32. Title: Synthesis and biological evaluation of analogues of the marine cyclic depsipeptide obyanamide

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Abstract: On the basis of the total synthesis of obyanamide, 20 analogues of this marine cyclic depsipeptide have been synthesized by (i) preparation of the tripeptide fragments in the western hemisphere using Z/OtBu protocol; (ii) preparation of the dipeptide fragments in the eastern hemisphere using Boc/OMe protocol; and (iii) fragments coupling, removal of protecting groups (Boc and OtBu, in one pot), and macrocyclizaion in the last step. The cytotoxic test showed that three synthetic compounds exhibited moderate activities against HL-60, KB, LOVO, and A549 cell lines. According to the results, the beta-amino acid residue was found to play a critical role in the biological activities. Additionally, the ester bond along with the Ala(Thz) moiety was also essential for biological activities. However, it seems too early to draw a conclusion that the N-methylation of Val/Phe can lead to higher or lower cytotoxic activities.