Azelastine

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Azelastine is an antihistamine, used in Treatment of both Seasonal and Perennial allergic rhinitis. It is Potent Selective histamine – H_1-receptor blocker (H_1-antagonist). This effect is partly based on a competitive and partly on a non-competitive inhibition.

Its Intranasal administration (spray) prior to challenge inhibited the histamine induced hypersecretion without affecting the basal level of secretion (i.e. Mucous Membrane did not tend to become dry after T/t). However depending on concentration, it also inhibits histamine release from Mast cells stimulated by allergens and also by non-allergens. In addition to inhibition of release and activity of histamine, production or release of many other Mediators involved in allergic process is inhibited. Thus it inhibits broncho-constriction induced by Leukotriens and Platelet Activating factor, And also suppresses inflammation in respiratory tract. It reduces release of Leukotriens from activiated polymorpho-nuclear leukocyte, inhibits formation of oxygen redicals in neutrophils and Eosinophils, decreases Expression of intercellular adhesion Molecules on Nasal Epithelial cells (thus no more adhesion of eosinophils and so no Migration). In several clinical experiments these effects of azelastine are found to be in particular due to its influence on calcium release in cytopasm and due to inhibition of Calcium influx. In addition, inhibition of Protein-Kinase-C activity in the inflammatory cells is also its one of the mechanism of action.

Azelastine nasal spray is buffered isotonic slightly viscous aqueous solutions for Nasal delivery of 0.14 mg. or ml. (solution is 0.1% W/V) azelastine Hydrochloride Per Actuation. Only 5-10% of drug is absorbed by Mucosa and estimated concentration in the Mucosa is about 10μ mol/1.

It also contains Methyl-Hydroxy-Propyl Cellulose, Sodium edtate, Benzalkonium Chloride, Citric Acid and Sodium Phosphate. It is administered by metered pump device, one puff in each nostril with head held upright, on twice daily basis (i.e. 0.56 mg. per day). It has a very rapid onset of action within 15 minutes of spray and have a duration of effect of atleast 12 hours. The drug should be kept in cool place but not in refrigerator.

Though administered locally, drug is not active systemically and hence systemic adverse effects are not there. This no sedation effect and no cardiac toxicity are its major advantages. Side effects only include irritation of nasal mucosa and taste disturbance (later is usually seen with improper administration in head reclined back position).

It is contraindicated in Patients with proven allergy to any of its components. It is not recommended for use during pregnancy or lactation and for children under 6 yrs. of age.

It is proved to be effective and particularly tolerable in various studies and shows no development of tolerance when given as a long term treatment. It offers a comparably favourable risk benefit ratio as compared to systemic Antihistamines (Systemic side effects and toxicity has been a problem with later.) In various studies performed so far good response has been found in control of Nasal congestion and blockage with Azelastine (with use of systemic Antihistamines, there had often been need of adding oral or topical sympathomimetic). This advantages is substantial for improvement of quality of life in patient of Allergic rhinitis and hence it is an important cornerstone in treatment of this disease.