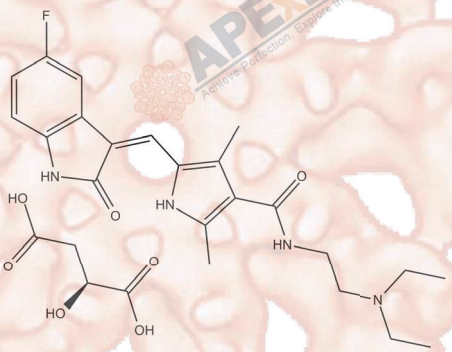
Product Data Sheet

Sunitinib malate

Cat. No.:	A8255
CAS No.:	341031-54-7
Formula:	C22H27FN4O2·C4H6O5
M.Wt:	532.56
Synonyms:	SU 11248,SU11248,SU-11248,Sunitinib
Target:	Tyrosine Kinase
Pathway:	VEGFR
Storage:	Store at 4°C



Solvent & Solubility

In Vitro

≥26.65mg/mL in DMSO,insoluble in EtOH, ≥4.6 mg/mL in H2O with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.8777 mL	9.3886 mL	18.7772 mL
5 mM	0.3755 mL	1.8777 mL	3.7554 mL
10 mM	0.1878 mL	0.9389 mL	1.8777 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	VEGFR/PDGFRβ/ KIT/ FLT3/RET/CSF-1R inhibitor
IC_{50} & Target	80 nM (VEGFR2 (Flk-1)), 2 nM (PDGFRβ)

In Vitro

Cell Viability Assay

Cell Line:	NIH-3T3 cells, HUVECs
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Applications:	In serum-starved NIH-3T3 cells expressing VEGFR2 or PDGFRβ, Sunitinib inhibited VEGF-dependent VEGFR2 phosphorylation and PDGF-dependent

		PDGFRβ phosphorylation. Sunitinib inhibited VEGF-induced proliferation of serum-starved HUVECs with IC50 of 40 nM, and inhibited PDGF-induced proliferation of NIH-3T3 cells overexpressing PDGFRβ or PDGFRα with IC50 of 39 nM and 69 nM, respectively.
In Vivo	**Animal experiment**	
	Animal models:	Tumor xenograft mouse models bearing HT-29, A431, Colo205, H-460, SF763T, C6, A375, or MDA-MB-435 cells
	Dosage form:	Oral dosing, 20-80 mg/kg/day, once daily
	Applications:	Sunitinib (20-80 mg/kg/day) exhibited broad and potent dose-dependent anti-tumor activity against a variety of tumor xenograft models including HT-29, A431, Colo205, H-460, SF763T, C6, A375, or MDA-MB-435. Sunitinib (80 mg/kg/day for 21 days) led to complete tumor regression in six of eight mice, without tumor re-growing during a 110-day observation period after the end of treatment. Sunitinib treatment significantly decreased tumor MVD, with ~40% reduction in SF763T glioma tumors. SU11248 completely inhibited additional tumor growth of luciferase-expressing PC-3M xenografts, despite no reduction in tumor size.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Wu F, Wu D, et al. "Generation of hepato-biliary organoids from human induced pluripotent stem cells."J Hepatol. 2019 Jan 7. pii: S0168-8278(19)30002-9.PMID:30630011

2. Lin M, Chen B. "Advances in the drug therapies of acute myeloid leukemia (except acute wpromyelocytic leukemia)." Drug Des Devel Ther. 2018 Apr 30;12:1009-1017.PMID:29750014

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References

[1]. Mendel D B, Laird A D, Xin X, et al. In vivo antitumor activity of SU11248, a novel tyrosine kinase inhibitor targeting vascular endothelial growth factor and platelet-derived growth factor receptors[J]. Clinical Cancer Research, 2003, 9(1): 327-337.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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