

amino acids, peptides

U 0400

25 - 180

Peptidomimetics of Efflux Pump Inhibitors Potentiate the Activity of Levofloxacin in *Pseudomonas aeruginosa*.

— The peptide backbone of the lead D-ornithine-D-homophenylalanine-3-aminoquinoline is modified to obtain peptidomimetics which are able to potentiate the activity of the fluoroquinolone levofloxacin in *P. aeruginosa*. Ether and thioether analogues, e.g. (VII), oxazole derivatives (XIII), benzoxazole, benzothiazole, benzimidazole, and hydroxyethylamino derivatives are prepared as well as tertiary amides lacking one of the two stereocenters. Some of the new analogues are equally active or more active than the lead, demonstrating the non-essentialness of a peptide backbone for the potentiation activity. — (RENAU, THOMAS E.; LEGER, ROGER; YEN, ROSE; SHE, MILES W.; FLAMME, ERIC M.; SANGALANG, JOAN; GANNON, CARLA L.; CHAMBERLAND, SUZANNE; LOMOVSKAYA, OLGA; LEE, VING J.; *Bioorg. Med. Chem. Lett.* 12 (2002) 5, 763-766; Essential Ther., Inc., Mountain View, CA 94043, USA; EN)

