# ORGANIC SYNTHESIS LAB

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## Lines of research:

**Synthesis of azabicycloalkane scaffolds:** Azabicyclo[X.Y.0]alkanone amino acids can act as effective reverse-turn mimics and have proved to be useful intermediates for the preparation of a wide range of pharmacologically active compounds. We are involved in the design of new synthetic routes for the fast attainment of variously functionalized azabicycloalkane scaffolds.
**Synthesis of quaternary alpha-amino acids (QAAs):** Quaternary amino acids have been widely used as building blocks for the synthesis of peptides and peptidomimetics thanks to their ability to prevent racemization and ensure higher metabolic stability of the structures in which they are incorporated. Our group is engaged in the asymmetric synthesis of QAAs characterized by the presence of a vinyl/allyl moiety on the quaternary stereocenter.
**Synthesis of integrin inhibitors:** Integrins regulate a wide range of processes like cell adhesion, proliferation and differentiation. In particular integrins $\alpha_v\beta_3$ and $\alpha_v\beta_5$ were found to be interesting targets because of their key role in metastatic spreading and neoangiogenesis, which are the most harmful processes for cancer diffusion and progression. Our efforts in this field have been focused on the synthesis of cyclopentapeptides carrying the Arg-Gly-Asp sequence (*c*-RGD). One of our most active compound, 1a-RGD, showed to be a nanomolar inhibitor of $\alpha_v\beta_3$ and $\alpha_v\beta_5$ integrin receptors and one of the few RGD-like antagonists capable of inhibiting cell migration, cell attachment, and inducing anoikis in glioblastoma cell lines. Currently we are involved in synthesis of *c*RGD-based bioconjugates that may find promising applications for targeted drug delivery, theranostic or cancer cell labelling.

## Other informations:[selected publications (max 5) - website]

1) Serra, M., Bernardi, E., Marrubini, G., De Lorenzi, E., Colombo, L. *Eur. J. Org. Chem.* **2019**, *4*, 732–741.
2) Paolillo, M., Galiazzo, M.C., Daga, A., Ciusani, E., Serra, M., Colombo, L., Schinelli, S. *Int. J. Oncol.* **2018**, *53 (6)*, 2683–2694.
3) Serra, M., Peviani, E.G., Bernardi, E., Colombo, L. *J. Org. Chem.* **2017**, *82 (20)*, 11091–11101.
4) Serra, M., Bernardi, E., Marrubini, G., Colombo, L. *Eur. J. Org. Chem.* **2017,** *20*, 2964–2970.
5) Serra, M., Tambini, S.M., Di Giacomo, M., Peviani, E.G., Belvisi, L., Colombo, L. *Eur. J. Org. Chem.* **2015**, *34*, 7557–7570.