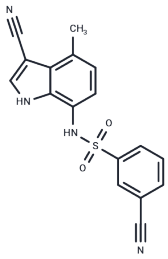
Data Sheet (Cat.No.T4435)

TargetMol

E7820

Chemical Properties



CAS No. : 289483-69-8

Formula: C17H12N4O2S

Molecular Weight: 336.37

Storage: Store at low temperature
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	E7820 (ER68203-00) is an angiogenesis inhibitor by suppressing integrin a2 (a cell adhesion molecule expressed on endothelial cells).
Targets(IC50)	Molecular Glues,Integrin
In vitro	E7820 inhibits proliferation of HUVEC induced by either bFGF (IC50: 0.10 μg/mL) and VEGF (IC50: 0.081 μg/mL) in serum-free medium. E7820 also inhibits both bFGF- (IC50: 0.20 μg/mL) and VEGF-driven (IC50: 0.24 μg/mL) tube formation of HUVEC in this assay [3].
In vivo	E7820 (50 mg/kg) with erlotinib has a significantly synergistic antitumor effect in three xenograft models without severe body weight loss. E7820 (50 mg/kg) and erlotinib decrease MVD and enhance apoptosis in tumor-associated endothelial cells, inhibit tumor cell proliferation and enhanced apoptosis, and enhance inhibition of cell proliferation and apoptosis through activation of both intrinsic and extrinsic apoptosis pathways in human NSCLC xenograft models[1]. E7820 shows anti-tumor activity at doses of 50, 100, and 200 mg/kg in the tumor growth and α2-integrin expression experiments[2]. E7820 (50, 100, and 200 mg/kg) inhibits tumor growth in a dose-dependent manner in all s.c. xenograft models. E7820 completely inhibits s.c. tumor growth of LoVo tumor cells and also regresses the tumor mass of KP-1 tumor cells at the dosages of both 100 and 200 mg/kg[3].

Solubility Information

Solubility	H2O: Insoluble, DMSO: 125 mg/mL (371.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn oil: < 10 mg/mL (29.73 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% (20% SBE-β-CD in Saline): < 10 mg/mL (29.73 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (29.73 mM),Suspension. 10% DMSO+90% Saline: < 10 mg/mL (29.73 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.

In vivo Formulation	*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	2.9729 mL	14.8646 mL	29.7292 mL
5 mM	0.5946 mL	2.9729 mL	5.9458 mL
10 mM	0.2973 mL	1.4865 mL	2.9729 mL
50 mM	0.0595 mL	0.2973 mL	0.5946 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

Ito K, Semba T, Uenaka T, et al. Enhanced anti-angiogenic effect of E7820 in combination with erlotinib in epidermal growth factor receptor-tyrosine kinase inhibitor-resistant non-small-cell lung cancer xenograft models [J]. Cancer science, 2014, 105(8): 1023-1031.

Keizer R J, Funahashi Y, Semba T, et al. Evaluation of α 2-Integrin Expression as a Biomarker for Tumor Growth Inhibition for the Investigational Integrin Inhibitor E7820 in PreClinicalal and Clinicalal Studies[J]. The AAPS journal, 2011, 13(2): 230-239.

Semba T, Funahashi Y, Ono N, et al. An angiogenesis inhibitor E7820 shows broad-spectrum tumor growth inhibition in a xenograft model: possible value of integrin α2 on platelets as a biological marker[J]. Clinicalal Cancer Research, 2004, 10(4): 1430-1438.