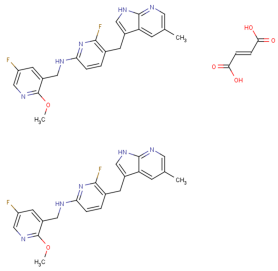
Data Sheet (Cat.No.T12505)

TargetMol

PLX5622 hemifumarate

Chemical Properties



CAS No. :

Formula: C25H23F2N5O5

Molecular Weight: 453.45

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	PLX5622 hemifumarate is a highly selective, blood-brain barrier-permeable, and orally active CSF1R inhibitor with an IC50 of 0.016 μM and a Ki of 5.9 nM. It can be used to eliminate proliferating and specific microglia before and during disease progression and is applicable for inducing Alzheimer's disease models.
Targets(IC50)	c-Fms
In vitro	PLX5622 hemifumarate (1-20 μM; 3 days) effectively depletes microglia without affecting oligodendrocytes or astrocytes in cerebellar slices. At 4 μM for 3 days, it reduces NG2+ or PDGFRα+ cells by 30-40%, increasing to 90-95% at 20 μM. No reduction in NG2+ or PDGFRα+ OPCs is observed at 1 μM or 2 μM PLX5622 despite robust (~95%) depletion of microglial cells [3].
In vivo	In preclinical studies, PLX5622 hemifumarate demonstrates significant microglial depletion in adult C57/Bl6 wild-type mice when administered at 1200 ppm in chow for durations of 3 days to 3 weeks, resulting in approximately 80% reduction after 3 days, and a 99% reduction after 3 weeks. Similar outcomes were observed in different brain regions including the cortex, striatum, cerebellum, and hippocampus when administered for 3 weeks. Intraperitoneal injections of 50 mg/kg in neonatal and adult rats for 14 days resulted in 80-90% microglia depletion within the first 3 days, surpassing 90% by day 7, and achieving more than 96% depletion by day 14, while astrocyte levels remained unchanged. Notably, neonates required only a single daily injection, while adults needed twice-daily injections for effective depletion. Furthermore, administration of PLX5622 in AIN-76A chow at 1200 mg/kg for 28 days significantly reduced microglia in the CNS of 14-month-old 5xfAD mice. The pharmacokinetic profile of PLX5622 across various species revealed differences in bioavailability, clearance, and half-life, notably demonstrating efficient absorption and clearance rates. For gavage dosing preparations, PLX5622 hemifumarate is dissolved in DMSO, mixed with a diluent consisting of hydroxypropyl methyl cellulose and Polysorbate 80, and sonicated to achieve a uniform suspension for administration.

Solubility Information

Solubility	DMSO: 100 mg/mL (220.53 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: 4 mg/mL (8.82 mM),Sonication is recommended. *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	2.2053 mL	11.0266 mL	22.0531 mL
5 mM	0.4411 mL	2.2053 mL	4.4106 mL
10 mM	0.2205 mL	1.1027 mL	2.2053 mL
50 mM	0.0441 mL	0.2205 mL	0.4411 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

Spangenberg E, et al. Sustained microglial depletion with CSF1R inhibitor impairs parenchymal plaque development in an Alzheimer's disease model. Nat Commun. 2019 Aug 21;10(1):3758.
Lee S, et al. Targeting macrophage and microglia activation with colony stimulating factor 1 receptor inhibitor is an effective strategy to treat injury-triggered neuropathic pain. Mol Pain. 2018 Jan-Dec;14:1744806918764979.