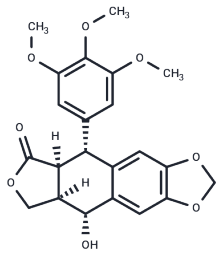
Data Sheet (Cat.No.T6943)

TargetMol

Picropodophyllin

Chemical Properties



CAS No. : 477-47-4

Formula: C22H22O8

Molecular Weight: 414.41

Storage: Keep away from moisture
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	Picropodophyllin (Picropodophyllin (PPP)) (PPP) is a specific IGF-1R inhibitor (IC50: 1 nM). Picropodophyllin specifically inhibits the activity and downregulates the cellular expression of IGF1R without interfering with activities of other growth factor receptors, such as receptors for insulin, epidermal growth factor, platelet-derived growth factor, fibroblast growth factor and mast/stem cell growth factor (KIT).
Targets(IC50)	Apoptosis,IGF-1R
In vitro	In intact cells, PPP efficiently inhibits IGF-1-stimulated IGF-1R, Akt (Ser 473) and Erk1/2 phosphorylation. Picropodophyllin specifically inhibits cell growth, and induces apoptosis in cultured IGF-1R-positive tumor cells. [1] Picropodophyllin synergistically sensitizes HMCL, primary human MM and murine 5T33 mM cells to ABT-737 and ABT-199 by further decreasing cell viability and enhancing apoptosis. [3] Picropodophyllin and sorafenib synergistically suppress the proliferation and motility of hepatocellular carcinoma cells. [4]
In vivo	In SCID mice xenografted with human ES-1, BE, and PC3, Picropodophyllin (20 mg/kg/12 h, i.p.) causes complete tumor regression. [1] In the 5T33 mM mouse model, Picropodophyllin also shows a marked antitumor activity, and causes a significant increase in survival. [2]
Kinase Assay	In vitro tyrosine kinase assays.: Assay of IGF-1R-catalyzed substrate phosphorylation of pTG, using a 96-well plate tyrosine kinase assay kit, is performed. We use recombinant epidermal growth factor receptor, immunoprecipitated IR from HEPG2, immunoprecipitated IGF-1R from P6 cells, and IGF-1R immunodepleted supernatant from P6 (representing "non-IGF-1R tyrosine kinases"). After 30-min treatment of the receptors with the desired compounds in the kinase buffer [50 mM HEPES buffer (pH 7.4), 20 mM MgCl2, 0.1 MnCl2, and 0.2 Na3VO4], the kinase reaction is activated by addition of ATP. The phosphorylated polymer substrate is probed with a phosphotyrosine-specific monoclonal antibody conjugated to horseradish peroxidase, clone PT-66. Color is developed with horseradish peroxidase chromogenic substrate O-phenylenediamine dihydrochloride and quantitated by spectrophotometry (ELISA reader). IGF-1R tyrosine autophosphorylation is analyzed by a sandwich ELISA assay. Briefly, 96-well plates are coated overnight at 4°C with 1 μg/well of an antibody to IGF-1R β-subunit. The plates are blocked with 1% BSA in PBS Tween for 1 h, and then 80 μg/well of total protein lysate from the P6 cell line is added. As a negative control we

Kinase Assay	use total protein lysate from the R- cell line. The investigated compounds are added in tyrosine kinase buffer without ATP at room temperature for 30 min before kinase activation with ATP. Kinase assay is performed using the Sigma kit (see above). After spectrophotometry the IC50 values of inhibitors are determined using the REGRESSION function of Statistica program.
Cell Research	The determinations are performed using the Cell proliferation kit II, which is based on colorimetric change of the yellow tetrazolium salt 2,3-bis[2-methoxy-4-nitro-5-sulfophenyl]-2H-tetrazolium-5-carboxanilide inner salt in orange formazan dye by the respiratory chain of viable cell. All of the standards and experiments are performed in triplicates. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 61.25 mg/mL (147.8 mM),Sonication is recommended. Ethanol: 1 mg/mL (2.41 mM),Heating 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 (4.83 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	2.4131 mL	12.0653 mL	24.1307 mL
5 mM	0.4826 mL	2.4131 mL	4.8261 mL
10 mM	0.2413 mL	1.2065 mL	2.4131 mL
50 mM	0.0483 mL	0.2413 mL	0.4826 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

Girnita A, et al. Cancer Res. 2004, 64(1), 236-242.
Wu, Qi, et al. IGF1 receptor inhibition amplifies the effects of cancer drugs by autophagy and immune-dependent mechanisms. Journal for Immunotherapy of Cancer. 9.6 (2021): e002722
Menu E, et al. Blood. 2006, 107(2), 655-660.
Bieghs L, et al. Oncotarget. 2014, 5(22), 11193-11208.
Tomizawa M, et al. Oncol Lett. 2014, 8(5), 2023-2026.

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