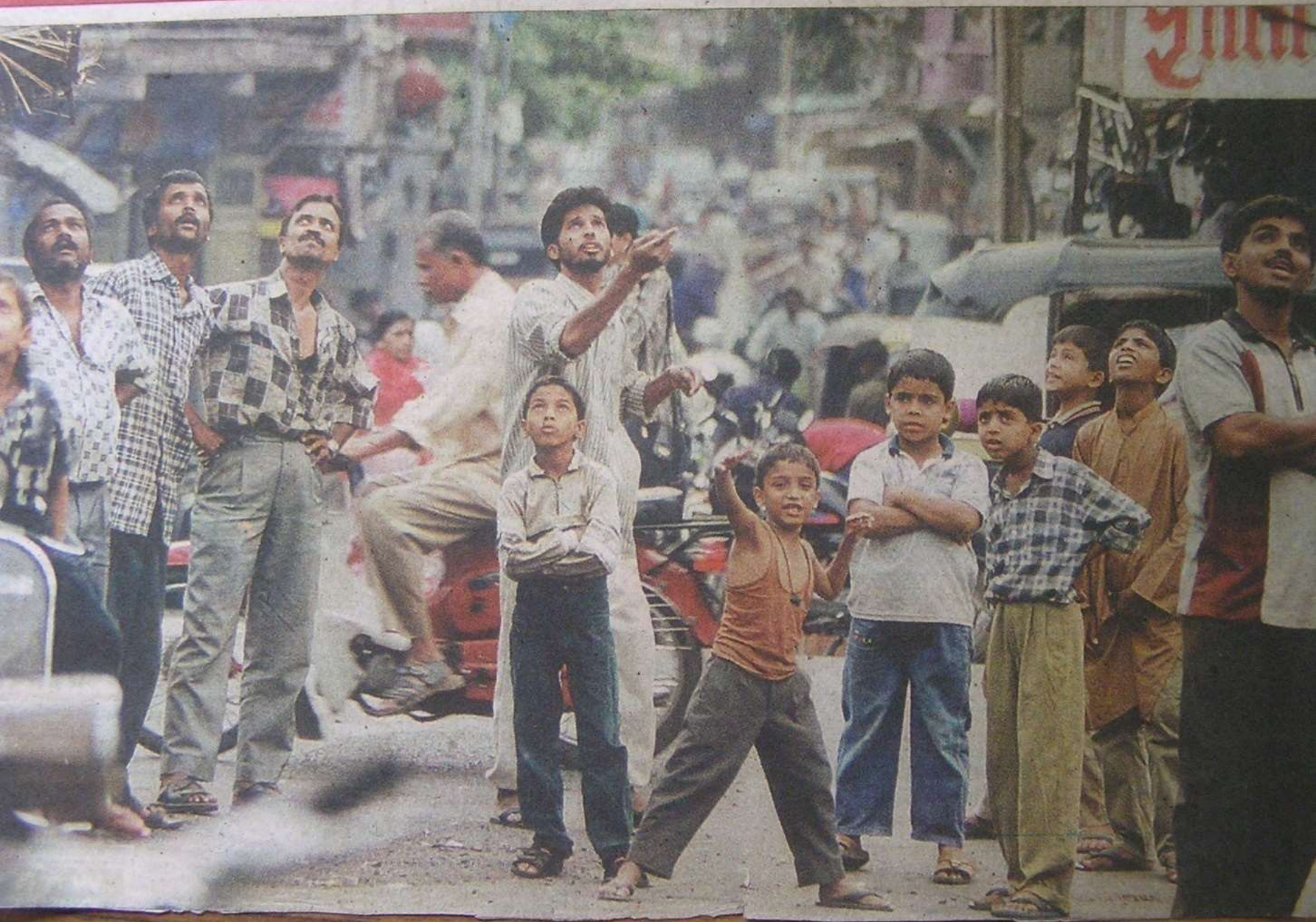


Antihistamines in Dermatology

Dr Rajendra Shinde

MBBS DVD DNB MNAMS

RAIL PATANG? NOT YET!



Introduction

- Pruritus is major symptom in dermatology
- Majority of these cases have allergic etiology
- Though there are numerous mediators for allergic response of the body (cytokines or chemokines), there is one important mediator

“Histamine”

which plays an important role in most of these so called pruritic dermatoses

Histamine

- Histidine → histamine (decarboxylase)
- Major role in allergic response
- Early phase (mast cell) < 1 hour
- late phase (basophil) > 3 hours
- Acts on H1 H2 H3 H4 (allergic response)
- Target cells - Endothelial cells, neurons & immunocompetent cells
- Histamine release by immunologic or non immunologic stimuli

Histamine actions

- Dilates terminal arterioles
- Increases venous permeability
- Contracts smooth muscles
- Stimulates mucus secretion
- Stimulates gastric acid secretion H₂
- Activates endothelial cells to release prostacyclin H₂

Mechanism of Action of antihistamine

- **Inverse Agonists** rather than antagonist i.e.

Down regulating the constitutive activated state
of the corresponding receptors

H1 acid secretion

H3 autoreceptors negative feed back

H4 not known

H2 mediated down regulation of T cell activation

“preventive” rather than “as required basis”

Classification

First generation

Chlorphenaramine, promethazine

(short acting, peak plasma levels 2 hours,
protein bound, P450, highly lipophilic,
Cross BBB, anticholinergic effects)

Second generation

Cetirizine, levocetirizine, loratidine, fexofenadine

Inactive prodrug or active metabolite

Classification

- Ethanolamine -----diphenhydramine
- Piperidine----- cyproheptadine
- Phenothiazine -----promethazine
- Alkylamine -----chlorphenaramine
- Piperazine -----hydroxyzine
- Others -----doxepin

Side effects

- CNS sedation & impaired cognition
 hyperexcitability
 increased appetite
- GIT dry mouth & constipation
- GUT dysuria & erectile dysfunction
- Ocular blurred vision
- Cardiac tachycardia
 arrhythmias
 prolongation of qt interval

Drug Interactions

Increase levels of antihistaminics (torsades de pointes)

Macrolides, azoles, HIV protease inhibitors

Decrease levels of antihistaminics (CYP 3A4 inducers)

Anticonvulsants & rifamycins

Additive CNS depression

Antidepressants, benzodiazepine, alcohol

Indications

- Urticaria
- Mastocytosis
- Eczemas –atopic
- Pruritic dermatoses
- Acute Insect bite reactions
- Drug eruptions

Doses of common antihistamines

- Cetrizine 10 mg per day
- Levocetirizine5 mg per day
- Loratadine10 mg per day
- Desloratidine5 mg per day
- Fexofenadine180 mg perday
- Doxepine10-75 mg per day
- Hydroxyzine10-75 mg per day
- Chlorphenaramine4-8 mg per day

Pregnancy and Lactation

- Chlorpheniramine
- Diphenhydramine
- Cetrizine

Use with caution in lactation

Summary

Though antihistaminics like steroids are maximally prescribed by dermatologist understanding their pharmacology is very important and may go a long way in selecting the appropriate antihistaminic in our practice ultimately benefitting our patients at large.



Thank you

