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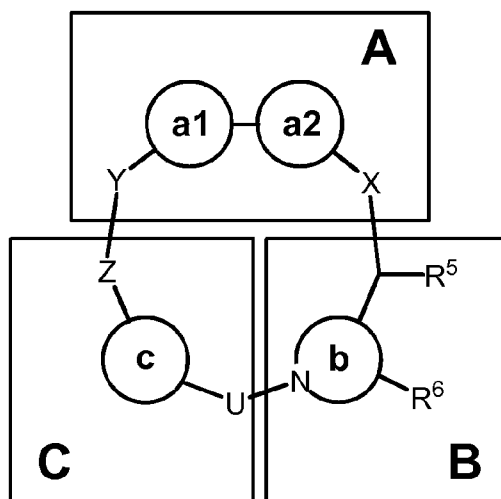
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## (54) Title: CONFORMATIONALLY CONSTRAINED, FULLY SYNTHETIC MACROCYCLIC COMPOUNDS



(I)

(57) Abstract: The conformationally restricted, spatially defined macrocyclic ring system of formula (I) is constituted by three distinct molecular parts: Template A, conformation Modulator B and Bridge C. Macrocycles described by this ring system I are readily manufactured by parallel synthesis or combinatorial chemistry in solution or on solid phase. They are designed to interact with a variety of specific biological target classes, examples being agonistic or antagonistic activity on G-protein coupled receptors (GPCRs), inhibitory activity on enzymes or antimicrobial activity. In particular, these macrocycles show inhibitory activity on endothelin converting enzyme of subtype 1 (ECE-1) and/or the cysteine protease cathepsin S (CatS), and/or act as antagonists of the oxytocin (OT) receptor, thyrotropin-releasing hormone (TRH) receptor and/or leukotriene B4 (LTB4) receptor, and/or as agonists of the bombesin 3 (BB3) receptor, and/or show antimicrobial activity against at least one bacterial strain. Thus they are showing great potential as medicaments for a variety of diseases.