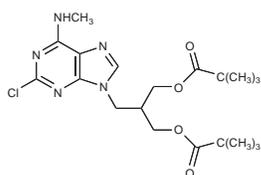


MRS 2395: P2Y₁₂ purinoceptor antagonist

First Available from Sigma-RBI

Prod. No. **M 5942**



P2 receptors are divided into two classes based on their molecular structure and signal transduction mechanisms: P2Y receptors exist as G protein-coupled receptors while P2X receptors exist as ligand-gated ion channels [1]. These receptor subtypes are found in both the central and peripheral nervous system, the cardiovascular system, the endocrine system, lung, intestine, muscle and the immune system [2].

MRS 2395 is a recently described P2Y₁₂ purinoceptor antagonist that has been shown to inhibit ADP-induced aggregation in rat platelets, displaying a K_i value of 3.7 μM [2].

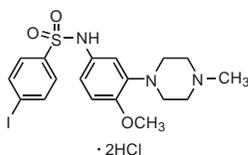
MRS 2395 is one of the first identified P2Y₁₂ purinoceptor antagonists, giving researchers a novel tool for studying the function of this receptor subtype as well as its role in various physiological functions.

References

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SB-258585: Highly selective 5-HT₆ serotonin receptor antagonist

Prod. No. **S 1194**



Serotonin (5-hydroxytryptamine, 5-HT) receptors have been classified by structural, functional and pharmacological criteria into seven distinct receptor classes referred to as 5-HT₁ - 5-HT₇. As compared with other 5-HT receptors, the function of the 5-HT₆ receptor is largely unknown.

SB-258585 was recently identified as a potent antagonist at 5-HT₆ receptors, displaying greater than 100-fold selectivity for 5-HT₆ receptors over 10 other 5-HT receptors investigated [1]. Radioligand binding studies have shown that [¹²⁵I]-SB-258585 binds with high affinity to both recombinant and native 5-HT₆ receptors from rat, pig and human brain and exhibits pK_D values in the range 8.5-9.1 [2]. Subsequent autoradiographic studies performed in rat brain using [¹²⁵I]-SB-258585 indicated a distribution of 5-HT₆ receptor binding sites that suggests their possible involvement in locomotor control, cognition, memory and control of affect [3].

SB-258585 should prove, therefore, to be a useful tool for probing the function of 5-HT₆ receptors in the central nervous system.

References

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- Hirst, W.D., et al., Characterization of [¹²⁵I]-SB-258585 binding to recombinant and native 5-HT₆ receptors in rat, pig and human brain tissue. *Br. J. Pharmacol.*, **130**, 1597-1605 (2000).

Anti-Transportin 1: Nuclear import receptor marker

Prod. No. **T 0825**

Clone D45, developed in mouse

Purified mouse immunoglobulin in phosphate-buffered saline
Immunogen: recombinant human transportin [1]

*Iso*type: IgG1

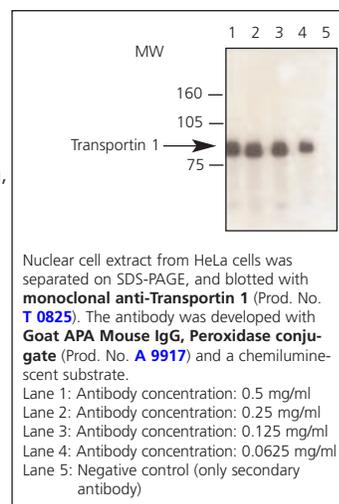
Species Reactivity: Human, mouse, rat, bovine, canine

Transport of molecules to and from the nucleus is crucial for cell function. Both the entry and exit processes play an important role in the regulation of diverse cellular processes including growth factor-mediated signaling, stress responses, cell cycle control and gene transcription/translation [2].

Molecules requiring nuclear transport are diverse and comprise proteins as well as RNA-protein complexes (RNPs, ribonucleoproteins). Proteins and RNAs are imported and exported through nuclear pore complexes (NPCs) that perforate the double bilayer of the nuclear envelope [3]. Transportin 1 is a member of the importin β family of nuclear import receptors. Transportin 1 is responsible for importing heterogeneous nuclear ribonucleoprotein (hnRNP) A1 back to the nucleus after it exports mRNA.

Transportin 1 is localized in the cytoplasm, nucleoplasm, and nuclear rim, similar to the localization of importin β. This suggests that transportin 1 may interact with NPC during translocation.

Immunoblot using a HeLa nuclear extract detected a band at 90 kDa. In addition, the antibody may be used for immunoprecipitation and immunocytochemistry.



References

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- Mattaj, I.W. and Englmeier, L., Nucleocytoplasmic transport: the soluble phase., *Annu. Rev. Biochem.*, **67**, 265-306 (1998).
- Ryan, K.J. and Wentz, S., The nuclear pore complex: a protein machine bridging the nucleus and cytoplasm., *Curr. Opin. Cell Biol.*, **12**, 361-371 (2000).

Related Antibodies and Proteins	Application	Prod. No.
Monoclonal Anti-hnRNP M1-M4, Clone HL374 (1D8-2C5) (mouse)	Immunoblotting, Immunoprecipitation	R 3902
Monoclonal Anti-hnRNP M3-M4, Clone HL372 (2A6-2H3) (mouse)	Immunoblotting, Immunoprecipitation	R 3777
Importin β1	—	I 9781
Importin α2	—	I 9656
Import Ligand - Fluorescent	—	I 9906
Nuclear Transport Factor 2	—	N 4160
Nuclear Transport Factor 2-Agarose	—	N 9285