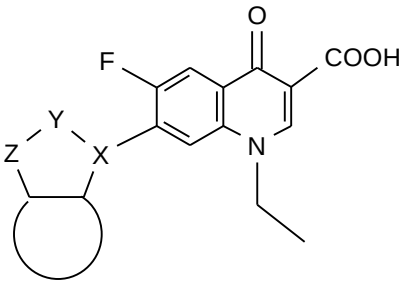
Synthesis of Biologically Active Compounds in the Series of Fluorinated Azaheterocycles

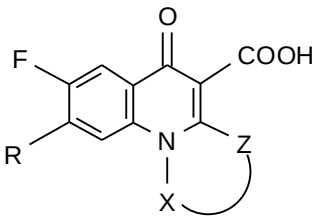
Valery N. Charushin, Oleg N. Chupakhin

Urals State Technical University, Mira st. 19, Ekaterinburg, 620002, Russia

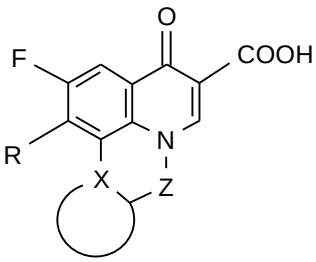
The target syntheses of new antibacterials in the series of fluorinated 4-oxo-1,4-dihydroquinoline-3-carboxylic acids have been performed. Modification of the position 7 by means of 1,3-dipolar cycloaddition reactions enabled us to obtain a number of triazoline and isoxazolidine derivatives **I** annelated with various cycloalkene fragments (cyclohexene, norbornene, limonene, etc.) Also new approaches to the synthesis of polycyclic fluoroquinolones **II** and **III** have been developed.



I

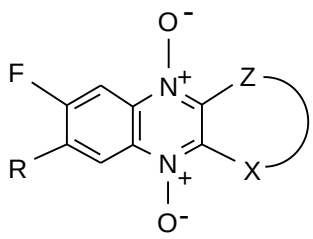


II

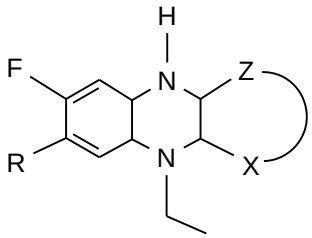


III

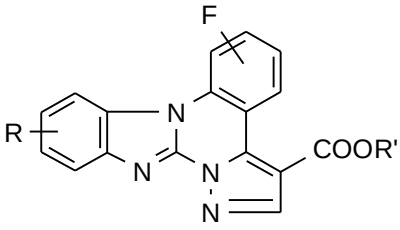
Other interesting groups of biologically active compounds are fluorinated quinoxaline-N,N'-dioxides **IV,** obtained by means of the Beirut reaction of 5,6-difluorobenzofuroxane with ketones and enamines, condensed tetrahydroquinoxalines **V** and new heteropolycyclic systems **VI** which have first been obtained through intramolecular cyclizations of N-heterylhydrazino derivatives of polyfluorobenzoyl acrylates.



IV



V



VI

Antibacterial and anticancer activity of the compounds obtained will be discussed.