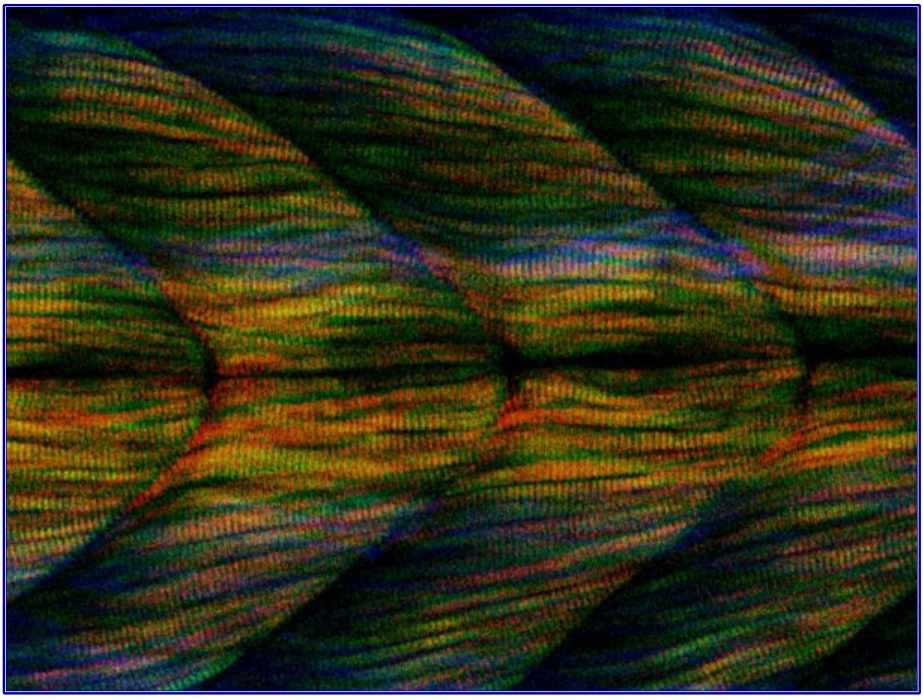
UNIVERSITÀ DEGLI STUDI DI MILANO

BIOMETRA
DIPARTIMENTO DI BIOTECNOLOGIE MEDICHE E MEDICINA TRASLAZIONALE

# Workshop BIOMETRA

**September 24th, 2018 ~ Aula Magna – LITA, Segrate**



# Book of Abstracts

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**A BIOCATALYTIC APPROACH TO THE SYNTHESIS OF PHARMACOLOGICALLY ACTIVE COMPOUNDS**

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Several pharmacologically active compounds present in their structure different functional groups and stereocenters so, for their synthesis, chemo-, regio-, stereoselective transformations are required. This selectivity can be achieved using biocatalysts (enzymes and microorganisms).
The aim of our work is the preparation of some pharmacologically active compounds using biocatalytic methodologies which can lead to important improvements compared to traditional approaches, such as better yields and shorter synthetic pathways. Moreover the use of biocatalysts in synthesis is a green approach.
For example, in our laboratory through a regioselective transformation catalysed by an enzyme, Alcalase CLEA, we have achieved the synthesis of capecitabine (Xeloda), an antitumor with a nucleosidic scaffold.
After the investigation of the activity of different enzymes and microorganisms we have obtained both the enantiomerically pure synthons for the preparation of (S)-pramipexole, a synthetic dopaminergic agonist utilized as anti-Parkinson agent, and (R)-pramipexole, which has been studied for the treatment of amyotrophic lateral sclerosis (ALS).
Through a similar biocatalytic approach is under development the synthesis of brivaracetam, a novel anticonvulsant drug. The crucial step of the synthesis of this molecule is the obtainment of the stereocenter bearing the propyl moiety with the proper configuration.
This aim was achieved by means of a lipase-catalysed resolution of the suitable precursor of the finale molecule.

**Keywords**: Biocatalysis, Enzymes, Baker's Yeast, Regioselectivity, Stereoselectivity,