DAPIPRAZOLE- dapiprazole
Baradaina, LLC

Dapiprazole Hydrochloride Ophthalmic Solution, 0.5%

DESCRIPTION:

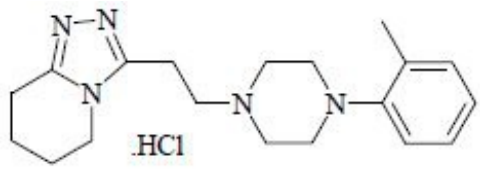
For ophthalmic use only.

Dapiprazole hydrochloride is an alpha-adrenergic blocking agent.

Dapiprazole hydrochloride is 5,6,7,8-tetrahydro-3-[2-(4- *o* .tolyl-1-piperazinyl)ethyl]- *s* -triazolo[4,3-a]pyridine hydrochloride.

Dapiprazole hydrochloride has the empirical formula $C_{19}H_{27}N_5$ • HCl and a molecular weight of 361.93.

The structural formula for dapiprazole hydrochloride is:



Dapiprazole hydrochloride is a sterile, white, lyophilized powder soluble in water.

Dapiprazole hydrochloride ophthalmic solution, 0.5% is a clear, colorless, slightly viscous solution for topical application. Each mL (when reconstituted as directed) contains 5 mg of dapiprazole hydrochloride as the active ingredient.

The reconstituted solution has a pH of approximately 6.6 and an osmolarity of approximately 415 mOsm.

The inactive ingredients include: mannitol (2%), sodium chloride, hydroxypropyl methylcellulose (0.4%), edetate sodium (0.01%), sodium phosphate dibasic, sodium phosphate monobasic, water for injection, and benzalkonium chloride (0.01%) as a preservative.

Dapiprazole hydrochloride ophthalmic solution, 0.5% is supplied in a kit consisting of one vial of dapiprazole hydrochloride (25 mg), one vial of diluent (5 mL) and one dropper for dispensing.

CLINICAL PHARMACOLOGY:

Dapiprazole hydrochloride ophthalmic solution acts through blocking the alpha-adrenergic receptors in smooth muscle. Dapiprazole hydrochloride ophthalmic solution produces miosis through an effect on the dilator muscle of the iris.

Dapiprazole hydrochloride ophthalmic solution does not have any significant activity on ciliary muscle contraction and, therefore does not induce a significant change in the anterior chamber depth or the thickness of the lens.

Dapiprazole hydrochloride ophthalmic solution has demonstrated safe and rapid reversal

of mydriasis produced by phenylephrine and to a lesser degree tropicamide. In patients with decreased accommodative amplitude due to treatment with tropicamide, dapiprazole hydrochloride ophthalmic solution partially restores the accommodative amplitude. This activity is not only due to its miotic effect but also to a direct effect on accommodation.

Eye color affects the rate of pupillary constriction. In individuals with brown irides, the rate of pupillary constriction may be slightly slower than in individuals with blue or green irides. Eye color does not appear to affect the final pupil size.

Dapiprazole hydrochloride ophthalmic solution does not significantly alter intraocular pressure in normotensive eyes or in eyes with elevated intraocular pressure.

INDICATIONS AND USAGE:

Dapiprazole hydrochloride ophthalmic solution is indicated in the treatment of iatrogenically induced mydriasis produced by adrenergic (phenylephrine) or parasympatholytic (tropicamide) agents. Dapiprazole hydrochloride ophthalmic solution is not indicated for the reduction of intraocular pressure or in the treatment of open angle glaucoma.

CONTRAINDICATIONS:

Miotics are contraindicated where constriction is undesirable; such as acute iritis, and in those subjects showing hypersensitivity to any component of this preparation.

WARNING:

For Topical Ophthalmic Use Only. NOT FOR INJECTION. Do not touch the dropper up to lids or any surface, as this may contaminate the solution. Dapiprazole hydrochloride ophthalmic solution should not be used in the same patient more frequently than once a week.

PRECAUTIONS:

Information to Patients:

Miosis may cause difficulty in dark adaptation and may reduce the field of vision. Patients should exercise caution when involved in night driving or other activities in poor illumination.

Carcinogenesis, Mutagenesis, Impairment of Fertility:

Dapiprazole has been shown to significantly increase the incidence of liver tumors in rats after continuous dietary administration for 104 weeks. This effect was found only in male rats treated with the highest dose administered in the study, i.e., 300 mg/kg/day, (80,000 times the human dose) and was not observed in male and female rats at doses of 30 and 100 mg/kg/day and female rats at doses of 300 mg/kg/day.

Negative results have been reported on the mutagenicity and impairment of fertility

studies with dapiprazole hydrochloride.

Pregnancy:

Reproduction studies have been performed in rats and rabbits at doses up to 128,000 (rat) and 27,000 (rabbit) times the human ophthalmic dose and revealed no evidence of impaired fertility or harm to the fetus due to dapiprazole hydrochloride. There are, however, no adequate and well-controlled studies in pregnant women. Because animal reproduction studies are not always predictive of human response, this drug should be used during pregnancy only if clearly needed.

Nursing Mothers:

It is not known whether this drug is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when dapiprazole hydrochloride ophthalmic solution is administered to a nursing woman.

Pediatric Use:

Safety and effectiveness in pediatric patients below the age of 4 have not been established.

ADVERSE REACTIONS:

In controlled studies the most frequent reaction to dapiprazole was conjunctival injection lasting 20 minutes in over 80% of patients. Burning on instillation of dapiprazole hydrochloride ophthalmic solution was reported in approximately half of all patients. Reactions occurring in 10% to 40% of patients included ptosis, lid erythema, lid edema, chemosis, itching, punctate keratitis, corneal edema, browache, photophobia and headaches. Other reactions reported less frequently included dryness of eyes, tearing and blurring of vision.

DOSAGE AND ADMINISTRATION:

Two drops followed 5 minutes later by an additional 2 drops applied topically to the conjunctiva of each eye should be administered after the ophthalmic examination to reverse the diagnostic mydriasis. Dapiprazole hydrochloride ophthalmic solution should not be used in the same patient more frequently than once per week.

Directions for Preparing Eyedrops:

1. Use aseptic technique.
2. Tear off aluminum seals, remove and discard rubber plugs from both drug and diluent vials
3. Pour diluent into drug vial.
4. Remove dropper assembly from its sterile wrapping and attach to the drug vial.
5. Shake container for several minutes to ensure mixing.

HOW SUPPLIED:

Dapiprazole hydrochloride ophthalmic solution, 0.5% - Sterile is supplied as an outer

package (NDC 53020-265-01) containing:

NDC 53020-255-01 single vial of dapiprazole hydrochloride (25 mg) lyophilized powder

NDC 53020-245-01 single vial of diluent (5 mL)

Single dropper for dispensing

Storage and Stability of Eyedrops:

Once the ophthalmic solution has been reconstituted it may be stored at 20° - 25°C (68° - 77°F) [See USP Controlled Temperature] for 21 days. Discard any solution that is not clear and colorless.

Updated: February 2019

Manufactured by:
Exela Pharma Sciences, LLC
Lenoir, NC 28645

Manufactured For:
Baradaina, LLC
8780 W. Golf Road, Suite 304
Niles , Illinois 60714

Rx only

PRINCIPAL DISPLAY-Container Label

Sterile

Dapiprazole HCl

Ophthalmic Solution

***0.5%* E Y E D R O P S**

Rx only

For Use in the Eyes
5 mL
(when diluent is added)

BARADAINA, LLC

DAPIPRAZOLE

dapiprazole kit

Product Information			
Product Type	HUMAN PRESCRIPTION DRUG	**Item Code (Source)**	NDC:53020-265

Packaging

#	Item Code	Package Description	Marketing Start Date	Marketing End Date
1	NDC:53020-265-01	1 in 1 CARTON; Type 1: Convenience Kit of Co-Package	07/31/2019	

Quantity of Parts

Part #	Package Quantity	Total Product Quantity
Part 1	1 VIAL	5 mL
Part 2	1 VIAL	5 mL

Part 1 of 2

DAPIPRAZOLE

dapiprazole powder, for solution

Product Information	
Item Code (Source)	NDC:53020-255

Route of Administration	OPHTHALMIC

Active Ingredient/Active Moiety		
Ingredient Name	**Basis of Strength**	**Strength**
DAPIPRAZOLE HYDROCHLORIDE (UNII: DS9UJN1I0X) (DAPIPRAZOLE - UNII:5RNZ8GJO7K)	DAPIPRAZOLE HYDROCHLORIDE	25 mg in 5 mL

Inactive Ingredients	
Ingredient Name	**Strength**
MANNITOL (UNII: 3OWL53L36A)	

Packaging				
#	**Item Code**	**Package Description**	**Marketing Start Date**	**Marketing End Date**
1	NDC:53020-255-01	5 mL in 1 VIAL; Type 0: Not a Combination Product		

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
ANDA	ANDA204902	07/31/2019	

Part 2 of 2

DILUENT

diluent solution

Product Information	
Item Code (Source)	NDC:53020-245
Route of Administration	OPHTHALMIC

Inactive Ingredients	
Ingredient Name	**Strength**
SODIUM CHLORIDE (UNII: 451W47IQ8X)	
SODIUM HYDROXIDE (UNII: 55X04QC32I)	
HYPROMELLOSE 2208 (100 MPA.S) (UNII: B1QE5P712K)	
EDETATE SODIUM (UNII: MP1J8420LU)	
SODIUM PHOSPHATE, DIBASIC (UNII: GR686LBA74)	
SODIUM PHOSPHATE, MONOBASIC, MONOHYDRATE (UNII: 593YOG76RN)	
WATER (UNII: 059QF0KO0R)	

BENZALKONIUM CHLORIDE (UNII: F5UM2KM3W7)	

Packaging

#	Item Code	Package Description	Marketing Start Date	Marketing End Date
1	NDC:53020-245-01	5 mL in 1 VIAL; Type 0: Not a Combination Product		

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
ANDA	ANDA204902	07/31/2019	

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
ANDA	ANDA204902	07/31/2019	

Labeler - Baradaina, LLC (078529962)

Registrant - Baradaina, LLC (078529962)

Revised: 1/2024 Baradaina, LLC