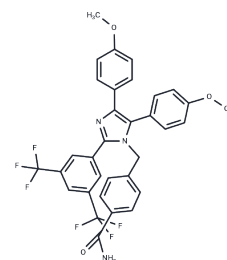


Apoptozole

Chemical Properties

CAS No. :	1054543-47-3
Formula:	C33H25F6N3O3
Molecular Weight:	625.56
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	Apoptozole (Apoptosis Activator VII) inhibits the ATPase domain of Hsc70 and Hsp70, inducing apoptosis.
Targets(IC50)	Apoptosis,HSP
In vitro	Apoptozole induces caspase dependent apoptosis by blocking interaction of HSP70 with APAF-1, without affecting interactions of HSP70 with ASK1, JNK, BAX, and AIF[2]. However, apoptozole may form aggregates under aqueous conditions that could interact with HSP70 proteins in a non-specific manner, potentially leading to false positives and inconsistent data[3].
In vivo	Apoptozole greatly retards tumor growth in mice xenografted with cancer cells without affecting mouse viability. The elimination half-life time (T1/2) of Az in blood is found to be significantly longer than that of Dox (8.04 versus 1.60 hr) and the time needed to reach a maximum concentration (Tmax) of Az is shorter than that of Dox (1.00 versus 4.00 hr)[2].
Kinase Assay	Inhibition of HER2/erbB2 tyrosine kinase activity: BT-474 cells are seeded on 24-well plates and cultured overnight. Mubritinib is then added at various concentrations. After incubation for 2 hours, the cells are harvested directly into sodium dodecyl sulfate (SDS) -sample buffer (200 µL). Aliquots containing equal amounts of total cell extract are run on 7.5% to 15% gradient SDS-polyacrylamide gel electrophoresis (PAGE). Following electrophoresis, proteins are transferred onto a polyvinylidene fluoride (PVDF) membrane, for western blot analysis using a relevant primary antibody. Detection of protein is accomplished by an enhanced chemiluminescent (ECL) detection method. The extent of tyrosine phosphorylation of HER2/erbB2 is measured by the LAS-1000 plus lumino-image analyser. The concentration of Mubritinib that inhibits HER2/erbB2 phosphorylation by 50% (IC50) is calculated from a dose-response curve generated by least-squares linear regression of the response using SAS software.
Cell Research	Several cancer cell lines (A549, lung adenocarcinoma epithelial cells; HeLa, cervical cancer cells; MDA-MB-231, breast cancer cells; HepG2, liver cancer cells) are treated with various concentrations (0-15 µM) of Az or compound 7 as a negative control for 18 hr. Cell viabilities are then determined using an MTT assay.(Only for Reference)

Solubility Information

A DRUG SCREENING EXPERT

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 24 mg/mL (38.37 mM),Sonication is recommended. DMSO: 93 mg/mL (148.67 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: 3.3 mg/mL (5.28 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	1.5986 mL	7.9928 mL	15.9857 mL
5 mM	0.3197 mL	1.5986 mL	3.1971 mL
10 mM	0.1599 mL	0.7993 mL	1.5986 mL
50 mM	0.032 mL	0.1599 mL	0.3197 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

- Williams DR, et al. Angew Chem Int Ed Engl. 2008, 47(39):7466-9.
Ko SK, et al. Chem Biol. 2015, 22(3):391-403.
Evans LE, et al. PLoS One. 2015, 10(10):e0140006.

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