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Gatifloxacin

A Viewpoint by Adolf Bauernfeind

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Gatifloxacin is a new fluoroquinolone of the cyclopropyl-type with a structure similar to that of ciprofloxacin except for the substitutes in positions C_7 (3-methyl-1-piperazinyl instead of 1-piperazinyl and C_8 (methoxy-group instead of H).

It is a racemate of S- and R-enantiomers, which have equal activity and identical pharmacokinetic profiles (elimination half-life 6 to 7 hours). Therapeutically relevant concentrations of gatifloxacin are achievable in various tissues, in macrophages and polymorph-nuclear granulocytes. The inhibitory activity of gatifloxacin against DNA gyrase and topoisomerase IV is superior to that of other quinolones except for clinafloxacin and ciprofloxacin; its activity against eukaryotic topoisomerases (HeLa cells) is less than that of ciprofloxacin, clinafloxacin and ofloxacin indicating high selectivity of gatifloxacin for bacterial type II topoisomerases as well as toxicological safety. Gatifloxacin has increased activity against Gram-positive cocci (staphylococci, streptococci), *Acinetobacter* spp., *Stenotrophomonas maltophilia* and anaerobes in comparison with ciprofloxacin (4 times on average). The effect of gatifloxacin on the normal human intestinal microflora is transient and comparable to that of other quinolones.

Gatifloxacin is one among a series of new fluoroquinolones with modified structures (as with moxifloxacin, trovafloxacin) with an improved profile for therapy of infections caused by staphylococci, pneumococci and other streptococci, anaerobes and some Glucose-Non-Fermenters in comparison with established fluoroquinolones (e.g. ciprofloxacin, ofloxacin). Since the mode of action and mechanisms of resistance are basically the same as for other fluoroquinolones, the quantitative progress achieved with the new compounds can be neutralised by mutants with a 4- to 8-fold higher MIC. The activity of gatifloxacin as well as of most other new fluoroquinolones against the majority of Gram-negative rods is similar to that of ciprofloxacin or even 1 to 2 steps of dilutions below (e.g. *Pseudomonas aeruginosa*).

So gatifloxacin by its antibacterial profile, pharmacokinetics and relatively low activity against eukaryotic topoisomerases appears to improve the perspectives of fluoroquinolones for the treatment of respiratory tract infections and skin, soft tissue infections and urinary tract infections. ▲