CHAPTER IV

CONCLUSION

Plaunotol analogues, compound (4), (12)-(17) and (29)-(33), were prepared by simple chemical reaction in three to five steps from commercially available geraniol. Compound (4) was synthesized by selenium dioxide oxidation which afforded the product in 37.44 % overall yield in 3 steps. Compound (12)-(17) and (29)-(33) were synthesized by alkylation of diethyl malonate and directhyl malonate by geranyl chloride followed by \omega-haloacids methylesters, decarboxylation and reduction which these methods afforded products in 35-60 % overall yield from 3-5 steps. These compounds contain two or three hydroxyl groups which have the distance between two hydroxyl groups ranging from 3-8 carbon atoms. The structure of plaunotol analogues were characterized by ¹H and ¹³C NMR spectroscopy, mass spectrometry, infrared spectroscopy and elemental analysis.

These plaunotol analogues were tested for inhibition of adenosine 3', 5'-cyclic monophosphate phosphodiesterase (PDE) which can be used as a screening method to detect biologically active compound. It was found that the majority of plaunotol analogues showed inhibitory activities against cAMP phosphodiesterase whereby compounds (13), (14), (29) and (30) exhibited highest inhibitory activity the other compounds. Compounds (13) and (14) which possessed three hydroxyl groups and the distances between the two hydroxyl groups were 5 and 6 carbon atoms showed IC₅₀ of 3.33 and 2.99 mM, respectively. Compound (29) and (30) which possessed two hydroxyl groups and the distances between the two hydroxyl groups were 4 and 5 carbon atoms showed IC₅₀ of 4.42 and 3.98 mM, respectively. Compound (33) and plaunotol which possessed two hydroxyl groups and the distances between the two hydroxyl groups were exactly 8 carbon atoms themself showed no inhibition at all.

So, compound (33) and plaumotol can not stimulate central nervous system (CNS) activities. Therefore, compound (33) is a very interesting compound and may be subjected to further study on its inhibitory activity against acute gastric ulcers.

