Product Data Sheet

Product Name: Montelukast Sodium
Cat. No.: GC11006

Chemical Properties

Cas. No.	151767-02-1		
Chemical Name	sodium;2-[1-[[(1R)-1-[3-[(E)-2-(7-chloroquinolin-2-yl)ethenyl]phenyl]-3-[2-(2-hydroxypropan-2-yl)phenyl]propyl]sulfanylmethyl]cyclopropyl]acetate		
SMILES	CC(C)(C1=CC=CC=C1CCC(C2=CC=CC(=C2)C=CC3=NC4=C(C=CC(=C4)Cl)C=C3)SCC5(CC5)CC(=O)[O-])O.[Na+]		
Formula	$C_{35}H_{35}ClNNaO_3S$	M.Wt	608.17
Solubility	≥ 28.7mg/mL in DMSO	Storage	Store at -20°C, sealed storage, away from moisture
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	Montelukast Sodium		

Protocol

Cell experiment:

Nasal mucosa and polyp epithelial cells are stimulated with fetal bovine serum (FBS) with or without MK for 24 hours, and cytokine concentrations in epithelial secretions are measured by ELISA. After incubating peripheral blood eosinophils with epithelial cell-conditioned media (ECM) with or without montelukast up to 3 days, eosinophil survival is assessed by Trypan blue dye exclusion[1].

Animal experiment:

Rats: Twenty four Sprague Dawley rats are randomLy divided into control group, asthma, and montelukast group. A rat model of asthma is induced by ovalbumin (OVA) inhalation. Normal saline is used instead of sensitizing solution and 1% OVA in the control group. Each rat in the montelukast group is given montelukast (15mg/kg) by gavage 2h before OVA inhalation. All rats are treated for 8 weeks[3]. Mice: Montelukast is dissolved in 0.5% sodium carboxymethyl cellulose (CMC-Na). Mice are randomLy assigned to 4 groups: (1) vehicle plus vehicle,(2) Aβ1-42 plus vehicle, (3) Aβ1-42 plus montelukast (1.0 mg/kg), (4) Aβ1-42 plus montelukast (2.0 mg/kg). The solutions are injected bilaterally into the cerebroventricles through the micropipette[4].

References:

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[1]. Mullol J, et al. Montelukast reduces eosinophilic inflammation by inhibiting both epithelial cell cytokine secretion (GM-CSF, IL-6, IL-8) and eosinophil survival. J Biol Regul Homeost Agents. 2010 Oct-Dec;24(4):403-11.
[2]. Zhang HP, et al. Montelukast for prevention and treatment of asthma exacerbations in adults: Systematic review and meta-analysis. Allergy Asthma Proc. 2014 Jul-Aug;35(4):278-87.
[3]. Wei B, et al. Effect of montelukast on the expression of neurokinin-1 receptor in young asthmatic rats with airway remodeling. Zhongguo Dang Dai Er Ke Za Zhi. 2013 Apr;15(4):298-301.
[4]. Lai J, et al. Montelukast targeting the cysteinyl leukotriene receptor 1 ameliorates Aβ1-42-induced memory impairment and neuroinflammatory and apoptotic responses in mice. Neuropharmacology. 2014 Apr;79:707-14.

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Background

Montelukast Sodium (MK-0476) is a selective, reversible antagonist of leukotriene receptor with the Ki values of 0.52nM in U937 cell [1].

Montelukast Sodium has been reported to inhibit leukotriene D4 receptor specific binding in U937 cell, guinea pig lung and sheep lung with the Ki values of 0.52nM, 0.18nM and 4nM, respectively. In addition, Montelukast Sodium has also shown the inhibitory effect with the IC50 of 10mM for leukotriene C3 in U937 cell membranes and 40mM for leukotriene B4 in THP-1 cell membranes. Apart from these, after oral treatment of Montelukast Sodium, it has been revealed to suppress leukotriene D4 receptor induced bronchoconstriction in conscious squirrel monkeys with ED50 value of 0.03±0.001mg/kg [1].

References:
[1] Jones TR1, Labelle M, Belley M, Champion E, Charette L, Evans J, Ford-Hutchinson AW, Gauthier JY, Lord A, Masson P, et al. Pharmacology of montelukast sodium (Singulair), a potent and selective leukotriene D4 receptor antagonist. Can J Physiol Pharmacol. 1995 Feb;73(2):191-201.

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