PHENANTHRENYL-INDOLE AS A FLUORESCENT PROBE FOR PEPTIDES AND LIPID MEMBRANES

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The development of fluorescent peptides is an important generation of analytical tools for visualizing intracellular processes and molecular interactions at the level of single cells. Our research group has been interested in the synthesis of new heterocyclic compounds that could be used as fluorescent probes for biological systems [1].

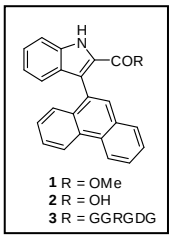

Figure 1.

Herein we have succeeded in coupling a peptide containing the arginine-glycine-aspartic acid (RGD) sequence to a fluorescent phenanthrenyl-indole. Photophysical properties of the fluorescent probe and the labelled peptide (Figure 1) were determined in several solvents and in lipid membranes. The phenanthrenyl-indoles **1** and **2** and the labelled peptide **3** were incorporated in liposomes of dipalmitoyl phosphatidylcholine (DPPC) and dipalmitoyl phosphatidylglycerol (DPPG) and mixtures of both lipids (Table 1). Overall, the results showed that the phenanthrenyl-indole moiety may be used as a fluorescent probe for peptides and lipid membranes.

Table 1. Steady-state fluorescence anisotropy (*r*) values and maximum emission wavelengths (λ_{em}) for compounds **1** and **2** and peptide **3** in lipid membranes.

Lipid membrane	T (ºC)	**1**		**2**		Peptide **3**	
		λ_{em}/nm	*r*	λ_{em}/nm	*r*	λ_{em}/nm	*r*
Neat DPPC	25	405	0.147	403	0.164	402	0.093
	55	407	0.061	405	0.089	410	0.064
DPPC/DPPG 1:1	25	409	0.127	407	0.124	410	0.070
	55	410	0.056	409	0.073	426	0.040
Neat DPPG	25	414	0.087	415	0.107	419	0.063
	55	413	0.050	417	0.056	420	0.039

[1] a) G. Pereira, A.S. Abreu, E.M.S. Castanheira, P.J.G. Coutinho, P.M.T. Ferreira, M-J. R.P. Queiroz, *Eur. J. Org. Chem.* (2009) 3906-3916; b) G. Pereira, E.M.S. Castanheira, P.M.T. Ferreira, M-J. R.P. Queiroz, *Eur. J. Org. Chem.* (2010) 464-475.

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