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The synthesis of aryl-substituted naphthalenes and aromatic phosphorus-containing compounds

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Abstract

This thesis is divided into two parts. Part one presents a novel method for the synthesis of naphthalenes bearing aryl substituents. The novel route starts from three simple and readily available tetralones, α-tetralone, 6-methoxy-α-tetralone and 6,7-dimethoxy-α-tetralone. By means of standard Suzuki coupling methodology and aromatization methods, twelve aryl-substituted naphthalenes were synthesized from the tetralones over five steps in good yields. Some of the aryl-substituted naphthalenes synthesized have shown positive results when tested against malignant cancer cells. Part one also explains how unexpected cyclopropa[*a*]naphthalenes were obtained from 1-aryl-3,4-dihydro-2-naphthaldehydes by treatment with lithium aluminium hydride.

The methodology developed in part one is further explored in part two of the thesis, which describes the synthesis of analogues of [1,1']binaphthalenyl-2,2'-diol. A small library of twelve different biaryl diols was prepared from simple bromo(methoxy)naphthaldehydes that were synthesized in part one. The resultant biaryl diols were used in the design of twenty-two novel phosphite, phosphate, phosphoramidite and phosphoramidate ligands in which the phosphorus atoms are contained in either a nine-or an eight-membered heteroatom ring. However, these ligands are still to be tested in metal-catalyzed hydrogenation reactions.