Selective C-arylation of 2,5-dibromo-3-hexylthiophene via Suzuki cross coupling reaction and their pharmacological aspects

ABSTRACT

The present study reports the synthesis of various new derivatives based on 5-aryl-2-bromo-3-hexylthiophene with moderate-to-good yields via a palladium-catalyzed Suzuki cross-coupling reaction. This coupling method involved the reaction of 2,5-dibromo-3-hexylthiophene with several arylboronic acids in order to synthesize corresponding thiophene derivatives under controlled and optimal reaction conditions. The different substituents (CH_3, OCH_3, Cl, F *etc.*) present on arylboronic acids are found to have significant electronic effects on the overall properties of new products. The synthesized thiophene molecules were studied for their haemolytic, biofilm inhibition and anti-thrombolytic activities, and almost all products showed potentially good properties. The compound 2-bromo-5-(3-chloro-4-fluorophenyl)-3-hexylthiophenein particular exhibited the highest values for haemolytic and bio-film inhibition activities among all newly synthesized derivatives. In addition, the compound 2-bromo-3-hexyl-5-(4-iodophenyl)thiophene also showed high anti-thrombolytic activity, suggesting the potential medicinal applications of these newly synthesized compounds.

Keyword: Palladium (0); 2,5-dibromo-3-hexylthiophene; Biofilm inhibition; Hemolysis assay; Anti-thrombolytic assay