Product Data Sheet

Product Name: ALX 40-4C Trifluoroacetate
Cat. No.: GC34386

Chemical Properties

Cas. No.			
SMILES	Ac-{d-Arg}-{d-Arg}-{d-Arg}-{d-Arg}-{d-Arg}-{d-Arg}-{d-Arg}-{d-Arg}-{d-Arg}-NH2		
Formula	$C_{58}H_{114}F_3N_{37}O_{12}$	M.Wt	1578.76
Solubility	H_2O : 50 mg/mL (Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	ALX 40-4C Trifluoroacetate		

Protocol

Kinase experiment:

The stably transfected cells are harvested in PBS (Ca2+ and Mg2+ free) plus 0.5 nM EDTA and washed twice with PBS. Ligand binding experiments are performed using a single concentration (0.2 nM) of 125I-Apelin-13 in the absence or presence of increasing concentrations of unlabeled Apelin-13 or ALX 40-4C in a final volume of 100 µL of binding buffer (50 nM Hepes, pH 7.4, 1 nM CaCl2, 5 nM MgCl2, 0.1% bovine serum albumin) containing 5 × 105 cells. Nonspecific binding is determined by the addition of 1 µM unlabeled Apelin-13. Samples are incubated for 90 min at room temperature. The incubation is terminated by separating the cells from the binding buffer by centrifugation and washing once with 500 µL of cold binding buffer. Bound ligands are determined by counting gamma emissions. At least three independent experiments are performed[3].

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References:

[1]. Doranz BJ, et al. Safe use of the CXCR4 inhibitor ALX40-4C in humans. AIDS Res Hum Retroviruses. 2001 Apr 10;17(6):475-86.
[2]. Armand-Ugón M, et al. HIV-1 resistance to the gp41-dependent fusion inhibitor C-34. Antiviral Res. 2003 Jul;59(2):137-42.
[3]. Zhou N, et al. Binding of ALX40-4C to APJ, a CNS-based receptor, inhibits its utilization as a co-receptor by HIV-1. Virology. 2003

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Background

ALX 40-4C Trifluoroacetate is a small peptide inhibitor of the chemokine receptor CXCR4, inhibits SDF-1 from binding CXCR4 with a Ki of 1 μM, and suppresses the replication of X4 strains of HIV-1; ALX 40-4C Trifluoroacetate also acts as an antagonist of the APJ receptor, with an IC50 of 2.9 μM.

ALX 40-4C Trifluoroacetate is a small peptide inhibitor of the chemokine receptor CXCR4, interacts with the second extracellular loop of CXCR4 and inhibits infection exclusively by blocking direct virus-CXCR4 interactions[1]. ALX 40-4C shows potent anti HIV-1 effect, with EC50s of 0.34 ± 0.04 μg/mL, 0.37 ± 0.01 μg/mL for HIV-1 NL4-3, NC10, and 0.18 ± 0.11 μg/mL, 0.06 ± 0.02 μg/mL for HIV-1 HXB2, HC43, respectively, and with a CC50 (50% cytotoxic concentration) of 21 μg/mL. ALX 40-4C also exhibits potent activity against env-recombinant HIV, with EC50s of 0.38 ± 0.01 μg/mL, 0.40 ± 0.0 μg/mL for HIV-1 NL4-3 env, NC10, and 1.34 ± 0.06 μg/mL, 1.02 ± 0.29 μg/mL for HIV-1 HXB2 env, HC43, and a CC50 of 21 μg/mL[2]. ALX 40-4C binds to APJ with an IC50 of 2.9 μM. ALX 40-4C inhibits HIV-1 gp120/APJ-mediated cell membrane fusion, with an IC50s of 3.41 μM and 3.1 μM for IIIB isolate and 89.6 isolate, respectively[3].

[1]. Doranz BJ, et al. Safe use of the CXCR4 inhibitor ALX40-4C in humans. AIDS Res Hum Retroviruses. 2001 Apr 10;17(6):475-86. [2]. Armand-Ugón M, et al. HIV-1 resistance to the gp41-dependent fusion inhibitor C-34. Antiviral Res. 2003 Jul;59(2):137-42. [3]. Zhou N, et al. Binding of ALX40-4C to APJ, a CNS-based receptor, inhibits its utilization as a co-receptor by HIV-1. Virology. 2003 Jul 20;312(1):196-203.