Interaction of a potential antitumoral benzothieno[3,2-*b*]pyrrole with lipid membranes and salmon sperm DNA

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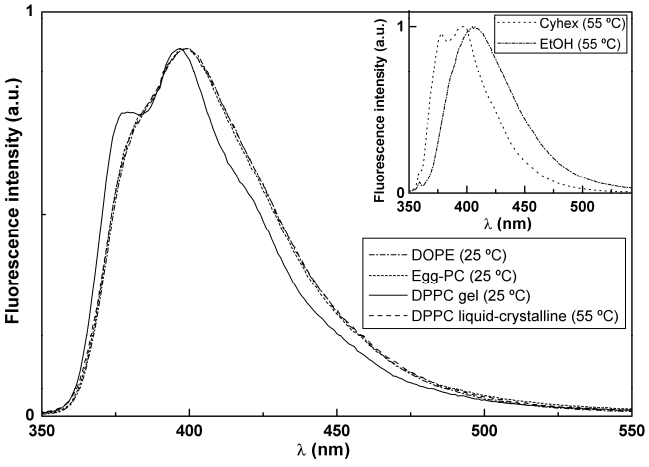
In this work, the interaction of a potential antitumoral benzothieno[3,2-*b*]pyrrole (**BTP**) with lipid membranes and natural salmon sperm DNA was studied by fluorescence techniques. Studies of the influence of **BTP** on the growth of human tumor cell lines showed that this compound highly inhibit the growth of NCI-H460 (non-small cell lung cancer) cells with a GI_{50} = 3.9 μM. The interaction of the fluorescent **BTP** with ds-DNA allowed the determination of a binding constant of $K_i = (2.9 \pm 0.3) \times 10^6$ M^{-1} and a binding site size of $n = 2.0 \pm 0.7$, pointing to a high affinity of this compound to the macromolecule. Fluorescence quenching experiments using I^- point to an intercalative mode of binding.

Fluorescence studies of **BTP** incorporated in lipid aggregates of DPPC, DOPE and Egg-PC (Fig. 1) indicate that this compound is located mainly near the hydrophobic lipid tails and is able to distinguish between the rigid gel phase and fluid phases.



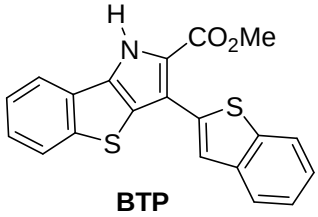


Fig. 1 - Fluorescence spectra of **BTP** in lipid membranes of DOPE, Egg-PC and DPPC. Inset: Fluorescence spectra of **BTP** in cyclohexane and ethanol at 55 ºC.

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