Product Data Sheet

Product Name: Bunaprolast (U66858)
Cat. No.: GC32002

Chemical Properties

Cas. No.	99107-52-5		
SMILES	COC1=C2C=CC=CC2=C(OC(C)=O)C(CCCC)=C1		
Formula	$C_{17}H_{20}O_3$	M.Wt	272.34
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Bunaprolast (U66858)		

Background

Bunaprolast (U66858) is a potent inhibitor of LTB4 production in human whole blood. Bunaprolast (U66858) also exhibits significant inhibition of lipoxygenase and TXB2 release.

Bunaprolast (U-66,858) undergoes deacetylation to an initial metabolite with similar pharmacological potency. The inhibitory effects of the semi-quinone Bunaprolast and its metabolite U-68,244 on the ionophore-induced formation of leukotriene B4 (LTB4) are examined in human whole blood (WB). Preincubation of Bunaprolast and U-68,244 for 1 min prior to challenge of blood with calcium ionophore A23187 results in IC50s of 1080±644 and 820±442 nM, respectively. After 60 min preincubation, IC50s are 250±85 and 270±79 nM. The activity of the lipoxygenase inhibitor AA-861 in this system is similar to that of Bunaprolast, while vitamin K and the sulphate conjugate of Bunaprolast show significant inhibition of LTB4 release only at micromolar concentrations. Bunaprolast exhibits significant inhibition of thromboxane A2 release (p

The IgE-mediated hypersensitivity to Ascaris antigen in reactor rhesus primates is used to assess the pharmacologic profile of Bunaprolast (U-66,858). When Bunaprolast is

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given by the oral route, it shows dose-related inhibition of resistance (RL) and compliance (Cdyn) changes. When Bunaprolast is given by the aerosol route, it shows dose independent inhibition. In 15 animals, aerosols (52±32 to 53±10% for RL, p=0.05 and 45±19 to 28±19% Cdyn inhibitions, p=0.05) for 5.0-0.1% aerosol. By the oral route, inhibition is seen at 1-4 h following administration. In 5 animals, oral doses of 10 and 5 mg/kg inhibit (RL by 98±2 to 78±1.5%, p=0.01 and Cdyn by 75±17 to 60.9±9.1%, p=0.05) by 10 and 5 mg/kg Bunaprolast, respectively[2].

[1]. 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. [2]. 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.

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