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Zuschläge

- Mindermengenzuschlag
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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

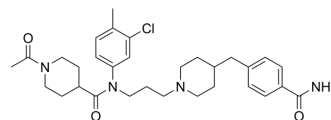
mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

TAK-220

Cat. No.:	HY-19974		
CAS No.:	333994-00-6		
Molecular Formula:	C ₃₁ H ₄₁ ClN ₄ O ₃		
Molecular Weight:	553.14		
Target:	CCR; HIV		
Pathway:	GPCR/G Protein; Immunology/Inflammation; Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (90.39 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8079 mL	9.0393 mL	18.0786 mL
	5 mM	0.3616 mL	1.8079 mL	3.6157 mL
	10 mM	0.1808 mL	0.9039 mL	1.8079 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAK-220 is a selective and orally bioavailable CCR5 antagonist, with IC₅₀s of 3.5 nM and 1.4 nM for inhibition on the binding of RANTES and MIP-1α to CCR5, respectively, but shows no effect on the binding to CCR1, CCR2b, CCR3, CCR4, or CCR7; TAK-220 also selectively inhibits HIV-1, with EC₅₀s of 1.2 nM (HIV-1 KK), 0.72 nM (HIV-1 CTV), 1.7 nM (HIV-1 HKW), 1.7 nM (HIV-1 HNK), 0.93 nM (HIV-1 HTN), and 0.55 nM (HIV-1 HHA), and EC₉₀s of 12 nM (HIV-1 KK), 5 nM (HIV-1 CTV), 12 nM (HIV-1 HKW), 28 nM (HIV-1 HNK), 15 nM (HIV-1 HTN), and 4 nM (HIV-1 HHA) in PBMCs.

IC ₅₀ & Target	MIP-1α-CCR5 1.4 nM (IC ₅₀ , in CHO cells)	RANTES-CCR5 3.5 nM (IC ₅₀ , in CHO cells)	HIV-1 (HHA) 0.55 nM (EC ₅₀ , in PBMCs)	HIV-1 (CTV) 0.72 nM (EC ₅₀ , in PBMCs)
	HIV-1 (HTN) 0.93 nM (EC ₅₀ , in PBMCs)	HIV-1 (KK) 1.2 nM (EC ₅₀ , in PBMCs)	HIV-1 (HKW) 1.7 nM (EC ₅₀ , in PBMCs)	HIV-1 (HNK) 1.7 nM (EC ₅₀ , in PBMCs)
	HIV-1 (HHA) 4 nM (EC ₉₀ , in PBMCs)	HIV-1 (CTV) 5 nM (EC ₉₀ , in PBMCs)	HIV-1 (KK) 12 nM (EC ₉₀ , in PBMCs)	HIV-1 (HKW) 12 nM (EC ₉₀ , in PBMCs)
	HIV-1 (HTN) 15 nM (EC ₉₀ , in PBMCs)	HIV-1 (HNK) 28 nM (EC ₉₀ , in PBMCs)		
In Vitro	<p>TAK-220 is a selective CCR5 antagonist, with IC₅₀s of 3.5 nM and 1.4 nM for inhibition on the binding of RANTES and MIP-1α to CCR5 in CHO cells, respectively, but shows no effect on the binding to CCR1, CCR2b, CCR3, CCR4, or CCR7. TAK-220 (0-1000 nM) interacts with CCR5 but not with RANTES and inhibits the CCR5-mediated Caspase-2+ signaling. TAK-220 inhibits R5 HIV-1 (JR-FL) envelope-mediated membrane fusion, with an IC₅₀ value of 0.42 nM, but does not alter X4 HIV-1 (HXB2) envelope-mediated membrane fusion. TAK-220 also selectively inhibits HIV-1, with EC₅₀s of 1.2 nM (HIV-1 KK), 0.72 nM (HIV-1 CTV), 1.7 nM (HIV-1 HKW), 1.7 nM (HIV-1 HNK), 0.93 nM (HIV-1 HTN), and 0.55 nM (HIV-1 HHA), and EC₉₀s of 12 nM (HIV-1 KK), 5 nM (HIV-1 CTV), 12 nM (HIV-1 HKW), 28 nM (HIV-1 HNK), 15 nM (HIV-1 HTN), and 4 nM (HIV-1 HHA) in PBMCs^[1]. TAK-220 shows potent inhibitory activity against the R5 isolates, with IC₅₀s of 3.12 nM against HIV-1 R5-08, 13.47 nM against HIV-1 R5-06, and 2.26 nM against HIV-1 R5-18. TAK-220 (>100 nM) has no toxicity in uninfected PBMCs^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

PROTOCOL

Cell Assay ^[1]

PHA-stimulated PBMCs are inoculated with 1,000 to 1,400 CCID₅₀s of R5 HIV-1 (JR-FL) or X4 HIV-1 (IIIB) or with 13 to 55 ng of p24 of HIV-1 clinical isolates per 4×10^6 cells and incubated for 4 h. The cells are washed to remove unadsorbed viral particles and seeded into a 96-well plate (2×10^5 cells/well) with culture medium containing various concentrations of TAK-220. The effects of high concentrations of human serum (HS) on the anti-HIV-1 activity of TAK-220 are examined with RPMI 1640 medium supplemented with either 20% FBS alone or 40% human type AB serum plus 10% FBS, 100 U/mL recombinant human interleukin 2, and antibiotics. On day 4 after infection, the cells are subcultured at 1:2 with culture medium containing the same concentrations of the test compounds. On day 7 after infection, the culture supernatants are collected and their p24 antigen levels are determined with a p24 antigen enzyme-linked immunosorbent assay kit^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Bioact Mater. 2021 Jan 7;6(7):2039-2057.
- Brain Sci. 2023 Mar 30, 13(4), 579.

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REFERENCES

[1]. Takashima K, 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.* 2005 Aug;49(8):3474-82.

[2]. Tremblay CL, et al. TAK-220, a novel small-molecule CCR5 antagonist, has favorable anti-human immunodeficiency virus interactions with other antiretrovirals in vitro. *Antimicrob Agents Chemother.* 2005 Aug;49(8):3483-5.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA