

TD52 dihydrochloride

Chemical Properties

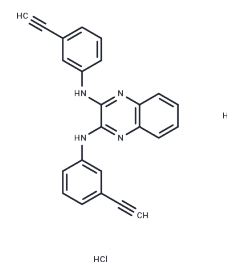
CAS No. :

Formula: C₂₄H₁₈Cl₂N₄

Molecular Weight: 433.33

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	TD52 dihydrochloride (TD52 2HCl), a derivative of Erlotinib, is a potent and orally active inhibitor of protein phosphatase 2A (CIP2A). It exhibits strong anti-cancer properties by regulating the CIP2A/PP2A/p-Akt signaling pathway, resulting in the induction of apoptosis in triple-negative breast cancer (TNBC) cells. Mechanistically, TD52 dihydrochloride disrupts the binding of Elk1 to the CIP2A promoter, effectively reducing CIP2A levels. Notably, TD52 dihydrochloride demonstrates powerful anti-cancer activity while displaying less inhibition of p-EGFR.
Targets(IC50)	Apoptosis,Others,Akt,Phosphatase
In vitro	TD52 dihydrochloride, at concentrations ranging from 2 to 10 μM over 48 hours, exhibits an anti-proliferative capacity and triggers differential apoptotic reactions in various cell lines. Specifically, at a concentration of 5 μM for 48 hours, it shows negligible impacts on both p-EGFR and EGFR levels, while notably reducing CIP2A expression. Moreover, across dosages of 2.5, 5, and 7.5 μM for 48 hours, TD52 dihydrochloride progressively induces apoptosis, which is associated with the suppression of CIP2A and p-Akt. Additionally, at a concentration of 5 μM over 24 hours, it considerably enhances the phosphatase activity of PP2A in TNBC cells. At the same 5 μM concentration but extended to 48 hours, TD52 dihydrochloride does not significantly affect other common receptor tyrosine kinases (RTKs), such as IGFR, PDGFR, and VEGFR2[1].
In vivo	TD52 dihydrochloride, administered orally at a dosage of 10 mg/kg/day through gavage for a duration of 52 days, significantly reduces the size and weight of MDA-MB-468 xenograft tumors[1].

Solubility Information

Solubility	DMSO: 22.5 mg/mL (51.92 mM),Sonication and heating to 60°C are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3077 mL	11.5386 mL	23.0771 mL
5 mM	0.4615 mL	2.3077 mL	4.6154 mL
10 mM	0.2308 mL	1.1539 mL	2.3077 mL
50 mM	0.0462 mL	0.2308 mL	0.4615 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

Chun-Yu Liu, et al. EGFR-independent Elk1/CIP2A signalling mediates apoptotic effect of an erlotinib derivative TD52 in triple-negative breast cancer cells. *Eur J Cancer*. 2017 Feb;72:112-123.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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