比是指**该溶剂在您配制终溶液中的体积占比**；如在配制过程中出现沉淀、析出现象，可以通过**加热和/或超声的方式助溶**

1. 建议依照次序添加每种溶剂： 10% DMSO 40% PEG300 5% Tween-80 45% saline

   Solubility: ≥ 2.5 mg/mL (5.65 mM); Clear solution

   此方案可获得 ≥ 2.5 mg/mL (5.65 mM，饱和度未知) 的澄清溶液。

   以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入50 μL Tween-80，混合均匀；然后继续加入 450 μL生理盐水定容至 1 mL。

   将 0.9 g 氯化钠，完全溶解于 100 mL ddH?O 中，得到澄清透明的生理盐水溶液

2. 建议依照次序添加每种溶剂： 10% DMSO 90% corn oil

   Solubility: ≥ 2.5 mg/mL (5.65 mM); Clear solution

   此方案可获得 ≥ 2.5 mg/mL (5.65 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。

   以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL玉米油中，混合均匀。

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