Data Sheet (Cat.No.T69651)

TargetMol

Adrixetinib

Chemical Properties

CAS No. :	2394874-66-7
Formula:	C25H24F3N5O5
Molecular Weight:	531.48
Storage:	Keep away from moisture,Keep away from direct sunlight, 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	Adrixetinib (Q702) is an orally active triple inhibitor against CSF1R, Mer, and Axl, with Kd values of 8.7 nM, 0.8 nM, and 0.3 nM, respectively. Adrixetinib (Q702) acts as a potent immune modulator that remodels the tumor microenvironment, increasing M1 macrophages and $CD8^+$ T cells while decreasing M2 macrophages and myeloid-derived suppressor cells (MDSCs). Adrixetinib (Q702) upregulates MHC class I and E-cadherin in tumor cells and shows efficacy in syngeneic mouse tumor models. Adrixetinib (Q702) is utilized in oncology research focused on tumor microenvironment reprogramming, macrophage polarization dynamics, and immune cell infiltration in breast cancer, renal adenocarcinoma, colon carcinoma, and melanoma models.
Targets(IC50)	c-Fms,TAM Receptor
In vitro	Methods: Target inhibitory activity was detected via in vitro kinase assay. Multiple tumor cell lines were pretreated with gradient drug concentrations, and phosphorylation levels of pathway proteins were determined. The effects of the compound on tumor cell proliferation and viability were evaluated. Results: 1.After 1-hour incubation, adrixetinib potently inhibited Axl, Mer and CSF1R kinases with IC_{50} values of 0.3 nM, 0.8 nM and 8.7 nM respectively. 2.Adrixetinib blocked ligand-induced phosphorylation of Axl, Mer, CSF1R and downstream pathway proteins in a concentration-dependent manner. 3.Adrixetinib reduced EMT6 cell viability after 72-hour treatment with an IC_{50} of 8.4 μM. It suppressed M-NFS-60 cell proliferation via inhibiting CSF1R pathway, with the IC_{50} lower than 1.0 μM [1-2].
In vivo	Methods: Multiple allogeneic and syngeneic mouse tumor models were established. Oral administration was performed at diverse doses and cycles. Target phosphorylation, tumor growth, gene expression, immune cell infiltration and levels of related molecules and cytokines were detected. Results: 1.Oral administration of adrixetinib at 30 mg/kg daily for 7 days inhibited the phosphorylation of Axl and CSF1R in xenograft tumors of nude mice. 2.Treatment with adrixetinib at 10-100 mg/kg for 14 days suppressed breast tumor growth in a dose-dependent manner, with tumor inhibition rates ranging from 54.3% to

In vivo	84.6%. 3.Adrixetinib regulated tumor gene expression and reshaped intratumoral immune composition. It upregulated MHC-I and E-cadherin to form an immune-activated microenvironment. 4.The drug promoted secretion of IFN-γ and granzyme B, enhanced cytotoxicity of T cells and NK cells, increased intratumoral infiltration of $CD8^+$ T cells and reduced myelocyte accumulation. 5.Adrixetinib exerted prominent anti-tumor effects on multiple mouse tumor models including melanoma and colorectal carcinoma, with tumor growth inhibition rates of 64%–77% [1].

Solubility Information

Solubility	DMSO: 80 mg/mL (150.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8815 mL	9.4077 mL	18.8154 mL
5 mM	0.3763 mL	1.8815 mL	3.7631 mL
10 mM	0.1882 mL	0.9408 mL	1.8815 mL
50 mM	0.0376 mL	0.1882 mL	0.3763 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

Jeon Y, et al. A Novel Selective Axl/Mer/CSF1R Kinase Inhibitor as a Cancer Immunotherapeutic Agent Targeting Both Immune and Tumor Cells in the Tumor
Microenvironment. Cancers (Basel). 2022;14(19):4821. Published 2022 Oct 2.
NAM K, et al. Quinoline derivatives as inhibitors of axl/mer rtk and csf1r. WO, WO2019229251A1, 2019-12-05.

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