Abstract

The natural product class of the pseudopterosins comprises secondary metabolites from the coral *pseudopterogorgia elisabethae* which belong structurally to the family of diterpenoid glycosides. In addition to their complex structure, consisting of an amphilectane skeleton bound to a sugar residue, they possess an enormous pharmacological potential. Their analgesic and anti-inflammatory activity *in vivo* significantly outperforms the approved nonsteroidal anti-inflammatory drug indomethacin. The extraction of the pseudopterosine natural products from harvested coral represents a serious intervention in the sensitive marine ecosystem. Since 1988 numerous total syntheses have been published as alternative approaches. However, most of these strategies are not feasible due to lack of efficiency and costly methodologies. Hence this thesis was devoted to investigating the structure-activity relationship of the pseudopterosins in order to identify starting points for the development of new lead structures (and thus from the natural product inspired less complex drug candidates). This was made possible by the design and synthesis of a thorough substance library including isomerically pure simplified pseudopterosin analogues, as well as numerous tricyclic catechol bioisosteres. Furthermore, a new unknown member of the pseudopterosin family, *iso*-pseudopterosin A, has been synthesized from the synthetic PsA-F aglycon. The detected anti-inflammatory activity of the prepared derivatives showed that the substituents of the aglycon substituents, as well as their configuration at the respective chiral center have a minor influence on the activity. However, the presence of two *H*-bond donor functionalities seems to be essential for the activity. The sugar residue as well as the integrated catechol motive thus appear to be important albeit replaceable substructures.