OPHTHAINE (PROPARACINE HYDROCHLORIDE) A LOCAL ANAESTHETIC FOR OPHTHALMIC SURGERY*

BY

P. V. RYCROFT

London

THERE has long been a need in ophthalmology for a pleasant, non-irritant, safe and effective local analgesic, free from such side-effects as pupil dilatation or cycloplegia.

The compounds in common use to-day, such as cocaine 4 per cent. (D.D.A.), affect the pupil and desiccate the cornea, and amethocaine (Pantocain, Pontocaine, Tetracain) and related compound amylocaine (Dorsacaine, Phenolaine) and Benzamine (Eucaine) cause stinging, irritation, blepharospasm, and conjunctival congestion.

Novesine (Wander), which has a formula similar to "Ophthaine", has proved excellent for tonometry, but not so successful for tonography or gonioscopy, and also has the disadvantage of stinging on application.

Ophthaine underwent extensive trials in the United States in the years 1950-55, and for the past 3 years has been in regular clinical use by several colleagues and myself. During this period not a single case of sensitivity has occurred, and the drug has proved to be the most satisfactory all-round analgesic available.

Chemistry

Ophthaine, which takes the form of an amorphous off-white solid, is used in 0.50 per cent. concentration and is freely soluble in water and dilute acids to yield a clear solution. It is not compatible with alkalis, since the insoluble free base is liberated.

The drug is prepared as a sterile solution in combination with 0.2 per cent. chlorbutanol (chloral derivative) and benzalkonium chloride as preservatives, glycerine as stabilizer, and sodium hydroxide and hydrochloric acid to adjust the pH.

The molecular weight is 330.85; The chemical formula is given below.

Ophthaine (2-diethylaminoethyl 3-amino-4-propoxybenzoate-Hydrochloride) $\text{C}_{16}\text{H}_{27}\text{N}_2\text{O}_3\text{Cl}$

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Storage

Unopened bottles may be stored at room temperature. Although refrigeration is recommended to retard discoloration of the solution, it is not essential for general use.

Ophthaine retains its properties if left at room temperature for long periods.

Clinical Trials

McIntyre, Lee, Rasmussen, Kuppinger, and Sievers (1950) reported a comparative trial of Ophthaine with amethocaine (Tetracaine, Pontocaine) and other amethocaine derivatives. They investigated subjective sensation on instillation, time of onset of anaesthesia, depth and duration of anaesthesia, corneal changes, and vascular engorgement of the conjunctiva.

Ophthaine was found to be superior in all these tests to the current topical anaesthetics.

Boozan and Cohen (1953) confirmed these findings in a similar trial; they showed that after a single drop of Ophthaine anaesthesia begins in an average of 13 seconds and lasts for an average of 15 minutes; the onset was quicker and the duration longer than that of amethocaine.

Jervey (1955) reported a blind trial of ten different local anaesthetics in 1,000 patients, using approximately fifty eyes for each test. Ophthaine had the quickest onset of anaesthesia, the longest duration of action, and the least discomfort, and was thus concluded to be the best all-round local anaesthetic for routine use. The other local anaesthetics in this trial included butacaine (Butyn), amethocaine (Pantocaine, Tetracaine), and amylocaine (Dorsacain).

Present Study

During the past 3 years, in over 1,000 cases, my colleagues and I have evaluated Ophthaine in this capacity. Its main advantages over amethocaine (Pantocain) and cocaine are as follows:

- (1) There is no stinging, discomfort, or unpleasant sensation when the drug is instilled into the conjunctival sac.
- (2) There is no blepharospasm.
- (3) There is no appreciable degree of vasodilatation or conjunctival congestion.
- (4) The drug does not affect the pupil or cause cycloplegia.
- (5) There is no appreciable amount of corneal desiccation.
- (6) There was no case of generalized sensitivity.

With the growing interest in the early recognition of glaucoma by routine tonometry, Ophthaine should prove extremely helpful to general practitioners and ophthalmologists alike. It may also be used in a dosage of 1 or 2 drops for the removal of corneal foreign bodies, corneal sutures, conjunctival scrapings for diagnosis, and gonioscopy.

Deep pre-operative local anaesthesia for cataract extraction was achieved in New York by Boozan and Cohen (1953) in 43 cases, up to seven drops being instilled, one every 5 to 10 minutes. The anaesthesia was deep enough to permit any desired surgical manipulation.

Side-Effects

No allergy to Ophthaine was found in 500 cases in the 1960 trials, and none occurred in the present study.

In the previous trial three out of four eyes which were sensitive to amethocaine (Tetracain) responded to Ophthaine drops; the fourth became sensitive to Ophthaine also, and this is the only such case on record.

No conjunctival irritation or other toxic reactions occurred. A mild degree of vasodilatation was noted but there was no marked drying of the cornea.

Summary

A safe and potent local anaesthetic is now available, which is painless to instil and free from side-effects. This solution is marketed in plastic drop bottles under the trade name of "Ophthaine" (Squibb) and appears to be at present the best local anaesthetic for routine ophthalmic use.

It should be valuable to the general practitioner and contact lens practitioner, as well as to the ophthalmic surgeon.

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