N-isopropylamidino-substituted Derivatives of Benzo[b]thiophene-2-carboxanilides and Benzo[b]thieno[2,3*c*]quinolones: DNA Binding by Intercalation

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Recently, we published syntheses, characterization and antitumor evaluation of series of cyano- and N-isopropylamidino-substituted benzo[b]thiophene-2-carboxanilides derivatives of and benzo[b]thieno[2,3-c]quinolones [1]. Aromatic surface of such aromatic compounds, usually built of three or more condensed aromatic units, is more than large enough for intercalation with the DNA. On the other hand, organic cations (i.e. amidinium cation) are known to bind in the DNA minor groove showing various biological activities, especially anticancer properties. The X-ray crystal structure study of 4'-carbmethoxy N-phenyl-3-chlorobenzo[b]thiophene-2carboxamide and *N*-[4'-(*N*'-isopropylamidino)-phenyl]-3chlorobenzo[b]thiophene-2-carboxamide hydrochloride is undertaken in order to compare their sterical properties with some classical intercalators and to give an answer if insertion between basepairs of DNA/RNA is possible.

[1] Jarak I., Kralj M., Šuman L., Pavlović G., Dogan J., Piantanida I., Žinić M., Pavelić K., Karminski-Zamola G., *J. Med. Chem.*, 2005, *in press.* **Keywords: intercalators, heterocyclic compounds, DNA binding**