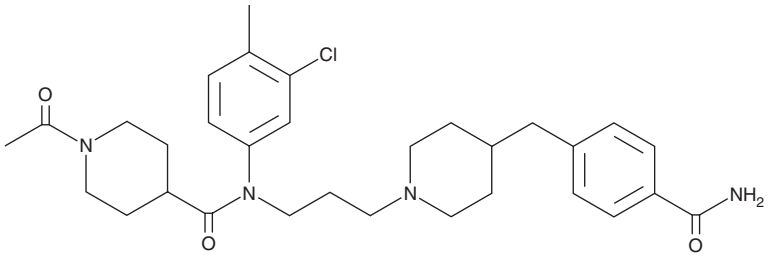
PRODUCT INFORMATION

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:	$C_{31}H_{41}ClN_4O_3$
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 (IC_{50} = 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 (IC_{50}s = 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 (IC_{50}s = 0.42 and >1,000 nM, respectively). TAK-220 inhibits the replication of six strains of R5 HIV-1 clinical isolates (EC_{90} overall mean = 13 nM) and the R5 JR-FL laboratory-adapted strain (EC_{50} = 0.6 nM), but not of X4 HIV-1 clinical isolates or the X4 IIIB laboratory-adapted strain (EC_{50}s = >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).

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