产品名称：**YYA-021**
产品别名：**YYA-021**

生物活性：

Description

YYA-021 is a small-molecule CD4 mimic that inhibits HIV entry, with high anti-HIV activity and low cytotoxicity. IC50 value: 8.4 μM Target: HIV IC50 (=8.4 μM) value of YYA-021 is determined by a single round assay using cYTA48P virus and TZM-bl cells. YYA-021 is broadly distributed in tissues, probably as a result of its hydrophobicity. The plasma concentrations of YYA-021 in both species remained at micromolar levels for several hours post-injection. [1] YYA-021 also enhances the neutralizing activity of KD-247 against simian-human immunodeficiency virus (SHIV)-KS661 strain via highly synergistic interactions. YYA-021 might have promise as a lead compound for the intravenous administration in a cocktail therapy with anti-gp120 monoclonal antibodies such as KD-247 and with co-receptor antagonists such as T140. [2]

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (**157.52 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1503 mL	15.7515 mL	31.5030 mL
	5 mM	0.6301 mL	3.1503 mL	6.3006 mL
	10 mM	0.3150 mL	1.5752 mL	3.1503 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (7.88 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.88 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: 2.5 mg/mL (7.88 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (7.88 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

3.请依序添加每种溶剂： 10% DMSO →90% corn oil

	Solubility: ≥ 2.5 mg/mL (7.88 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.88 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Mizuguchi T, et al. A minimally cytotoxic CD4 mimic as an HIV entry inhibitor. Bioorg Med Chem Lett. 2016 Jan 15;26(2):397-400. [2]. Hashimoto C, et al. A CD4 mimic as an HIV entry inhibitor: pharmacokinetics. Bioorg Med Chem. 2013 Dec 15;21(24):7884-9.