Fluorescence studies of 2-quinolinones and coumarins including peptide derivatives in solution and in lipid membranes

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Coumarins and quinolinones, are important heteroaromatic compounds that have demonstrated a broad range of biological activities [1]. Coumarin and quinolinone derivatives are also known as systems with excellent fluorescence properties [2]. In this work, the photophysical properties (absorption and fluorescence) of a 3-amino-4-phenylquinolin-2-one **1**, a 3-(*tert*-butoxycarbonyl)amino-4-phenylcoumarin **2**, a *tert*-butyl 3-methyl-1-(4-methyl-2-oxo-1,2-dihydroquinolin-3-ylamino)-1-oxobutan-2-ylcarbamate **3** and a *tert*-butyl 2-(4-methyl-2-oxo-2*H*-chromen-3-ylamino)-2-oxoethylcarbamate **4** (Figure 1), previously synthesized by us [3], were studied (Table 1, Figure 2).

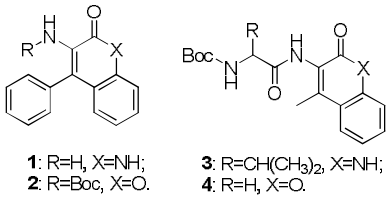

Figure 1

Table 1. Fluorescence quantum yields (Φ_F) for compound **1**- **4** in several solvents.

Solvent	Φ_F			
	1	**2**	**3**	**4**
Ethyl Acetate	0.053	0.075	0.062	0.018
Acetonitrile	0.059	0.038	0.049	0.017
Ethanol	0.150	0.013	0.067	0.026
Water	0.200	0.001	0.083	0.035

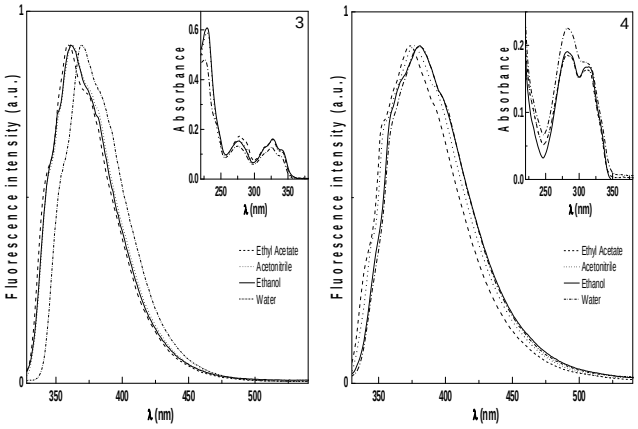

Figure 2. Normalized fluorescence emission spectra of compound **3** and **4** (Inset: absorption spectra).

Table 2. Steady-state fluorescence anisotropy (r) values and maximum emission wavelengths (λ_{em}) of compounds **1** and **2** incorporated in lipid membranes. Anisotropy values in glycerol at 25 ºC is also shown for comparison.

	1		**2**	
	λ_{em} (nm)	r	λ_{em} (nm)	r
egg-PC	398	0.088	399	0.216
DPPC (25 ºC)	398	0.059	400	0.164
DPPC (50 ºC)	398	0.045	400	0.149
DPPC/DPPG (1:1) (25 ºC)	394	0.023	400	0.146
DPPC/DPPG (1:1) (50 ºC)	394	0.012	398	0.119
DPPG (25 ºC)	394	0.025	397	0.177
DPPG (50 ºC)	399	0.012	396	0.157
Glycerol	394	0.297	393	0.311

Compounds **1** and **2** were incorporated in lipid vesicles of egg lecithin (egg-PC), neat DPPC (dipalmitoylphosphatidylcholine), neat DPPG (dipalmitoylphosphatidylglycerol), mixture of DPPC/DPPG (1:1) and their fluorescence emission and anisotropy were determined (Table 2). The results show that compound **1** feels a hydrated and fluid environment, while the opposite is observed for compound **2** which is located deeper in the hydrophobic region.

The fluorescence quantum yields of the dipeptides **3** and **4**, which contain in their skeleton the quinolinone and coumarin, were maintained (Table 1). These studies indicate that quinolinone **1** and coumarin **2** may be used as fluorescent probes for peptides and lipid membranes.

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