

Bioactive Molecules, Building Blocks, Intermediates

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Product Name:	Hoechst 33258
Cat. No.:	CS-1301
CAS No.:	23491-44-3
Molecular Formula:	C25H24N6O
Molecular Weight:	424.50
Target:	Others
Pathway:	Others
Solubility:	DMSO : ≥ 44 mg/mL (103.65 mM)

Data Sheet



BIOLOGICAL ACTIVITY:

Hoechst 33258 is a fluorescent dye that emits blue fluorescence when bound to dsDNA. IC50 & Target: IC50: $51.31\pm4.56 \mu$ M (HeLa cell), $32.43\pm3.27 \mu$ M (HL60 cell), $15.42 \pm 2.16 \mu$ M (U937 cell)^[1] **In Vitro**: Hoechst 33258, a fluorescent compound with a head-to-tail bis-benzimidazole structure, is initially found to be cytotoxic against L1210 murine leukemia. Hoechst 33258 is evaluated for their cytotoxicity against human tumor cell lines, which are cervix carcinoma cell line (HeLa), Human promyelocytic leukemia cell (HL60) and U937 cell Line. The IC₅₀ determined in the case of HeLa, HL60 and U937 is 51.31 ± 4.56 , 32.43 ± 3.27 and $15.42\pm2.16 \mu$ M for Hoechst 33258, respectively^[1]. The cytotoxic property of Hoechst 33258 is investigated on a panel of seven tumour cell lines of different histological origin and Madine-Darby canine kidney (MDCK) normal cells. All cell lines, except MCF-7, exposed to Hoechst 33258 exhibit GI₅₀ from 84×10^{-6} to 191.5×10^{-6} mol/dm³. Under the same experimental conditions, Hoechst 33258, used as a binder reference compound, stops the cell cycle in S phase and G0/G1^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ^[2]Hoechst 33258 is prepared as stock solutions in highly pure water. Working solutions in a concentration range of 10^{-3} - 10^{-6} mol/dm³ are prepared prior to testing. Cytotoxic effects of Hoechst 33258 on tested cell lines are determined by the MTT assay. Cells are seeded in 96 micro well flat bottom plates at a concentration of 2×10^4 cells/mL and left overnight in the CO₂ incubator allowing them to attach to the plate surface. Growing medium is replaced with compound supplemented or control medium and incubated for 72 h. Fresh medium with 5 mg/mL of MTT is added onto cells and incubated for 4 h at 37°C. Upon media removal, water insoluble MTT-formazan crystals formed inside the living cells are dissolved in DMSO and the absorbance at 570 nm proportional to the number of living cells is measured on an Elisa Microplate Reader. All experiments are performed at least three times in triplicates.The GI₅₀ value, defined as the compound concentration (μ M) leading to cellular growth inhibition by 50%, is calculated and used as a parameter to compare cytotoxicity among the compounds^[2].

References:

[1]. Wang XJ, et al. Newly synthesized bis-benzimidazole derivatives exerting anti-tumor activity through induction f apoptosis and autophagy. Bioorg Med Chem Lett. 2012 Oct 1;22(19):6297-300.

[2]. Stoli? I, et al. Synthesis, DNA/RNA affinity and antitumour activity of new aromatic diamidines linked by 3,4-ethylenedioxythiophene. Eur J Med Chem. 2011 Feb;46(2):743-55.

CAIndexNames:

Phenol, 4-[6-(4-methyl-1-piperazinyl)[2,6'-bi-1H-benzimidazol]-2'-yl]-, hydrochloride (1:3)

SMILES:

OC1=CC=C(C2=NC3=CC=C(C4=NC5=CC=C(N6CCN(C)CC6)C=C5N4)C=C3N2)C=C1

Caution: Product has not been fully validated for medical applications. For research use only.

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