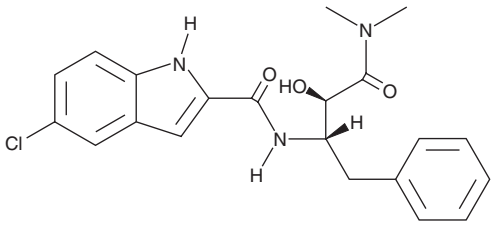
PRODUCT INFORMATION

CP 91,149

Item No. 17709

CAS Registry No.:	186392-40-5
Formal Name:	5-chloro-N-[(1S,2R)-3-(dimethylamino)-2-hydroxy-3-oxo-1-(phenylmethyl) propyl]-1H-indole-2-carboxamide
MF:	$C_{21}H_{22}ClN_3O_3$
FW:	399.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 212, 298 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

CP 91,149 is supplied as a crystalline solid. A stock solution may be made by dissolving the CP 91,149 in the solvent of choice, which should be purged with an inert gas. CP 91,149 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of CP 91,149 in these solvents is approximately 25 mg/ml.

CP 91,149 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CP 91,149 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CP 91,149 has a solubility of approximately 0.20 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CP 91,149 is an inhibitor of human liver glycogen phosphorylase a (LGPa), muscle glycogen phosphorylase a (MGPa), and MGPb (IC_{50}s = 0.13, 0.2, and 0.3 µM, respectively, in the presence of glucose).[1-3] CP 91,149 is 5- to 10-fold less potent in the absence of glucose.[1] *In vitro*, it inhibits glucagon-stimulated glycogenolysis in primary human hepatocytes (IC_{50} = 2.1 µM) and increases glycogen synthesis in rat hepatocytes at a concentration of 2.5 µM in the presence of 5 mM glucose.[1,3] CP 91,149 inhibits brain GP (IC_{50} = 0.5 µM) and, at a concentration of 30 µM, inhibits glycogen accumulation and proliferation of A549 non-small cell lung carcinoma (NSCLC) cells that express endogenous brain GP.[4] *In vivo*, CP 91,149 (25 mg/kg, p.o.) lowers the plasma glucose level in diabetic *ob/ob* mice within 3 hours of administration without producing hypoglycemia, but has no effect on normoglycemic, non-diabetic mice.[1]

References

1. Martin, W.H., Hoover, D.J., Armento, S.J., *et al.* Discovery of a human liver glycogen phosphorylase inhibitor that lowers blood glucose *in vivo*. *Proc. Natl. Acad. Sci. USA* **95(4)**, 1776-1781 (1998).
2. Hoover, D.J., Lefkowitz-Snow, S., Burgess-Henry, J.L., *et al.* Indole-2-carboxamide inhibitors of human liver glycogen phosphorylase. *J. Med. Chem.* **41(16)**, 2934-2938 (1998).
3. Aiston, S., Hampson, L., Gómez-Foix, A.M., *et al.* Hepatic glycogen synthesis is highly sensitive to phosphorylase activity: Evidence from metabolic control analysis. *J. Biol. Chem.* **276(26)**, 23858-23866 (2001).
4. Schnier, J.B., Nishi, K., Monks, A., *et al.* Inhibition of glycogen phosphorylase (GP) by CP-91,149 induces growth inhibition correlating with brain GP expression. *Biochem. Biophys. Res. Commun.* **309(1)**, 126-134 (2003).

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