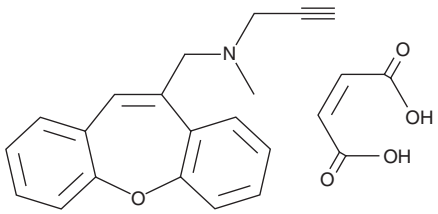
PRODUCT INFORMATION

CGP 3466 (maleate)

Item No. 23362

CAS Registry No.:	200189-97-5
Formal Name:	N-methyl-N-2-propyn-1-yl-dibenz[b,f]oxepin-10-methanamine, 2Z-butenedioate
Synonym:	CGP 3466B
MF:	$C_{19}H_{17}NO \bullet C_4H_4O_4$
FW:	391.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 205, 282 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

CGP 3466 (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the CGP 3466 (maleate) in the solvent of choice. CGP 3466 (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CGP 3466 (maleate) in ethanol is approximately 0.5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of CGP 3466 (maleate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of CGP 3466 (maleate) in PBS, pH 7.2, is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

CGP 3466 is a GAPDH ligand.[1,2] Immobilized CGP 3466 binds to GAPDH from rat hippocampus extracts and to purified recombinant rabbit muscle GAPDH using affinity purification.[2] CGP 3466 reduces PAJU cell apoptosis induced by rotenone (Item No. 13995). CGP 3466 dose-dependently increases survival of trophically withdrawn PC12 cells, decreases cytosine arabinoside-induced apoptosis of cerebellar granule cells, and increases the number of tyrosine hydroxylase-positive (TH^+) mesencephalic dopaminergic neurons *in vitro*.[1] Oral administration of CGP 3466 (0.14 mg/kg) increases the number of TH^+ dopaminergic neurons in a rat model of Parkinson's disease induced by MPTP. It also reduces delayed acquisition in the Morris maze in a 6-OHDA-treated rat model of Parkinson's disease and increases survival in progressive motor neuronopathy (pmn) mice, a genetic model of amyotrophic lateral sclerosis (ALS). Formulations containing CGP 3466 are under clinical investigation for the treatment of Parkinson's disease and ALS.[3,4]

References

1. Waldemeier, P.C., Boulton, A.A., Cools, A.R., *et al. J. Neural Transm. Suppl.* **60**, 197-214 (2000).
2. Kragten, E., Lalande, I., Zimmermann, K., *et al. J. Biol. Chem.* **273(10)**, 5821-5828 (1998).
3. Miller, R., Bradley, W., Cudkowicz, M., *et al. Neurology* **69(8)**, 776-784 (2007).
4. Olanow, C.W., Schapira, A.H., LeWitt, P.A., *et al. Lancet. Neurol.* **5(12)**, 1013-1020 (2006).

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