Synthesis and biological evaluation of mono and bis naphthalimides derivatives against SH-5Y-SY, human brain cancer cells

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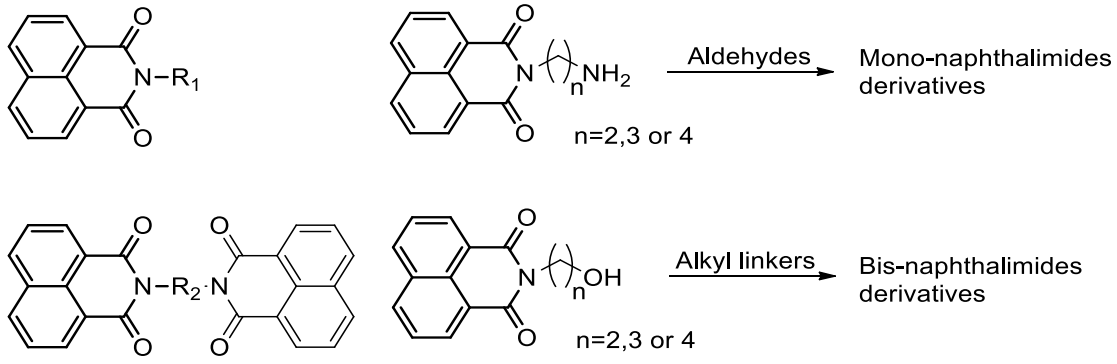
Naphthalimides (1H-benzo[*de*]isoquinoline-1,3-(2*H*)-diones) consists of a flat, generally π-deficient aromatic or heteroaromatic system and show strong hydrophobicity.[1] These types of compounds with this moiety showed fluorescence and biological properties such as anticancer, antimicrobial, antitrypanosomal, analgesic, antioxidative and antiviral properties[1-2] The naphthalimides compounds are also known to be very good DNA intercalators[3], since the planar naphthalimido moiety binds by perpendicular insertion between the base pairs of the double helix of DNA.[4] Previous work had already shown that mono and bis naphthalimido derivatives to exhibit strong activity against different cancer cell lines.[5-6] Here in this work we would like to demonstrate that the alkyl chain i.e. the linker between the naphthalimido groups or the substituent attached at the end of the linker chain, do have an impact on the biological and DNA binding properties. The synthesis of new mono-naphthalimides derivatives involved the reaction with different aldehydes and with different length of alkyl chain. For the new bis-naphthalimides the reactions consist of an N-alkylation reaction between with different linkers and the corresponding O-tosyl alkylnaphthalimides. The biological activities of the newly synthesized compounds includes their ability to bind DNA, their toxicity against SH-5YSY human brain cancer cells *in vitro*, cell morphology and cellular uptake will also be presented.



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