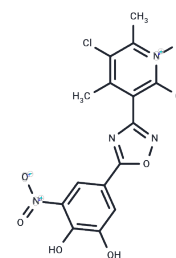


## Opicapone

## Chemical Properties

|                   |   |
|-------------------|---|
| CAS No. :         | 923287-50-7   |
| Formula:          | C <sub>15</sub> H <sub>10</sub> Cl <sub>2</sub> N <sub>4</sub> O <sub>6</sub>                                       |
| Molecular Weight: | 413.17  |
| Storage:          | Powder: -20°C for 3 years   In solvent: -80°C for 1 year<br>Actual storage temperature shall be subject to the COA. |



## Biological Description

|                            |   |
|----------------------------|---|
| Description                | Opicapone (BIA 9-1067) reduces the ATP content of the cells (IC <sub>50</sub> : 98 μM). Opicapone is an effective third-generation catechol-O-methyltransferase inhibitor for the research of Parkinson's disease and motor fluctuations.   |
| Targets(IC <sub>50</sub> ) | Transferase   |
| In vitro                   | Opicapone decreases the mitochondrial membrane potential of the cells (IC <sub>50</sub> : 181 μM). Opicapone has a prolonged inhibitory effect on peripheral COMT, which extends the bioavailability of L-DOPA, without inducing toxicity. Incubation of human primary hepatocytes for 24 h with increasing concentrations of Ro 40-7592, OR-611 or Opicapone resulted in a concentration-dependent decrease in the mitochondrial membrane potential of the cells, evaluated by the ratio JC-1 aggregates over JC-1 monomer (ratio lex 544 lem 590 over lex 485 lem 538) [1].   |
| In vivo                    | Opicapone inhibited rat peripheral COMT with ED <sub>50</sub> values below 1.4 mg kg <sup>-1</sup> up to 6 h post-administration. The effect was sustained over the first 8 h and by 24 h COMT had not returned to control values. A single administration of opicapone resulted in increased and sustained plasma levodopa levels with a concomitant reduction in 3-O-methyldopa from 2 h up to 24 h post-administration, while tolcapone produced significant effects only at 2 h post-administration. The effects of opicapone on brain catecholamines after levodopa administration were sustained up to 24 h post-administration. Opicapone was also the least potent compound in decreasing both the mitochondrial membrane potential and the ATP content in human primary hepatocytes after a 24 h incubation period[1]. |

## Solubility Information

|                     |  |
|---------------------|--|
| Solubility          | DMSO: 140 mg/mL (338.84 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble)  |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (9.68 mM),Sonication is recommended.<br><i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

### Preparing Stock Solutions

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|       | <b>1mg</b> | <b>5mg</b> | <b>10mg</b> |
|-------|------------|------------|-------------|
| 1 mM  | 2.4203 mL  | 12.1016 mL | 24.2031 mL  |
| 5 mM  | 0.4841 mL  | 2.4203 mL  | 4.8406 mL   |
| 10 mM | 0.242 mL   | 1.2102 mL  | 2.4203 mL   |
| 50 mM | 0.0484 mL  | 0.242 mL   | 0.4841 mL   |

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Bonifácio MJ, et al. Pharmacological profile of Opicapone, a third-generation nitrocatechol catechol-O-methyl transferase inhibitor, in the rat. *Br J Pharmacol.* 2015 Apr;172(7):1739-52.

Ferreira JJ, et al. Opicapone as an adjunct to L-DOPA in patients with Parkinson's disease and end-of-dose motor fluctuations: a randomised, double-blind, controlled trial. *Lancet Neurol.* 2016 Feb;15(2):154-165.

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