Sertindole

Cat. No.: HY-14543

CAS No.: 106516-24-9

Molecular Formula: $C_{24}H_{26}ClFN_4O$

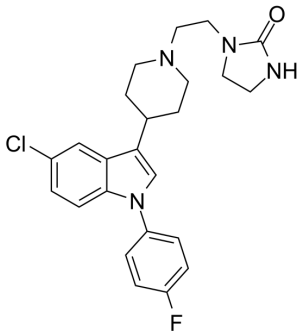
Molecular Weight: 440.94

Target: 5-HT Receptor; Dopamine Receptor; Autophagy; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling; Autophagy

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 : 25 mg/mL (56.70 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2679 mL	11.3394 mL	22.6788 mL
	5 mM	0.4536 mL	2.2679 mL	4.5358 mL
	10 mM	0.2268 mL	1.1339 mL	2.2679 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 (5.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sertindole (Lu 23-174) is an orally active 5-HT_{2A}, 5-HT_{2C}, dopamine D_2, and αl-adrenergic receptors antagonist. Sertindole shows antipsychotic activity and anti-proliferative activity to multiple cancer cells[1][2][3].

IC_{50} & Target

5-HT_{2A} Receptor | 5-HT_{2C} Receptor

In Vitro

Sertindole (0-100 μM; 48 h) attenuates proliferation of breast cancer cells[2].
Sertindole (0.8-27.6 μM; 48 h) inhibits proliferation toward many cancers in vitro[2].

Sertindole (5 μM and 10 μM; 24 h) attenuates migration of breast cancer cells[2].

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

Cell Proliferation Assay[2]

Cell Line:	SUM159 and MCF-10A cells
Concentration:	0-100 μM
Incubation Time:	48 hours
Result:	Showed IC_{50}s of 9.2 μM and 27.6 μM for SUM159 and MCF-10A cells, respectively.

Cell Proliferation Assay[2]

Cell Line:	NCI-H460, A549, NCI-H446, NCI-H661, 801-D, U251, A172, U118-MG, U87-MG, AGS, MKN45, BGC-823, SGC-7901, HT-29, COLO205, SW480, SW620, HCT-15, HepG2, Bel-7402, MCF-7, MDA-MB-231, SUM159, T47D, MDA-MB-453, ZR-75-1, CCRF-CEM, K562, Jurkat, MCF-10A cells
Concentration:	0.8-27.6 μM
Incubation Time:	48 hours
Result:	Showed IC_{50}s ranging between 0.8-12.7 μM, 2.7-4.6 μM, 12.7-15.3 μM and 8.6-16.1 μM for breast cancer, leukemia, hepatoma and glioblastoma lines, respectively.

Cell Migration Assay [2]

Cell Line:	SUM159 cells
Concentration:	5 μM and 10 μM
Incubation Time:	24 hours
Result:	Blocked around 50% cells traversing the membranes at 5 μM, and almost all the cells lost traversing ability at 10 μM. Elevated LC3II conversion significantly ($P < 0.01$).

In Vivo

Sertindole (oral gavage; 10 mg/kg; once daily; 12 d) shows anti-tumor activity in vivo[2].

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

Animal Model:	Immune-deficient Balb/c mice implanted MDA-MB-231 human TNBC cells[2]
Dosage:	10 mg/kg
Administration:	Oral gavage; 10 mg/kg; once daily; 12 days
Result:	Exhibited a 22.7% reduction in size after a 12-day administration regimen.

CUSTOMER VALIDATION

- Microsyst Nanoeng. 2022 May 9;8:49.
- ACS Omega. 2023 Feb 2; 8 (6), 5415-5425.

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REFERENCES

[1]. David Murdoch, et al. Sertindole : a review of its use in schizophrenia. CNS Drugs. 2006;20(3):233-55.

[2]. Wei Zhang, et al. Antiproliferative activities of the second-generation antipsychotic drug sertindole against breast cancers with a potential application for treatment of breast-to-brain metastases. Sci Rep. 2018 Oct 25;8(1):15753.

[3]. Mario F Juruena, et al. Sertindole in the management of schizophrenia. J Cent Nerv Syst Dis. 2011 May 17;3:75-85.

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