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Inhibitors, Agonists, Screening Libraries

Elastase

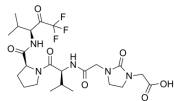
Elastases are proteinases capable of solubilizing fibrous elastin. Elastases may belong to the class of serine proteinases, cysteine proteinases and metalloproteinases. Mammalian elastases occur mainly in the pancreas and the phagocytes. Among non-mammalian elastases there is a great variety of bacterial metallo and serine elastases. The elastolytic activity varies from one elastase to another and is usually not correlated with the catalytic efficiency of these proteinases. One may measure this activity using native or labelled elastins. With pure elastases one may use synthetic substrates. There is a large number of natural (proteins) and synthetic elastase inhibitors. Elastases play a pathologic role in pulmonary emphysema, cystic fibrosis, infections, inflammation and atherosclerosis.

Elastase Inhibitors

AE-3763

Cat. No.: HY-19406

AE-3763 is a peptide-based human neutrophil elastase inhibitor with an IC_{50} of 29 nM.



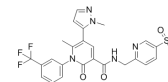
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg

Alvelestat

(AZD9668)

Cat. No.: HY-15651

Alvelestat (AZD9668) is an orally bioavailable, affinity and selective inhibitor of **neutrophil elastase (NE)** with a pIC_{50} value of 7.9 nM, a K_i value of 9.4 nM and a K_d value of 9.5 nM.

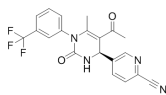


Purity: 99.88%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BAY-678

Cat. No.: HY-111457A

BAY-678 is an orally bioavailable, highly potent, selective and cell-permeable inhibitor of human neutrophil elastase (HNE), with an IC_{50} of 20 nM. BAY-678 is also nominated as a chemical probe to the public via the Structural Genomics Consortium (SGC).

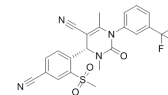


Purity: 98.16%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BAY-85-8501

Cat. No.: HY-19908

BAY-85-8501 is a selective, reversible and potent inhibitor of **Human Neutrophil Elastase (HNE)**, with an IC_{50} of 65 μ M.

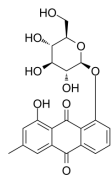


Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Chrysophanol 8-O-glucoside

Cat. No.: HY-N2395

Chrysophanol 8-O-glucoside, from the roots of *Rumex acetosa*, shows moderate **elastase** inhibition activity.



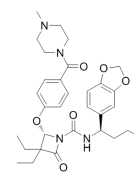
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

DMP 777

(L-694458)

Cat. No.: HY-75957

DMP 777 is a potent, selective, and orally active **human leukocyte elastase (HLE)** inhibitor.

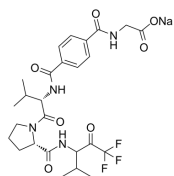


Purity: 99.13%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

FK706

Cat. No.: HY-19269

FK706 is a potent, slow-binding and competitive inhibitor of **human neutrophil elastase** with an IC_{50} of 83 nM and a K_i of 4.2 nM.



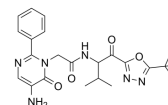
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Freselestat

(ONO-6818; ONO-PO-736)

Cat. No.: HY-15652

Freselestat (ONO-6818) is a potent and orally active **neutrophil elastase** inhibitor with a K_i of 12.2 nM.



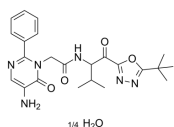
Purity: >98%
Clinical Data: No Development Reported
Size: 10 mg

Freselestat quarterhydrate

(ONO-6818 quarterhydrate; ONO-PO-736 quarterhydrate)

Cat. No.: HY-15652A

Freselestat quarterhydrate (ONO-6818 quarterhydrate) is a potent and orally active **neutrophil elastase** inhibitor with a K_i of 12.2 nM.

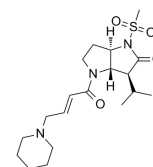


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg

GW311616

Cat. No.: HY-15891

GW-311616 is a potent, orally bioavailable, long duration and selective human neutrophil elastase (HNE) inhibitor with IC_{50} value of 22 nM and K_i value of 0.31 nM.



Purity: 99.52%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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|---|--|
| <p>GW311616 hydrochloride (GW311616A)</p> <p>GW-311616 is a potent, orally bioavailable, long duration and selective human neutrophil elastase (HNE) inhibitor with IC_{50} value of 22 nM and K_i value of 0.31 nM.</p> <p>Purity: 98.84% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg</p> | <p>Lodelaben (SC-39026; Declaben)</p> <p>Lodelaben is a human neutrophil elastase inhibitor with an IC_{50} and K_i of 0.5 and 1.5 μM, respectively.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> |
| <p>Secologanoside</p> <p>Secologanoside is a triterpenoid isolated from <i>Poraqueiba sericea</i>, weakly inhibits elastase with an IC_{50} of 164 μg/mL. Secologanoside is moderate cytotoxic to fibroblasts.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p> | <p>Sivelestat (EI546; LY544349; ONO5046)</p> <p>Sivelestat (EI546) is a competitive inhibitor of human neutrophil elastase, with an IC_{50} of 44 nM and a K_i of 200 nM. Sivelestat (EI546) has the potential for the study of acute lung injury/acute respiratory distress syndrome or disseminated intravascular coagulation in COVID-19.</p> <p>Purity: 98.26% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg</p> |
| <p>Sivelestat sodium (ONO5046-Na; Sodium sivelestat; EI546 sodium; LY544349 sodium)</p> <p>Sivelestat (EI546) sodium is a competitive inhibitor of human neutrophil elastase, with an IC_{50} of 44 nM and a K_i of 200 nM.</p> <p>Purity: 99.13% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg</p> | <p>Sivelestat sodium tetrahydrate (EI546 sodium tetrahydrate; LY544349 sodium tetrahydrate; ...)</p> <p>Sivelestat (EI546) sodium tetrahydrate is a competitive inhibitor of human neutrophil elastase, with an IC_{50} of 44 nM and a K_i of 200 nM.</p> <p>Purity: 99.91% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> |
| <p>ZD-0892</p> <p>ZD-0892 is a selective and potent inhibitor of a neutrophil elastase with K_is of 6.7 and 200 nM for human neutrophil elastase and porcine pancreatic elastase, respectively.</p> <p>Purity: >95.0% Clinical Data: No Development Reported Size: 5 mg</p> | <p>ZD8321</p> <p>ZD8321 is a potent inhibitor of human Neutrophil elastase (NE) with a K_i of 13 ± 1.7 nM.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> |