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产品名称：BAY 61-3606
CAS 号：732983-37-8
产品货号：S88171

生物活性：

Description	BAY 61-3606 是一种有效的，具有口服活性的，ATP 竞争性的，可逆的选择性 Syk 抑制剂，Ki 为 7.5 nM，IC50 为 10 nM。BAY 61-3606 降低神经母细胞瘤细胞中的 ERK1/2 和 Akt 磷酸化。BAY 61-3606 降低 K-rn 细胞裂解物中 Syk 磷酸化。Bay 61-3606 作用于乳腺癌细胞，通过下调 Mcl-1 促进 TRAIL 诱导的细胞凋亡。
IC50 & 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]。

Cell Viability Assay[2]

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]

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]

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]。

Animal Model:	Female BALB/c nude mice (5 weeks old) bearing MCF-7 tumor xenograft[4]
Dosage:	50 mg/kg

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	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.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 : 5 mg/mL (12.81 mM; 超声助溶 (<80° C); 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

参考文献

[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. 2

[2]. 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.

[3]. 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.

[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.

完整储备液配制表：

请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80° C, 6 months; -20° C, 1 month。-80° C 储存时，请在 6 个月内使用，-20° C 储存时，请在 1 个月内使用。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	**1 mM**	2.5615 mL	12.8074 mL	25.6148 mL	64.0369 mL
	5 mM	0.5123 mL	2.5615 mL	5.1230 mL	12.8074 mL
	10 mM	0.2561 mL	1.2807 mL	2.5615 mL	6.4037 mL