

Product Data Sheet

Product Name: AKN-028 acetate

Cat. No.: GC66451

Chemical Properties

Cas. No.

Formula $C_{19}H_{18}N_6O_2$

M.Wt 362.39

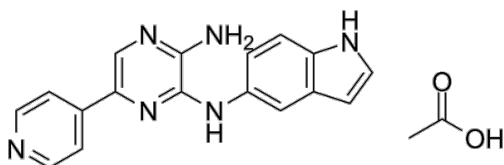
Solubility

Storage 4°C, away from moisture

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

IC₅₀: 6 nM (FLT3), 140 nM (CLK1), 220 nM (RPS6KA), 520 nM (VEGFR2), and 120 nM (FGFR2) [1]

AKN-028 acetate, a novel **tyrosine kinase (TK)** inhibitor, is a potent, orally active **FMS-like receptor tyrosine kinase 3 (FLT3)** inhibitor with an **IC₅₀** value of 6 nM. AKN-028 acetate inhibits FLT3 autophosphorylation. AKN-028 acetate induces dose-dependent cytotoxic response (mean **IC₅₀**=1 μM). AKN-028 acetate induces **apoptosis** by activation of caspase 3. AKN-028 acetate can be used in research of acute myeloid leukemia (AML) [1].

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

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AKN-028 (0.1 nM-100 μ M; 15 h; mouse embryonal fibroblasts and human acute megakaryoblastic leukemia M07 cells) acetate inhibits FLT3 and KIT autophosphorylation in a dose-dependent manner^[1].

AKN-028 (10 μ M; 72 h; tumor cell lines) acetate is cytotoxic to AML cell lines and induces apoptosis in the AML cell line MV4-11^[1].

Cell Cytotoxicity Assay^[1]

Cell Line: Tumor cell lines

Concentration: 10 μ M

Incubation
Time: 72 hours

Result: Had cytotoxic activity was highest in MV4-11 and MOLM-13 (IC₅₀<50 nM), followed by the three other AML cell lines (IC₅₀=0.5-6 μ M).

Western Blot Analysis^[1]

Cell Line: Mouse embryonal fibroblasts either overexpressing FLT-wt, FLT3-TKD or FLT3-ITD and human acute megakaryoblastic leukemia M07 cells overexpressing KIT

Concentration: 0.1 nM-100 μ M

Incubation
Time: 15 hours

Result: Inhibited FLT3 and KIT autophosphorylation.

AKN-028 (15 mg/kg; i.h.; twice daily, for 6 days; male C57 black mice with MV4-11 xenografts) acetate inhibits growth of primary AML and MV4-11 cells in mice^[1].

Animal Model: Male C57 black mice with MV4-11 xenografts^[1]

Dosage: 15 mg/kg

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Administration: Subcutaneous injection; twice daily, for 6 days

Result: Inhibited tumor growth and did not affect body weight.

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