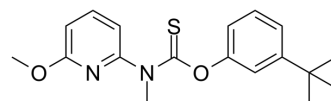


## Pyributicarb

|                    |   |       |         |
|--------------------|---|-------|---------|
| Cat. No.:          | HY-111202   |       |         |
| CAS No.:           | 88678-67-5  |       |         |
| Molecular Formula: | C <sub>18</sub> H <sub>22</sub> N <sub>2</sub> O <sub>2</sub> S |       |         |
| Molecular Weight:  | 330.44  |       |         |
| Target:            | Cytochrome P450   |       |         |
| Pathway:           | Metabolic Enzyme/Protease                                       |       |         |
| 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 : 100 mg/mL (302.63 mM; Need ultrasonic)   |                          |              |            |            |
|   |   | Solvent<br>Concentration | Mass<br>1 mg | 5 mg       | 10 mg      |
|   | Preparing<br>Stock Solutions  | 1 mM                     | 3.0263 mL    | 15.1313 mL | 30.2627 mL |
|   |   | 5 mM                     | 0.6053 mL    | 3.0263 mL  | 6.0525 mL  |
| 10 mM   |   | 0.3026 mL                | 1.5131 mL    | 3.0263 mL  |            |
| Please refer to the solubility information to select the appropriate solvent. |   |                          |              |            |            |
| In Vivo   | <ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline<br/>Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline)<br/>Solubility: 2.5 mg/mL (7.57 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil<br/>Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution</li> </ol> |                          |              |            |            |

### BIOLOGICAL ACTIVITY

|                           |  |
|---------------------------|--|
| Description               | Pyributicarb, a carbamate-type herbicide, is a potent activator of both CYP3A4 gene and human pregnane X receptor (hPXR).  |
| IC <sub>50</sub> & Target | CYP3A4 <sup>[1]</sup> , hPXR <sup>[2]</sup>  |
| In Vitro                  | Pyributicarb, a carbamate-type herbicide, is a potent activator of both CYP3A4 gene and human pregnane X receptor (hPXR). Pyributicarb is found to increase the CYP3A4 reporter activity at 0.1 to 1 μM more strongly than typical CYP3A4 inducer rifampicin. Expression of hPXR-siRNA clearly diminishes the Pyributicarb-stimulated CYP3A4 reporter activity in 3-1-10 cells |

and decreases the endogenous CYP3A4 mRNA levels in HepG2 cells<sup>[1]</sup>. Pyributicarb induces luciferase transcription via hPXR at low concentrations in the order of 10 nM. The relative potency of Pyributicarb for hPXR is 8.6-fold that of rifampicin (RIF)<sup>[2]</sup>

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

#### In Vivo

Pyributicarb causes enhancement of CYP3A4-derived reporter activity in mouse livers introduced with hPXR by adenovirus<sup>[1]</sup>

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## PROTOCOL

#### Cell Assay <sup>[1]</sup>

HepG2-derived cells stably expressing the CYP3A4 reporter gene (3-1-10 cells) are used in this experiment. The cells are treated with 0.3 to 30  $\mu$ M Pyributicarb for 48 h. Then reporter activities are determined<sup>[1]</sup>.

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

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

Male ICR mice (5 weeks old) are used and fed standard rodent chow. After 18-h fasting, mice are injected i.v. with adenovirus [ $4.0 \times 10^9$  50% titer culture infectious dose (TCID<sub>50</sub>)/mouse]. Three days after the infection, vehicle (0.5% methyl cellulose/saline) or Pyributicarb (100 mg/kg/day) is administered p.o. for 2 consecutive days. Animals are killed 20 h after the last dose<sup>[1]</sup>.

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

## REFERENCES

- [1]. Matsubara T, et al. Assessment of human pregnane X receptor involvement in pesticide-mediated activation of CYP3A4 gene. *Drug Metab Dispos.* 2007 May;35(5):728-33.
- [2]. Kojima H, et al. Comparative study of human and mouse pregnane X receptor agonistic activity in 200 pesticides using in vitro reporter gene assays. *Toxicology.* 2011 Feb 27;280(3):77-87.

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

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