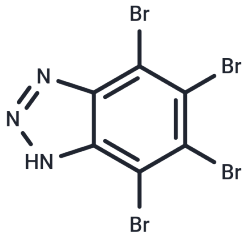
Data Sheet (Cat.No.T2695)

TargetMol

TBB

Chemical Properties



CAS No. : 17374-26-4

Formula: C6HBr4N3

Molecular Weight: 434.71

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	TBB (NSC-231634)(NSC-231634) is a highly selective, ATP/GTP-competitive inhibitor of casein kinase-2 (CK2).
Targets(IC50)	Casein Kinase,CDK,GSK-3
In vitro	Investigation of the inhibitory power of TBB against a panel of 33 protein kinases reveals the highest potency for CK2 (casein kinase 2) (human CK2: IC50=1.6 μM at 100 μM ATP). TBB also shows moderate inhibition for CDK2 (IC50=15.6 μM), phosphorylase kinase (IC50=8.7 μM), and glycogen synthase kinase 3β (GSK3β) (IC50=11.2 μM), while IC50 values for all other kinases are 50-fold greater than for CK2[1]. TBB (60 μM) may reduce the viability of androgen-insensitive PC-3 cells either alone or in combination with anticancer agents CPT or TRAIL, when administered with a specific schedule, although this time-dependent activity is not due to its effect on apoptosis in PC-3 cells[2]. TBB is an ATP/GTP competitive inhibitor of CK2 and has been tested against 33 protein kinases, both Ser/Thr- and Tyr-specific. At 10 μM TBB (and 100 μM ATP), CK2 is drastically inhibited (>85%), with moderate inhibition observed for phosphorylase kinase, glycogen synthase kinase 3L, and cyclin-dependent kinase 2/cyclin A, with IC50 values one to two orders of magnitude higher than for CK2 (IC50=0.9 μM). Additionally, TBB inhibits endogenous CK2 in cultured Jurkat cells[3].
In vivo	In a mouse OIR model, treatment with TBB (60 mg/kg per day for 6 days), the most specific CK2 inhibitor known[4], reduces retinal neovascularization by approximately 60%.
Kinase Assay	Kinase assay: Total kinase activity of CaMKII, determined in a standard 2 min assay (100 μL), contained 35 mM HEPES, 10 mM MgCl2, 1 mM CaCl2, 10 μg of chicken gizzard myosin 20-kD light chain, 0.1 μM calmodulin, and 10 μM [γ-33]ATP at 30 °C. The kinase reaction is halted by adding 1 mL of 10% trichloroacetic acid.
Cell Research	TBB is dissolved in DMSO and stored, and then diluted with appropriate media before use[2]. PC-3 or HeLa cells are cultured routinely in RPMI-1640 and DMEM media, respectively, which are supplemented with 10% FBS, Penicillin (100 U/mL) and Streptomycin (100 μg/mL) at 37°C in a humidified atmosphere of 5% CO2. Cells are seeded at 5×104 cells/well (PC-3) or 2×104 (HeLa) in 24-wells plates and cultured for 72 h. TBB (final concentration 60 μM), CPT (final concentration 5.8 nM), 2-deoxyglucose (2-DG; final concentration 0.5 mM) or TRAIL (final concentration 13.3 ng/mL) are added to the medium individually or in a combination and the cells are cultured for additional

Cell Research	time, indicated on each figure. After treatment, the medium with the agent is removed and 500 μL of MTT mixture (0.5 mg/mL for PC-3 and 5.0 mg/mL for HeLa cells in medium without phenol red) is added to each well and incubated for an additional 1 h at 37°C. The formazan crystals are diluted in 250 μL of DMSO. The absorbance is measured at 570 nm[2].

Solubility Information

Solubility	DMSO: 100 mg/mL (230.04 mM),Sonication is recommended. Ethanol: 100 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.6 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3004 mL	11.5019 mL	23.0038 mL
5 mM	0.4601 mL	2.3004 mL	4.6008 mL
10 mM	0.230 mL	1.1502 mL	2.3004 mL
50 mM	0.046 mL	0.230 mL	0.4601 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.

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

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Wang C, De Su L, Shao Y M, et al. Involvement of PML-I in reformation of PML nuclear bodies in acute promyelocytic leukemia cells by leptomycin B. Toxicology and Applied Pharmacology. 2019, 384: 114775
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Wang C, De Su L, Shao Y M, et al. Involvement of PML-I in reformation of PML nuclear bodies in acute promyelocytic leukemia cells by leptomycin B[J]. Toxicology and applied pharmacology. 2019, 384: 114775.
Zhu S, Cheng X, Wang R, et al. Restoration of microRNA function impairs MYC-dependent maintenance of MLL leukemia[J]. Leukemia. 2020: 1-5.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481