

# Elastase

Elastase is a group of serine proteases that include the macrophage elastase, the fibroblast elastase, the neutrophil elastase, and the pancreatic elastase. Elastase can not only cleave the important connective tissue protein elastin, but also facilitate the degradation of the extracellular matrix such as fibronectin; laminin; collagens III, IV, and VI; and proteoglycans.

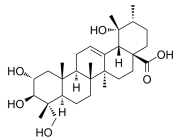
Mammalian elastases occur mainly in the pancreas and the phagocytes. Among non-mammalian elastases there is a great variety of bacterial metallo and serine elastases. The elastolytic activity varies from one elastase to another and is usually not correlated with the catalytic efficiency of these proteinases. 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

### 19 $\alpha$ -Hydroxyasiatic acid

Cat. No.: HY-N8164

19 $\alpha$ -Hydroxyasiatic acid, a natural triterpenoid, possesses anti-elastase activity.

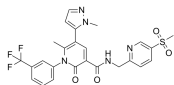


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg

### 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.

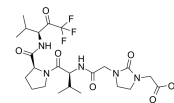


**Purity:** 99.27%  
**Clinical Data:** Phase 2  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### AE-3763

Cat. No.: HY-19406

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

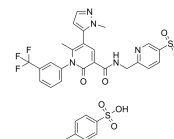


**Purity:**  $\geq$ 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg

### Alvelestat tosylate (AZD9668 tosylate)

Cat. No.: HY-15651A

Alvelestat (tosylate) 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.

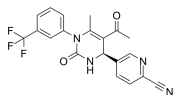


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### 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).

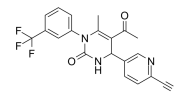


**Purity:** 99.24%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### BAY-678 racemate

Cat. No.: HY-111515

BAY-678 racemate is a racemate of BAY-678. 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.

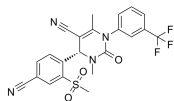


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### 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  $\mu$ M.

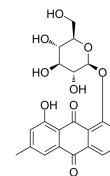


**Purity:** 99.24%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Chrysophanol 8-O-glucoside

Cat. No.: HY-N2395

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

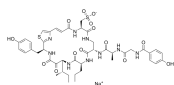


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### Cyclotheonellazole A

Cat. No.: HY-P3356

Cyclotheonellazole A is a natural macrocyclic peptide and a potent **elastase** inhibitor ( $IC_{50}$ =0.034 nM). Cyclotheonellazole A inhibits **chymotrypsin** with an  $IC_{50}$  value of 0.62 nM.

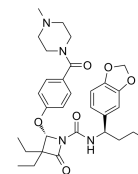


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### DMP 777 (L-694458)

Cat. No.: HY-75957

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

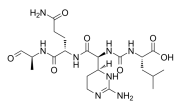


**Purity:** 99.13%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Elastatinal

Cat. No.: HY-100397

Elastatinal is a potent and competitive inhibitor of **elastase**, with a  $K_i$  of 0.21  $\mu$ M. Elastatinal more potently inhibits pancreatic elastase versus leucocyte elastase. Elastatinal shows no activity on human leucocyte chymotrypsin-like protease.

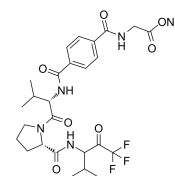


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### 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.



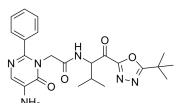
**Purity:** 99.57%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg

### 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.



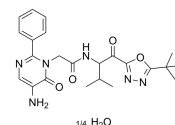
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mg

### 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.

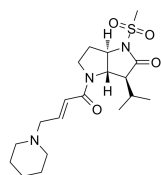


**Purity:**  $\geq 99.0\%$   
**Clinical Data:** No Development Reported  
**Size:** 5 mg

### 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.



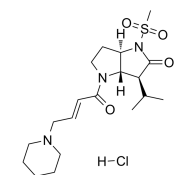
**Purity:** 99.52%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg

### GW311616 hydrochloride

(GW311616A)

Cat. No.: HY-15891A

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.

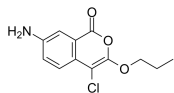


**Purity:** 98.84%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg

### JCP174

Cat. No.: HY-118621

JCP174 is an inhibitor of palmitoyl protein thioesterase-1 (TgPPT1), a depalmitoylase in the parasite *T. gondii*. JCP174 is also an inhibitor of porcine pancreatic elastase and human leukocyte elastase.



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

### Lodelaben

(SC-39026; Declaben)

Cat. No.: HY-100240

Lodelaben is a human neutrophil **elastase** inhibitor with an  $IC_{50}$  and  $K_i$  of 0.5 and 1.5  $\mu$ M, respectively.



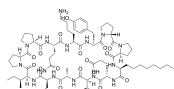
**Purity:**  $\geq 95.0\%$   
**Clinical Data:** No Development Reported  
**Size:** 5 mg

### Lonodelestat

(POL6014)

Cat. No.: HY-P3293

Lonodelestat (POL6014) is a potent, orally active and selective peptide inhibitor of **human neutrophil elastase (hNE)**.

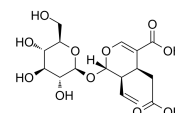


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Secologanoside

Cat. No.: HY-N6876

Secologanoside is a triterpenoid isolated from *Poraqueiba sericea*, weakly inhibits **elastase** with an  $IC_{50}$  of 164  $\mu$ g/mL. Secologanoside is moderate cytotoxic to fibroblasts.



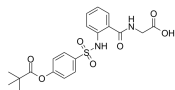
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### Sivelestat

(EI546; LY544349; ONO5046)

Cat. No.: HY-17443

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.

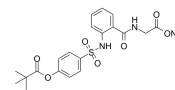


**Purity:** 98.26%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

### Sivelestat sodium (ONO5046-Na; Sodium sivelestat; EI546 sodium; LY544349 sodium)

Cat. No.: HY-17443A

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.

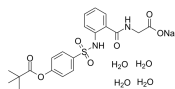


**Purity:** 99.13%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

### Sivelestat sodium tetrahydrate (EI546 sodium tetrahydrate; LY544349 sodium tetrahydrate; ...)

Cat. No.: HY-17443B

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.

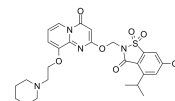


**Purity:** 99.91%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### SSR69071

Cat. No.: HY-103445

SSR69071 is a potent, orally active and selective inhibitor of **neutrophil elastase**. SSR69071 reduces myocardial infarct size following ischemia-reperfusion injury.

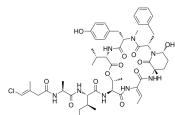


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Tutuilamide A

Cat. No.: HY-P3428

Tutuilamide A is a potent **porcine pancreatic elastase (PPE)** inhibitor, with an  $IC_{50}$  of 1.2 nM. Tutuilamide A also inhibits **human neutrophil elastase (HNE)**;  $IC_{50}$ =0.73 nM) and **kalikrein 7 (KLK7)**;  $IC_{50}$ =5.0 nM).

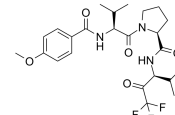


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### ZD-0892

Cat. No.: HY-19254

ZD-0892 is a selective and potent inhibitor of a **neutrophil elastase** with  $K_s$  of 6.7 and 200 nM for human neutrophil elastase and porcine pancreatic elastase, respectively.

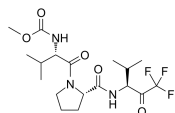


**Purity:** ≥95.0%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg

### ZD8321

Cat. No.: HY-U00256

ZD8321 is a potent inhibitor of human **Neutrophil elastase (NE)** with a  $K_i$  of 13±1.7 nM.



**Purity:** ≥95.0%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg