# Data Sheet

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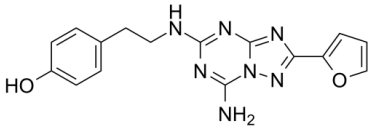
| **Product Name:** | ZM241385 |
| **Cat. No.:** | CS-5528 |
| **CAS No.:** | 139180-30-6 |
| **Molecular Formula:** | C16H15N7O2 |
| **Molecular Weight:** | 337.34 |
| **Target:** | Adenosine Receptor |
| **Pathway:** | GPCR/G Protein |
| **Solubility:** | DMSO : ≥ 30 mg/mL (88.93 mM) |



## BIOLOGICAL ACTIVITY:

ZM241385 is a potent, high affinity and selective adenosine **$A_{2a}$ receptor ($A_{2A}R$)** antagonist with a **$K_i$** value of 1.4 nM[1][2][3]. IC50 & Target: Ki: 1.4 nM ($A_{2A}R$)[2] **In Vitro:** ZM241385 (1 μM; 24 hours; PC12 cells) treatment reverses the phenomenon that $A_{2A}R$ agonist CGS21680 significantly upregulates $A_{2A}R$ mRNA levels[1].

ZM241385 (1 μM; 48 hours; PC12 cells) treatment reverses the phenomenon that $A_{2A}R$ agonist CGS21680 significantly increases $A_{2A}R$ protein levels[1]. **In Vivo:** ZM241385 (0.2 μg/mouse, 0.4 μg/mouse; intraperitoneal injection; every day; for 11 weeks; female C57BL/6 WT mice) treatment decreases tumor volume, activates $CD8^+$ T cells and reduces the frequency of splenic MDSC[4].

## PROTOCOL (Extracted from published papers and Only for reference)

Enzyme assay [1] The activity of ZM 241385 was determined against a range of phosphodiesterase enzymes from rat hepatocytes and compared with the activity of theophylline. Isolated hepatocytes were prepared from fed male Sprague Dawley rats and incubated. Cells (3-5 mg dry weight/ml) were pre-incubated at 37°C for 20 min, with constant gassing (95% 02/5% CO2), before use. Cyclic AMP phosphodiesterase activity was measured by a modification of the two step procedure. All assays were performed at 30°C in the presence of cyclic AMP (1 μM). Animal administration [1] Dunkin Hartley guinea-pigs (male 250-400 g) were killed by cervical dislocation and their atria removed and immersed in Krebs solution. The atrial pairs were mounted in organ baths containing oxygenated Krebs solution (95% 02/5% C02) at 37°C . The nucleoside transport inhibitor, dipyridamole (10 gM) was present in the Krebs solution since the agonist, 2-chloroadenosine (2-CADO) has been shown to be a substrate for the transporter. Adenosine deaminase (2 u/ml) was added to remove endogenous tissue adenosine. The spontaneously beating atria were placed under a resting tension of 1 g and allowed to equilibrate for 50 min with continuous overflow. 2-CADO (range 0.01μM-10μM) was administered to produce a slowing of atrial rate before and after incubation of test compound for 30 min (ZM 241385, 3μM-30μM). The affinity of ZM 241385 (10μM) for atrial muscarinic receptors was determined using carbachol (0.01μM-3μM) concentration-response curves.

## References:

[1]. Wang Z, et al. Static magnetic field exposure reproduces cellular effects of the Parkinson's disease drugcandidate ZM241385. PLoS One. 2010 Nov 8;5(11):e13883. doi: 10.1371/journal.pone.0013883.

[2]. Linden J, et al. Characterization of human A(2B) adenosine receptors: radioligandbinding, western blotting, and coupling to G(q) in human embryonickidney 293 cells and HMC-1 mast cells. Mol Pharmacol. 1999 Oct;56(4):705-13.

[3]. Poucher SM, et al. The in vitro pharmacology of ZM 241385, a potent, non-xanthine A2a selective adenosinereceptor antagonist. Br J Pharmacol. 1995 Jul;115(6):1096-102.

[4]. Ludwig S, et al. Impact of combination immunochemotherapies on progression of 4NQO-induced murine oral squamous cell carcinoma. Cancer Immunol Immunother. 2019 Jul;68(7):1133-1141.

## CAIndexNames:

Phenol, 4-[2-[[7-amino-2-(2-furanyl)[1,2,4]triazolo[1,5-a][1,3,5]triazin-5-yl]amino]ethyl]-

## SMILES:

OC1=CC=C(CCNC2=NC3=NC(C4=CC=CO4)=NN3C(N)=N2)C=C1



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