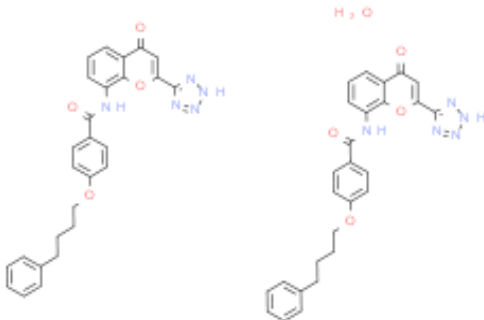


Product Data Sheet

Cas No.:	150821-03-7	Cat. No:	PL13326
Product Name:	Pranlukast hemihydrate		
Product synonym:	普仑司特水合物;普仑司特;半水普仑司特		
Chemical name:	Pranlukast hemihydrate		
MF:	C27H23N5O4.H2O	FW:	499.5179
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	O=C(NC1=C2C(C=C(C3=NNN=N3)O2)=O)=CC=C1)C4=CC=C(OCCCCC5=CC=CC=C5)C=C4.[0.5H2O]		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

Pranlukast hemihydrate (ONO-1078 hemihydrate) 是一种高效的竞争性的选择性 leukotriene 拮抗剂。Pranlukast 抑制 [³H]LTE₄, [³H]LTD₄ 和 [³H]LTC₄ 与肺膜结合, K_i 分别为 0.63±0.11, 0.99±0.19 和 5640±680 nM。

生物活性	Pranlukast hemihydrate is a highly potent, selective and competitive antagonist of peptide leukotrienes. Pranlukast inhibits [H]LTE ₄ , [H]LTD ₄ , and [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.
IC50 & Target[1][2]	LTE ₄ 0.63 nM (K _i) LTD ₄ 0.99 nM
体外研究(In Vitro)	In the radioligand binding assay, Pranlukast (ONO-1078) inhibits [H]LTE ₄ , [H]LTD ₄ , and [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. The antagonism of Pranlukast against [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

体内研究(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 mmol/kg), 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 (
包装储存	Powder -20°C 3 years; 4°C 2 years
溶解度数据	In Vitro: DMSO : 25 mg/mL (50.97 mM; Need ultrasonic)H ₂ O : 1 mg/mL (2.04 mM; ultrasonic and warming and heat to 80°C)配制储备液