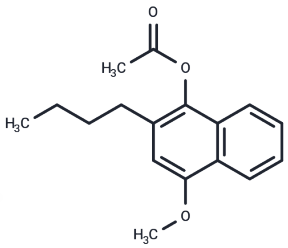
Data Sheet (Cat.No.T17191)

TargetMol

Bunaprolast

Chemical Properties



CAS No. : 99107-52-5

Formula: C17H20O3

Molecular Weight: 272.34

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	Bunaprolast (U66858) is a novel and potent leukotriene B4 (LTB4) inhibitor.Bunaprolast exhibits oxidative degradation activity and inhibits lipoxygenase and TXB2 release.
Targets(IC50)	LTR,Leukotriene Receptor,Lipoxygenase,Prostaglandin Receptor
In vitro	Bunaprolast (U-66,858) is metabolized through deacetylation to produce an initial metabolite, U-68,244, which retains similar pharmacologic efficacy. This study focuses on their ability to inhibit the ionophore-induced production of leukotriene B4 (LTB4) in human whole blood (WB). Pre-treatment with Bunaprolast or U-68,244 for one minute before exposure to calcium ionophore A23187 yields inhibition concentrations (IC50s) of 1080±644 nM and 820±442 nM respectively, which improve to 250±85 nM and 270±79 nM after 60 minutes. This inhibitory effect is comparable to the lipoxygenase inhibitor AA-861, whereas vitamin K and Bunaprolast's sulfate conjugate only inhibit LTB4 release at higher micromolar levels. Additionally, Bunaprolast significantly reduces thromboxane A2 release ($p<0.02$) compared to the cyclooxygenase (CO) inhibitor Flurbiprofen, underscoring its potential as a therapeutic agent.
In vivo	The IgE-mediated hypersensitivity to Ascaris antigen in reactor rhesus primates is utilized to evaluate the pharmacologic profile of Bunaprolast (U-66,858). Oral administration of Bunaprolast shows dose-related inhibition of resistance (RL) and compliance (Cdyn) changes, while aerosol administration results in dose-independent inhibition. In 15 animals receiving aerosols (52±32 to 53±10% inhibition for RL, $p=0.05$, and 45±19 to 28±19% inhibition for Cdyn, $p=0.05$) at concentrations from 5.0% to 0.1%, Bunaprolast demonstrates significant effects. Orally, inhibition is observed 1-4 hours post-administration. In 5 animals, oral doses of 10 and 5 mg/kg result in inhibition (RL by 98±2 to 78±1.5%, $p=0.01$, and Cdyn by 75±17 to 60.9±9.1%, $p=0.05$) for 10 and 5 mg/kg of Bunaprolast, respectively[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6719 mL	18.3594 mL	36.7188 mL
5 mM	0.7344 mL	3.6719 mL	7.3438 mL
10 mM	0.3672 mL	1.8359 mL	3.6719 mL
50 mM	0.0734 mL	0.3672 mL	0.7344 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

Johnson HG, et al. Activity of a novel hydroquinone inhibitor of leukotriene synthesis (U-66,858) in the rhesus monkey Ascaris reactor. Int Arch Allergy Appl Immunol. 1988;87(2):204-7.
Summers JA, et al. Lipoxygenase inhibitory activity of U-66,858 and its deacetylated metabolite U-68,244 in human whole blood. Agents Actions. 1994 Mar;41(1-2):32-6.