

Product Information



Asthma Treatment Standard Set

Item No. 10008640

Laboratory Procedures

For long term storage, we suggest that the Asthma Treatment Standard Set be stored as supplied at -20°C. It should be stable for at least two years.

The Cayman Asthma Treatment Standard Set contains three potent, selective Cysteinyl Leukotriene (CysLT) 1 receptor antagonists (10 mg each) currently used clinically for the treatment of asthma. Zafirlukast and Montelukast (sodium salt), sold under the trade names Accolate and Singulair, respectively, are used for the treatment of asthma as well as for the symptoms associated with allergic rhinitis.¹⁻³ Pranlukast, sold under the trade name Ultair, was the first CysLT receptor antagonist marketed for the treatment of asthma.^{4,5} In addition to these three CysLT₁ receptor antagonists, the asthma pak also includes Zileuton, which is supplied free of charge. Zileuton is a reversible 5-LO inhibitor that was approved in 1997 for the prevention and treatment of asthma in the USA, but was withdrawn by Abbott Laboratories in 2003.^{6,7}

Component	Amount	Solubility
Zileuton	10 mg	>0.5 mg/ml in DMSO:PBS (pH 7.2) (1:1)
Zafirlukast	10 mg	>0.5 mg/ml in DMF:PBS (pH 7.2) (1:1)
Montelukast (sodium salt)	10 mg	>0.15 mg/ml in Ethanol:PBS (pH 7.2) (1:9)
Pranlukast	10 mg	>5 mg/ml in DMF:PBS (pH 7.2) (1:8)

References

1. Matassa, V.G., Maduskuie, T.P., Jr., Shapiro, H.S., *et al.* Evolution of a series of peptidoleukotriene antagonists: Synthesis and structure/activity relationships of 1,3,5-substituted indoles and indazoles. *J. Med. Chem.* **33**, 1781-1790 (1990).
2. Silverman, R.A., Nowak, R.M., Korenblat, P.E., *et al.* Zafirlukast treatment for acute asthma. Evaluation in a randomized, double-blind, multicenter trial. *Chest* **126**, 1480-1489 (2004).
3. Peters-Golden, M. and Henderson, W.R. The role of leukotrienes in allergic rhinitis. *Ann. Allergy Asthma Immunol.* **94**, 609-618 (2005).
4. Barnes, N.C., de Jong, B., and Miyamoto, T. Worldwide clinical experience with the first marketed leukotriene receptor antagonist. *Chest* **111**, 52-60 (1997).
5. Taniguchi, Y., Tamura, G., Honma, M., *et al.* The effect of an oral leukotriene antagonist ONO-1078, on allergen-induced immediate bronchoconstriction. *J. Allergy Clin. Immunol.* **92**, 507-512 (1993).
6. Carter, G.W., Young, P.R., Albert, D.H., *et al.* 5-Lipoxygenase inhibitory activity of zileuton. *J. Pharmacol. Exp. Ther.* **256**, 929-937 (1991).
7. Zouboulis, Ch.C., Saborowski, A., and Boschnakow, A. Zileuton, an oral 5-lipoxygenase inhibitor, directly reduces sebum production. *Dermatology* **210**, 36-38 (2005).

Related Products

Zileuton - Item No. 10006967 • Zafirlukast - Item No. 10008282 • Montelukast (sodium salt) - Item No. 10008318 • Pranlukast - Item No. 10008319

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WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Cayman Chemical Company makes **no warranty or guarantee** of any kind, whether written or oral, expressed or implied, including without limitation, any warranty of fitness for a particular purpose, suitability and merchantability, which extends beyond the description of the chemicals hereof. Cayman **warrants only** to the original customer that the material will **meet our specifications at the time of delivery.**

Cayman will carry out its delivery obligations with due care and skill. Thus, in no event will Cayman have any **obligation or liability**, whether in tort (including negligence) or in contract, for any direct, indirect, incidental or consequential damages, even if Cayman is informed about their possible existence.

This limitation of liability does not apply in the case of intentional acts or negligence of Cayman, its directors or its employees.

Buyer's **exclusive remedy** and Cayman's sole liability hereunder shall be limited to a **refund** of the purchase price, or at Cayman's option, the **replacement**, at no cost to Buyer, of all material that does not meet our specifications.

Said refund or replacement is conditioned on Buyer giving written notice to Cayman within thirty (30) days after arrival of the material at its destination. Failure of Buyer to give said notice within thirty (30) days shall constitute a waiver by Buyer of all claims hereunder with respect to said material.

For further details, please refer to our Warranty and Limitation of Remedy located on our website and in our catalog.

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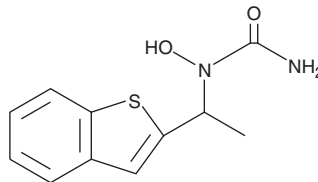
Product Information



Zileuton

Item No. 10006967

CAS Registry No.: 111406-87-2
Formal Name: N-(1-benzo[b]thien-2-ylethyl)-N-hydroxy-urea
Synonym: Zyflo™
MF: C₁₁H₁₂N₂O₂S
FW: 236.3
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that Zileuton be stored as supplied at -20°C. It should be stable for at least two years.

Zileuton is supplied as a crystalline solid. A stock solution may be made by dissolving the Zileuton in an organic solvent purged with an inert gas. Zileuton is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Zileuton in ethanol is approximately 10 mg/ml and it is approximately 30 mg/ml in DMSO and DME.

Zileuton is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Zileuton should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Zileuton has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

There is substantial evidence that the cysteinyl-leukotrienes (cysLTs), such as LTC₄, LTD₄, and LTE₄, play an important role in the pathophysiology of asthma.^{1,2} Arachidonic acid is the precursor fatty acid that is transformed into LTs by way of the 5-lipoxygenase (5-LO) pathway. Zileuton (Zyflo™) is a reversible 5-LO inhibitor that was approved in 1997 for the prevention and treatment of asthma in the USA, but was withdrawn by Abbott Laboratories in 2003.^{3,4} Zileuton inhibits 5-LO from rat basophilic leukemia-1 (RBL-1) cells with an IC₅₀ value of 0.5 μM. It is a potent inhibitor of LTB₄ production in purified human peripheral blood polymorphonuclear leukocytes (PMNL) with an IC₅₀ value of 0.6 μM.³

References

1. Drazen, J.M., Israel, E., and O'Byrne, P.M. Treatment of asthma with drugs modifying the leukotriene pathway. *N. Engl. J. Med.* **340**, 197-206 (1999).
2. Centanni, S. and Santus, P. Antileukotrienes in clinical development for asthma. *Expert Opin. Investig. Drugs* **11(1)**, 49-58 (2002).
3. Carter, G.W., Young, P.R., Albert, D.H., et al. 5-Lipoxygenase inhibitory activity of zileuton. *J. Pharmacol. Exp. Ther.* **256**, 929-937 (1991).
4. Zouboulis, Ch.C., Saborowski, A., and Boschnakow, A. Zileuton, an oral 5-lipoxygenase inhibitor, directly reduces sebum production. *Dermatology* **210**, 36-38 (2005).

Related Products

N-Oleoyl Dopamine - Item No. 10115 • MK 886 (sodium salt) - Item No. 10133 • Piroprost (potassium salt) - Item No. 18228 • (±)5-HETE lactone - Item No. 34215 • 5(S)-HETE lactone - Item No. 34240 • Nordihydroguaiaretic Acid - Item No. 70300 • Ebselen - Item No. 70530 • Caffeic Acid - Item No. 70602 • 3,4-Dihydroxyphenyl ethanol - Item No. 70604 • 5,6-dehydro Arachidonic Acid - Item No. 90020 • 4,5-dehydro Docosahexaenoic Acid - Item No. 90312 • Silybin - Item No. 10006211

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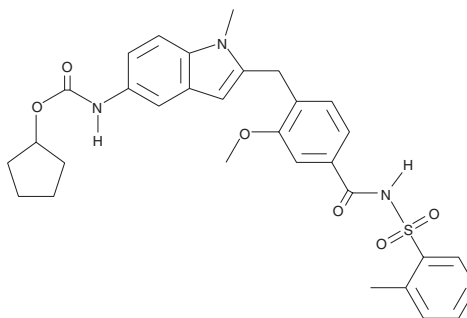
Product Information



Zafirlukast

Item No. 10008282

CAS Registry No.: 107753-78-6
Formal Name: [3-[[2-methoxy-4-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]phenyl]methyl]-1-methyl-1H-indol-5-yl]-carbamic acid, cyclopentyl ester
Synonym: Accolate™
MF: C₃₁H₃₃N₃O₆S
FW: 575.7
Purity: ≥97%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that Zafirlukast be stored as supplied at -20°C. It should be stable for at least two years.

Zafirlukast is supplied as a crystalline solid. A stock solution may be made by dissolving the Zafirlukast in an organic solvent purged with an inert gas. Zafirlukast is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Zafirlukast in these solvents is approximately 0.25, 20, and 30 mg/ml, respectively.

Zafirlukast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Zafirlukast should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Zafirlukast has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The cysteinyl-leukotrienes (CysLTs), LTC₄ and LTD₄, are potent mediators of asthma and hypersensitivity. They induce bronchoconstriction, increase microvascular permeability, and are vasoconstrictors of coronary arteries. Their biological effects are transduced by a pair of G protein-coupled receptors, CysLT₁ and CysLT₂.¹⁻³ Zafirlukast is a potent, selective CysLT₁ receptor antagonist sold under the trade name Accolate for the treatment of asthma as well as for the symptoms associated with allergic rhinitis.⁴⁻⁶ It binds to the human CysLT₁ and CysLT₂ receptors with IC₅₀ values of approximately 5 and 7,400 nM, respectively.¹⁻³

References

1. Lynch, K.R., O'Neill, G.P., Liu, Q., *et al.* Characterization of the human cysteinyl leukotriene CysLT 1 receptor. *Nature* **399**, 789-793 (1999).
2. Heise, C.E., O'Dowd, B.F., Figueroa, D.J., *et al.* Characterization of the human cysteinyl leukotriene 2 receptor. *J. Biol. Chem.* **275**, 30531-30536 (2000).
3. Sarau, H.M., Ames, R.S., Chambers, J., *et al.* Identification, molecular cloning, expression, and characterization of a cysteinyl leukotriene receptor. *Mol. Pharmacol.* **56**, 657-663 (1999).
4. Matassa, V.G., Maduskuie, T.P., Jr., Shapiro, H.S., *et al.* Evolution of a series of peptidoleukotriene antagonists: Synthesis and structure/activity relationships of 1,3,5-substituted indoles and indazoles. *J. Med. Chem.* **33**, 1781-1790 (1990).
5. Silverman, R.A., Nowak, R.M., Korenblat, P.E., *et al.* Zafirlukast treatment for acute asthma. Evaluation in a randomized, double-blind, multicenter trial. *Chest* **126**, 1480-1489 (2004).
6. Peters-Golden, M. and Henderson, W.R. The role of leukotrienes in allergic rhinitis. *Ann. Allergy Asthma Immunol.* **94**, 609-618 (2005).

Related Products

LY171883 - Item No. 70710 • MK 571 (sodium salt) - Item No. 70720 • BAY-u9773 - Item No. 70770 • CysLT₁ Receptor Polyclonal Antibody - Item No. 120500 • Zileuton - Item No. 10006967 • Montelukast (sodium salt) - Item No. 10008318 • Pranlukast - Item No. 10008319 • Asthma-PAK - Item No. 10008640

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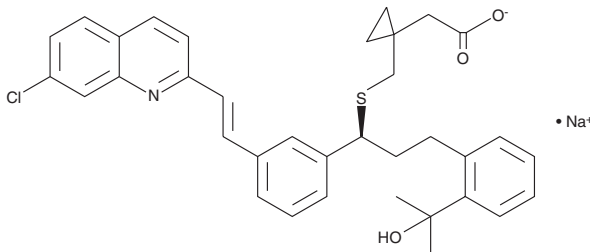


Montelukast (sodium salt)

Item No. 10008318

CAS Registry No.: 151767-02-1
Formal Name: 1-[[[(1R)-1-[3-(1E)-2-(7-chloro-2-quinolinyl)ethenyl]phenyl]-3-[2-(1-hydroxy-1-methylethyl)phenyl]propyl]thio]-methyl]-cyclopropaneacetic acid, monosodium salt

Synonym: Singulair®
MF: C₃₅H₃₆ClNO₃S • Na
FW: 609.2
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that montelukast (sodium salt) be stored as supplied at -20°C. It should be stable for at least two years.

Montelukast (sodium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the montelukast (sodium salt) in an organic solvent purged with an inert gas. Montelukast (sodium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of montelukast (sodium salt) in these solvents is approximately 30 mg/ml.

Montelukast (sodium salt) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, montelukast (sodium salt) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Montelukast (sodium salt) has a solubility of approximately 0.15 mg/ml in a 1:9 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The cysteinyl leukotrienes (CysLTs), LTC₄ and LTD₄, are potent mediators of asthma and hypersensitivity. They induce bronchoconstriction, increase microvascular permeability, and are vasoconstrictors of coronary arteries. Their biological effects are transduced by a pair of G protein-coupled receptors, CysLT₁ and CysLT₂.¹⁻³ Montelukast (sodium salt) is a potent, selective CysLT₁ receptor antagonist sold under the trade name Singulair for the treatment of asthma as well as for the symptoms associated with allergic rhinitis.⁴⁻⁷ It binds to the human CysLT₁ receptor with an IC₅₀ of less than 5 nM with no appreciable binding to the CysLT₂ receptor.¹⁻³

References

1. Lynch, K.R., O'Neill, G.P., Liu, Q., *et al. Nature* **399**, 789-793 (1999).
2. Heise, C.E., O'Dowd, B.F., Figueroa, D.J., *et al. J. Biol. Chem.* **275**, 30531-30536 (2000).
3. Sarau, H.M., Ames, R.S., Chambers, J., *et al. Mol. Pharmacol.* **56**, 657-663 (1999).
4. Leff, J.A., Busse, W.W., Pearlman, D., *et al. N. Engl. J. Med.* **339**, 147-152 (1998).
5. Reiss, T.F., Chervinsky, P., Dockhorn, R.J., *et al. Arch. Intern. Med.* **158**, 1213-1220 (1998).
6. Reiss, T.F., Altman, L.C., Chervinsky, P., *et al. J Allerg. Clin Immunol.* **98**, 528-534 (1996).
7. Peters-Golden, M. and Henderson, W.R. *Ann. Allergy Asthma Immunol.* **94**, 609-618 (2005).

Related Products

LY171883 - Item No. 70710 • MK 571 (sodium salt) - Item No. 70720 • BAY-u9773 - Item No. 70770 • CysLT₁ Receptor Polyclonal Antibody - Item No. 120500

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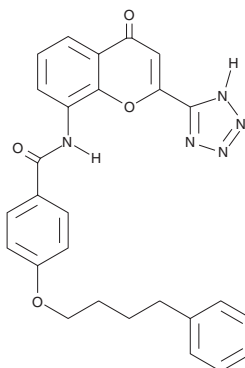
Product Information



Pranlukast

Item No. 10008319

CAS Registry No.: 103177-37-3
Formal Name: N-[4-oxo-2-(1H-tetrazol-5-yl)-4H-1-benzopyran-8-yl]-4-(4-phenylbutoxy)-benzamide
Synonyms: ONO-1078; Ultair™
MF: C₂₇H₂₃N₅O₄
FW: 481.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that pranlukast be stored as supplied at -20°C. It should be stable for at least two years.

Pranlukast is supplied as a crystalline solid. A stock solution may be made by dissolving the pranlukast in an organic solvent purged with an inert gas. Pranlukast is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of pranlukast in these solvents is approximately 10 and 20 mg/ml, respectively.

Pranlukast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pranlukast should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Pranlukast has a solubility of approximately 5 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The cysteinyl leukotrienes (CysLT) LTC₄ and LTD₄ are potent mediators of asthma and hypersensitivity. They induce bronchoconstriction, increase microvascular permeability, and are vasoconstrictors of coronary arteries. Their biological effects are transduced by a pair of G protein-coupled receptors, CysLT₁ and CysLT₂.¹⁻³ Pranlukast (ONO-1078) is a potent, selective and orally active CysLT₁ receptor antagonist.⁴ Sold under the trade name Ultair, it was the first cysteinyl (peptidyl) leukotriene receptor antagonist (LTRA) marketed for the treatment of asthma.⁵ Clinical studies in Japan, Europe, and North America all show that pranlukast significantly attenuates bronchoconstriction in response to a variety of allergen challenges as well as to inhaled LTD₄.⁵ Pranlukast binds to the human CysLT₁ and CysLT₂ receptors with IC₅₀ values of approximately 4-7 nM and 3600 nM, respectively.¹⁻³

References

1. Lynch, K.R., O'Neill, G.P., Liu, Q., *et al.* Characterization of the human cysteinyl leukotriene CysLT 1 receptor. *Nature* **399**, 789-793 (1999).
2. Heise, C.E., O'Dowd, B.F., Figueroa, D.J., *et al.* Characterization of the human cysteinyl leukotriene 2 receptor. *J. Biol. Chem.* **275**, 30531-30536 (2000).
3. Sarau, H.M., Ames, R.S., Chambers, J., *et al.* Identification, molecular cloning, expression, and characterization of a cysteinyl leukotriene receptor. *Mol. Pharmacol.* **56**, 657-663 (1999).
4. Taniguchi, Y., Tamura, G., Honma, M., *et al.* The effect of an oral leukotriene antagonist ONO-1078, on allergen-induced immediate bronchoconstriction. *J. Allergy Clin. Immunol.* **92**, 507-512 (1993).
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