Tubulin degrader 1

Cat. No.: HY-161324

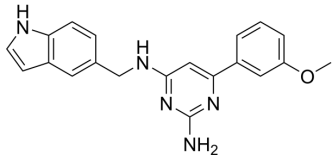
Molecular Formula: $C_{20}H_{19}N_5O$

Molecular Weight: 345.4

Target: Microtubule/Tubulin

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Tubulin degrader 1 (Compound 5i) is a BML284 (HY-19987) derivative that is an orally active colchicine-site noncovalent tubulin degradation agent with IC_{50} values ranging from 0.02 to 0.05 μM against the five tumor cell lines (Hela, HCT116, MCF-7, K562 and Molm-13). Tubulin degrader 1 has antiproliferative activity that effectively suppressed tumor growth[1].

In Vitro

Tubulin degrader 1 (0.2-25 μM, 24 h) exerts its antiproliferative activity by directly binding to the colchicine-site in Hela cells [1].

Tubulin degrader 1 (0-300 nM, 24 h) can cause apparent G2/M phase cell cycle arrest and cell apoptosis in A2780S and A2780T cells[1].

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

In Vivo

Tubulin degrader 1 (10-30 mg/kg, i.v., every two days for 6-9 doses) has antitumor activity in A2780S and A2780T xenograft models[1].

Pharmacokinetic Analysis in Male Sprague–Dawley Rat Model[1]

Route	Dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	CL_{obs} (L/h/kg)	V_{ss} (L/kg)	AUC_{0-t} (μg/mL*h)	C_{max} (μg/mL)	F (%)
i.v.	10	1.93	0.08	2.55	7.43	4266.53	2885.77	-
p.o.	10	5.94	3.67	18.86	154.68	446.77	77.92	10.47

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

REFERENCES

[1]. Zhang C, et al. Structure-based design and synthesis of BML284 derivatives: A novel class of colchicine-site noncovalent tubulin degradation agents. Eur J Med Chem. 2024 Feb 27;268:116265.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA