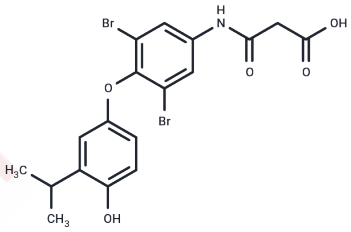
Data Sheet (Cat.No.T5360)

TargetMol

Eprotirome

Chemical Properties



CAS No. : 355129-15-6

Formula: C18H17Br2NO5

Molecular Weight: 487.14

Storage: 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	Eprotirome (KB2115), a synthetic thyroid hormone mimetic, is a thyroid hormone receptor agonist.
Targets(IC50)	Thyroid hormone receptor(THR)
In vivo	In moderately overweight and hypercholesterolemic subjects Eprotirome (KB2115) was found to be safe and well tolerated and elicited up to a 40% lowering of total and LDL cholesterol after 14 days of treatment. Bile acid synthesis was stimulated without evidence of increased cholesterol production [1]. KB2115 treated mice exhibited increased fasting glucose, although KB2115 did not increase fasting insulin levels [2].
Animal Research	A catheter was implanted into the right internal jugular vein before the hyperinsulinemic-euglycemic clamp. After recovery, mice were administered GC-1 (0.03 or 0.3 mg/kg), KB2115 (0.3 mg/kg) or T3 (0.06 mg/kg) via intraperitoneal injection for 10 days. On the day of the clamp experiment, conscious, overnight-fasted mice received a primed (10 μCi) and constant rate intravenous infusion (0.1 uCi/min) of [3-3H] glucose to measure basal glucose turnover. After 60–75 minutes of labeled glucose infusion, the hyperinsulinemic-euglycemic clamp was performed with continuous infusion of insulin (12 mU/kg/min) and variable infusion of 25% glucose to maintain euglycemia (~120 mg/dl). Blood samples were collected by tail bleeding (approximately every 10 min) to measure blood glucose concentrations [2].

Solubility Information

Solubility	Ethanol: 30 mg/mL (61.58 mM),Sonication is recommended. DMSO: 90 mg/mL (184.75 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: 3.3 mg/mL (6.77 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	2.0528 mL	10.264 mL	20.528 mL
5 mM	0.4106 mL	2.0528 mL	4.1056 mL
10 mM	0.2053 mL	1.0264 mL	2.0528 mL
50 mM	0.0411 mL	0.2053 mL	0.4106 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

Berkenstam A, et al. The thyroid hormone mimetic compound KB2115 lowers plasma LDL cholesterol and stimulates bile acid synthesis without cardiac effects in humans. Proc Natl Acad Sci U S A. 2008 Jan 15;105(2):663-7.

Martagón AJ, et al. The amelioration of hepatic steatosis by thyroid hormone receptor agonists is insufficient to restore insulin sensitivity in ob/ob mice. PLoS One. 2015 Apr 7;10(4):e201221987.