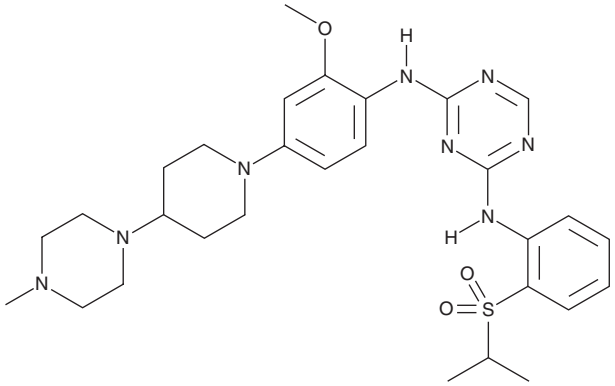
PRODUCT INFORMATION

ASP3026

Item No. 18491



CAS Registry No.:	1097917-15-1
Formal Name:	N^2-[2-methoxy-4-[4-(4-methyl-1-piperazinyl)1-piperidinyl]phenyl]-N^4-[2-[(1-methylethyl)sulfonyl]phenyl]-1,3,5-triazine-2,4-diamine
MF:	$C_{29}H_{40}N_8O_3S$
FW:	580.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 206, 268, 303 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

ASP3026 is supplied as a crystalline solid. A stock solution may be made by dissolving the ASP3026 in the solvent of choice, which should be purged with an inert gas. ASP3026 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ASP3026 in ethanol is approximately 0.5 mg/ml and approximately 2 mg/ml in DMSO and DMF.

ASP3026 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ASP3026 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ASP3026 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ASP3026 is an inhibitor of anaplastic lymphoma kinase (ALK; IC_{50}s = 3.5, 10, and 5.4 nM for the wild-type, ALK^{F1174L}, and ALK^{R1275Q} enzymes, respectively).[1] It is selective for ALK over a panel of 86 kinases at 1,000 nM but also inhibits c-Ros oncogene 1 (ROS1) and tyrosine kinase non-receptor 2 (TNK2; IC_{50}s = 8.9 and 5.8 nM, respectively). ASP3026 inhibits the proliferation of Ba/F3 cells expressing nucleophosmin (NPM) or echinoderm microtubule-associated protein-like 4 (ELM4) ALK fusion proteins (IC_{50}s = 84 and 56 nM for NPM-ALK and ELM4-ALK, respectively), as well as several Ba/F3 cells expressing NPM-ALK or ELM4-ALK mutants that are resistant to the c-Met and ALK inhibitor crizotinib (Item No. 12087).{31295} It induces tumor regression in an NCI H2228 non-small cell lung cancer (NSCLC) mouse xenograft model when administered at doses of 10 and 30 mg/kg.[1]

References

1. Mori, M., Ueno, Y., Konagai, S., *et al.* The selective anaplastic lymphoma receptor tyrosine kinase inhibitor ASP3026 induces tumor regression and prolongs survival in non-small cell lung cancer model mice. *Mol. Cancer Ther.* **13(2)**, 329-340 (2015).
2. Fontana, D., Ceccon, M., Gambacorti-Passerini, C., *et al.* Activity of second-generation ALK inhibitors against crizotinib-resistant mutants in an NPM-ALK model compared to EML4-ALK. *Cancer Med.* **4(7)**, 953-965 (2016).

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