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## CARBINOXAMINE MALEATE

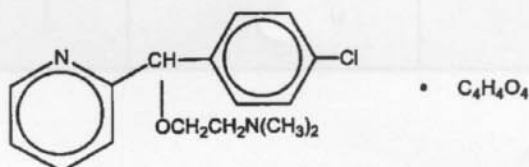
A CLINICAL APPRAISAL (EXCERPT)

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Carbinoxamine maleate appears to have the necessary advantages, in the form of low dosage, high degree of effectiveness, and low incidence of unpleasant side effects, to warrant extensive clinical use.

This is a brief report on the use of Carbinoxamine maleate in 126 patients from a private practice. All of these patients suffered from severe allergic symptoms which had not been relieved by other measures, often including other antihistamine drugs. Of these patients, 113 had allergic rhinitis, 11 had urticaria, 1 had asthma, 26 had allergic rhinitis and asthma, and 1 had allergic conjunctivitis. Their ages ranged from 3 to 67 years, 10 patients were 6 years of age or less, and 31 patients were 12 years of age or less.

Initially each patient was given an envelope containing either 4 or 6 mg. tablets of Carbinoxamine maleate or an identical-appearing placebo. The patient was instructed to take one tablet every four hours as needed. At a subsequent visit he was asked whether he had noted any effect at all from the medication and how it compared with other drugs he had taken. No specific questions were asked regarding side effects, but all patients were well known to us and could be expected to volunteer such information. Whenever symptoms were persistent and where it was necessary to continue medication over a period of time, the patient was switched to each dosage of Carbinoxamine maleate and to the placebo without being informed of the change. At each visit, he was asked about the effect of the tablets and then given a new supply.



Carbinoxamine Maleate

## RESULTS

**Effectiveness**—The data are summarized in Table I. Of the 91 patients who received the 4mg. dose of Carbinoxamine maleate, 87 per cent noted some degree of relief and, in 47 percent, this relief was marked to complete. Of the 56 patients who received the 6 mg. dose of Carbinoxamine maleate, 80 per cent obtained some benefit and 37 per cent obtained marked to complete relief of their symptoms. All of the patients taking larger doses of the drug received some relief of their symptoms. The onset of action after the oral administration of Carbinoxamine maleate usually required from thirty to sixty minutes, and the duration of action was approximately four hours.

**TABLE I. EFFECT OF VARIOUS DOSES OF CARBINOXAMINE MALEATE OR OF A PLACEBO ON RELIEF OF ALLERGIC SYMPTOMS.**

**DOSAGE OF CARBINOXAMINE MALEATE (IN MG.) EVERY 4 HOURS**

<u>DEGREE OF RELIEF</u>	<u>3mg</u>	<u>4mg</u>	<u>6mg</u>	<u>8mg</u>	<u>10mg</u>	<u>PLACEBO</u>
None		12 (13%)	11 (20%)			12 (43%)
Slight		13 (14%)	13 (23%)	3		2 (7%)
Moderate		23 (25%)	11 (20%)			5 (18%)
Marked		28 (31%)	13 (23%)	1	1	8 (28%)
Complete	1	15 (16%)	8 (14%)			1 (4%)
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Total number of patients	1	91	56	4	1	28

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**TABLE II. DEGREE OF RELIEF PRODUCED BY CARBINOXAMINE MALEATE IN VARIOUS ALLEGIC CONDITIONS**

**DEGREE OF RELIEF**

<u>CONDITION</u>	<u>NONE</u>	<u>SLIGHT TO MODERATE</u>	<u>MARKED TO COMPLETE</u>	<u>TOTAL NUMBER</u>
Allergic rhinitis	15 (13%)	44 (39%)	54 (48%)	113
Urticaria	0	3 (27%)	8 (73%)	11
Asthma	1	0	0	1
Allergic rhinitis and asthma	3	18 (65%)	5 (19%)	26
Allergic conjunctivitis	0	0	1	1

A breakdown of the effectiveness of Carbinoxamine maleate in various allergic conditions is given in Table II. Of 113 patients with allergic rhinitis, 87 per cent reported some relief, and 48 per cent the relief was marked to complete. Of 11 patients with urticaria, all obtained some degree of relief from Carbinoxamine maleate, and in 73 per cent the relief was marked to complete. Of 26 patients with allergic rhinitis and asthma, 88 per cent obtained some relief while taking the drug, but in only 19 per cent was the relief considered as marked or complete. In the group of children 12 years of age or less, 84 per cent said the drug gave some relief.

**Side Effects**—The side effects reported by our patients while receiving carbinoxamine maleate or a placebo are summarized in Table III. The most common side effect was drowsiness, but in only 4 per cent of the patients was this sedation considered to be of moderate or severe degree. **Drowsiness, when it did occur, seemed to disappear after a few days despite continued use of the drug.** In only one case was it necessary to stop the drug.

An illustrative case indicating that Carbinoxamine maleate has little sedative action was that of one patient, a physician, who had tried most, if not all, of the other available antihistaminic drugs. He was unable to continue their use because of the drowsiness they produced. His allergic symptoms were relieved by 3 mg. of Carbinoxamine maleate every four hours as needed, and he experienced no drowsiness while taking the drug.

**TABLE III. SIDE EFFECTS PRODUCED BY CARBINOXAMINE MALEATE OR A PLACEBO**

	CARBINOXAMINE MALEATE (126 patients)	PLACEBO (28 patients)
Drowsiness		
Mild	14	1
Moderate	4	0
Severe	1	0
	} 15%	
Dizziness	1	0
Nausea	1	0
Insomnia	0	1
Headache	1	0

**Chronic Toxicity**—Carbinoxamine maleate was administered orally to 20 individuals for a period of from four to six weeks in a total daily dose of from 18 to 24 mg. Complete blood studies on these individuals revealed no significant changes in the total erythrocyte counts, hemoglobin levels, hematocrit, platelet counts, leukocyte, or differential counts. No toxic effects were noted in any of the individuals in this group other than mild sedation which was noted by three of the subjects.

## DISCUSSION

Our clinical trials with Carbinoxamine maleate suggest that this drug compares favorably with the most effective antihistaminic agents now available, but that, in addition, it produces less sedation than most of the other antihistaminic drugs commonly used in the treatment of allergic disorders. Our results would indicate that this new drug approaches the goal of a potent antihistaminic agent with only weak sedative properties.

## SUMMARY

Carbinoxamine maleate, a new antihistaminic agent, was evaluated clinically in 126 patients with allergic disorders.

With doses of from 4 mg. to 6 mg., usually every four hours, 80 to 87 per cent of the patients noted some degree of relief. In 37 to 47 per cent of the patients, this relief was marked to complete.

Drowsiness of a moderate to severe degree was observed in only five patients (4 per cent).

Carbinoxamine maleate is a potent antihistaminic drug with only weak sedation properties and should be a useful adjunct in the treatment of allergic conditions.

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