Synthesis of light-harvesting antenna dyads as phototherapeutic agents

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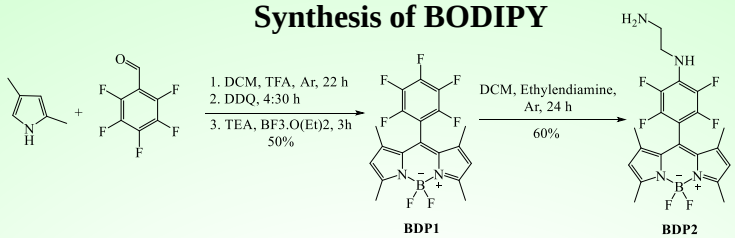
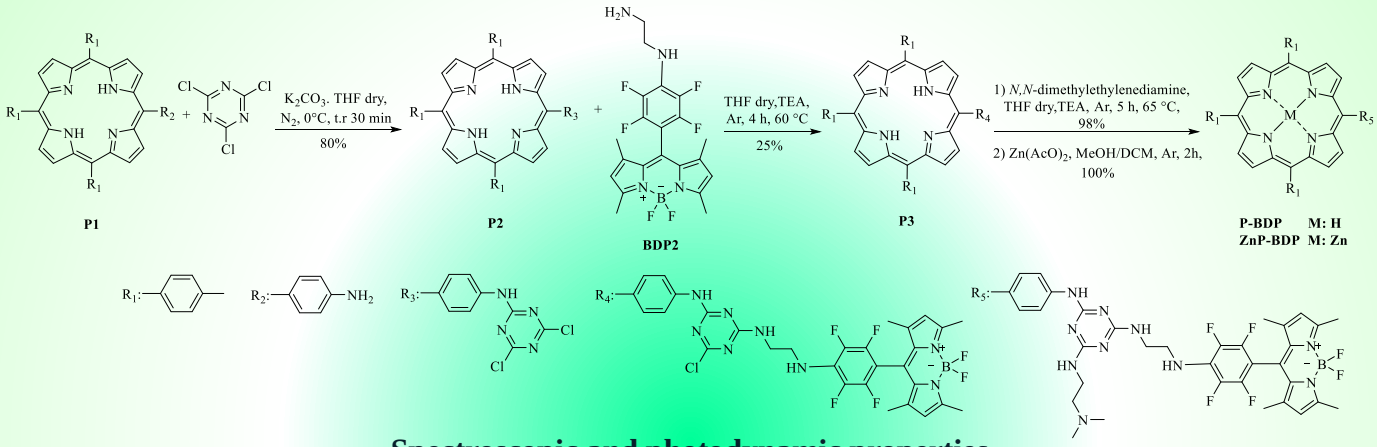
Photodynamic inactivation (PDI) has been proposed as an alternative therapy to combat bacterial infections [1]. This therapy combines a photosensitizer, visible light, and oxygen to produce reactive oxygen species (ROS), which lead to cell death. In this sense, porphyrins are interesting photodynamic agents, however, they present low absorption in the phototherapeutic window [2]. Therefore, the design of new dyads combining tetrapyrrolic macrocycles and light-harvesting antennas can be useful to increase the absorption in visible region.

Synthesis of BODIPY



Synthesis of dyads



Spectroscopic and photodynamic properties

PS	Absorption λ_{max} (nm)	Fluorescence λ_{max} (nm)	Φ_{Δ}
P-BDP	420 514 554 598 653	524 656 720	0.40±0.04
P2	420 517 559 598 653	656 720	0.45±0.04
BDP1	514	528	0.01±0.001
ZnP-BDP	427 514 561 603	524 610 661	0.76±0.07
ZnP2	427 561 603	618 661	0.48±0.04

Conclusions

Two dyads, P-BDP and ZnP-BDP, were synthesized containing a BODIPY. First, an amino-porphyrin was bound to 1,3,5-triazine in 80% yield. In a second step, this structure was reacted with an amino-BODIPY to obtain a dyad (25%). Finally, the third chlorine atom of the triazine unit was substituted by *N*,*N*-dimethylethylenediamine in THF (98%). The BODIPY moiety in the dyads acts as a light-harvesting antenna. The absorption spectrum of P-BDP and ZnP-BDP resulted in a linear combination of the spectra of the corresponding monomers. The fluorescence spectra showed a strong decrease in the BODIPY emission along with the increase in the porphyrin unit emission, indicating a deactivation (>99%) of the BODIPY singlet state by porphyrin. Furtheremore, dyad are able to produce efficiently singlet molecular oxygen. Therefore, these new dyads present interesting properties to act as phototherapeutic agents.

References

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[2] E. Alves, M.A.F. Faustino, M.G.P.M.S. Neves, Â. Cunha, H. Nadais, A. Almeida, J. Photochem. Photobiol. C: Photochem. Rev. 2015, 22, 34-57.