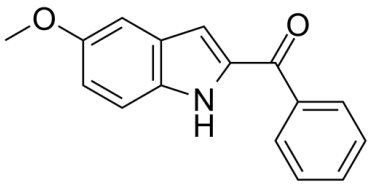
Data Sheet

Product Name:	D-64131
Cat. No.:	CS-1008
CAS No.:	74588-78-6
Molecular Formula:	C16H13NO2
Molecular Weight:	251.28
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Solubility:	DMSO : ≥ 100 mg/mL (397.96 mM)



BIOLOGICAL ACTIVITY:

D-64131 is a novel inhibitor of Tubulin polymerization that competitively binds with [(3)H]colchicine to αβ-Tubulin. IC50 Value: N/A Target: Microtubule/Tubulin in vitro: D-64131 is cytotoxic and inhibits tumor cell proliferation in vitro (IC50 = 74 nM). D-64131 prevents growth of tumor models in mice following oral administration in vivo. D-64131 has significant potential in cancer treatment. The proliferation of tumor cells from 12 of 14 different organs and tissues was inhibited with mean IC(50)s of 62 nM by D-64131. in vivo: In animal studies, no signs of systemic toxicity were observed after p.o. dosages of up to 400 mg/kg of D-64131. In xenograft experiments with the human amelanoic melanoma MEXF 989, D-64131 was highly active with treatment resulting in a growth delay of 23.4 days at 400 mg/kg. Therefore, D-64131 and analogues have the potential to be developed for cancer therapy, replacing or supplementing standard therapy regimens with tubulin-targeting drugs from natural sources.

References:

[1]. Mahboobi, Siavosh; Pongratz, Herwig; Hufsky, Harald et al. Synthetic 2-Aroylindole Derivatives as a New Class of Potent Tubulin-Inhibitory, Antimitotic Agents. Journal of Medicinal Chemistry (2001), 44(26), 4535-4553.

[2]. Bacher, G.; Beckers, T.; Emig, P. et al. New small-molecule tubulin inhibitors. Pure and Applied Chemistry (2001), 73(9), 1459-1464.

[3]. Beckers, Thomas; Reissmann, Thomas; Schmidt, Mathias et al. 2-Aroylindoles, a novel class of potent, orally active small molecule tubulin inhibitors. Cancer Research (2002), 62(11), 3113-3119.

CAIndexNames:

Methanone, (5-methoxy-1H-indol-2-yl)phenyl-

SMILES:

O=C(C(N1)=CC2=C1C=CC(OC)=C2)C3=CC=CC=C3

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

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