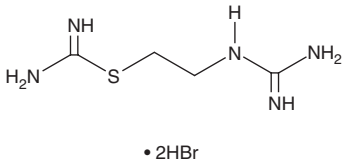
PRODUCT INFORMATION

VUF8430 (hydrobromide)

Item No. 27682

CAS Registry No.: 100130-32-3
Formal Name: carbamimidothioic acid, 2-[(aminoiminomethyl)amino]ethyl ester, dihydrobromide
MF: $C_4H_{11}N_5S \bullet 2HBr$
FW: 323.1
Purity: ≥95%
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

VUF8430 (hydrobromide) is supplied as a crystalline solid. A stock solution may be made by dissolving the VUF8430 (hydrobromide) in the solvent of choice, which should be purged with an inert gas. VUF8430 (hydrobromide) is soluble in organic solvents such as DMSO at a concentration of approximately 100 mM. VUF8430 (hydrobromide) is also soluble in water at a concentration of approximately 100 mM.

Description

VUF8430 is a histamine H_4 receptor agonist (K_i = 31.6 nM).[1] It is selective for histamine H_4 over H_1 and H_3 receptors in radioligand binding assays (K_is = >1 and 1 µM, respectively) and is less active in isolated guinea pig atria, which endogenously expresses high levels of H_2 receptors (pD_2 = 3.8). VUF8430 inhibits forskolin-induced, cAMP-mediated increases in β-galactosidase activity (EC_{50} = 50.1 nM). *In vivo*, VUF8430 (30 mg/kg) enhances HCl-induced formation of gastric lesions in rats.[2] It also reduces mechanical and thermal allodynia in a mouse model of peripheral neuropathy induced by spared nerve injury (SNI).[3]

References

1. Lim, H.D., Smits, R.A., Bakker, R.A., *et al.* Discovery of S-(2-guanidylethyl)-isothiourea (VUF 8430) as a potent nonimidazole histamine H_4 receptor agonist. *J. Med. Chem.* **49(23)**, 6650-6651 (2006).
2. Coruzzi, G., Adami, M., Pozzoli, C., *et al.* Selective histamine H_3 and H_4 receptor agonists exert opposite effects against the gastric lesions induced by HCl in the rat stomach. *Eur J. Pharmacol.* **669(1-3)**, 121-127 (2011).
3. Sanna, M.D., Lucarini, L., Durante, M., *et al.* Histamine H_4 receptor agonist-induced relief from painful peripheral neuropathy is mediated by inhibition of spinal neuroinflammation and oxidative stress. *Br. J. Pharmacol.* **174(1)**, 28-40 (2017).

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