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Peramivir analogs bearing hydrophilic substituent to overcome viral resistance

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Influenza an acute respiratory disease remains to impact public health greatly. One of the envelope proteins called Neuraminidase (NA) acts as a critical role in replication cycle of influenza virus, and its inhibitors such as oseltamivir and *peramivir* have been widely used for clinical treatment of influenza infections. Despite NA's high conservation, certain mutations such as H274Y and R292K have been observed, thus leading to suppression of drug effectiveness. Referring to the co-crystal structure of NA and *peramivir* or oseltamivir, the drop of drug activity can be attributed to those unfavorable interactions between the hydrophobic substituents, 3-pentyl or 3-pentoxyl, on NA inhibitors and amino acid residues of NA. Consequently, *peramivir* derivatives bearing hydrophilic side chain were designed and synthesized in order to overcome drug resistance. (Fig.1) Gratifying, a pioneering method with complete consumption of the dipolariphile was developed by using reduced amount of nitrile oxide 1, 3-dipole to conduct the 1, 3- dipolar cycloaddition reactions. Aside from discovery of an alternative synthetic route, alleviated drug resistance is observed on analogs with various hydrophilic substituents, and improvement of inhibitory effects toward mutant viruses can be expected.

Biography

Din-Chi Chiu has received his BS from Department of Material Science and Engineering, National Chiao Tung University. He is motivated by the passion on organic synthesis and drug discovery. He's currently majoring Chemistry in National Taiwan University and conducting research about development of new anti-influenza agent as his master study.

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