

Product Data Sheet

Product Name: Ethaselen

Cat. No.: GC63488

Chemical Properties

Cas. No. 217798-39-5

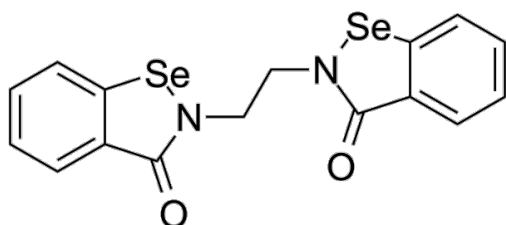
Formula $C_{16}H_{12}N_2O_2Se_2$ M.Wt 422.2

Solubility DMSO : 12.5 mg/mL (29.61 mM); ultrasonic and warming and heat to 60°C) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Ethaselen (BBSKE) is an orally active, selective thioredoxin reductase (TrxR) inhibitor with IC₅₀s of 0.5 and 0.35 μ M for the wild-type human TrxR1 and rat TrxR1, respectively. Ethaselen specifically binds to the unique selenocysteine-cysteine redox pair in the C-terminal active site of mammalian TrxR1. Ethaselen, an organoselenium compound, is a potent antitumor candidate that exerts potent inhibition on non-small cell lung cancer (NSCLC) by targeting TrxR[1][2].

Caution: Product has not been fully validated for medical applications. For research use only.

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Ethaselen (2.5-10 μ M; 12, 24 hours) suppresses A549 cell viability in a both concentration- and time-dependent manner. H1666, which has considerably lower TrxR1 expression level, is less susceptible to 24 h treatment with Ethaselen[1]. Ethaselen inhibits the intracellular TrxR1 activity in a concentration- and time-dependent manner, with IC50 values of 4.2 and 2 μ M for 12- and 24-h treatments, respectively[1]. Ethaselen (2.5-10 μ M; 12, 24 hours) has no effect on the protein amounts of TrxR1 and Trx. The mRNA level of TrxR1 does not show significant alteration in Ethaselen-treated A549 cells[1]. Ethaselen (2.5-50 μ M; 1-24 hours) causes intracellular Trx oxidation in A549 cells[1]. Ethaselen (5-10 μ M; 12, 24 hours) causes a clear concentration-dependent increase in ROS levels in A549 cells[1]. The inhibition constants for Ethaselen binding to free enzyme (K_i) and the enzyme-substrate complex (K_{is}) were determined to be 0.022 and 0.087 μ M, respectively. Ethaselen also inhibits mammalian TrxR1 in a time-dependent manner possibly by forming a covalent Se-S bond with Cys497 of Trx[1].

Ethaselen(BBSKE; 36-108 mg/kg/day; PO; for 10 days) shows increased inhibition of tumor growth in a dose-independent manner[2].

[1]. 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.

[2]. 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.

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