

Adenosine receptors in GtoPdb v.2025.4

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Abstract

Adenosine receptors (**nomenclature as agreed by the [NC-IUPHAR Subcommittee on Adenosine Receptors \[114\]](#)**) are activated by the endogenous ligand [adenosine](#) (potentially [inosine](#) also at A₃ receptors). Crystal and cryo-EM structures for all four adenosine receptors have been solved, occupied by either agonists (sometimes in the presence of an allosteric modulator) or antagonists. Many of these structures were incorporated in a recent review [[155](#)]. More recently, structures for the A_{2B} receptor [[58](#), [48](#)] and the A₃ receptor [[279](#), [47](#)] were elucidated. The A_{2A} receptor is used as a workhorse in GPCR structure elucidation: almost 100 structures are available in the Protein Data Bank (www.rcsb.org). [istradefylline](#), a selective A_{2A} receptor antagonist, is on the market for the treatment of Parkinson's disease, while caffeine's mechanism of action is largely due to its antagonism of at least three of the four adenosine receptor subtypes. Allosteric modulators, particular PAMs of A₁ and A₃ receptors, have been explored chemically and structurally [[88](#), [293](#)].

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