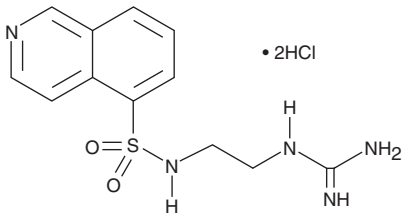
PRODUCT INFORMATION

HA-1004 (hydrochloride)

Item No. 10010558

CAS Registry No.: 92564-08-4
Formal Name: N-[2-[(aminoiminomethyl)amino] ethyl]-5-isoquinolinesulfonamide, dihydrochloride
MF: $C_{12}H_{15}N_5O_2S \bullet 2HCl$
FW: 366.3
Purity: ≥98%
UV/Vis.: λ_{max}: 217, 274, 323 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

HA-1004 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the HA-1004 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. HA-1004 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of HA-1004 (hydrochloride) in these solvents is approximately 3 and 2 mg/ml, respectively.

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 HA-1004 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of HA-1004 (hydrochloride) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

HA-1004 is an inhibitor of protein kinase G (PKG) and PKA (K_is = 1.4 and 2.3 µM, respectively).[1] It also inhibits PKC and blocks intracellular calcium mobilization.[2,3] HA-1004 induces relaxation of isolated rabbit aortic strips precontracted with histamine, serotonin (Item No. 14332), A23187 (Item No. 11016), angiotensin II (Item No. 17150), or prostaglandin $F_{2\alpha}$ (Item No. 16010; EC_{50}s = 0.32-0.63 µM), as well as phenylephrine (Item Nos. 18619 | 17205) with or without calcium (EC_{50}s = 2.3 and 0.5 µM, respectively). It also inhibits histamine-induced bronchoconstriction in guinea pigs without affecting blood pressure when administered intratracheally at a dose of 0.1 mg per animal.[2]

References

1. Ishikawa, T., Inagaki, M., Watanabe, M., *et al.* Relaxation of vascular smooth muscle by HA-1004, an inhibitor of cyclic nucleotide-dependent protein kinase. *J. Pharmacol. Exp. Ther.* **235(2)**, 495-499 (1985).
2. Chapman, R.W., Tozzi, S., and Kreutner, W. Antibronchoconstrictor activity of the intracellular calcium antagonist HA 1004 in guinea pigs. *Pharmacology* **37(3)**, 187-194 (1988).
3. De Sarro, G.B., Meldrum, B.S., and Nisticó, G. Anticonvulsant effects of some calcium entry blockers in DBA/2 mice. *Br. J. Pharmacol.* **93(2)**, 247-256 (1988).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM