Abstract

Adenosine mediates its physiological signaling functions through the interaction with four receptor subtypes. The adenosine receptors belong to the superfamily of G protein-coupled receptors and are named A_1, A_{2A}, A_{2B} and A_3 receptors. Since they are widespread throughout the body, they are involved in many physiological processes and dysfunction of the adenosine system may have serious pathological consequences. Activity of adenosine receptors is inhibited by methylxanthines. Caffeine is a typical non-selective antagonist of the receptors, which is known to affect the sleep cycle. A great progress occurred in understanding the structure of adenosine receptors after the crystallographic model was solved for A_{2A} receptor in complex with the antagonist ZM241385, which is referred to as super-caffeine. Understanding the receptor structure as well as the molecular mechanisms underlying the regulation of their function and interactions represent a starting point to the development of new drugs, which are going to be highly efficient and selective for each adenosine receptor subtype.