BIOLOGICAL ACTIVITY:

BCI-215 is a potent and tumor cell-selective dual specificity MAPK phosphatase (DUSP-MKP) inhibitor. BCI-215 has cytotoxicity for tumor cells but not normal cells[1][2]. IC50 & Target: DUSP-MKP[1] In Vitro: BCI-215 concentration-dependently increases pERK levels in DUSP-overexpressing cells with IC50 value in the micromolar range[1]. BCI-215 (1-20 μM; 6 hours) retains fibroblast growth factor hyperactivating and cellular DUSP6/MKP-3 and DUSP1/MKP-1 inhibitory activity but is nontoxic to zebrafish embryos and an endothelial cell line[1]. BCI-215 inhibits survival and motility of MDA-MB-231 human breast cancer cells but does not affect viability of cultured hepatocytes[2]. BCI-215 is completely devoid of hepatocyte toxicity up to 100 μM[2]. BCI-215 does not generate ROS in hepatocytes or in developing Zebrafish larvae. BCI-215 (22 μM) has antimigratory and proapoptotic activities in breast cancer cells that correlate with induction of ERK phosphorylation[2]. BCI-215 (20 μM; 1 hour) induces mitogenic and stress signaling in cancer cells without generating ROS[2].

References:


CAIndexNames:
1H-Inden-1-one, 5-bromo-3-(cyclohexylamino)-2,3-dihydro-2-{phenylmethylene}-, (2E)-

SMILES:
O=C1/(C(C/NC2CCCCC2)C3=C1C(CC(Br)=C3)=C/C4=CCC=CC=C4

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