



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **TC14012 TFA**
CAS 号: **368874-34-4 (free base)**
产品货号: **S89303**

生物活性:

Description	TC14012 TFA 是 T140 的血清稳定衍生物, 是一种选择性的 CXCR4 拮抗剂, IC50 为 19.3 nM。 TC14012 TFA 是有效的 CXCR7 激动剂, 可将 β-arrestin2 募集到 CXCR7, EC50 为 350 nM。 TC14012 TFA 具有抗 HIV 活性和抗癌活性。																						
IC50 & Target[1][2]	CXCR4 19.3 nM (IC50, antagonist site)	CXCR7 350 nM (EC50, agonist site)	HIV																				
In Vitro	TC14012 TFA (1 mM) inhibits more than 95% the infection of the CXCR4-expressing cells by the HXB2 (X4) or 89.6 (dual-tropic) strain whereas TC14012 TFA (1 mM) does not inhibit all the infection of the CCR5-expressing cells by the SF162 (R5) or 89.6 (dualtropic) strain[1]. TC14012 TFA leads to erk 1/2 phosphorylation in U373 cells, which express endogenous CXCR7 but not CXCR4. Upon stimulation with TC14012 TFA, CXCR7 and the CXCR7-Cter4 chimera are able to recruit arrestin, whereas CXCR4 and CXCR4-Cter7 remain silent[2].																						
Solvent&Solubility	细胞实验: H2O Peptide Solubility and Storage Guidelines: 1. Calculate the length of the peptide. 2. Calculate the overall charge of the entire peptide according to the following table: <table><tr><td></td><td>Contents</td><td>Assign value</td></tr><tr><td>Acidic amino acid</td><td>Asp (D), Glu (E), and the C-terminal -COOH.</td><td>-1</td></tr><tr><td>Basic amino acid</td><td>Arg (R), Lys (K), His (H), and the N-terminal -NH2</td><td>1</td></tr><tr><td>Neutral amino acid</td><td>Gly (G), Ala (A), Leu (L), Ile (I), Val (V), Cys (C), Met (M), Thr (T), Ser (S), Phe (F), Tyr (Y), Trp (W), Pro (P), Asn (N), Gln (Q)</td><td>0</td></tr></table> 3. Recommended solution: <table><tr><td>Overall charge of peptide</td><td>Details</td></tr><tr><td>Negative (<0)</td><td>1. Try to dissolve the peptide in water first. 2. If water fails, add NH4OH (<50 μL). 3. If the peptide still does not dissolve, add DMSO (50-100 μL) to solubilize the peptide.</td></tr><tr><td>Positive (>0)</td><td>1. Try to dissolve the peptide in water first. 2. If water fails, try dissolving the peptide in a 10%-30% acetic acid solution. 3. If the peptide still does not dissolve, try dissolving the peptide in a small amount of DMSO.</td></tr><tr><td>Zero (=0)</td><td>1. Try to dissolve the peptide in organic solvent (acetonitrile, methanol, etc.) first. 2. For very hydrophobic peptides, try dissolving the peptide in a small amount of DMSO, and then dilute the solution with water to the desired concentration.</td></tr></table>				Contents	Assign value	Acidic amino acid	Asp (D), Glu (E), and the C-terminal -COOH.	-1	Basic amino acid	Arg (R), Lys (K), His (H), and the N-terminal -NH2	1	Neutral amino acid	Gly (G), Ala (A), Leu (L), Ile (I), Val (V), Cys (C), Met (M), Thr (T), Ser (S), Phe (F), Tyr (Y), Trp (W), Pro (P), Asn (N), Gln (Q)	0	Overall charge of peptide	Details	Negative (<0)	1. Try to dissolve the peptide in water first. 2. If water fails, add NH4OH (<50 μL). 3. If the peptide still does not dissolve, add DMSO (50-100 μL) to solubilize the peptide.	Positive (>0)	1. Try to dissolve the peptide in water first. 2. If water fails, try dissolving the peptide in a 10%-30% acetic acid solution. 3. If the peptide still does not dissolve, try dissolving the peptide in a small amount of DMSO.	Zero (=0)	1. Try to dissolve the peptide in organic solvent (acetonitrile, methanol, etc.) first. 2. For very hydrophobic peptides, try dissolving the peptide in a small amount of DMSO, and then dilute the solution with water to the desired concentration.
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参考文献	[1]. H Tamamura, et al. Development of specific CXCR4 inhibitors possessing high selectivity indexes as well as complete stability in serum based on an anti-HIV peptide T140. Bioorg Med Chem Lett. 2001 Jul 23;11(14):1897-902. [2]. Stéphanie Gravel, et al. The peptidomimetic CXCR4 antagonist TC14012 recruits beta-arrestin to CXCR7: roles of receptor domains. J Biol Chem. 2010 Dec 3;285(49):37939-43.
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