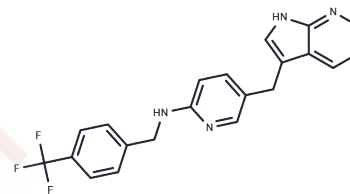


PLX647

Chemical Properties

CAS No. : 873786-09-5
 Formula: C₂₁H₁₇F₃N₄
 Molecular Weight: 382.38
 Storage: 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	PLX647 is a highly selective dual FMS/KIT kinase inhibitor (IC ₅₀ : 28/16 nM).
Targets(IC ₅₀)	c-Fms,c-Kit
Kinase Assay	HTS screen: To start an assay, 0.5 µL of 5 mg/mL test compound (about 50 µM final reaction concentration) or DMSO control is aliquoted into each well. Both enzyme and substrate are prepared in UCH reaction buffer (50 mM Tris-HCl [pH 7.6], 0.5 mM EDTA, 5 mM DTT, and 0.5 mg/mL ovalbumin). 25 µL of 0.6 nM UCH-L1 is then added to each well except substrate control wells, followed by plate shaking for 45-60 s on an automatic shaker. The enzyme/compound mixture is incubated at room temperature for 30 min before 25 µL of 200 nM Ub-AMC is added to initiate the enzyme reaction. The reaction mixture (300 pM UCH-L1, 100 nM Ubiquitin-AMC with 2.5 µg test compound) is incubated at room temperature for 30 additional minutes prior to quenching the reaction by the addition of 10 µL 500 mM acetic acid per well. The fluorescence emission intensity is measured on a LJL Analyst using a coumarin filter set (ex = 365 nm, em = 450 nm) and is subtracted by the intrinsic compound fluorescence to reveal the enzyme activity. A DMSO control (0.5 µL of DMSO, 25 µL of UCH-L1, 25 µL of ubiquitin-AMC, 10 µL of acetic acid), enzyme control (25 µL of UCH-L1, 25 µL of buffer, 10 µL of acetic acid), substrate control (25 µL of buffer, 25 µL of ubiquitin-AMC, 10 µL of acetic acid), and inhibitor control (0.5 µL of ubiquitin aldehyde [100 nM stock], 25 µL of UCH-L1, 25 µL of ubiquitin-AMC, 10 µL of acetic acid) are also performed in each assay plate to ensure quality and reproducibility. Potential UCH-L1 inhibitors are selected if the compounds demonstrated greater than 60% inhibition compared to the controls. The UCH-L1 enzymatic reactions are manually repeated twice using the same protocol to confirm the results for the hit compounds from the primary robot-assisted screen.

Solubility Information

Solubility	DMSO: 31 mg/mL (81.07 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.23 mM),Sonication is recommended.

A DRUG SCREENING EXPERT

In vivo Formulation

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	2.6152 mL	13.076 mL	26.152 mL
5 mM	0.523 mL	2.6152 mL	5.2304 mL
10 mM	0.2615 mL	1.3076 mL	2.6152 mL
50 mM	0.0523 mL	0.2615 mL	0.523 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

Zhang C, et al. Proc Natl Acad Sci U S A. 2013 Apr 2;110(14):5689-94.

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