FITC-Geldanamycin

FITC-labeled geldanamycin

Catalog # ant-fgl-1, ant-fgl-5 http://www.invivogen.com/fitc-geldanamycin

> For research use only Version # 16L19-MM

PRODUCT INFORMATION

Content:

• FITC-Geldanamycin is provided lyophilized. It is supplied in two pack sizes:

- 1 mg: ant-fgl-1
- 5 mg: ant-fgl-5

Storage and stability:

• FITC-Geldanamycin is shipped at room temperature. Store at -20 °C. Protect from light. FITC-Geldanamycin powder is stable for 6 months when stored properly.

• Once solubilized, prepare aliquots and store at -20 °C. Protect from light. Solubilized FITC-geldanamycin is stable for 3 months when stored properly. Avoid repeated freeze-thaw cycles.

METHODS

Preparation of FITC-Geldanamycin stock solution (5 mM)

1. To obtain a 5 mM stock solution:

- Add 159 µl DMSO to 1 mg FITC-Geldanamycin

- Add 795 µl DMSO to 5 mg FITC-Geldanamycin.

2. Vortex until completely dissolved.

3. Prepare aliquots and store stock solution at -20 °C.

CHEMICAL PROPERTIES

Formula: C64H79N7O15S **Molecular weight:** 1218.43 **Solubility:** DMSO (10 mg/ml) **Working concentration:** 1 nM-10 μM **Structure:**

BACKGROUND

Geldanamycin is a benzoquinone ansamycin antibiotic produced by *Streptomyces hygroscopicus*. This antibiotic exhibits potent antitumor activity¹. Geldanamycin binds specifically to the heat shock protein Hsp90 causing the destabilization and degradation of Hsp90 client proteins². Hsp90 is a molecular chaperone critical for the folding, assembly and activity of multiple mutated and overexpressed signaling proteins that promote the growth and/or survival of tumor cells. Hsp90 client proteins include mutated p53, Raf-1, Akt, ErbB2 and hypoxia-inducible factor 1α (HIF- 1α)³.

DESCRIPTION

FITC-geldanamycin is a fluorescent derivative of geldanamycin. The fluorescein-5-isothiocyanate dye has been linked to geldanamycin at the C17 position using a method described previously⁴. FITC-geldanamycin binds tightly to Hsp90. This interaction can be competed by Hsp90 inhibitors such as 17-AAG. Therefore, FITC-geldanamycin can be used to screen for new Hsp90 inhibitors by measuring its binding to Hsp90 through a fluorescent polarization assay.

1. Miyata Y. et al., 2013. The Therapeutic Target Hsp90 and Cancer Hallmarks. Curr Pharm Des. 19(3):347-65. 2. Whitesell L. et al., 1994. Inhibition of heat shock protein HSP90-pp60v-src heteroprotein complex formation by benzoquinone ansamycins: essential role for stress proteins in oncogenic transformation. Proc Natl Acad Sci U S A 91(18):8324-8. 3. Neckers L., 2002. Hsp90 inhibitors as novel cancer chemotherapeutic agents. Trends Mol Med 8(4 Suppl):S55-61. 4. Llauger-Bufi L. et al., 2003. Synthesis of novel fluorescent probes for the molecular chaperone Hsp90. Bioorg Med Chem Lett. 13(22):3975-8.



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