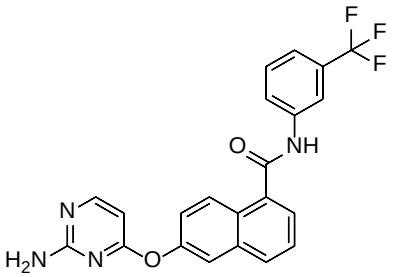
Product data sheet

MedKoo Cat#: 407228 Name: BAW2881 CAS#: 861875-60-7 Chemical Formula: $C_{22}H_{15}F_3N_4O_2$ Exact Mass: 424.1147 Molecular Weight: 424.38	
Product supplied as:	Powder
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:

BAW2881 is a potent and selective VEGFR inhibitor (vascular endothelial growth factor receptor tyrosine kinase inhibitor) with activity to inhibit chronic and acute skin inflammation. NVP-BAW2881 inhibited proliferation, migration, and tube formation by human umbilical vein endothelial cells and lymphatic endothelial cells in vitro. NVP-BAW2881 reduced the number of blood and lymphatic vessels and infiltrating leukocytes in the skin, and normalized the epidermal architecture. NVP-BAW2881 also displayed strong anti-inflammatory effects in models of acute inflammation; pretreatment with topical NVP-BAW2881 significantly inhibited VEGF-A-induced vascular permeability in the skin of pigs and mice.

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
Ethanol	20.0	47.13
DMF	30.0	70.69
DMSO	50.0	117.82
DMSO:PBS (pH 7.2) (1:2)	0.33	0.78

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.36 mL	11.78 mL	23.56 mL
5 mM	0.47 mL	2.36 mL	4.71 mL
10 mM	0.24 mL	1.18 mL	2.36 mL
50 mM	0.05 mL	0.24 mL	0.47 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. Halin C, Fahrngruber H, Meingassner JG, Bold G, Littlewood-Evans A, Stuetz A, Detmar M. Inhibition of chronic and acute skin inflammation by treatment with a vascular endothelial growth factor receptor tyrosine kinase inhibitor. Am J Pathol. 2008 Jul;173(1):265-77. doi: 10.2353/ajpath.2008.071074. Epub 2008 Jun 5. PMID: 18535184; PMCID: PMC2438303.

In vivo study

1. Halin C, Fahrngruber H, Meingassner JG, Bold G, Littlewood-Evans A, Stuetz A, Detmar M. Inhibition of chronic and acute skin inflammation by treatment with a vascular endothelial growth factor receptor tyrosine kinase inhibitor. Am J Pathol. 2008 Jul;173(1):265-77. doi: 10.2353/ajpath.2008.071074. Epub 2008 Jun 5. PMID: 18535184; PMCID: PMC2438303.

7. Bioactivity

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Biological target: BAW2881 is a VEGFR2 inhibitor with an IC50 of 4 nM.

In vitro activity

To determine whether NVP-BAW2881 had a blocking effect on VEGF-A-induced proliferation of endothelial cells, HUVECs were incubated in VEGF-A-containing medium in the presence or absence of NVP-BAW2881. Although VEGF-A promoted HUVEC cell proliferation (1.3-fold more cells, compared with control; $P < 0.0001$), the lowest concentration of NVP-BAW2881 tested (1 nmol/L) potently inhibited this response (Figure 1A). Similarly, when testing the effects of this compound on LEC proliferation, 1 nmol/L NVP-BAW2881 significantly reduced VEGF-A-induced proliferation, compared with controls ($P < 0.001$; Figure 1B). Since VEGF-C is thought to be main mediator of lymphangiogenesis in vivo, whether NVP-BAW2881 could also block VEGF-C-induced proliferation of LECs was evaluated. Although 1 μmol/L NVP-BAW2881 significantly reduced VEGF-C-induced LEC proliferation ($P = 0.042$, Figure 1C), lower concentrations of the compound did not have a significant effect. Furthermore, 10 nmol/L and 1 μmol/L concentrations of NVP-BAW2881 completely inhibited the migration of HUVECs and of LECs toward VEGF-A in in vitro transmigration assays (Figure 1, D and E).

Reference: Am J Pathol. 2008 Jul;173(1):265-77. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2438303/

In vivo activity

The in vivo effects of NVP-BAW2881 were evaluated in a transgenic mouse model of psoriasis. Psoriasis-like lesions were induced in the right ears of K14/VEGF-A mice by inducing a CHS response, and NVP-BAW2881 was administered, topically or orally, beginning 7 days later. A significant reduction in ear swelling was observed within 5 days in groups given oral doses (−21%, $P = 0.003$) and within 7 days in groups given topical applications (−25%, $P = 0.006$) of NVP-BAW2881 (Figure 3, A and B, respectively). At the defined study endpoint (14 days after treatment began; study day 21), ear swelling had decreased by 56% ($P < 0.0001$) in the oral treatment group, as compared with the control group. Similarly, topical treatment with NVP-BAW2881 reduced ear swelling by 43% ($P = 0.0001$) as compared with controls.

Reference: Am J Pathol. 2008 Jul;173(1):265-77. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2438303/