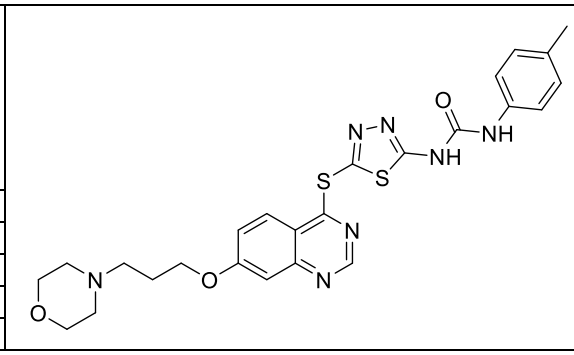
Product data sheet

MedKoo Cat#: 401970 Name: SKLB610 CAS#: 1125780-41-7 Chemical Formula: $C_{21}H_{16}F_3N_3O_3$ Exact Mass: 415.1144 Molecular Weight: 415.37	
Product supplied as:	Liquid
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
SKLB610 is a VEGFR inhibitor that potently suppresses human tumor angiogenesis. SKLB610 inhibited angiogenesis-related tyrosine kinase VEGFR2, fibroblast growth factor receptor 2 (FGFR2) and platelet-derived growth factor receptor (PDGFR) at rate of 97%, 65% and 55%, respectively, at concentration of 10μM in biochemical kinase assays. SKLB610 exhibited its antitumor activity as a multi-targeted inhibitor with more potent inhibition of VEGFR2 activity. Its potential to be a candidate of anticancer agent is worth being further investigated.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20	48.15
DMSO	16	38.52
Ethanol	5	12.04

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.41 mL	12.04 mL	24.07 mL
5 mM	0.48 mL	2.41 mL	4.81 mL
10 mM	0.24 mL	1.20 mL	2.41 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Wu CP, Murakami M, Wu YS, Lin CL, Li YQ, Huang YH, Hung TH, Ambudkar SV. The multi-targeted tyrosine kinase inhibitor SKLB610 resensitizes ABCG2-overexpressing multidrug-resistant cancer cells to chemotherapeutic drugs. Biomed Pharmacother. 2022 May;149:112922. doi: 10.1016/j.biopha.2022.112922. Epub 2022 Apr 5. PMID: 36068781; PMCID: PMC10506422.

In vivo study

1. Cao ZX, Zheng RL, Lin HJ, Luo SD, Zhou Y, Xu YZ, Zeng XX, Wang Z, Zhou LN, Mao YQ, Yang L, Wei YQ, Yu LT, Yang SY, Zhao YL. SKLB610: a novel potential inhibitor of vascular endothelial growth factor receptor tyrosine kinases inhibits angiogenesis and tumor growth in vivo. Cell Physiol Biochem. 2011;27(5):565-74. doi: 10.1159/000329978. Epub 2011 Jun 15. PMID: 21691074.

7. Bioactivity

Product data sheet

Biological target:

SKLB610 demonstrates potent inhibition of VEGFR2, FGFR2, and PDGFRβ activity at 10 μM, with inhibitions of 97%, 65%, and 55%, respectively. It exhibits selectivity for these targets over PI3K, EGFR, Aurora A, Cdk2/cyclin E, and Cdk6/cyclin D3 at the same concentration. In HUVECs, SKLB610 inhibits VEGFR2 phosphorylation induced by VEGF, hinders HUVEC proliferation induced by VEGF and bFGF (IC50s = 2.2 and 4.7 μM, respectively), and impedes capillary tube formation and migration at concentrations of 2.5 and 10 μM, respectively. Additionally, SKLB610 shows anti-proliferative effects on various cancer cells (IC50s = 5.7, 5.3, 25.6, 6.4, and 6.3 μM for A549, HCT116, MDA-MB-231, Raji, and DU145 cells, respectively).

In vitro activity

SKLB610 has potential to overcome resistance to cytotoxic anticancer drugs, which could benefit patients with multidrug-resistant cancers. Neither ABCB1 nor ABCG2 confered resistance to SKLB610, but SKLB610 selectively sensitized ABCG2-overexpressing multidrug-resistant cancer cells to cytotoxic anticancer agents. SKLB610 reversed ABCG2-mediated MDR by attenuating the drug-efflux function of ABCG2 without affecting its total cell expression.

Reference: Biomed Pharmacother. 2022 May;149:112922. https://pubmed.ncbi.nlm.nih.gov/36068781/

In vivo activity

SKLB610 exhibited antitumor activity and has potential to be a candidate of anticancer agent. Chronic intraperitoneally administration of SKLB610 resulted in significant inhibition in the growth of established human A549 and HCT116 tumor xenografts in nude mice without exhibiting toxicity. Histological analysis showed significant reductions in intratumoral microvessel density of 43-55% relative to controls depending on the specific tumor xenografts.

Reference: Cell Physiol Biochem. 2011;27(5):565-74. https://pubmed.ncbi.nlm.nih.gov/21691074/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.