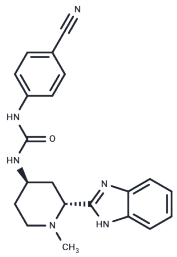
Data Sheet (Cat.No.T6514)

TargetMol

Glasdegib

Chemical Properties



CAS No. : 1095173-27-5

Formula: C21H22N6O

Molecular Weight: 374.44

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Glasdegib (PF-04449913) (PF-04449913) is a potent, and orally bioavailable Smoothened (Smo) inhibitor with IC50 of 5 nM. Phase 2.
Targets(IC50)	Hedgehog/Smoothened,Smo
In vitro	In vitro microsomal assays, PF-04449913 have high clearance in rat and low clearance in dog and human, without inhibiting any of the major cytochrome P450 isoforms. [1]
In vivo	In rat and dog, PF-04449913 shows high clearance, and good oral bioavailability. [1]
Cell Research	PF-04449913 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[1]. Normal or BC CML CD34+ cells are plated on confluent mitomycin-C treated SL/M2 cells with vehicle, PF-04449913 (1 μM), Dasatinib (50 nM), or combination treatment. Mouse bone marrow stromal cell lines, M2-10B4 (M2) and SL/SL (SL) are treated with mitomycin-C (1 mg/mL) and plated in a 1:1 mixture at a total concentration of 100,000 cells/mL one day prior to co-culture with 10,000-20,000 CD34+ BC CML or normal progenitors. After 1 week of culture, progenitors are FACS sorted into hematopoietic progenitor assays and colonies are scored at 14 days. To assess survival of normal human hematopoietic stem and progenitor cells, irradiated (20 Gray) OP9 (M2 clone) stromal cells are co-cultured with 50,000 human CD34+ cord blood cells, vehicle or PF-04449913 in AlphaMEM with 20% Hyclone FBS, 1% pen strep glutamine and supplemented with 50 ng/mL SCF, 10 ng/mL thrombopoietin, and 10 ng/mL Flt3 and quantified by weekly FACS analysis[1].

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 48 mg/mL (128.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 4.8 mg/mL (12.82 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.8 mg/mL (12.82 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6707 mL	13.3533 mL	26.7065 mL
5 mM	0.5341 mL	2.6707 mL	5.3413 mL
10 mM	0.2671 mL	1.3353 mL	2.6707 mL
50 mM	0.0534 mL	0.2671 mL	0.5341 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Munchhof MJ, et al. ACS Med Chem Lett. 2011, 3(2), 106-111.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481