SDZ281-977

Cat. No.: HY-101756

CAS No.: 150779-71-8

Molecular Formula: $C_{18}H_{20}O_5$

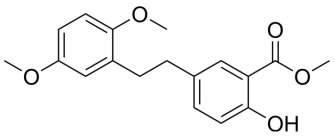
Molecular Weight: 316.35

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (316.11 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1611 mL	15.8053 mL	31.6106 mL
5 mM	0.6322 mL	3.1611 mL	6.3221 mL
10 mM	0.3161 mL	1.5805 mL	3.1611 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: 2.5 mg/mL (7.90 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SDZ 281-977 is a derivative of the EGF receptor tyrosine kinase inhibitor Lavendustin A.

In Vitro

The anticancer profile of SDZ 281-977 is investigated in nude mice bearing the human tumor cell lines A431 (vulvar carcinoma cells), MIA PaCa-2 (pancreatic tumor cells) and MDA-MB-231 (breast carcinoma cells). These cell lines are selected because of their sensitivity for SDZ 281-977. The IC_{50} values for inhibition of growth of A431, MIA PaCa-2 and MDA-MB-231 cells are 0.21 μM, 0.29 μM and 0.43 μM, respectively[1].

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

In Vivo	Nude mice bearing A431 human vulvar carcinomas receive intravenous injections of SDZ 281-977 (1-10 mg/kg) for 4 weeks. This treatment results in a dose-dependent inhibition of tumor growth. Orally administered SDZ 281-977 (30 mg/kg) induces a 54% inhibition of A431 tumor growth after 3 weeks of treatment. The above regimens are well tolerated. No significant change in body weight occurred during treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Tumor cell lines are grown at 37°C under optimal medium and cell concentration conditions in the absence of antibiotics. At the time of exponential growth for tumor cell lines growing in suspension or at the time of 60-90% confluence for adherent cell lines, cells are harvested (adherent cell lines are trypsinized), suspended in fresh growth medium and seeded into 96-well cell culture plates at concentrations ranging between 1000 and 5000 cells/well. Cells are grown at optimal initial concentration for 3-4 days in a final volume of 0.2 ml/well in the presence of graded concentrations of test compounds. Extent of cellular proliferation is measured by a colorimetric assay using MTT for cells growing in suspension or by sulforhodamine B (SRB) for adherent cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Female nude mice (nu/nu IFFA C BALB A) weighing 20-23 g are used. For i.v. studies, SDZ 281-977 (10 mg) is dissolved in 1 mL Vepesid solvent (3250 mg PEG 300, 10 mg citric acid, 400 mg Tween 80 and 1205 mg ethanol). This solution is diluted with saline or Vepesid solvent/saline 1:10 so that all animals intravenously receive 0.2 mL of 1:10 Vepesid solvent containing 1, 3 and 10 mg SDZ 281-977/kg. Higher doses are not given due to limited solubility. SDZ 281-977 is injected into the tail vein 3 or 4 times a week. For oral testing, SDZ 281-977 is dissolved in Placebo G (18% ethanol, 43% Labrafil M2125CS and 39% corn oil) and 0.2 mL is given orally with a gavage. Control animals are treated with the vehicle only. For the comparative experiments, standard anticancer drugs are dissolved in saline containing 1% Tween 80 and water, respectively, and are injected i.p. once a day for 4 days in a row. Treatment started 2 days after animals have been randomized. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Cammisuli S, et al. SDZ 281-977: a modified partial structure of lavendustin A that exerts potent and selective antiproliferative activities in vitro and in vivo. Int J Cancer. 1996 Jan 26;65(3):351-9.

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