

PBOX 6

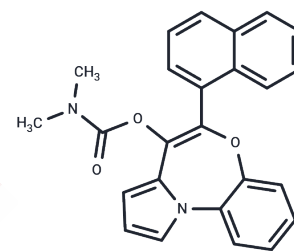
Chemical Properties

CAS No. : 290814-68-5

Formula: C₂₅H₂₀N₂O₃

Molecular Weight: 396.44

Storage: Store at low temperature, Keep away from direct sunlight, 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 | PBOX 6 is a pyrrolo-1,5-benzoxazepine (PBOX) compound exhibiting anticancer and antitumor activity by inhibiting breast cancer cell growth in vitro and selectively inducing apoptosis in leukemia cells through c-Jun NH2 terminal kinase-dependent phosphorylation and inactivation of Bcl-2 and Bcl-XL. |
| Targets(IC50) | Apoptosis, Microtubule Associated |
| In vitro | PBOX 6, a potent apoptotic PBOX, does not elicit a general toxic effect in a rat R2C Leydig cell line. Treatment with PBOX 6 (0-25 μM, 16 h) induces dose- and time-dependent apoptosis and causes DNA fragmentation at 10 μM in HL-60 cells. Additionally, PBOX 6 (10 μM) induces apoptosis through the activation of caspase 3-like proteases in HL-60 cells. The apoptotic induction by PBOX 6 (10 μM) also leads to the accumulation of cytochrome c in the cytosol, independent of oxidative stress, peripheral-type benzodiazepine receptor (PBR), and NF-κB[1]. In MCF-7 cells, PBOX 6 (25 μM) induces apoptosis through the activation of caspase-7[3]. Furthermore, in K562 cells, PBOX 6 (10 μM) induces the redistribution of cypA from the nucleus to the cytosol and nucleocytoplasmic redistribution of cypA and pin1 through a JNK-dependent manner. This effect is also dependent on upstream activation of a trypsin-like serine protease, correlating with G2/M arrest[2]. |

Solubility Information

| | |
|---------------------|--|
| Solubility | DMSO: 30 mg/mL (75.67 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Corn Oil: 2 mg/mL (5.04 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 | 2.5224 mL | 12.6122 mL | 25.2245 mL |
| 5 mM | 0.5045 mL | 2.5224 mL | 5.0449 mL |
| 10 mM | 0.2522 mL | 1.2612 mL | 2.5224 mL |
| 50 mM | 0.0504 mL | 0.2522 mL | 0.5045 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

Zisterer DM, et al. Pyrrolo-1,5-benzoxazepines induce apoptosis in HL-60, Jurkat, and Hut-78 cells: a new class of apoptotic agents. *J Pharmacol Exp Ther.* 2000 Apr;293(1):48-59.

Bane FT, et al. The microtubule-targeting agents, PBOX-6 [pyrrolobenzoxazepine 7-[(dimethylcarbamoyl)oxy]-6-(2-naphthyl)pyrrolo-[2,1-d] (1,5)-benzoxazepine] and paclitaxel, induce nucleocytoplasmic redistribution of the peptidyl-prolyl isomerases, cyclophilin A and pin1, in malignant hematopoietic cells. *J Pharmacol Exp Ther.* 2009 Apr;329(1):38-47.

Mc Gee MM, et al. Caspase-3 is not essential for DNA fragmentation in MCF-7 cells during apoptosis induced by the pyrrolo-1,5-benzoxazepine, PBOX-6. *FEBS Lett.* 2002 Mar 27;515(1-3):66-70.

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