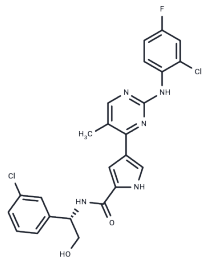
Data Sheet (Cat.No.T3166)

TargetMol

VX-11e

Chemical Properties



CAS No. : 896720-20-0

Formula: C24H20Cl2FN5O2

Molecular Weight: 500.35

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	VX-11e (TCS ERK 11e) is a potent, selective, and orally bioavailable ERK(Extracellular Signal-Regulated Kinase) inhibitor; antitumor agent.
Targets(IC50)	ERK,FLT,CDK,Aurora Kinase,GSK-3
In vitro	In HT29 cells, VX-11e potently inhibits cell proliferation with IC50 of 48 nM. [1]
In vivo	In both rats and mice, VX-11e shows good oral bioavailability. [1] In NSG mice bearing human melanoma RPDX tumors, VX-11e (50 mg/kg, p.o.) results in robust inhibition of pRSK, and inhibits tumor growth. When used in combination with BKM120, VX-11e results in significantly improved tumor growth inhibition. [2]
Kinase Assay	ERK Inhibition Assay: Compounds are assayed for the inhibition of ERK2 by a spectophotometric coupled-enzyme assay. In this assay, a fixed concentration of activated ERK2 (10 nM) is incubated with various concentrations of the compounds in DMSO (2.5%) for 10 min. at 30 °C in 0.1 M HEPES buffer, pH = 7.5, containing 10 mM MgCl2, 2.5 mM phosphoenolpyruvate, 200 μM NADH, 150 μg/mL pyruvate kinase, 50 μg/mL lactate dehydrogenase and 200 μM erktide peptide. The reaction is initiated by the addition of 65 μM ATP. The rate of decrease of absorbance at 340 nM is monitored. The IC50 is evaluated from the data as a function of inhibitor concentr
Cell Research	Cell proliferation is measured by 3H-thymidine incorporation. The cells are plated at a concentration of 10,000 cells/well in a 96-well plate using growth media, RPMI 1640 containing 10% FBS. Serially diluted compounds are added. The cells and compounds are incubated for 48 hours at 37°C incubator. After 48 hours, 0.4 μCi of 3H-thymidine is added to each wells for 8 hours and returned to the 37°C incubator. The cells are harvested using a Tomtec 96-well cell harvester and the CPM is determined using the Wallac 1205 BETAPLATE liquid scintillation counter. The IC50 is the 50% inhibition of contr(Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (99.93 mM),Sonication is recommended. Ethanol: 12.5 mg/mL (24.98 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: 2.5 mg/mL (5 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	1.9986 mL	9.993 mL	19.986 mL
5 mM	0.3997 mL	1.9986 mL	3.9972 mL
10 mM	0.1999 mL	0.9993 mL	1.9986 mL
50 mM	0.040 mL	0.1999 mL	0.3997 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

Aronov AM, et al. J Med Chem. 2009, 52(20), 6362-6368.
Krepler C, et al. Clin Cancer Res. 2015. pii: clincanres.1762. 2015