Synthesis and biological evaluation of novel indole-derived thioureas.

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Streszczenie

A series of 2-(1H-indol-3-yl)ethylthiourea derivatives were prepared by condensation of 2-(1H-indol-3-yl)ethanamine with appropriate aryl/alkylisothiocyanates in anhydrous media. The structures of the newly synthesized compounds were confirmed by spectroscopic analysis and the molecular structures o f **8** and **28**were confirmed by X-ray crystallography. All obtained compounds were tested for antimicrobial activity against Gram-positive cocci, Gram-negative rods and for antifungal activity. Microbiological evaluation was carried out over 20 standard strains and 30 hospital strains. Compound **6** showed significant inhibition against Gram-positive cocci and had inhibitory effect on the S. aureus topoisomerase IV decatenation activity and S. aureusDNA gyrase supercoiling activity. Compounds were tested for cytotoxicity and antiviral activity against a large panel of DNA and RNA viruses, including HIV-1 and other several important human pathogens. Interestingly, derivative **8** showed potent activity against HIV-1 wild type and variants bearing clinically relevant mutations. Newly synthesized tryptamine derivatives showed also a wide spectrum activity, proving to be active against positive- and negative-sense RNA viruses.

Słowa kluczowe

antibacterial activity, anti-HIV activity, antiviral activity, thiourea derivatives of indole, topoisomerase