

[S3-3] [4/21/2000 (Fri) 15:30~16:10/Byulkwon Auditorium, Bldg 26]

**Discovery of Gemifloxacin (Factive™, LB20304a):
A Quinolone of New Generation.**

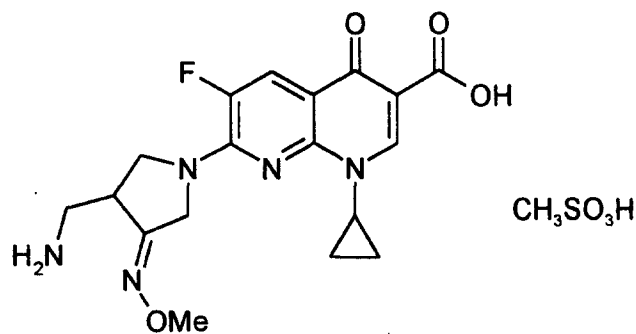
Chang Yong Hong

Biotech Research Institute, LG Chem Research Park

PO Box 61 Yu-Sung, Tae-Jon, Korea 305-380

Novel quinolone antibacterials, which bear an alkyloxime substituent in the 4-position and an aminomethyl substituent in the 3-position of the pyrrolidine ring, have been designed and synthesized. These fluoroquinolones were found to possess extremely potent antimicrobial activity against Gram-positive organisms including resistant strains such as methicillin resistant *Staphylococcus aureus* (MRSA). Their Gram-negative activity was also excellent and comparable to that of ciprofloxacin. Most importantly, they exhibited the most potent activities against respiratory tract pathogens, including *S. pneumoniae*, *H. influenzae*, and *M. catarrhalis*.

Among these compounds our development candidate, Gemifloxacin (Factive™, LB20304a), showed the best *in vivo* efficacy and pharmacokinetic profile in animals, as well as excellent safety pharmacological properties.



Gemifloxacin

During 1995 to 1999, Gemifloxacin has very successfully completed preclinical and clinical trial phase I in England, and phase II, III in more than 40 countries including America and European countries.

Gemifloxacin, a quinolone antibacterial of new generation, is especially effective against respiratory tract infections that account for over 70 % of all infections. With once-a-day dosage, potency against respiratory tract infections such as chronic bronchitis and pneumonia is ensured without any significant side effect.

In December 1999, after almost nine years of research and development Gemifloxacin finally filed a NDA (New Drug Application) for approval to the U.S. Food and Drug Administration, which is for the first time in Korean drug development history. When this compound is approved and launched in the year of 2000, it will be Korea's first new drug to be commercialized on a worldwide basis.