The special issue titled as “Natural Products as Enzyme Inhibitors in Drug Design and Discovery” is published in Current Topics in Medicinal Chemistry, which consists of 4 qualified review articles. As a compact issue, one of the reviews has focused on oxyprenylated plant metabolites linked to lipid and sugar metabolism, while another one scrutinized various mechanisms of natural and synthetic molecules relevant to Parkinson’s disease. The other two reviews reported natural inhibitors of nucleotide pyrophosphatases/phosphodiesterases (PDEs) as well as aromatase.

Enzyme inhibition is a well-known therapeutic strategy for many diseases threatening human health. On the other hand, natural products or plant extracts have been extensively revealed many times to be potent inhibitors of various enzymes. These have progressed to clinical use as active drug molecules such as galanthamine as acetylcholinesterase (AChE) inhibitor for the treatment of Alzheimer’s disease from (Galanthus woronowii, snowdrop plant), statins of microorganism origin as hydroxymethyl 3-hydroxy-3-methyl-glutaryl-coenzyme A reductase (HMGCR) inhibitor for the treatment of hypercholesterolemia, and captopril as angiotensin-converting enzyme (ACE) inhibitor against hypertension, initially discovered in a snake venom living in Brazil. The examples can be multiplied.

This special issue aims to help highlight once more what a treasure trove of natural origin molecules are as enzyme inhibitors. Many natural compounds will continue to lead as pioneer or model molecules in the discovery of new enzyme inhibitors. It seems like we have achieved our goal with this special issue.

I would like to express my sincere gratitude to all the researchers who contributed to the special issue as well as to the team of Current Topics in Medicinal Chemistry for their precious technical support.

We are happy to present it to the attention of all scientists who are interested in medicinal chemistry and enzyme inhibitors.

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