

PRODUCT INFORMATION

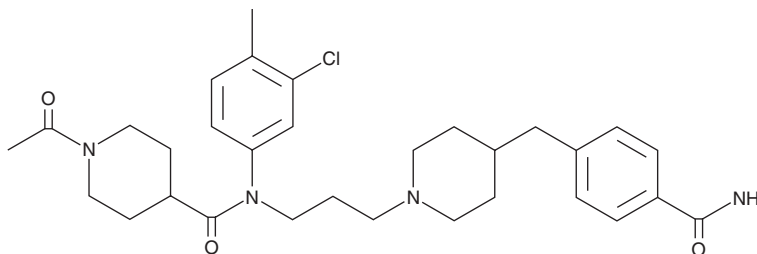


TAK-220

Item No. 28448

CAS Registry No.: 333994-00-6
Formal Name: 1-acetyl-N-[3-[4-[[4-(aminocarbonyl)phenyl]methyl]-1-piperidinyl]propyl]-N-(3-chloro-4-methylphenyl)-4-piperidinecarboxamide

MF: C₃₁H₄₁ClN₄O₃
FW: 553.1
Purity: ≥98%
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-220 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-220 in the solvent of choice, which should be purged with an inert gas. TAK-220 is soluble in DMSO.

Description

TAK-220 is an orally bioavailable antagonist of chemokine (C-C motif) receptor 5 (CCR5).¹ It binds to CCR5 (IC₅₀ = 3.5 nM for the human receptor in CHO cells), but not CCR1, CCR2b, CCR3, CCR4, or CCR7.^{1,2} TAK-220 inhibits the binding of chemokine (C-C motif) ligand 5 (CCL5) and CCL3 to CCR5 (IC₅₀s = 3.5 and 1.4 nM, respectively) but does not inhibit binding of CCL4.² It inhibits HIV-1 envelope-mediated membrane fusion in a macrophage (M-tropic) R5, but not in a T cell (T-tropic) X4, strain of HIV-1 (IC₅₀s = 0.42 and >1,000 nM, respectively). TAK-220 inhibits the replication of six strains of R5 HIV-1 clinical isolates (EC₉₀ overall mean = 13 nM) and the R5 JR-FL laboratory-adapted strain (EC₅₀ = 0.6 nM), but not of X4 HIV-1 clinical isolates or the X4 IIIB laboratory-adapted strain (EC₅₀s = >10,000 nM for both), in human peripheral blood mononuclear cells (PBMCs).

References

1. Imamura, S., Ichikawa, T., Nishikawa, Y., *et al.* Discovery of a piperidine-4-carboxamide CCR5 antagonist (TAK-220) with highly potent Anti-HIV-1 activity. *J. Med. Chem.* **49**(9), 2784-2793 (2006).
2. Takashima, K., Miyake, H., Kanzaki, N., *et al.* Highly potent inhibition of human immunodeficiency virus type 1 replication by TAK-220, an orally bioavailable small-molecule CCR5 antagonist. *Antimicrob. Agents Chemother.* **49**(8), 3474-3482 (2005).

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.

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