

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

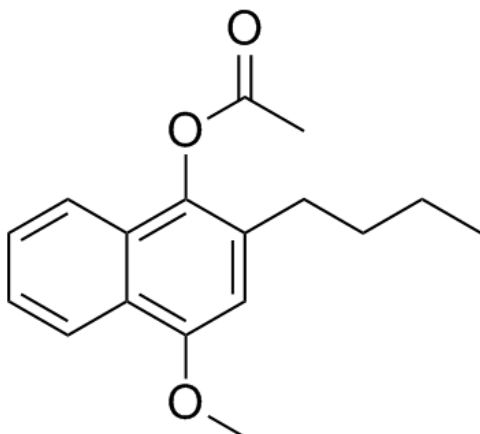
Formula $C_{17}H_{20}O_3$ M.Wt 272.34

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



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

Caution: Product has not been fully validated for medical applications. For research use only.

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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 given by the oral route, it shows dose-related inhibition of resistance (RL) and compliance (C_{dyn}) 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% C_{dyn} 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 C_{dyn} 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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