

## **Data Sheet**

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Product Name : Montelukast
Cat. No. : PC-23319
CAS No. : 158966-92-8
Molecular Formula : C<sub>35</sub>H<sub>36</sub>CINO<sub>3</sub>S
Molecular Weight : 586.19

Target : Leukotriene Receptor Solubility : 10 mM in DMSO

## **Biological Activity**

Montelukast (MK0476) is a potent, selective and orally active antagonist of cysteinyl leukotriene receptor 1 (CysLT1) with Ki of 0.18 nM, 4 nM and 0.52 nM in guinea pig lung, sheep lung and U937 cell plasma membrane preparations respectively. Montelukast (MK0476) is inactive versus [3H]leukotriene C4 specific binding in dimethylsulfoxide-differentiated U937 cell membranes (IC50 10 microM) and [3H]leukotriene B4 specific binding in THP-1 cell membranes (IC50 40 microM). Montelukast (MK0476) inhibited specific binding of [3H]leukotriene D4 to guinea pig lung in the presence of human serum albumin, human plasma, and squirrel monkey plasma with Ki values of 0.21, 0.19, and 0.26 nM, respectively. Montelukast (MK0476) antagonized contractions of guinea pig trachea induced by leukotriene D4 (pA2 value 9.3; slope 0.8). Montelukast (MK0476) blocked leukotriene D4 induced bronchoconstriction in conscious squirrel monkeys, ovalbumin-induced bronchoconstriction in conscious sensitized rats (ED50 0.03 mg/kg; 4 h pretreatment), and also ascaris-induced early and late phase bronchoconstriction in conscious squirrel monkeys (0.03-0.1 mg/kg; 4 h pretreatment).

## References

Reiss TF, et al. Thorax. 1997 Jan;52(1):45-8.

Reiss TF, et al. Allergy Clin Immunol. 1996 Sep;98(3):528-34.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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