Teglarinad chloride

Cat. No.: HY-10080

CAS No.: 432037-57-5

Molecular Formula: $C_{30}H_{43}Cl_2N_5O_8$

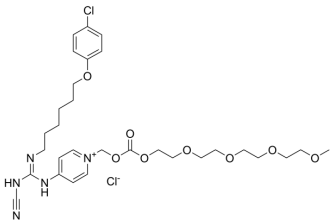
Molecular Weight: 672.6

Target: NAMPT

Pathway: Metabolic Enzyme/Protease

Storage: -20°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 : 66.67 mg/mL (99.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4868 mL	7.4338 mL	14.8677 mL
	5 mM	0.2974 mL	1.4868 mL	2.9735 mL
	10 mM	0.1487 mL	0.7434 mL	1.4868 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: ≥ 5 mg/mL (7.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (7.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (7.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Teglarinad chloride (GMX1777) is a proagent of GMX1778 (a nicotinamide phosphoribosyl transferase inhibitor). Teglarinad chloride exhibits antitumor activity in mice can be attributed to inhibition of NAMPT. Teglarinad chloride also enhances radiation efficacy, mediated by interference with DNA repair and antiangiogenesis[1][2].

In Vivo

GMX1777 (75 mg/kg; 24 h intravenous infusion) causes tumor regression in the IM-9 model, a small-cell lung cancer (SHP-77) model, and a colon carcinoma (HCT-116) model[2].

GMX1777 (50-100 mg/kg/d, i.m. for 5 d) with or without local tumor radiotherapy is effective for both FaDu and C666-1 tumors in vivo[1].

GMX1777 (25-400 mg/kg; 24 h intravenous infusion) is quickly converted to GMX1778 in plasma of mice with a half-life of

GMX1777 less than 0.7 h[2].

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

Animal Model:	CB17 SCID/SCID female mice bearing subcutaneous IM-9 multiple myeloma tumors[2]
Dosage:	18.75, 35, 75 mg/kg
Administration:	A 24 h intravenous infusion
Result:	Induced a nearly complete regression of the tumors and a significant tumor growth delay at the dose of 75 mg/kg. Reduced IM-9 tumor growth moderately at 37.5 mg/kg.

REFERENCES

[1]. Kato H, et, al. Efficacy of combining GMX1777 with radiation therapy for human head and neck carcinoma. Clin Cancer Res. 2010 Feb 1;16(3):898-911.

[2]. Beauparlant P, et, al. Preclinical development of the nicotinamide phosphoribosyl transferase inhibitor prodrug GMX1777. Anticancer Drugs. 2009 Jun;20(5):346-54.

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