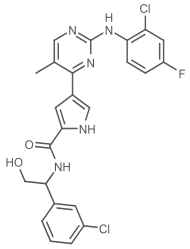
Datasheet

Inhibitors / Agonists / Screening Libraries

TargetMol
A DRUG SCREENING EXPERT

Product Name	:	VX-11e
Catalog Number	:	T3166
CAS Number	:	896720-20-0
Molecular Formula	:	C24H20Cl2FN5O2
Molecular Weight	:	500.35



Description: VX-11e is a potent, selective, and orally bioavailable ERK(Extracellular Signal-Regulated Kinase) inhibitor; antitumor agent.

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

Solubility	DMSO	50 mg/mL (100 mM)
	Ethanol	12.5 mg/mL (25 mM)
	(< 1 mg/ml refers to the product slightly soluble or insoluble)	

Receptor (IC50)	Aurora A	540nM(Ki)
	CDK2	850nM(Ki)
	ERK2	<2nM(Ki)
	FLT3	1.4μM(Ki)
	GSK-3	400nM(Ki)

In vitro Activity

In HT29 cells, VX-11e potently inhibits cell proliferation with IC50 of 48 nM. [1]

In vivo Activity

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 Assay

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)

Cell line: HT29 cells

Animal Experiment

Animal Model: NSG mice bearing human melanoma RPDX tumors

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

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