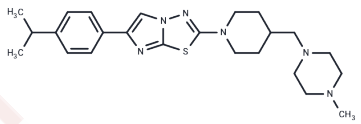
Data Sheet (Cat.No.T4638)

TargetMol

E260

Chemical Properties



CAS No. : 1241537-79-0

Formula: C24H34N6S

Molecular Weight: 438.63

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	E260 (Fer and FerT inhibitor) is a Fer/FerT kinase inhibitor
Targets(IC50)	Fer/FerT kinase
In vitro	Fer and FerT inhibitor, which selectively evokes metabolic stress in cancer cells by imposing mitochondrial dysfunction and deformation, and onset of energy-consuming autophagy which decreases the cellular ATP level. When applied to metastatic grade IV SW620 CC cells, which are serum starved for 16 h and treated with 3 mM H2O2 to activate Fer,Fer and FerT inhibitor exhibits inhibitory effects on the Fer-kinase activity as is reflected by suppressed auto-phosphorylation activity of the enzyme.
In vivo	Fer/FerT kinase inhibitor suppresses xenografts progression in vivo. The pharmacokinetic (PK) profile of Fer/FerT kinase inhibitor is determined in mice. Fer/FerT kinase inhibitor exhibits a T1/2of 175 min in the blood, and a volume of distribution of 4244 mL/kg suggesting an efficient distribution of the compound in the animal tissues. To evaluate the efficacy of Fer/FerT kinase inhibitor on tumor growth, SW620 cells are subcutaneously introduced into immuno-compromised "Nude" mice. Administration of Fer/FerT kinase inhibitor leads to a significant attenuation of tumor progression throughout the experiment, and to a 10-fold decrease in average tumor volume after 22 days of treatment. To further demonstrate the anti-cancer activity of Fer/FerT kinase inhibitor in vivo, mice bearing SW48 cells derived xenografts are treated with Fer/FerT kinase inhibitor and the tumor progression profiles are determined. Mice treated with Fer/FerT kinase inhibitor demonstrate a 5-6-fold attenuation in tumors progression when compared to the control treated group

Solubility Information

Solubility	DMSO: Slightly soluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2798 mL	11.3991 mL	22.7983 mL
5 mM	0.456 mL	2.2798 mL	4.5597 mL
10 mM	0.228 mL	1.1399 mL	2.2798 mL
50 mM	0.0456 mL	0.228 mL	0.456 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.

Reference

Elkis Y, et al. A novel Fer/FerT targeting compound selectively evokes metabolic stress and necrotic death in malignant cells. Nat Commun. 2017 Oct 16;8(1):940.