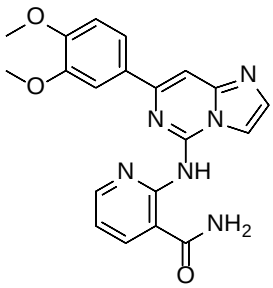
Product data sheet

MedKoo Cat#: 406177 Name: BAY 61-3606 CAS#: 732983-37-8 (free base) Chemical Formula: $C_{20}H_{18}N_6O_3$ Exact Mass: 390.1440 Molecular Weight: 390.40	
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:
BAY 61-3606 is a potent (Ki = 7.5 nM) and selective inhibitor of Syk kinase. BAY 61-3606 inhibited not only degranulation (IC50 values between 5 and 46 nM) but also lipid mediator and cytokine synthesis in mast cells. BAY 61-3606 was highly efficacious in basophils obtained from healthy human subjects (IC50 = 10 nM) and seems to be at least as potent in basophils obtained from atopic (high serum IgE) subjects (IC50 = 8.1 nM). B cell receptor activation and receptors for Fc portion of IgG signaling in eosinophils and monocytes were also potently suppressed by BAY 61-3606.

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
DMSO	8.75	22.41

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.56 mL	12.81 mL	25.61 mL
5 mM	0.51 mL	2.56 mL	5.12 mL
10 mM	0.26 mL	1.28 mL	2.56 mL
50 mM	0.05 mL	0.26 mL	0.51 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. Kim SY, Park SE, Shim SM, Park S, Kim KK, Jeong SY, Choi EK, Hwang JJ, Jin DH, Chung CD, Kim I. Bay 61-3606 Sensitizes TRAIL-Induced Apoptosis by Downregulating Mcl-1 in Breast Cancer Cells. PLoS One. 2015 Dec 31;10(12):e0146073. doi: 10.1371/journal.pone.0146073. PMID: 26720004; PMCID: PMC4697837.
2. Du J, Wang Y, Chen D, Ji G, Ma Q, Liao S, Zheng Y, Zhang J, Hou Y. BAY61-3606 potentiates the anti-tumor effects of TRAIL against colon cancer through up-regulating DR4 and down-regulating NF-κB. Cancer Lett. 2016 Dec 28;383(2):145-153. doi: 10.1016/j.canlet.2016.10.002. Epub 2016 Oct 6. PMID: 27721019.

In vivo study

1. Yamamoto N, Takeshita K, Shichijo M, Kokubo T, Sato M, Nakashima K, Ishimori M, Nagai H, Li YF, Yura T, Bacon KB. The orally available spleen tyrosine kinase inhibitor 2-[7-(3,4-dimethoxyphenyl)-imidazo[1,2-c]pyrimidin-5-ylamino]nicotinamide dihydrochloride (BAY 61-3606) blocks antigen-induced airway inflammation in rodents. J Pharmacol Exp Ther. 2003 Sep;306(3):1174-81. doi: 10.1124/jpet.103.052316. Epub 2003 May 23. PMID: 12766258.

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2. Du J, Wang Y, Chen D, Ji G, Ma Q, Liao S, Zheng Y, Zhang J, Hou Y. BAY61-3606 potentiates the anti-tumor effects of TRAIL against colon cancer through up-regulating DR4 and down-regulating NF-κB. Cancer Lett. 2016 Dec 28;383(2):145-153. doi: 10.1016/j.canlet.2016.10.002. Epub 2016 Oct 6. PMID: 27721019.

7. Bioactivity

Biological target: BAY 61-3606 is a Syk inhibitor with an IC50 of 10 nM.

In vitro activity

Spleen tyrosine kinase (Syk) inhibitor Bay 61-3606 was identified as a TRAIL sensitizer. Amplification of TRAIL-induced apoptosis by Bay 61-3606 was accompanied by the strong activation of Bak, caspases, and DNA fragmentation. In mechanism of action, Bay 61-3606 sensitized cells to TRAIL via two mechanisms regulating myeloid cell leukemia sequence-1 (Mcl-1). First, Bay 61-3606 triggered ubiquitin-dependent degradation of Mcl-1 by regulating Mcl-1 phosphorylation. Second, Bay 61-3606 downregulated Mcl-1 expression at the transcription level. In this context, Bay 61-3606 acted as an inhibitor of Cyclin-Dependent Kinase (CDK) 9 rather than Syk.

Reference: PLoS One. 2015 Dec 31;10(12):e0146073. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4697837/

In vivo activity

Oral administration of BAY 61-3606 to rats significantly suppressed antigen-induced passive cutaneous anaphylactic reaction, bronchoconstriction, and bronchial edema at 3 mg/kg. Furthermore, BAY 61-3606 attenuated antigen-induced airway inflammation in rats.

Reference: J Pharmacol Exp Ther. 2003 Sep;306(3):1174-81. https://jpet.aspetjournals.org/content/306/3/1174.long

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.