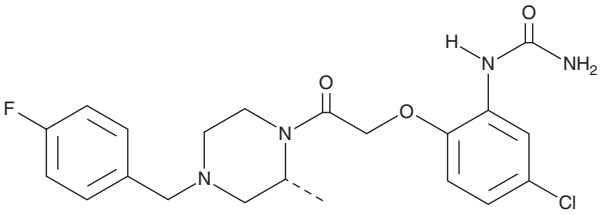
PRODUCT INFORMATION

BX 471

Item No. 18503

CAS Registry No.:	217645-70-0
Formal Name:	N-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]-urea
MF:	$C_{21}H_{24}ClFN_4O_3$
FW:	434.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 211, 244, 288 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

BX 471 is supplied as a crystalline solid. A stock solution may be made by dissolving the BX 471 in the solvent of choice, which should be purged with an inert gas. BX 471 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BX 471 in these solvents is approximately 14, 25, and 30 mg/ml, respectively.

BX 471 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BX 471 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BX 471 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The CC chemokine receptor-1 (CCR1), whose ligands include macrophage inflammatory protein-1α (MIP-1α), RANTES, and monocyte chemotactic protein-3 (MCP-3), has a central role in leukocyte trafficking and is highly expressed in certain autoimmune diseases. BX 471 is a nonpeptide CCR1 antagonist that has been shown to displace MIP-1α, RANTES, and MCP-3 with K_i values of 1, 2.8, and 5.5 nM, respectively.[1] It demonstrates >10,000-fold selectivity for CCR1 over 28 additional G protein-coupled receptors, including related chemokine receptors.[1] In a rat experimental allergic encephalomyelitis model of multiple sclerosis, BX 471 at 50 mg/kg was shown to significantly reduce the severity of the disease.[1] BX 471 also decreases the inflammatory response during sepsis, blocks migration of monocytes isolated from rheumatoid arthritis patients, and prevents macrophage and T cell recruitment in a mouse model of lupus nephritis.[2-4]

References

1. Liang, M., Mallari, C., Rosser, M., *et al. J. Biol. Chem.* **275(25)**, 19000-19008 (2000).
2. He, M., Horuk, R., Moochhala, S., *et al. Am. J. Physiol. Gastrointest. Liver Physiol.* **292(4),** G1173-G1180 (2007).
3. Lebre, M.C., Vergunst, C.E., Choi, I.Y.K., *et al. PLoS One* **6(7)**, (2011).
4. Anders, H.-J., Belemezova, E., Eis, V., *et al. J. Am. Soc. Nephrol.* **15(6)**, 1504-1513 (2004).

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