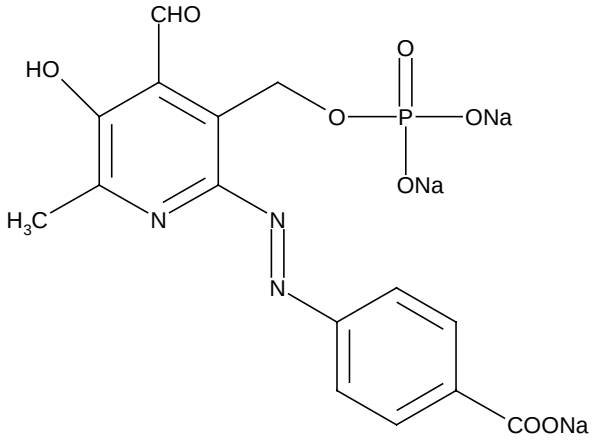
ProductInformation

MRS 2159
Product Number **M7684**
Storage Temperature: 4°C



Product Description
Molecular Formula: $C_{15}H_{11}N_3O_8PNa_3$
Molecular Weight: 461.21 (anhydr.)

Surface receptors for extracellular nucleotides are collectively called P2 receptors. These entities were previously called P2 purinoceptors, but it is now realized that some receptors are activated by pyrimidine nucleotides as well as by purine nucleotides. The two families of P2 receptors are defined as the ionotropic P2X receptors (ligand-gated ion channels) and the metabotropic P2Y receptors (G protein-coupled receptors). Members of each family are recognized first by a common topology for peptides, distinguished by structural diversity of their deduced amino acid sequences and identified by pharmacological properties.

Members of the family of ionotropic receptors, $P2X_{1-7,}$ exhibit the following subunit topology:1) intracellular N- and C-termini, with consensus binding motifs for kinases, 2) two transmembrane spanning regions (TM), with TM2 lining the ion pore and TM1 possibly stablizing the pore structure, 3) a large extracellular loop, with 10 conserved cysteine residues forming a ladder of disulfide bridges in each loop, 4) a hydrophobic H5 region close to the pore vestibule, for possible receptor/channel modulation by divalent cations (Mg^{2+}, Ca^{2+}, Zn^{2+} and Cu^{2+}), and 5) an ATP-binding site, which may involve the extracellular loops from more than one subunit of an oligomeric assembly. The $P2X_{1-7}$ receptors share 30-50% amino acid homology. The stoichiometry of the P2X receptor assemblies is unknown.

MRS 2159 is a very potent (IC_{50} of 10nM) $P2X_1$ receptor antagonist.

Preparation Instructions
Soluble in water (>10 mg/ml)

Storage/Stability
Store tightly sealed at 4°C.

References
1. Kim, Y.C. et al., Drug Dev. Res., **45**, 52-66 (1998).
2. Jacobson, K.A. et al., J. Auto. Nerv. Sys., **81**, 152-157 (2000).

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