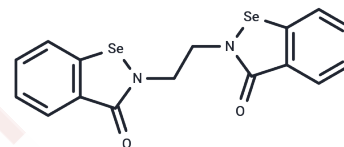


## Ethaselen

## Chemical Properties

CAS No. :	217798-39-5
Formula:	C <sub>16</sub> H <sub>12</sub> N <sub>2</sub> O <sub>2</sub> Se <sub>2</sub>
Molecular Weight:	422.2
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Ethaselen (BBSKE) is an orally active and selective thioredoxin reductase 1 (TrxR) inhibitor with anticancer activity that directly inhibits TrxR1 activity and is commonly used in combination with oxaliplatin to inhibit tumor growth. Ethaselen induces apoptosis in cancer cells and inhibits the proliferation of colorectal cancer cells.
Targets(IC50)	Reductase
In vitro	Ethaselen (2.5-10 $\mu$ M; 12, 24 hours) diminishes A549 cell viability in a concentration- and time-dependent manner. H1666 cells, with lower TrxR1 expression, show reduced sensitivity to Ethaselen after 24 hours. Ethaselen selectively inhibits TrxR1 activity (IC <sub>50</sub> : 4.2 and 2 $\mu$ M for 12 and 24 hours) without altering TrxR1 or Trx protein levels or TrxR1 mRNA in A549 cells. Additionally, Ethaselen (2.5-50 $\mu$ M; 1-24 hours) induces Trx oxidation and increases ROS levels in A549 cells at 5-10 $\mu$ M (12, 24 hours). The inhibition constants (K <sub>i</sub> and K <sub>is</sub> ) are 0.022 and 0.087 $\mu$ M, respectively, suggesting Ethaselen impedes mammalian TrxR1 possibly by forming a covalent bond with Cys497 of Trx in a time-dependent manner. A Cell Viability Assay confirms Ethaselen's effectiveness in suppressing A549 cell viability at 2.5-10 $\mu$ M over 12 and 24 hours, reinforcing its concentration and time dependency[1].
In vivo	In A549 cells, using five-week-old female BALB/c nude mice as the experimental model, treatment with Ethaselen (36, 72, 108 mg/kg; PO; daily; for 10 days) resulted in increased inhibition of tumor growth, with inhibition levels increasing with the dose. The high-dose group (108 mg/kg) exhibited a greater decrease in TrxR activity levels compared to the middle-dose group (72 mg/kg) and low-dose group (36 mg/kg)[2].

## Solubility Information

Solubility	DMSO: 10 mg/mL (23.69 mM), Sonication is recommended. ( $<$ 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 1 mg/mL (2.37 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.3685 mL	11.8427 mL	23.6855 mL
5 mM	0.4737 mL	2.3685 mL	4.7371 mL
10 mM	0.2369 mL	1.1843 mL	2.3685 mL
50 mM	0.0474 mL	0.2369 mL	0.4737 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Lihui Wang, et al. Ethaselen: a potent mammalian thioredoxin reductase 1 inhibitor and novel organoselenium anticancer agent. *Free Radic Biol Med.* 2012 Mar 1;52(5):898-908.

Suo-Fu Ye, et al. Dose-biomarker-response modeling of the anticancer effect of ethaselen in a human non-small cell lung cancer xenograft mouse model. *Acta Pharmacol Sin.* 2017 Feb;38(2):223-232.

Wu W, et al. A thioredoxin reductase inhibitor ethaselen induces growth inhibition and apoptosis in gastric cancer. *J Cancer.* 2020 Mar 4;11(10):3013-3019.

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