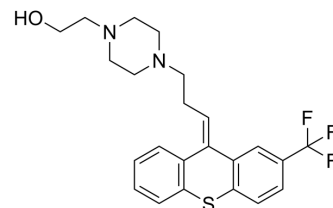


## Flupentixol

Cat. No.:	HY-15856A
CAS No.:	2709-56-0
Molecular Formula:	C <sub>23</sub> H <sub>25</sub> F <sub>3</sub> N <sub>2</sub> OS
Molecular Weight:	434.52
Target:	Dopamine Receptor; PI3K; Apoptosis
Pathway:	GPCR/G Protein; Neuronal Signaling; PI3K/Akt/mTOR; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Flupentixol is an orally active D <sub>1</sub> /D <sub>2</sub> dopamine receptor antagonist and new PI3K inhibitor (PI3K $\alpha$ IC <sub>50</sub> =127 nM). Flupentixol shows anti-proliferative activity to cancer cells and induces apoptosis. Flupentixol can also be used in schizophrenia, anxiolytic and depressive research <sup>[1][2][3]</sup> .																		
<b>IC<sub>50</sub> &amp; Target</b>	D <sub>1</sub> Receptor	D <sub>2</sub> Receptor	PI3K $\alpha$ 127 nM (IC <sub>50</sub> )																
<b>In Vitro</b>	<p>Flupentixol (2.5-40 <math>\mu</math>M; 72 h) treatment inhibits the viability of lung cancer cells in a dose-dependent manner<sup>[3]</sup>.            Flupentixol (2.5-40 <math>\mu</math>M; 24 h) induces apoptosis in lung cancer cells<sup>[3]</sup>.            Flupentixol (2.5-15 <math>\mu</math>M; 24 h) inhibits p-AKT and Bcl-2 expression levels<sup>[3]</sup>.            MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay<sup>[3]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549, H661, SK-SEM-1, and NCAL-H520 cells</td> </tr> <tr> <td>Concentration:</td> <td>2.5, 5, 10, 20, or 40 <math>\mu</math>M</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Showed the IC<sub>50</sub>s of 5.708 <math>\mu</math>M and 6.374 <math>\mu</math>M for A549 and H661 cells, respectively.</td> </tr> </table> <p>Apoptosis Analysis<sup>[3]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549 and H661 cells</td> </tr> <tr> <td>Concentration:</td> <td>5, 10, 20 and 40 <math>\mu</math>M</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Increased the percentage of cells in early apoptosis compared with the negative control in both A549 and H661 (p&lt; 0.05). Induced the cleavage of PARP and caspase-3 in a dose-dependent manner.</td> </tr> </table> <p>Western Blot Analysis<sup>[3]</sup></p>			Cell Line:	A549, H661, SK-SEM-1, and NCAL-H520 cells	Concentration:	2.5, 5, 10, 20, or 40 $\mu$ M	Incubation Time:	72 hours	Result:	Showed the IC <sub>50</sub> s of 5.708 $\mu$ M and 6.374 $\mu$ M for A549 and H661 cells, respectively.	Cell Line:	A549 and H661 cells	Concentration:	5, 10, 20 and 40 $\mu$ M	Incubation Time:	24 hours	Result:	Increased the percentage of cells in early apoptosis compared with the negative control in both A549 and H661 (p< 0.05). Induced the cleavage of PARP and caspase-3 in a dose-dependent manner.
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	Cell Line:	H661 and A549 cells
	Concentration:	2.5, 5, 10, and 15 $\mu$ M
	Incubation Time:	24 hours
	Result:	Decreased AKT phosphorylation levels in a dose-dependent manner, decreased the expression levels of Bcl-2.
<b>In Vivo</b>	Flupentixol (intragastric injection; 40 mg/kg; once daily; 21 d) suppresses A549 xenografted tumor growth in nude mice <sup>[3]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	BALB/C nude mice injected with A549 cells <sup>[3]</sup>
	Dosage:	40 mg/kg
	Administration:	Intragastric injection; 40 mg/kg; once daily; 21 days
	Result:	Reduced tumor volumes compared to the vehicle control ( $p < 0.05$ ), reduced tumor weights by 64.1% ( $p < 0.05$ ).

## REFERENCES

- [1]. Yonar D, et al. Effect of cis-(Z)-flupentixol on DPPC membranes in the presence and absence of cholesterol. Chem Phys Lipids. 2016 Jun;198:61-71.
- [2]. Ruhmann S, et al. Efficacy of flupentixol and risperidone in chronic schizophrenia with predominantly negative symptoms. Prog Neuropsychopharmacol Biol Psychiatry. 2007 Jun 30;31(5):1012-22.
- [3]. Chao Dong, et al. The antipsychotic agent flupentixol is a new PI3K inhibitor and potential anticancer drug for lung cancer. Int J Biol Sci. 2019 Jun 2;15(7):1523-1532.

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

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