BINDING THERMODYNAMICS AT THE HUMAN A_{2B} ADENOSINE RECEPTOR

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The thermodynamic parameters ΔG°, ΔH° and ΔS° of the binding equilibrium of four adenosine receptor agonists and five antagonists at adenosine A_{2B} receptors were determined by means of affinity measurements at six different temperatures (4, 10, 15, 20, 25 and 30) and van't Hoff plots were constructed. Affinity constants were measured on human embryonic kidney (HEK 293) cells transfected with the human A_{2B} receptors by inhibition assays of the binding of the selective A_{2B} antagonist [^{3}H]MRE 2029F20. Van't Hoff plots were linear for agonists and antagonists in the temperature range 4-30 degree. The thermodynamic parameters of radioligand were ΔH° –16 kJmol^{-1} and ΔS° 106 J(K/mol)$^{-1}$, showing that antagonist binding is enthalpy- and entropy-driven. This binding behaviour has previously been found to be typical of adenosine A_1, A_{2A} and A_3 receptor antagonists. The results are discussed with the aim of obtaining new details on the nature of the forces driving the A_{2B} binding at a molecular level.