

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.

Description

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is a reversible 5-LO inhibitor that was approved in 1997 for the prevention and treatment of asthma in the USA, but was withdrawn by Abbott Laboratories in 2003.^{6,7}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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 07/12/2017

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM

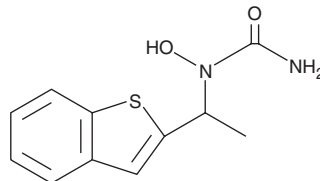
PRODUCT INFORMATION



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%
UV/Vis.: λ_{max}: 203, 230, 260, 299 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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 approximately 30 mg/ml in DMSO and DMF.

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.

Description

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).

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD

ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM

PRODUCT INFORMATION

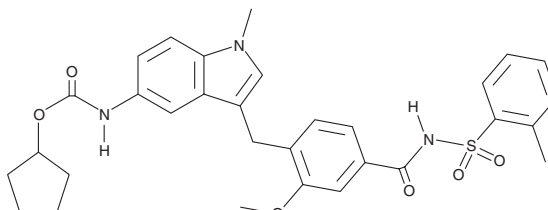


Zafirlukast

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%
UV/Vis.: λ_{max}: 203, 215, 241, 299 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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.

Description

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.* *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. Matassa, V.G., Maduskuie, T.P., Jr., Shapiro, H.S., *et al.* *J. Med. Chem.* **33**, 1781-1790 (1990).
5. Silverman, R.A., Nowak, R.M., Korenblat, P.E., *et al.* *Chest* **126**, 1480-1489 (2004).
6. Peters-Golden, M. and Henderson, W.R. *Ann. Allergy Asthma Immunol.* **94**, 609-618 (2005).

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM

PRODUCT INFORMATION

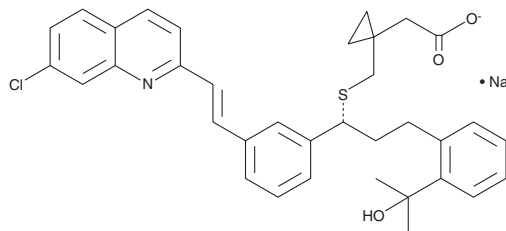


Montelukast (sodium salt)

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: MK-476
MF: C₃₅H₃₅ClNO₃S • Na
FW: 608.2
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 284, 328, 345, 359 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Montelukast (sodium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the montelukast (sodium salt) in the solvent of choice. Montelukast (sodium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of montelukast (sodium salt) in these solvents is approximately 30 mg/ml. It is also soluble in water at a concentration of 10 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.

Description

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 value of less than 5nM 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., Figuerosa, 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).

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM

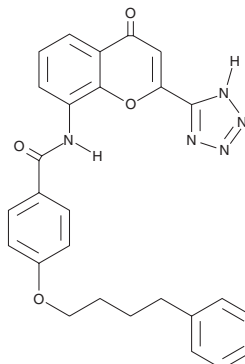
PRODUCT INFORMATION



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%
UV/Vis.: λ_{max}: 255, 315 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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.

Description

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 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 3,600 nM, respectively.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. Taniguchi, Y., Tamura, G., Honma, M., *et al.* *J. Allergy Clin. Immunol.* **92**, 507-512 (1993).
5. Barnes, N.C., de Jong, B., and Miyamoto, T. *Chest* **111**, 52-60 (1997).

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD

ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM