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Glutaminase Inhibitor II, BPTES - CAS 314045-39-1 - Calbiochem A cell-permeable, potent, selective, reversible, and uncompetitive allosteric inhibitor of kidney-type glutaminase (GLS1). Does not affect the activity of liver glutaminase.

Art. ID	SAF-5300300001
Unit	EA
Deliverydetails	No Dangerous Good /not restricted

Description

A cell-permeable bis-thiadiazole compound that selectively inhibits GLS1 (IC50 = 60 and 80 nM, respectively, against hKGA and hGAC), but not the liver-type GLS2/LGA (IC50 = 88 &#181,M using hLGA), mitochondrial glutaminase (GA) activity by inducing an inactive GLS1 tetrameric conformation via a 2:1 inhibitor-to-tetramer stoichiometric binding, non-competitive against glutamine or phosphate binding. B-cell lymphoma line P493 is shown to depend primarily on glutamine metabolism for survival under hypoxic condition and cellular GLS1 inhibtion by BPTES treatment results in cell death (2 &#181,M BPTES & 3% O2 for 4 d), while under aerobic/normoxic condition (20% O2 and up to 10 &#181,M BPTES for 4 d) only growth inhibition is observed. Likewise, intraperitoneal administration (12.5 mg/kg or 200 &#181,g/animal, q.o.d.) is demonstrated to be efficacious in suppressing P493 tumor expansion in mice in vivo., A cell-permeable bis-thiadiazole compound that selectively inhibits GLS1 (IC50 = 60 and 80 nM, respectively, against hKGA and hGAC), but not the liver-type GLS2/LGA (IC50 = 88 &#181,M using hLGA) mitochondrial glutaminase (GA) activity by inducing an inactive GLS1 tetrameric conformation via a 2:1 inhibitor-to-tetramer stoichiometric binding. Shown to induce growth inhibition under aerobic/normoxic (up to 10 &#181,M, 20% O2) and cell death under hypoxic (2 &#181,M, 3% O2) in P493 B-cell lymphoma cultures in vitro and effectively suppress P493 tumor expansion in mice in vivo (12.5 mg/kg or 200 &#181,g/animal via i.p., q.o.d.).

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	BPTES	[314045-39-1]				