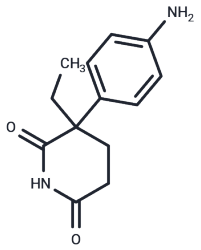
Data Sheet (Cat.No.T1103)

TargetMol

Aminoglutethimide

Chemical Properties



CAS No. : 125-84-8

Formula: C13H16N2O2

Molecular Weight: 232.28

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Aminoglutethimide (BA-16038), an aromatase inhibitor, is used in the therapy of advanced BREAST Y.
Targets(IC50)	Aromatase
In vivo	Aminoglutethimide accelerates its own metabolism from a basal value of 2.6±0.3 (S.E.) liters/24 hours to 5.3±1.4 liters/24 hours after 1 to 2 weeks of Aminoglutethimide administration, and markedly accelerates the metabolism of the synthetic glucocorticoid and dexamethasone, from basal values of 145±26.6 liters/24 hours to 568±127 liters/24 hours ($p < 0.02$) after 2 weeks of Aminoglutethimide administration. [3] Aminoglutethimide (150 mg/kg) abolishes the induction of ornithine decarboxylase (ODC) and almost depletes the gonads and plasma of progesterone or testosterone elicited by human chorionic gonadotropin (hCG) in the ovary of adult female mice and the testis of immature male mice, which is related to an inhibition of cAMP-dependent protein kinase (IC50 287 μM) rather than blockade of the steroidogenic pathway. [4]
Kinase Assay	Concentration-response and kinetic studies: The microsomal protein (30 μg), [1β-3H] androstenedione (6.6 × 105 dpm) and NADPH (270 μM) are used for the concentration-response experiment with an incubation time of 20 minutes. The Aminoglutethimide is initially tested at 10 μM and 100 μM concentrations, followed by a full concentration-response study with at least 8 concentrations ranging from 0.01 μM to 160 μM. For the initial velocity study the concentration of [1β-3H]androstenedione is varied from 7.5 to 100 nM and the incubation time is set to 5 minutes. The tritiated water formed during the conversion of the tritiated substrate, [1β-3H]androstenedione, to estrone is quantified by liquid scintillation counting. Each assay is performed three times in duplicate and the results are treated by nonlinear regression analysis allowing the determination of the half-maximal inhibitory concentration (IC50).
Cell Research	The NCI-h295 tumor cell line is maintained in RPMI 1640 medium supplemented with transferrin (0.1 mg/mL), insulin (5 μg/mL), selenium (5.2 μg/mL) and 2% FCS. The cells are incubated for 48 hours with Aminoglutethimide (3, 30, 300 μM). Then cells are examined by trypan blue staining for cell viability, counted with a coulter counter. For the assessment of ACTH-R mRNA, cells are harvested, and total RNA is extracted, electrophoresed, blotted and hybridized with a human ACTH-R cDNA probe.(Only for Reference)

Solubility Information

Solubility	Ethanol: 7 mg/mL (30.14 mM),Sonication is recommended. DMSO: 55 mg/mL (236.78 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.3051 mL	21.5257 mL	43.0515 mL
5 mM	0.861 mL	4.3051 mL	8.6103 mL
10 mM	0.4305 mL	2.1526 mL	4.3051 mL
50 mM	0.0861 mL	0.4305 mL	0.861 mL

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.

Reference

Neves MA, et al. Eur J Med Chem, 2009, 44(10), 4121-4127.
Fassnacht M, et al. J Endocrinol, 1998, 159(1), 35-42.
Santen RJ, et al. Cancer Res, 1982, 42(8 Suppl), 3353s-3359s.
Bastida CM, et al. Biochem Biophys Res Commun, 2001, 281(1), 244-248.
Kuma Y, et al. J Biol Chem. 2005, 280(20), 19472-19479.