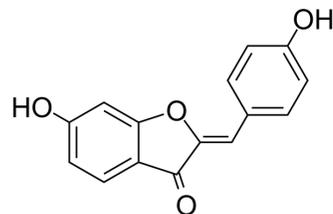


## Hispidol

|                           |  |       |         |
|---------------------------|--|-------|---------|
| <b>Cat. No.:</b>          | HY-102040                                      |       |         |
| <b>CAS No.:</b>           | 5786-54-9                                      |       |         |
| <b>Molecular Formula:</b> | C <sub>15</sub> H <sub>10</sub> O <sub>4</sub> |       |         |
| <b>Molecular Weight:</b>  | 254.24   |       |         |
| <b>Target:</b>            | TNF Receptor                                   |       |         |
| <b>Pathway:</b>           | Apoptosis                                      |       |         |
| <b>Storage:</b>           | Powder   | -20°C | 3 years |
|                           |  | 4°C   | 2 years |
|                           | In solvent                                     | -80°C | 2 years |
|                           |  | -20°C | 1 year  |



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 100 mg/mL (393.33 mM)  
 \* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent       | Mass | 1 mg      | 5 mg       | 10 mg      |
|---------------------------|---------------|------|-----------|------------|------------|
|                           | Concentration |      |           |            |            |
|                           | 1 mM          |      | 3.9333 mL | 19.6665 mL | 39.3329 mL |
|                           | 5 mM          |      | 0.7867 mL | 3.9333 mL  | 7.8666 mL  |
|                           | 10 mM         |      | 0.3933 mL | 1.9666 mL  | 3.9333 mL  |

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

### BIOLOGICAL ACTIVITY

#### Description

Hispidol ((Z)-Hispidol) is a potential therapeutic for inflammatory bowel disease; inhibits TNF-α induced adhesion of monocytes to colon epithelial cells with an IC<sub>50</sub> of 0.50 μM.

#### In Vitro

Hispidol shows potent inhibitory effect (>70%) on the TNF-α-induced adhesion of monocytes to colon epithelial cells, which is one of the hallmark events leading to inflammatory bowel disease (IBD). Hispidol shows strong inhibitory activities against TNF-α-induced monocytic-colonic epithelial cell adhesion as well as LPS-induced TNF-α expression, is as an excellent candidate for IBD drug development. This inhibition of TNF-α expression by hispidol corresponds to the additional inhibitory activity against AP-1 transcriptional activity, which is another transcription factor required for high level TNF-α expression<sup>[1]</sup>.

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

#### In Vivo

The oral administration of hispidol suppresses significantly and dose-dependently TNBS-induced rat colitis. Oral administration of hispidol suppresses TNBS-induced colitis in a dose-dependent manner. There is a significant recovery in body weight decrease and colon tissue edematous inflammation. A higher dose (30 mg/kg) of hispidol shows a similar

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recovery effect to that of 300 mg/kg sulfasalazine. In the colon tissues, TNBS induces a dramatic increase in the level of MPO, a biochemical marker of inflammation, which is suppressed significantly by hispidol in a dose-dependent manner<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## PROTOCOL

### Animal Administration <sup>[1]</sup>

Rats: To study the effect of the drugs, hispidol (10 or 30 mg/Kg/day in corn oil) is administered orally once in a day, until 5 days after TNBS administration. The doses of 10 or 30 mg/kg are selected based on previous studies. The concentration of the compound inhibiting 70% and 90% ( $\mu\text{M}$ ) cell-to-cell adhesion is selected and regarded as the in vivo test dose (mg/kg). Sulfasalazine (300 mg/Kg/day) is administered in corn oil as a positive control. On the 6th day, the rats are sacrificed and the severity of colitis and macroscopic ulceration are evaluated by two independent investigators who are blinded to the experiments. The colon tissues (5-7 cm proximal to rectum) are cut and used to measure the amount of myeloperoxidase and for the histological examinations<sup>[1]</sup>.

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

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## REFERENCES

[1]. Kadayat TM, et al. Discovery and structure-activity relationship studies of 2-benzylidene-2,3-dihydro-1H-inden-1-one and benzofuran-3(2H)-one derivatives as a novel class of potential therapeutics for inflammatory bowel disease. *Eur J Med Chem.* 2017 Sep 8

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

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