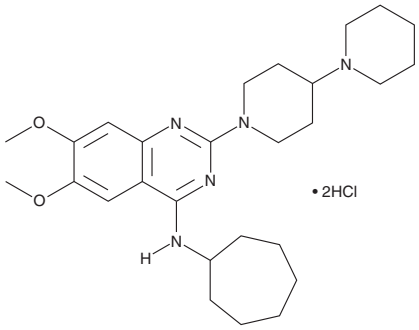
PRODUCT INFORMATION

CCR4 Antagonist (hydrochloride)

Item No. 21885

CAS Registry No.: 1784252-84-1
Formal Name: 2-[1,4'-bipiperidin]-1'-yl-N-cycloheptyl-6,7-dimethoxy-4-quinazolinamine, dihydrochloride
MF: $C_{27}H_{41}N_5O_2 \bullet 2HCl$
FW: 540.6
Purity: ≥98%
UV/Vis.: λ_{max}: 214, 248 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

CCR4 antagonist (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the CCR4 antagonist (hydrochloride) in the solvent of choice, which should be purged with an inert gas. CCR4 antagonist (hydrochloride) is soluble in organic solvents such as ethanol and DMSO. The solubility of CCR4 antagonist (hydrochloride) in these solvents is approximately 5 and 25 mg/ml, respectively.

Description

Chemokine (C-C motif) receptor 4 (CCR4) antagonist is an antagonist of CCR4.[1] It inhibits activation of CCR4 by chemokine (C-C motif) ligand 22 (CCL22) in a [^{35}S]GTPγS assay and also inhibits chemotaxis in human and mouse CCR4-expressing B300-19 cells (IC_{50}s = 18, 140, and 39 nM, respectively). CCR4 antagonist inhibits microglial activation in the cortex in a mouse model of hepatic encephalopathy induced by the neurotoxin azoxymethane when administered at a dose of 1 mg/kg per day.[2] It reduces azoxymethane-induced increases in *Il6* and *Il1b* expression in mouse cortex. CCR4 antagonist reduces mechanical and thermal sensitivity in a mouse model of type I diabetes induced by streptozotocin (Item No. 13104) when administered intrathecally at doses ranging from 10 to 30 µg/animal.[3]

Reference

1. Yokoyama, K., Ishikawa, N., Igarashi, S., *et al.* Discovery of potent CCR4 antagonists: Synthesis and structure-activity relationship study of 2,4-diaminoquinazolines. *Bioorg. Med. Chem.* **16(14)**, 7021-7032 (2008).
2. McMillin, M., Frampton, G., Thompson, M., *et al.* Neuronal CCL2 is upregulated during hepatic encephalopathy and contributes to microglia activation and neurological decline. *J. Neuroinflammation* **11**, 121 (2014).
3. Bogacka, J., Ciapała, K., Pawlik, K., *et al.* Blockade of CCR4 diminishes hypersensitivity and enhances opioid analgesia - Evidence from a mouse model of diabetic neuropathy. *Neuroscience* **441**, 77-92 (2020).

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