DTP3 TFA

Cat. No.: HY-100538A

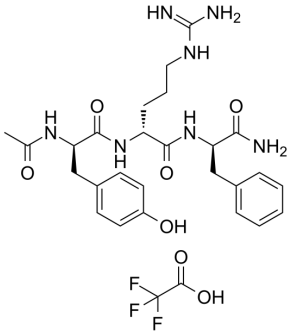
Molecular Formula: $C_{28}H_{36}F_3N_7O_7$

Molecular Weight: 639.62

Target: DNA/RNA Synthesis; JNK

Pathway: Cell Cycle/DNA Damage; MAPK/ERK Pathway

Storage: Sealed storage, away from moisture and light
Powder -80°C 2 years
-20°C 1 year
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (156.34 mM; Need ultrasonic)
DMSO : 50 mg/mL (78.17 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5634 mL	7.8171 mL	15.6343 mL
	5 mM	0.3127 mL	1.5634 mL	3.1269 mL
	10 mM	0.1563 mL	0.7817 mL	1.5634 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (156.34 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.91 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DTP3 TFA is a potent and selective GADD45β/MKK7 (growth arrest and DNA-damage-inducible β/mitogen-activated protein kinase kinase 7) inhibitor. DTP3 TFA targets an essential, cancer-selective cell-survival module downstream of the NF-κB pathway[1].

IC_{50} & Target	GADD45β/MKK7[1]
In Vitro	DTP3 (10 μM; 1-21 days) causes the potent and tumor-selective induction of JNK activation and apoptosis, as shown by the appearance of phosphorylated JNK, as early as 24 hours[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Western Blot Analysis[2]

Cell Line:	Multiple myeloma (MM) cell lines
Concentration:	10 μM
Incubation Time:	1, 3, 5, 14, 21 days
Result:	Caused the appearance of phosphorylated JNK, as early as 24 hours.

In Vivo

DTP3 TFA (s.c.; 14.5 mg/kg/day; 28 days) has shown a dramatic shrinkage of the tumors, and virtually eradicates established subcutaneous myeloma xenografts in mice[2].
DTP3 TFA (intravenous injection; 10 mg/kg/day) has $t_{1/2}$ of 1.26 hours, CL of 27.13 ML/min/kg, and V_d of 2.80 L/kg[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6 to 8-week old male NOD/SCID mice (NOD.CB17-Prkdcscid/IcrCrl; Charles River)[2]
Dosage:	14.5 mg/kg
Administration:	S.c.; daily; 28 days
Result:	Had shown a dramatic shrinkage of the tumors.

Animal Model:	CD1 male mice of 25-30 g[2]
Dosage:	10 mg/kg (Pharmacokinetic Study)
Administration:	Intravenous injection
Result:	Had $t_{1/2}$ of 1.26 hours, CL of 27.13 ML/min/kg, and V_d of 2.80 L/kg.

REFERENCES

[1]. Tornatore L, et al. Preclinical toxicology and safety pharmacology of the first-in-class GADD45β/MKK7inhibitor and clinical candidate, DTP3. Toxicol Rep. 2019 Apr 19;6:369-379.

[2]. Tornatore L, et al. Cancer-selective targeting of the NF-κB survival pathway with GADD45β/MKK7 inhibitors. Cancer Cell. 2014 Oct 13;26(4):495-508.

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

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