TOWARDS THE SYNTHESIS OF SAMROIYOTMYCINS A & B

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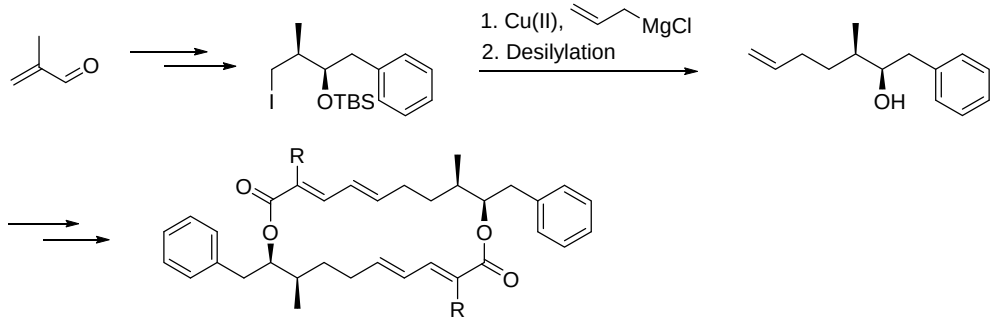
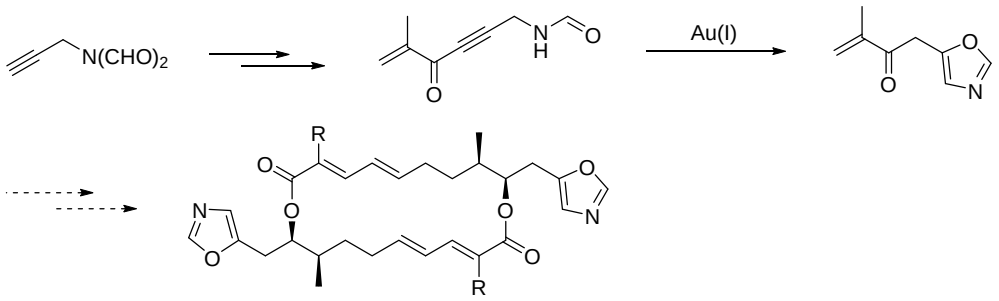
Samroiyotmycins A and B are closely related complex dimeric macrocycles containing 2-unsubstituted oxazole units. Furthermore, biological studies showed that they had moderate anti-malarial activity and were resistant toward a multi-drug resistant strain of *Plasmodium falciparum*.[1] Being lead compounds for further investigation towards their activity against the parasite, the samroiyotmycins and their analogues of both molecules will be synthesized for biological testing. To our knowledge, there has been no report on synthesis of both molecules in the literature since their isolation and synthesis is the only way to obtain sufficient samples for further studies given its scarcity from natural sources. Herein, we have successfully furnished the 2-unsubstituted oxazole moiety towards the synthesis using a novel strategy of highly regioselective gold-catalysed 5-*exo-dig* cyclisation of alkynamides. In addition, we devised an efficient synthetic route towards the synthesis of phenyl-analogues of the samroiyotmycins, featuring a highly diastereoselective hydroboration as the key step[2] and a consequent Cu(I)-catalyzed coupling strategy to achieve desired sp^3-sp^3 coupling[3].



Samroiyotmycin analogues A & B



Samroiyotmycins A & B

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[1] Dramae, A.; Nithithanasilp, S.; Choowong, W.; Rachtawee, P.; Prabpai, S.; Kongsaeree, P.; Pittayakhajonwut *Tetrahedron Lett.* **2013**, *69*, 8205

[2] Männig, D.; Nöth, H. *Angew. Chem. Int. Ed. Engl.* **1985**, *24*, 878.

[3] Ren, P.; Stern, L.-A.; Hu, X. *Angew. Chem. Int. Ed.* **2012**, *51*, 9110.