

BIBR 1532

Chemical Properties

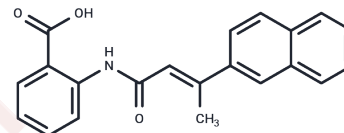
CAS No. : 321674-73-1

Formula: C₂₁H₁₇NO₃

Molecular Weight: 331.36

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	BIBR 1532 is an effective, specific and non-competitive telomerase inhibitor (IC ₅₀ : 100 nM, in a cell-free assay).
Targets(IC ₅₀)	Apoptosis, Telomerase
In vivo	In MCF-7/WT and melphalan-resistant MCF-7/MlnR cell lines, BIBR 1532 (2.5 μM) reduced colony-forming ability and shortened telomere length by inhibiting telomerase activity, and induced sensitization to chemotherapy. In vitro, BIBR 1532 dose-dependently and non-competitively inhibited telomerase activity (IC ₅₀ : 100 nM). BIBR 1532 exhibited selective cytotoxicity against T-cell juvenile lymphoblastic leukemia in a dose-dependent manner. BIBR 1532-treated cells also showed nuclear condensation and apoptotic vesicle formation. In JVM13 leukemia cell line, BIBR 1532 showed a dose-dependent antiproliferative effect (IC ₅₀ : 52 μM), and similar results were observed in other leukemia cell lines, including Nalm-1, HL-60 and Jurkat. In addition, BIBR 1532 showed an antiproliferative effect (IC ₅₀ : 56 μM) in AML without affecting the proliferative capacity of normal hematopoietic stem cells.
Kinase Assay	Conventional Telomerase Assay : For the direct telomerase assay with the endogenous telomerase, 10 μL of telomerase-enriched extract is mixed with different concentrations of BIBR1532 in a final volume of 20 μL. After 15-minute preincubation on ice, 20 μL of the reaction mixture is added, and the reaction is initiated by transferring the tubes to 37 °C. The final concentrations in the reaction mixture are 25 mM Tris-Cl (pH 8.3), 1 mM MgCl ₂ , 1 mM EGTA, 1 mM dATP, 1 mM dTTP, 6.3 μM cold dGTP, 15 μCi [α- ³² P]dGTP (3000 Ci/mmol; NEN), 1.25 mM spermidine, 10 units of RNasin, 5 mM 2-mercaptoethanol, and 2.5 μM TS-primer (5
Cell Research	Cells are plated as triplicates in complete RPMI 1640 medium with various concentrations of BIBR1532. After 24 to 72 hours, water-soluble tetrazolium (WST-1) is added, which is transformed into formazan by mitochondrial reductase systems. The increase in the number of viable cells results in an increase of activity of mitochondrial dehydrogenases, leading to an increase of formazan dye formed, which is quantified by ELISA reader after 2, 3, and 4 hours of incubation. (Only for Reference)

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 122.5 mg/mL (369.69 mM),Sonication is recommended. Ethanol: 8.3 mg/mL (25.05 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 (6.04 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

	1mg	5mg	10mg
1 mM	3.0179 mL	15.0893 mL	30.1787 mL
5 mM	0.6036 mL	3.0179 mL	6.0357 mL
10 mM	0.3018 mL	1.5089 mL	3.0179 mL
50 mM	0.0604 mL	0.3018 mL	0.6036 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

- Pascolo E, et al. J Biol Chem. 2002, 277(18), 15566-15572.
El-Daly H, et al. Blood. 2005, 105(4), 1742-1749.
Ward RJ, et al. Mol Pharmacol. 2005, 68(3), 779-786.
Röth A, et al. Leukemia. 2007, 21(12), 2456-2462.
Meng E, et al. Gynecol Oncol. 2012, 124(3), 598-605.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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