

Product Data Sheet

Product Name: ADH-6 TFA

Cat. No.: GC65880

Chemical Properties

Cas. No.

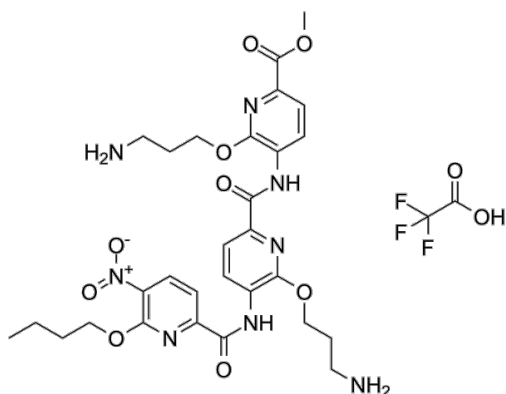
Formula $C_{31}H_{37}F_3N_8O_{11}$ M.Wt 754.67

Solubility DMSO : 100 mg/mL (132.51 mM; Storage Store at -20°C
Need ultrasonic)

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

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

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ADH-6 TFA is a tripyridylamide compound. ADH-6 abrogates self-assembly of the aggregation-nucleating subdomain of mutant p53 DBD. ADH-6 TFA targets and dissociates mutant p53 aggregates in human cancer cells, which restores p53's transcriptional activity, leading to cell cycle arrest and apoptosis. ADH-6 TFA has the potential for the research of cancer diseases^[1].

ADH-6 (25 μ M, 10 h) TFA inhibits aggregation of pR248W (indicated by dot blot assay) ^[1].

ADH-6 (5 μ M, 6 h) TFA dissociates intracellular mutant p53 aggregates in MIA PaCa-2 cells ^[1].

ADH-6 (0-10 μ M, 24 or 48 h) TFA causes selective cytotoxicity in cancer cells bearing mutant p53 (MIA PaCa-2)^[1].

ADH-6 (5 μ M, 24 h) TFA specifically targets and reactivates aggregation-prone mutant p53 in MIA PaCa-2 cells^[1].

Cell Viability Assay^[1]

Cell Line: MIA PaCa-2 (mutant R248W p53), SK-BR-3 (mutant R175H p53)

Concentration: 0, 2.5, 5, 7.5, 10 μ M

Incubation Time: 24, 48 h

Result: Caused death of cancer cells bearing mutant, but not WT, p53.

Western Blot Analysis^[1]

Cell Line: MIA PaCa-2 cells

Concentration: 5 μ M

Incubation Time: 24 h

Result: Increased expression of p53-inducible MDM2 and proapoptotic Bax.

ADH-6 (intraperitoneal injection, 15 mg/kg, every 2 days, for a total of 12 doses) TFA causes regression of mutant p53-bearing tumors ^[1].

Animal Model: MIA PaCa-2 xenografts^[1]

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Dosage: 716.4 μ M in 0.02% DMSO

Administration: Intraperitoneal injection, every 2 days, for a total of 12 doses

Result: Reduced tumor growth relative to the saline-treated control group. Reduced mutant p53 levels and shrunk xenografts harboring aggregation-prone mutant p53.

Animal Model: MIA PaCa-2 xenografts (pharmacokinetics assay)^[1]

Dosage: 15 mg/kg

Administration: Intraperitoneal injection, for a single dose

Result: $C_{\max}$: 21 μ g/mL, $T_{1/2}$: 3.6 h

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