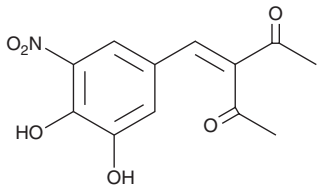
PRODUCT INFORMATION

Nitecapone

Item No. 18656

CAS Registry No.:	116313-94-1
Formal Name:	3-[(3,4-dihydroxy-5-nitrophenyl) methylene]-2,4-pentanedione
Synonym:	OR-462
MF:	$C_{12}H_{11}NO_6$
FW:	265.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 301 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Nitecapone is supplied as a crystalline solid. A stock solution may be made by dissolving the nitecapone in the solvent of choice. Nitecapone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of nitecapone in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Nitecapone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, nitecapone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Nitecapone has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Nitecapone is a reversible inhibitor of S-catechol-O-methyltransferase (S-COMT; IC_{50} = 300 nM in rat liver).[1] It is selective for S-COMT over tyrosine hydroxylase, dopamine-β-hydroxylase, DOPA decarboxylase, monoamine oxidase A (MAO-A), and MAO-B (IC_{50}s = >1 µM for all). *In vivo*, nitecapone inhibits liver, duodenal, and brain S-COMT (ID_{50}s = 5, 5, and 25 mg/kg, respectively). Nitecapone (3–30 mg/kg) reduces 3-O-methyl-DOPA (3-OMD) formation and increases serum and brain L-DOPA, dopamine, and DOPAC levels when administered in combination with L-DOPA (Item No. 13248) and carbidopa (Item No. 23783). Nitecapone (30 mg/kg) reduces mechanical and cold allodynia in a rat model of spinal nerve ligation-induced neuropathy.[2]

References

1. Männistö, P.T. and Kaakkola, S. *Pharmacol. Rev.* **51(4)**, 593-628 (1999).
2. Kambur, O., Männistö, P.T., Pusa, A.M., *et al. Eur. J. Pain.* **15(7)**, 732-740 (2015).

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