**Proteins** 

# **Product** Data Sheet

## Flupentixol dihydrochloride

Cat. No.: HY-15856B CAS No.: 2413-38-9

Molecular Formula:  $C_{23}H_{27}Cl_{2}F_{3}N_{2}OS$ 

507.44 Molecular Weight:

Target: Dopamine Receptor; PI3K; Apoptosis

Pathway: GPCR/G Protein; Neuronal Signaling; PI3K/Akt/mTOR; Apoptosis

4°C, sealed storage, away from moisture and light Storage:

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light)

### **SOLVENT & SOLUBILITY**

In Vitro

H<sub>2</sub>O: 100 mg/mL (197.07 mM; Need ultrasonic) DMSO: 33.33 mg/mL (65.68 mM; Need ultrasonic)

| Preparing<br>Stock Solutions | Solvent Mass<br>Concentration | 1 mg      | 5 mg      | 10 mg      |
|------------------------------|-------------------------------|-----------|-----------|------------|
|                              | 1 mM                          | 1.9707 mL | 9.8534 mL | 19.7068 mL |
|                              | 5 mM                          | 0.3941 mL | 1.9707 mL | 3.9414 mL  |
|                              | 10 mM                         | 0.1971 mL | 0.9853 mL | 1.9707 mL  |

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 50 mg/mL (98.53 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description Flupentixol is an orally active  $D_1/D_2$  dopamine receptor antagonist and new PI3K inhibitor (PI3K $\alpha$  IC $_{50}$ =127 nM). Flupentixol

shows anti-proliferative activity to cancer cells and induces apoptosis. Flupentixol can also be used in schizophrenia,

anxiolytic and depressive research [1][2][3].

ΡΙ3Κα D<sub>1</sub> Receptor D<sub>2</sub> Receptor IC<sub>50</sub> & Target

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|          | 127 nM (IC <sub>50</sub> )                             |   |  |  |  |  |
|----------|--|---|--|--|--|--|
| In Vitro | Flupentixol (2.5-40 μM; 2<br>Flupentixol (2.5-15 μM; 2 | Flupentixol (2.5-40 $\mu$ M; 72 h) treatment inhibits the viability of lung cancer cells in a dose-dependent manner [3]. Flupentixol (2.5-40 $\mu$ M; 24 h) induces apoptosis in lung cancer cells [3]. Flupentixol (2.5-15 $\mu$ M; 24 h) inhibits p-AKT and Bcl-2 expression levels [3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay [3] |  |  |  |  |
|          | Cell Line:   | A549, H661, SK-SEM-1, and NCAL-H520 cells   |  |  |  |  |
|          | Concentration:   | 2.5, 5, 10, 20, or 40 μM  |  |  |  |  |
|          | Incubation Time:                                       | 72 hours  |  |  |  |  |
|          | Result:  | Showed the IC $_{50} s$ of 5.708 $\mu M$ and 6.374 $\mu M$ for A549 and H661 cells, respectively.   |  |  |  |  |
|          | Apoptosis Analysis <sup>[3]</sup>                      | Apoptosis Analysis <sup>[3]</sup>   |  |  |  |  |
|          | Cell Line:   | A549 and H661 cells   |  |  |  |  |
|          | Concentration:   | 5, 10, 20 and 40 μM   |  |  |  |  |
|          | 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.   |  |  |  |  |
|          | Western Blot Analysis <sup>[3]</sup>                   |   |  |  |  |  |
|          | Cell Line:   | A549 and H661 cells   |  |  |  |  |
|          | Concentration:   | 2.5, 5, 10, and 15 μM   |  |  |  |  |
|          | Incubation Time:                                       | 24 hours  |  |  |  |  |
|          | Result:  | Decreased AKT phosphorylation levels in a dose-dependent manner, decreased the expression levels of Bcl-2.  |  |  |  |  |
| In Vivo  |  | 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]. Ruhrmann 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.

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| [2]. Chao Dong, et al. The antips  | sychotic agent flupentixol is   | a new PI3K inhibitor and potent                    | ial anticancer drug for lung cancer. Ir                 | nt J Biol Sci. 2019 Jun 2;15(7):1523-1532. |  |  |
|--|---------------------------------|--|---|--|--|--|
| [3]. 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. |                                 |  |   |  |  |  |
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