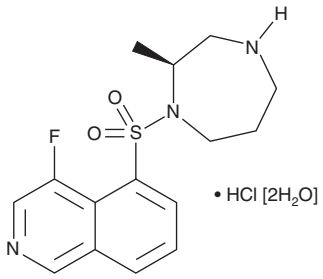
PRODUCT INFORMATION

Ripasudil (hydrochloride hydrate)

Item No. 19920

CAS Registry No.: 887375-67-9
Formal Name: 4-fluoro-5-[[(2S)-hexahydro-2-methyl-1H-1,4-diazepin-1-yl]sulfonyl]-isoquinoline, monohydrochloride, dihydrate
Synonym: K-115
MF: $C_{15}H_{18}FN_3O_2S \bullet HCl\ [2H_2O]$
FW: 395.9
Purity: ≥98%
UV/Vis.: λ_{max}: 211, 278, 324 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ripasudil (hydrochloride hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the ripasudil (hydrochloride hydrate) in the solvent of choice. Ripasudil (hydrochloride hydrate) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of ripasudil (hydrochloride hydrate) in DMSO is approximately 30 mg/ml. Ripasudil (hydrochloride hydrate) is slightly soluble in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ripasudil (hydrochloride hydrate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ripasudil (hydrochloride hydrate) in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Ripasudil is an inhibitor of Rho-associated kinase 1 (ROCK1) and ROCK2 (IC_{50}s = 51 and 19 nM, respectively).[1] It is selective for ROCK1 and ROCK2 over protein kinase A catalytic subunit α (PKACα) and PKC (IC_{50}s = 2,100 and >10,000 nM, respectively). Ripasudil (0.4%) reduces intraocular pressure in cynomolgus monkey to a greater extent than the prostaglandin FP receptor agonist latanoprost (Item No. 16812). It increases the outflow facility of the aqueous humor in rabbit eyes when administered in a 0.4% solution. Ripasudil (0.4%) decreases intraocular pressure, retinal ganglion cell death, and thinning of inner retinal layers, as well as improves retinal function, in an excitatory amino acid transporter 1 (EAAT1) knockout mouse model of normal tension glaucoma.[2] Formulations containing ripasudil have been used in the treatment of glaucoma and ocular hypertension.

References

1. Isobe, T., Mizuno, K., Kaneko, Y., *et al.* Effects of K-115, a rho-kinase inhibitor, on aqueous humor dynamics in rabbits. *Curr. Eye Res.* **39(8)**, 813-822 (2014).
2. Akaiwa, K., Namekata, K., Azuchi, Y., *et al.* Topical ripasudil suppresses retinal ganglion cell death in a mouse model of normal tension glaucoma. *Invest. Ophthalmol. Vis. Sci.* **59(5)**, 2080-2089 (2018).

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