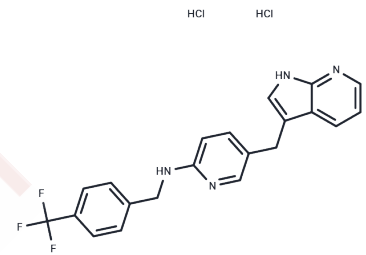


PLX647 dihydrochloride

Chemical Properties

CAS No. :	1779796-38-1
Formula:	C ₂₁ H ₁₉ Cl ₂ F ₃ N ₄
Molecular Weight:	455.31
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 dihydrochloride is a potent and selective dual inhibitor of FMS and KIT kinases, with IC ₅₀ values of 28 nM and 16 nM, respectively. At a concentration of 1 μM, PLX647 dihydrochloride demonstrates superior selectivity against a wide range of 400 kinases, except FLT3 and KDR, where IC ₅₀ values are 91 nM and 130 nM, respectively. This orally active compound holds great potential for targeted kinase inhibition.
Targets(IC ₅₀)	c-Fms,Others,c-Kit
In vitro	In vitro, PLX647 dihydrochloride demonstrates significant inhibitory effects on the proliferation of various cells. It potently halts the growth of BCR-FMS cells with an IC ₅₀ of 92 nM, and shows similar potency towards a Ba/F3 cell line expressing BCR-KIT, presenting an IC ₅₀ of 180 nM. This compound also effectively suppresses endogenous FMS and KIT signaling, indicated by its ability to inhibit M-NFS-60 and M-07e cell lines, which express FMS and KIT, respectively, with IC ₅₀ values of 380 nM and 230 nM. Additionally, PLX647 dihydrochloride significantly inhibits FLT3-ITD-expressing MV4-11 cells with an IC ₅₀ of 110 nM, but it exerts minimal inhibition on the proliferation of Ba/F3 cells expressing BCR-KDR, with an IC ₅₀ of 5 μM. Moreover, this compound inhibits osteoclast differentiation, marked by an IC ₅₀ of 0.17 μM.
In vivo	PLX647 dihydrochloride administered at 40 mg/kg orally, twice daily for 7 days, significantly reduces macrophage accumulation in unilateral ureter obstruction (UUO) kidney and blood monocytes, as well as decreasing LPS-induced TNF-α and IL-6 release in male Swiss Webster mice. Further, dosages ranging from 20-80 mg/kg administered orally daily or twice daily from days 27 to 41 demonstrate efficacy in collagen-induced arthritis models in mice, with 20 mg/kg showing no initial effect but eventually inhibiting the macroscopic signs of arthritis by 30% on day 41, while 80 mg/kg results in a 76% reversal of disease severity by the same day. At a 30 mg/kg dosage, PLX647 dihydrochloride notably inhibits TRAP5b immunostaining and bone osteolysis, and effectively prevents bone damage caused by tumor cells. In a mouse unilateral ureter obstruction model using male C57BL/6 mice, the administration resulted in a 77% reduction in F4/80+ macrophages after 7 days. In a mouse collagen-induced arthritis model with 7-9 week old male DBA/1J mice, the compound at 20 mg/kg showed no initial therapeutic effect, but from day 33, halted further development of severe arthritis, whereas at 80 mg/kg, it delayed the onset and significantly reduced arthritic signs from day 33, achieving a noteworthy reversal by day 41.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1963 mL	10.9815 mL	21.9631 mL
5 mM	0.4393 mL	2.1963 mL	4.3926 mL
10 mM	0.2196 mL	1.0982 mL	2.1963 mL
50 mM	0.0439 mL	0.2196 mL	0.4393 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. Design and pharmacology of a highly specific dual FMS and KIT kinase inhibitor. Proc Natl Acad Sci U S A. 2013 Apr 2;110(14):5689-94.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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