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Depsipeptides and peptide-mimetics, cyclics and acyclics, integrin $\alpha_V\beta_3$ inhibitors.

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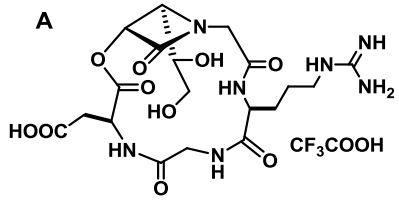
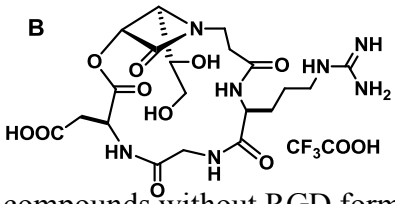
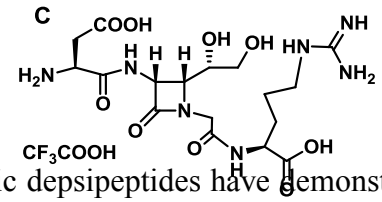
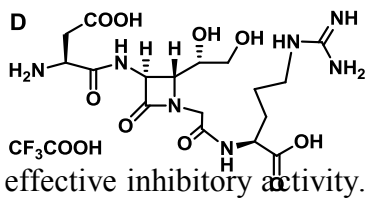
Angiogenesis, the sprouting of new blood vessels from pre-existing vessels, is a remarkable feature of tumours growth and metastasis. The in vivo inhibition of this receptor by cyclic peptides containing RGD sequence may be used to selectively suppress these disease[1]. Our research group has developed a new methodology[2] for the evaluation of new antiangiogenic compounds, based in the genetic expression analysis using CGH array system. The RGD mimetics activity can be modified by the presence of ester-bond[3], Therefore, we decided to prepare some depsipeptides analogous to the RGD-β-lactam compounds and evaluate their activity performing a genetic expression analysis.





We also have evaluated the activity of open-chain compounds without RGD formal structure.





The cyclic depsipeptides have demonstrated a very effective inhibitory activity. In the other hand the open-chain compounds have a surprising behavior, demonstrating a similar gene activation. This way, we call into question the essential need of RGD sequence to have an interaction between ligand and the receptor of the integrin[4, 5].

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