

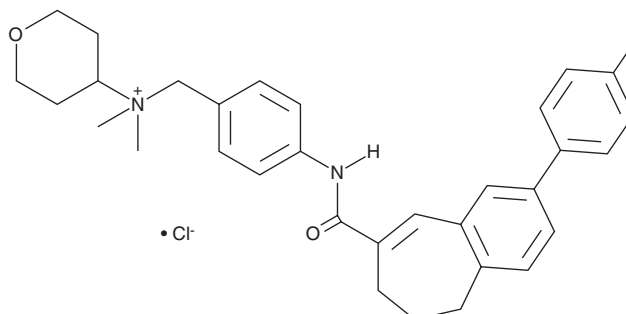
PRODUCT INFORMATION



TAK-779

Item No. 26744

CAS Registry No.: 229005-80-5
Formal Name: N-[[4-[[[6,7-dihydro-2-(4-methylphenyl)-5H-benzocyclohepten-8-yl]carbonyl]amino]phenyl]methyl]tetrahydro-N,N-dimethyl-2H-pyran-4-aminium, monochloride
MF: C₃₃H₃₉N₂O₂ • Cl
FW: 531.1
Purity: ≥98%
UV/Vis.: λ_{max}: 261 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

TAK-779 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-779 in the solvent of choice, which should be purged with an inert gas. TAK-779 is soluble in the organic solvent DMSO. It is also soluble in water. The solubility of TAK-779 in DMSO and water is approximately 20 and 10 mg/ml, respectively. We do not recommend storing the aqueous solution for more than one day.

Description

TAK-779 is an antagonist of chemokine receptor 5 (CCR5), CCR2b, and CXCR3 chemokine receptor 3 (CXCR3).^{1,2} It inhibits CCR5 and CXCR3 (IC₅₀s = 236 and 369 nM, respectively, for mouse recombinant receptors expressed in 2B4 T cells) and CCR5 and CCR2b (IC₅₀s = 1.4 and 27 nM, respectively, for human recombinant receptors expressed in CHO cells). TAK-779 inhibits the replication of clinical isolates of R5, but not X4, HIV-1 in human peripheral blood mononuclear cells (PBMCs; EC₅₀s = 1.6-3.5 and >20,000 nM, respectively).¹ TAK-779 (250 mg/animal per day) inhibits ovalbumin-induced increases in CCR5, CXCR3, IFN-γ, and TNF-α expression in mouse lung, as well as the number of total cells, lymphocytes, and eosinophils in bronchoalveolar lavage fluid (BALF), in a mouse model of asthma.³ It also increases intestinal allograft survival in a rat model of small intestine transplantation when administered at a dose of 10 mg/kg per day.⁴

References

1. Baba, M., Nishimura, O., Kanzaki, N., *et al.* A small-molecule, nonpeptide CCR5 antagonist with highly potent and selective anti-HIV-1 activity. *Proc. Natl. Acad. Sci. U.S.A.* **96(10)**, 5698-5703 (1999).
2. Gao, P., Zhou, X.-Y., Yashiro-Ohtani, Y., *et al.* The unique target specificity of a nonpeptide chemokine receptor antagonist: Selective blockade of two Th1 chemokine receptors CCR5 and CXCR3. *J. Leukoc. Biol.* **73(2)**, 273-280 (2003).
3. Suzuki, Y., Hamada, K., Nomi, T., *et al.* A small-molecule compound targeting CCR5 and CXCR3 prevents airway hyperresponsiveness and inflammation. *Eur. J. Respir. J.* **31(4)**, 783-789 (2008).
4. Takama, Y., Miyagawa, S., Yamamoto, A., *et al.* Effects of a calcineurin inhibitor, FK506, and a CCR5/CXCR3 antagonist, TAK-779, in a rat small intestinal transplantation model. *Transpl. Immunol.* **25(1)**, 49-55 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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