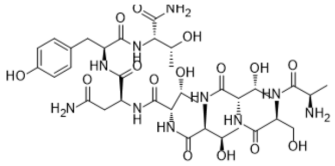
DAPTA

Cat. No.:	HY-P1034
CAS No.:	106362-34-9
Molecular Formula:	$C_{35}H_{56}N_{10}O_{15}$
Molecular Weight:	856.88
Sequence:	Ala-Ser-Thr-Thr-Thr-Asn-Tyr-Thr-NH2
Sequence Shortening:	ASTTTNYT-NH2
Target:	CCR; HIV
Pathway:	GPCR/G Protein; Immunology/Inflammation; Anti-infection
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (58.35 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.1670 mL	5.8351 mL	11.6702 mL
	5 mM	0.2334 mL	1.1670 mL	2.3340 mL
	10 mM	0.1167 mL	0.5835 mL	1.1670 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (11.67 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

DAPTA is a synthetic peptide, functions as a viral entry inhibitor by targeting selectively CCR5, and shows potent anti-HIV activities.

IC_{50} & Target			
	HIV-1	$gp120_{BaL}$/sCD4-CCR5 55 pM (IC_{50}, in Cf2Th/synR5 cells)	$gp120CM_{CM235}$/sCD4-CCR5 0.32 nM (IC_{50}, in Cf2Th/synR5 cells)

In Vitro

DAPTA (1 nM) inhibits HIV-1 replication in monocytes/macrophages (M/M) by >90%. DAPTA blocks HIV entry and prevents HIV-1 infection. DAPTA reduces CCR5 mAb binding in human primary macrophages. DAPTA potently blocks R5 gp120-mediated neuronal apoptosis. DAPTA is even more potent in preventing neuronal apoptosis than the CCR5 antagonist TAK-

779[1]. DAPTA potently inhibits specific CD4-dependent binding of gp120 Bal (IC_{50} = 0.06 nM) and CM235 (IC_{50} = 0.32 nM) to CCR5. DAPTA (1 nM) blocks formation of the gp120/sCD4 complex with CCR5. DAPTA inhibits the binding of gp120BaL/sCD4 to CCR5 (Cf2Th/synR5) cells with IC_{50} of 55 ± 0.08 pM[2].

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

PROTOCOL

Kinase Assay [2]

A novel FITC-labeled tracer from soluble gp120 proteins (25 g/mL) is prepared using a Fluorescent protein labeling kit, according to the manufacture's instructions. Uncoupled FLUOS is removed by Sephadex G-10 column filtration. The molar ratio between FLUOS-labeling molecules and protein is from 3.5 to 4.5 fluorescence molecules per molecule of gp120. The concentration of fluorescent-labeled proteins is measured by Bradford assay and Western blotting by using calibrating amounts of soluble molecules with known concentration. Binding assays are performed in binding buffer, in final volume 100l. Binding is carried out for 1 h at 37°C in 96-well filter plates. Unbound-labeled proteins are removed by rapid vacuum filtration and ishing using a 96-well plates manifold. Each binding mix is washed five times with 0.2 mL (total volume of 1.0 mL/well) cold ishing buffer (50 mM HEPES, pH 7.4, 150 mM NaCl, 5 mM $MgCl_2$, 1 mM $CaCl_2$). Filters are counted with a fluorescent plate reader at 495/530 nm.

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

REFERENCES

[1]. Pollicita M, et al. Profound anti-HIV-1 activity of DAPTA in monocytes/macrophages and inhibition of CCR5-mediated apoptosis in neuronal cells. Antivir Chem Chemother. 2007;18(5):285-95.

[2]. Polianova MT, et al. Chemokine receptor-5 (CCR5) is a receptor for the HIV entry inhibitor peptide T (DAPTA). Antiviral Res. 2005 Aug;67(2):83-92.

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

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