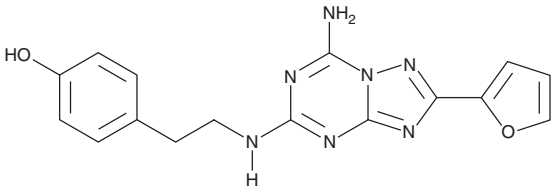
PRODUCT INFORMATION

ZM 241385

Item No. 20447

CAS Registry No.: 139180-30-6
Formal Name: 4-[2-[[7-amino-2-(2-furanyl)[1,2,4]triazolo[1,5-a][1,3,5]triazin-5-yl]amino]ethyl]-phenol
MF: $C_{16}H_{15}N_7O_2$
FW: 337.3
Purity: ≥98%
UV/Vis.: λ_{max}: 228, 256 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

ZM 241385 is supplied as a crystalline solid. A stock solution may be made by dissolving the ZM 241385 in the solvent of choice, which should be purged with an inert gas. ZM 241385 is soluble in organic solvents such as ethanol and DMSO. The solubility of ZM 241385 in these solvents is approximately 5 and 100 mM, respectively.

Description

ZM 241385 is a potent antagonist of A_{2A} adenosine receptors (pIC_{50} = 9.52 for displacement of 5'-N-ethylcarboxamidoadenosine from rat phaeochromocytoma cell membranes).[1,2] It displays little or no activity at other A adenosine receptors. ZM 241385 is active *in vivo*.[3,4] It acts as an inverse agonist at constitutively active mutants of the human A_{2B} adenosine receptor.[5]

References

1. Poucher, S.M., Keddie, J.R., Singh, P., *et al.* The *in vitro* pharmacology of ZM 241385, a potent, non-xanthine A_{2a} selective adenosine receptor antagonist. *Br. J. Pharmacol.* **115(6)**, 1096-1102 (1995).
2. Linden, J., Thai, T., Figler, H., *et al.* Characterization of human A_{2B} adenosine receptors: Radioligand binding, western blotting, and coupling to G_q in human embryonic kidney 293 cells and HMC-1 mast cells. *Mol. Pharmacol.* **56(4)**, 705-713 (1999).
3. Keddie, J.R., Poucher, S.M., Shaw, G.R., *et al. In vivo* characterisation of ZM 241385, a selective adenosine A_{2A} receptor antagonist. *Eur. J. Pharmacol.* **301(1-3)**, 107-113 (1996).
4. Poucher, S.M., Keddie, J.R., Brooks, R., *et al.* Pharmacodynamics of ZM 241385, a potent A_{2a} adenosine receptor antagonist, after enteric administration in rat, cat and dog. *J. Pharm. Pharmacol.* **48(6)**, 601-606 (1996).
5. Li, Q., Ye, K., Blad, C.C. *et al.* ZM241385, DPCPX, MRS1706 are inverse agonists with different relative intrinsic efficacies on constitutively active mutants of the human adenosine A_{2B} receptor. *J. Pharmacol. Exp. Ther.* **320(2)**, 637-645 (2007).

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