QTX125 TFA

Cat. No.: HY-120448A

Molecular Formula: $C_{25}H_{20}F_3N_3O_7$

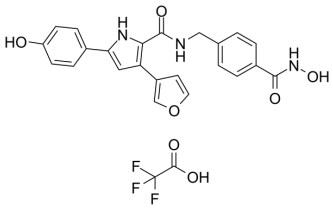
Molecular Weight: 531.44

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (235.21 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8817 mL	9.4084 mL	18.8168 mL
5 mM	0.3763 mL	1.8817 mL	3.7634 mL
10 mM	0.1882 mL	0.9408 mL	1.8817 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

QTX125 TFA is a potent and highly selective HDAC6 inhibitor. QTX125 TFA exhibits excellent selectivity over other HDACs. QTX125 has antitumor effects[1].

IC_{50} & Target

HDAC6

In Vitro

QTX125 (25-500 nM; 24-48 hours) TFA treatment induces the subsequent apoptosis demonstrated by annexin V/propidium iodide double staining and the cleavage of caspase-9, caspase-8, caspase-3, and PARP[1].

In MCL cell lines MINO, REC-1, IRM-2 and HBL-2 cells, QTX125 TFA (10 nM, 10 μM, 100 μM) induces dose-dependent hyperacetylation of α-tubulin[1].

QTX125 TFA has the strongest growth-inhibitory effect in Burkitt cell lymphoma, follicular lymphoma, and mantle cell

lymphoma (MCL)[1].

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

Apoptosis Analysis[1]

Cell Line:	MINO, REC-1, IRM-2 and HBL-2 cells
Concentration:	25 nM, 50 nM, 100 nM, 500 nM
Incubation Time:	24 hours, 48 hours
Result:	Inhibited annexin V/propidium iodide double staining.

Western Blot Analysis[1]

Cell Line:	MINO, REC-1, IRM-2 and HBL-2 cells
Concentration:	25 nM, 50 nM, 100 nM, 500 nM
Incubation Time:	24 hours
Result:	Inhibited the cleavage of caspase-9, caspase-8, caspase-3, and PARP.

In Vivo

QTX125 TFA (60 mg/kg; i.p.; daily dosing for 5 days; for 4 weeks) treatment inhibits tumor growth in REC-1 or MINO cells xenografted in nude mice[1].

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

Animal Model:	Nude mice bearing REC-1 or MINO cells[1]
Dosage:	60 mg/kg
Administration:	Intraperitoneal administration; daily dosing for 5 days; for 4 weeks
Result:	Inhibited tumor growth in REC-1 or MINO cells xenografted in nude mice.

REFERENCES

[1]. Montserrat Pérez-Salvia, et al. In vitro and in vivo activity of a new small-molecule inhibitor of HDAC6 in mantle cell lymphoma. Haematologica. 2018 Nov;103(11):e537-e540.

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