Web: www.taiclone.com
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Pranlukast

Catalog No: tcsc2304

Available Sizes

Size: 100mg

Size: 500mg

Specifications

CAS No:
103177-37-3

Formula:
$C_{27}H_{23}N_5O_4$

Pathway:
GPCR/G Protein

Target:
Leukotriene Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
ONO-1078

Observed Molecular Weight:
481.5

Product Description

Pranlukast is a highly potent, selective and competitive antagonist of peptide **leukotriene**s. Pranlukast inhibits [^{3}H]LTE$_4$, [^{3}H]LTD$_4$, and [^{3}H]LTC$_4$ bindings to lung membranes with **K$_i$**s of 0.63±0.11, 0.99±0.19, and 5640±680 nM, respectively.

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IC50 & Target: Ki: 0.63±0.11 nM (LTE_4), 0.99±0.19 nM (LTD_4), 5640±680 nM (LTC_4)[1]

In Vitro: In the radioligand binding assay, Pranlukast (ONO-1078) inhibits [^{3}H]LTE_4, [^{3}H]LTD_4, and [^{3}H]LTC_4 bindings to lung membranes with K_is of 0.63±0.11, 0.99±0.19, and 5640±680 nM, respectively. The antagonism of Pranlukast against [^{3}H]LTD_4 binding is competitive. In functional experiments, Pranlukast shows competitive antagonism against the LTC_4- and LTD_4-induced contractions of guinea pig trachea and lung parenchymal strips with a pA_2 range of 7.70 to 10.71. In the presence of an inhibitor of the bioconversion of LTC_4 to LTD_4, Pranlukast also antagonizes the LTC_4-induced contraction of guinea pig trachea (pA_2=7.78). Pranlukast significantly reverses the LTD_4-induced prolonged contraction without effect on the KCl- and $BaCl_2$-induced contractions of guinea pig trachea[1]. Oxygen-glucose deprivation (OGD)-induced nuclear translocation of $CysLT_1$ receptors is inhibited by pretreatment with the $CysLT_1$ receptor antagonist Pranlukast (10 μM). Pranlukast protects endothelial cells against ischemia-like injury. The effects of the $CysLT_1$ receptor antagonist Pranlukast and the 5-lipoxygenase inhibitor Zileuton on translocation are also assessed. The results show that Pranlukast, but not Zileuton, inhibits the translocation of the $CysLT_1$ receptor 6 h after OGD[2].

In Vivo: Carrageenan (CAR, 5 mg per mouse) is injected i.p. 24 h before LPS (50 p,g per mouse) is injected i.v. Various doses of Pranlukast (ONO-1078; 40, 20, and 10 mmol/kg), AA-861 (20, 10, and 5 mmol/kg), Indomethacin (40 mmollkg), and the controls are injected s.c. into mice 30 min before they are challenged with 50 p,g of LPS. The maximum soluble doses are 0.6 mmol/mL in 10% DMSO for AA-861 and 1.2 mmol/mL in 10% ethanol for Pranlukast. These solutions are used as the maximum doses for the treatments. The mortality of mice is significantly decreased in AA-861- Pranlukast-treated mice relative to that in the control mice. Pretreatment with CAR (5 mg i.p.) renders the mice more sensitive to the effect of LPS. Although the survival rate of mice treated with each solvent is 20% at 72 h after LPS (50 p,g per mouse) administration, s.c. treatment with AA-861 (20 mmol/kg) or Pranlukast (40 mmol/kg) significantly increases the survival rate after the LPS administration (AA-861, P[3].