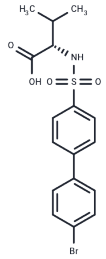
Data Sheet (Cat.No.T20563)

PD-166793

Chemical Properties



CAS No. : 199850-67-4

Formula: C17H18BrNO4S

Molecular Weight: 412.3

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	PD-166793 is an orally active, potent and selective MMP inhibitor with inhibitory effects on MMP-2, MMP-3 and MMP-13.PD-166793 ameliorates myocardial ischemia and reperfusion injury in a rat model of heart failure.PD-166793 is an orally active, potent and selective MMP inhibitor with inhibitory effects on MMP-2, MMP-3, and MMP-13.
Targets(IC50)	MMP
In vitro	In rat heart homogenates, PD-166793 at a concentration of 0.1 μM results in a 20% inhibition of AMP deaminase (AMPD) activity[2]. Additionally, when normal human cardiac fibroblasts are treated with PD-166793 at a concentration of 100 μM for 36 hours, there is a significant reduction in MMP-9 activity[1].
In vivo	Administered at a dosage of 1 mg/kg per day through daily gavage for a duration of 10 weeks, PD-166793 largely prevents the adverse remodeling typically observed in the aortocaval (AV) fistula model[3]. Furthermore, in rats, PD-166793 administered at a dose of 5 mg/kg via oral gavage demonstrates superior pharmacokinetics, with a half-life (t1/2) of 43.6 hours, a maximum concentration (Cmax) of 42.4 μg/mL, and an area under the curve from 0 to infinity (AUC0-∞) of 2822 μg•h/mL[2].

Solubility Information

Solubility	DMSO: 45 mg/mL (109.14 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 mg/mL (4.85 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	2.4254 mL	12.1271 mL	24.2542 mL
5 mM	0.4851 mL	2.4254 mL	4.8508 mL
10 mM	0.2425 mL	1.2127 mL	2.4254 mL
50 mM	0.0485 mL	0.2425 mL	0.4851 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

Kaludercic N, et, al. Inhibiting metalloproteases with PD 166793 in heart failure: impact on cardiac remodeling and beyond. Cardiovasc Ther. Spring 2008;26(1):24-37.
O'Brien PM, et, al. Structure-activity relationships and pharmacokinetic analysis for a series of potent, systemically available biphenylsulfonamide matrix metalloproteinase inhibitors. J Med Chem. 2000 Jan 27;43(2):156-66.
Chancey AL, et, al. Effects of matrix metalloproteinase inhibition on ventricular remodeling due to volume overload. Circulation. 2002 Apr 23;105(16):1983-8.

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