

Product Data Sheet

Product Name: ASP3026

Cat. No.: GC14446

Chemical Properties

Cas. No. 1097917-15-1

Chemical Name 2-N-[2-methoxy-4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]phenyl]-4-N-(2-propan-2-ylsulfonylphenyl)-1,3,5-triazine-2,4-diamine

SMILES CC(C)S(=O)(=O)C1=CC=CC=C1NC2=NC=NC(=N2)NC3=C(C=C(C=C3)N4CCC(CC4)N5CCN(CC5)C)OC

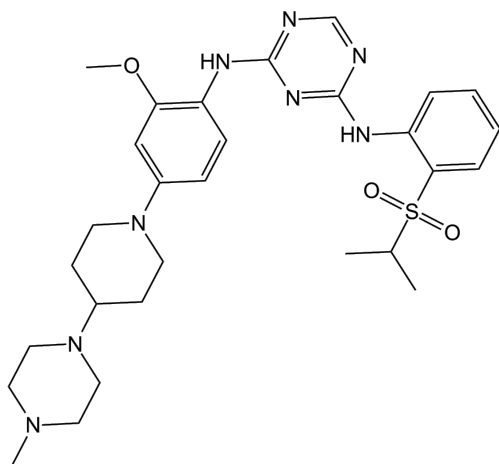
Formula $C_{29}H_{40}N_8O_3S$ M.Wt 580.74

Solubility ≥ 14.5 mg/mL in DMSO, ≥ 4.9 mg/mL in EtOH with ultrasonic and warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

ASP3026 is a selective inhibitor of ALK with IC_{50} value of 3.5 nM [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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ASP3026 is a potent ALK inhibitor and has a different selectivity with the reported ALK inhibitor crizotinib. When tested with a panel of 86 tyrosine kinases, ASP3026 showed the highest selectivity for ALK, ROS and ACK kinases. In NCI-H2228 NSCLC cells expressing EML4-ALK, five-day treatment of ASP3026 resulted in a cell growth inhibition with IC₅₀ value of 64.8 nM. ASP3026 also inhibited the viability of NPM-ALK+ ALCL cells with IC₅₀ values of 0.4, 0.75, 1 and 2.5 μ M in SU-DHL-1, SUP-M2, SR-786 and Karpas 299 cells, respectively [2, 3].

In mouse model with NCI-H2228 subcutaneous xenograft, oral administration of ASP3026 caused significant reduction of phosphorylated ALK and tumor growth. 30 mg/kg/d ASP3026 for 2 weeks induced tumor regression by 78%. In mice injected with Karpas 299 cells, ASP3026 treatment caused remarkable lymphoma regression [2, 3].

References:

- [1] Kuromitsu S, Mori M, Shimada I, et al. Anti-tumor activity of ASP3026, a novel and selective ALK inhibitor of anaplastic lymphoma kinase (ALK). Annual Meeting of the American Association for Cancer Research (AACR), Orlando, FL. 2011.
- [2] 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. Molecular cancer therapeutics, 2014, 13(2): 329-340.
- [3] George S K, Vishwamitra D, Manshouri R, et al. The ALK inhibitor ASP3026 eradicates NPM-ALK+ T-cell anaplastic large-cell lymphoma in vitro and in a systemic xenograft lymphoma model. Oncotarget, 2014, 5(14): 5750-5763.

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