# BAY 61-3606

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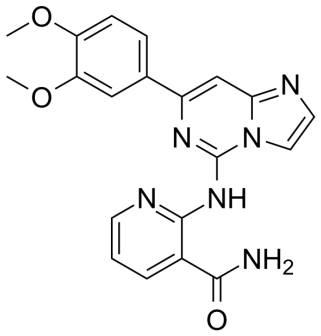
| **Cat. No.:** | HY-76474 |
| **CAS No.:** | 732983-37-8 |
| **Molecular Formula:** | $C_{20}H_{18}N_6O_3$ |
| **Molecular Weight:** | 390.4 |
| **Target:** | Syk; Apoptosis |
| **Pathway:** | Protein Tyrosine Kinase/RTK; Apoptosis |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 5 mg/mL (12.81 mM; ultrasonic and warming and heat to 80°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.5615 mL | 12.8074 mL | 25.6148 mL |
| | 5 mM | 0.5123 mL | 2.5615 mL | 5.1230 mL |
| | 10 mM | 0.2561 mL | 1.2807 mL | 2.5615 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

BAY 61-3606 is an orally available, ATP-competitive, reversible and highly selective Syk inhibitor with a $K_i$ of 7.5 nM and an $IC_{50}$ of 10 nM[1]. BAY 61-3606 reduces ERK1/2 and Akt phosphorylation in neuroblastoma cell[2]. BAY 61-3606 induces a large decrease of Syk phosphorylation in K-rn cell lysates[3]. Bay 61-3606 sensitizes TRAIL-induced apoptosis by downregulating Mcl-1 in breast cancer cells[4].

**$IC_{50}$ & Target**

Ki: 7.5 nM (Syk)[1]
IC50: 10 nM (Syk)[1]

**In Vitro**

BAY 61-3606 (0.01-10 μM ; 48 hours) significantly reduces the cell viability of SYK-positive SH-SY5Y and SYK-negative SK-N-BE cells in a dose-dependent matter. SH-SY5Y cells expressing high SYK levels are significantly more sensitive to BAY 61-3606 in comparison to SK-N-BEcells expressing very low or no SYK[2].
BAY 61-3606 (0.4 and 0.8 μM; 4 or 24 hours) inhibits SYK activity by reducing ERK1/2 and Akt phosphorylation in neuroblastoma cell SH-SY5Y[2].
BAY 61-3606 (2 μM; 2 hours) induces a large decrease of Syk phosphorylation in K-rn cell lysates[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

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| Cell Line: | SYK-positive SH-SY5Y and SYK-negative SK-N-BE cells |
| Concentration: | 0.01, 0.1, 1, and 10 μM |
| Incubation Time: | 48 hours |
| Result: | Significantly reduced the cell viability of both cell lines in a dose-dependent matter. |

Western Blot Analysis[2]

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| Cell Line: | SH-SY5Y cells |
| Concentration: | 0.4 and 0.8 μM |
| Incubation Time: | 4 or 24 hours |
| Result: | Reduced the phosphorylation of ERK1/2 and Akt after a 4 or 24 h treatment. |

Western Blot Analysis[3]

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| Cell Line: | K-rn cell lysates |
| Concentration: | 2 μM |
| Incubation Time: | 2 hours |
| Result: | Induced a large decrease of Syk phosphorylation. |

**In Vivo**

Bay 61-3606 (50 mg/kg; administered twice a week for two weeks by intraperitoneal injection) alone leads to more efficacious reductions than that of TNF-related apoptosis-inducing ligand (TRAIL; 10 mg/kg) alone in MCF-7 tumor xenograft-bearing BALB/c nude mice. Bay 61-3606 administered in TRAIL combination significantly reduces the volume of the xenografted tumor[4].

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| Animal Model: | Female BALB/c nude mice (5 weeks old) bearing MCF-7 tumor xenograft[4] |
| Dosage: | 50 mg/kg |
| Administration: | Injected intraperitoneally twice a week with Bay 61-3606 (50 mg/kg), TRAIL (10 mg/kg) or a combination of Bay 61-3606 (50 mg/kg) and TRAIL (10 mg/kg); TRAIL was given 2 h after the injection of Bay 61-3606; for two weeks |
| Result: | Led to efficacious reductions in tumor growth. |

## CUSTOMER VALIDATION

- Neuro Oncol. 2018 Apr 9;20(5):621-631.
- Front Immunol. 2018 Feb 15;9:249.
- Int J Mol Sci. 2021, 22(7), 3323.
- Harvard Medical School LINCS LIBRARY

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## REFERENCES

[1]. Yamamoto N, et al. 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.

[2]. Tümmler C, et al. SYK Inhibition Potentiates the Effect of Chemotherapeutic Drugs on Neuroblastoma Cells in Vitro. Cancers (Basel). 2019 Feb 10;11(2). pii: E202.

[3]. Gioia R, et al. Quantitative phosphoproteomics revealed interplay between Syk and Lyn in the resistance to nilotinib in chronic myeloid leukemia cells. Blood. 2011 Aug 25;118(8):2211-21.

[4]. Kim SY, et al. Bay 61-3606 Sensitizes TRAIL-Induced Apoptosis by Downregulating Mcl-1 in Breast Cancer Cells. PLoS One. 2015 Dec 31;10(12):e0146073.



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