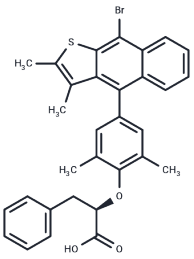
Data Sheet (Cat.No.T15243)

TargetMol

Ertiprotafib

Chemical Properties



CAS No. : 251303-04-5

Formula: C31H27BrO3S

Molecular Weight: 559.51

Storage: Keep away from moisture,Store under nitrogen,Keep away from direct sunlight
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	Ertiprotafib (PTP 112), a selective and potent inhibitor of protein tyrosine phosphate 1B (PTP1B) and IkappaB kinase β (IKK-β), is a novel insulin sensitizer with potential anticancer activity for the study of type 2 diabetes and breast cancer.
Targets(IC50)	IκB/IKK,Phosphatase,PPAR
In vitro	**METHODS**: To measure the kinase activity of IKK-b, ertiprotafib was used in doses (0.001-1 μM) and a peptide substrate containing the IjB phosphorylation motif was used, and the phosphorylated peptide product was determined using an ELISA-type assay with time-resolved fluorescence (TRF) measurements. **RESULTS**: Ertiprotafib is a potent IKK-b inhibitor with an IC50 value of 400 ± 40 nM, which is well below the value required for half-maximal inhibition of PTP1B p-nitrophenyl phosphatase activity. The reported IC50 values of ertiprotafib for PTP1B range from 1.6 to 29 μM, depending on the assay conditions. [1]
In vivo	**METHODS**: Here, 11-week-old leptin-deficient male ob/ob mice were administered Ertiprotafib (25 mg/kg, daily, for 4 days), and changes in blood glucose indicators were observed. **RESULTS**: Mice treated with ertiprotafib had fasting blood glucose levels returned to the normal range, along with a significant decrease in insulin levels and a significant decrease in serum triglyceride levels. [2]

Solubility Information

Solubility	DMSO: 80 mg/mL (142.98 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (5.9 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	1.7873 mL	8.9364 mL	17.8728 mL
5 mM	0.3575 mL	1.7873 mL	3.5746 mL
10 mM	0.1787 mL	0.8936 mL	1.7873 mL
50 mM	0.0357 mL	0.1787 mL	0.3575 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

Shrestha S, et al. PTP1B inhibitor Ertiprotafib is also a potent inhibitor of IkappaB kinase beta (IKK-beta). Bioorg Med Chem Lett. 2007 May 15;17(10):2728-30. Epub 2007 Mar 3.

Erbe DV, et al. Ertiprotafib improves glycemic control and lowers lipids via multiple mechanisms. Mol Pharmacol. 2005 Jan;67(1):69-77.