PRODUCT INFORMATION

Adenosine A_{2A} Receptor (human recombinant)

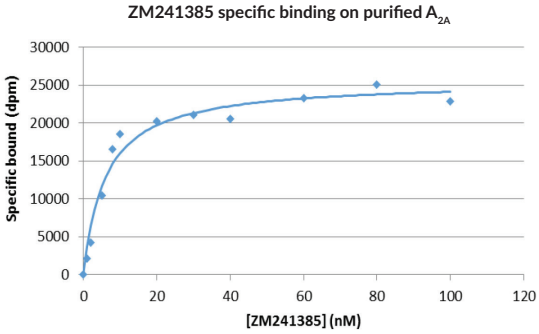
Item No. 24730

Overview and Properties

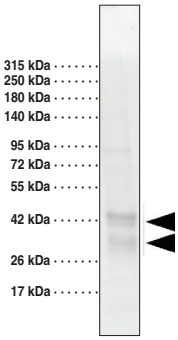
Source:	N-terminal histidine- and strep-tagged human adenosine A_{2A} receptor containing a TEV protease cleavage site purified from a baculovirus overexpression system in Sf9 cells
Amino acids:	Full length, wild-type sequence
Uniprot No.:	P29274
Molecular Weight:	~47.7 kDa
Storage:	-80°C (as supplied)
Stability:	≥2 years
Purity:	***batch specific*** (≥90% estimated by SDS-PAGE)
Supplied in:	50 mM HEPES, pH 7.4, 200 mM sodium chloride, and 0.05%/0.006% DDM/CHS

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Images



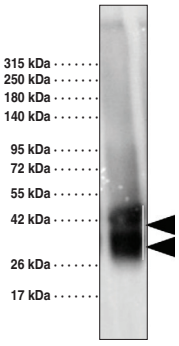
QC: Activity measured by radiobinding assay. Binding of $^{[3H]}$ZM241385 was measured on purified A_{2A} receptor. A K_d of 6 nM was determined for ZM241385.



SDS-PAGE, 4-15% acrylamide gel Bio-rad Stain-Free™ detection

SDS-PAGE, Stain-Free™ detection

Purified A_{2A} receptor was migrated on a 4-15% Tris-glycine SDS-PAGE and the total proteins were Stain-Free™ detected. Black arrows indicate the target. Upper arrow indicates full length A_{2A} receptor. Lower arrow indicates shorter A_{2A} receptor resulting from partial cleavage of C-term end.



SDS-PAGE, 4-15% acrylamide gel WB Anti-ICL3 A_{2A} antibody (7F6-G5-A2)

SDS-PAGE, Western blotting

Purified A_{2A} receptor was migrated on a 4-15% Tris-glycine SDS-PAGE, transferred to PVDF membrane and immunodetected with a monoclonal anti-ICL3-A_{2A} (7F6-G5-A2, *SCBT*). Black arrows indicate the target.

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Description

Adenosine A_{2A} receptor (human recombinant) contains amino acids corresponding to the full length, wild-type, human A_{2A} receptor, as well as N-terminal histidine and streptavidin tags with a TEV protease cleavage site. The A_{2A} receptor is a G protein-coupled receptor (GPCR) that is activated by adenosine on presynaptic terminals to induce neurotransmitter release and on postsynaptic terminals to increase excitability.[1] Signaling through the A_{2A} receptor occurs through G_s, G_{olf}, and $G_{15/16}$ proteins to increase cyclic AMP or inositol-(1,4,5)-trisphosphate (IP_3) activity.[2] It is expressed in the brain on striatal-pallidal GABAergic neurons and in the olfactory bulb as well as other brain regions. It is also expressed in the periphery in organs and cells involved with immune function, including the spleen, thymus, leukocytes, and blood platelets as well as in the heart, lung, and blood vessels. A_{2A} receptor activity induced by agonists has neurodegenerative effects, which has led to the development of A_{2A} receptor antagonists, but has shown mixed effects on seizure activity in rodent models.[1] The A_{2A} receptor also plays a role in the regulation of enteric nervous system function, vasodilation of coronary arteries, and the immune response.[3] In addition to signaling through the A_{2A} receptor alone, it can form heteromers with other GPCRs, including adenosine A_1, dopamine D_2 and D_3, cannabinoid CB_1, and metabotropic glutamate receptor 5 (mGluR5).[4,5] The function of these receptors is influenced by the interaction, with the A_{2A} receptor decreasing dopamine binding to the D_2 receptor and mGluR5 potentiating the effects of the A_{2A} receptor on adenylyl cyclase and MAPK signaling.[4]

References

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2. Fredholm, B.B., Arslan, G., Halldner, L., *et al.* Structure and function of adenosine receptors and their genes. *Naunyn Schmiedebergs Arch. Pharmacol.* **362(4-5)**, 364-374 (2000).
3. Sousa, J.B. and Diniz, C. The adenosinergic system as a therapeutic target in the vasculature: New ligands and challenges. *Molecules* **22(5)**, pii: E752 (2017).
4. Ferré, S., Ciruela, F., Quiroz, C., *et al.* Adenosine receptor heteromers and their integrative role in striatal function. *ScientificWorldJournal* **7**, 74-85 (2007).
5. Torvinen, M., Marcellino, D., Canals, M., *et al.* Adenosine A_{2A} receptor and dopamine D_3 receptor interactions: Evidence of functional A_{2A}/D_3 heteromeric complexes. *Mol. Pharmacol.* **67(2)**, 400-407 (2005).

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