

Product Data Sheet

Product Name: Purvalanol B

Cat. No.: GC16268

Chemical Properties

Cas. No. 212844-54-7

Chemical Name 2-chloro-4-[[2-[[[(2R)-1-hydroxy-3-methylbutan-2-yl]amino]-9-propan-2-yl]purin-6-yl]amino]benzoic acid

SMILES CC(C)C(CO)NC1=NC2=C(C(=N1)NC3=CC(=C(C=C3)C(=O)O)Cl)N=CN2C(C)C

Formula $C_{20}H_{25}ClN_6O_3$

M.Wt 432.9

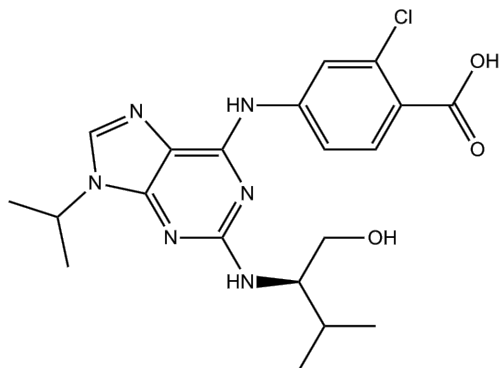
Solubility $\geq 21.65\text{mg/mL}$ in DMSO

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



Protocol

Cell experiment [1]:

Cell lines Chinese hamster lung fibroblast CCL39 cell line, Asynchronous cells

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

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Preparation method	The solubility of this compound in DMSO is >21.7mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting condition	2.5 µM
Applications	In Chinese hamster lung fibroblast CCL39 cell line, treatment with Purvalanol B inhibited cells proliferation via targeting CKD1 which induced a G2/M block with a GI50 of 2.5 µM. In asynchronous cells, Purvalanol B led to an accumulation of cells in G2/M phase.
Animal experiment [2, 3]:	
Animal models	Mouse model with NCI-H2228 subcutaneous xenograft and Karpas 299 cells
Dosage form	Oral administration, 30 mg/kg/d, 2 weeks
Application	In a mouse model with NCI-H2228 subcutaneous xenograft, oral administration of ASP3026 significantly reduced phosphorylated ALK and tumor growth. ASP3026 (30 mg/kg/d, 2 weeks) induced tumor regression by 78%. In mice injected with Karpas 299 cells, ASP3026 treatment caused remarkable lymphoma regression.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

- [1]. Kuromitsu S, Mori M, Shimada I, et al. Anti-tumor activity of ASP3026,—A novel and selective ALK inhibitor[J]. 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.

Background

Purvalanol B is a selective inhibitor of CDK1, CDK2 and CDK4.

CDKs (cyclin-dependent kinases) family has the ability to regulate cell cycle.

Purvalanol B is reported as one of the most potent and selective CDK inhibitors. When tested with Chinese hamster lung fibroblast CCL39 cell line, treatment with Purvalanol B inhibited cells proliferate via targeting CKD1 which induced a G2/M block with a GI50 of 2.5 μ M. In asynchronous cells, exposed to Purvalanol B led to an accumulation of cells in G2/M phase [1].

In a 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].

Purvalanol B also interacts with p42/p44 MAPK proteins when tested with several mammalian cell lines including MCF-7 cell line [1].

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.

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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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