

Phosphine derivatives of sparfloxacin- synthesis, structures and *in vitro* activity.Autorzy

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Streszczenie

We synthesized two derivatives of sparfloxacin (**HSf**): aminomethyl(diphenyl)phosphine (**PSf**) and its oxide (**OPSf**). The compounds were characterized by NMR spectroscopy, MS and elemental analysis. In addition, the molecular structures of the compounds were determined using DFT and X-ray (**OPSf**) analysis. The antibacterial activity of **HSf** and both derivatives was tested against four reference and fifteen clinical Gram-positive and Gram-negative strains of bacteria (sensitive or resistant to fluoroquinolones). The results showed that the activity of **PSf** was similar to or higher than the activity of **HSf**, while **OPSf** was found significantly less active. The compounds were also tested *in vitro* toward the following cancer cell lines: mouse colon carcinoma (CT26) and human lung adenocarcinoma (A549). Regardless of the cancer cell line, derivatization of **HSf** resulted in the gradual increase of cytotoxicity. **OPSf** exhibited the highest one (4 h – incubation time: IC<sub>50</sub>(CT26) = 51.0 ± 1.2; IC<sub>50</sub>(A549) = 74.9 ± 1.4 and 24 h: IC<sub>50</sub>(CT26) = 109.2 ± 8.8; IC<sub>50</sub>(A549) = 52.7 ± 9.2).

Słowa kluczowe

fluoroquinolone, sparfloxacin

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