GW2580

Cat. No.: HY-10917

CAS No.: 870483-87-7

Molecular Formula: $C_{20}H_{22}N_4O_3$

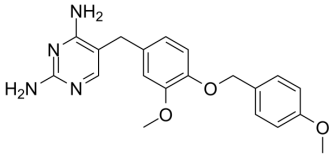
Molecular Weight: 366.41

Target: c-Fms

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (90.96 mM; Need ultrasonic)
Ethanol : < 1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7292 mL	13.6459 mL	27.2918 mL
5 mM	0.5458 mL	2.7292 mL	5.4584 mL
10 mM	0.2729 mL	1.3646 mL	2.7292 mL

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 5 mg/mL (13.65 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GW2580 is an orally bioavailable and selective inhibitor of c-Fms kinase which completely inhibits human cFMS kinase in vitro at 0.06 μM. GW2580 acts as a competitive inhibitor of ATP binding to the cFMS kinase and inhibits colony-stimulating-factor-1 signaling[1].

IC_{50} & Target	IC50: 60 nM (c-FMS)
In Vitro	GW2580 completely inhibits the growth of CSF-1-dependent mouse myeloid M-NFS-60 cells at 0.7 μM. GW2580 at 0.8-1 μM completely blocks the ability of CSF-1 to induce the growth of mouse M-NFS60 myeloid cells and human monocytes[1]. GW2580 causes a 30-40% inhibition of PTH-induced calcium release at 0.1-0.3 μM, with higher concentrations of 1, 3, and 10 μM completely inhibiting the PTH response[1]. GW2580 inhibits CSF1R phosphorylation in RAW264.7 murine macrophages stimulated with 10 ng/mL with IC_{50} of approximately 10 nM[2]. GW2580 also inhibits TRKA activity with IC_{50} of 0.88 μM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GW2580 (Oral administration; 20 and 80 mg/kg) produces a dose-related decrease in the number of tumor cells, with the 80 mg/kg dose completely blocking tumor growth[1]. GW2580 (Oral administration; 20 and 80 mg/kg) has gave maximal plasma concentrations of 1.4 and 5.6 μM, respectively[1]. GW2580 (50 mg/kg; twice a day from days 0 to 21, 7 to 21, or 14 to 21) inhibits joint connective tissue and bone destruction in a 21-day adjuvant arthritis model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C3H/HEN mice or female CD-1 nude mice weighing 22-26 g[1]
Dosage:	20 and 80 mg/kg
Administration:	Oral administration
Result:	Produced a dose-related decrease in the number of tumor cells, with the 80 mg/kg dose completely blocking tumor growth.

Animal Model:	Female C3H/HEN mice or female CD-1 nude mice weighing 22-26 g[1]
Dosage:	20 and 80 mg/kg (Pharmacokinetic Study)
Administration:	Oral administration
Result:	Had gave maximal plasma concentrations of 1.4 and 5.6 μM, respectively.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Bioact Mater. 2022 May 2;19:474-485.
- J Control Release. 2022 Nov 16;352:994-1008.
- Int Immunopharmacol. 2020 Nov;88:106854.
- J Neurooncol. 2021 May 8.

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REFERENCES

[1]. Conway JG, et al. Inhibition of colony-stimulating-factor-1 signaling in vivo with the orally bioavailable cFMS kinase inhibitor GW2580. Proc Natl Acad Sci U S A. 2005 Nov 1;102(44):16078-83.

[2]. Priceman SJ, et al. Targeting distinct tumor-infiltrating myeloid cells by inhibiting CSF-1 receptor: combating tumor evasion of antiangiogenic therapy. Blood. 2010 Feb 18;115(7):1461-71

[3]. Conway JG, et al. Effects of the cFMS kinase inhibitor 5-(3-methoxy-4-((4-methoxybenzyl)oxy)benzyl)pyrimidine-2,4-diamine (GW2580) in normal and arthritic rats. J Pharmacol Exp Ther. 2008 Jul;326(1):41-50.

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