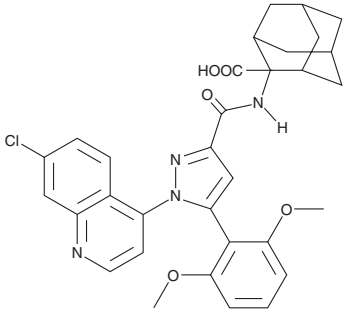
PRODUCT INFORMATION

SR 48692

Item No. 20124

CAS Registry No.:	146362-70-1
Formal Name:	2-[[[1-(7-chloro-4-quinolinyl)-5-(2,6-dimethoxyphenyl)-1H-pyrazol-3-yl]carbonyl]amino]-tricyclo[3.3.1.1^{3,7}]decane-2-carboxylic acid
MF:	$C_{32}H_{31}ClN_4O_5$
FW:	587.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 233 nm
Supplied as:	A 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

SR 48692 is supplied as a solid. A stock solution may be made by dissolving the SR 48692 in the solvent of choice, which should be purged with an inert gas. SR 48692 is soluble in the organic solvent DMSO at a concentration of approximately 20 mM.

Description

SR 48692 is an orally bioavailable allosteric antagonist of the neurotensin receptor NTS_1 (K_d = 3.4 nM).[1,2] SR 48692 inhibits high affinity neurotensin binding to brain tissue from guinea pig, newborn mouse, newborn human, and adult human as well as rat mesencephalic cells and HT-29 cells with IC_{50} values ranging from 0.99 to 30.3 nM.[1] It blocks neurotensin-induced intracellular calcium mobilization in HT-29 cells with a K_i value of 7.4 nM. SR 48692 (10 µM) inhibits NCI-H209 and NCI-H345 cell proliferation by approximately 70 and 80%, respectively.[3] *In vivo*, SR 48692 (10 µg per day) reduces tumor volume and cell proliferation in an NCI-H209 mouse xenograft model. SR 48692 (80 µg/kg, p.o.) reduces contralateral turning induced by neurotensin (Item No. 24717) administration in mice by 85%.[1] Daily administration of SR 48692 for five days in rats delays sensitization to the locomotor activating effects of cocaine during three additional cocaine challenges when given seven days prior to cocaine delivery but not under a cotreatment regimen.[4]

References

1. Gully, D., Canton, M., Boigegrain, R., *et al.* Biochemical and pharmacological profile of a potent and selective nonpeptide antagonist of the neurotensin receptor. *Proc. Natl. Acad. Sci. U.S.A.* **90(1)**, 65-69 (1993).
2. Labbé-Jullié, C., Botto, J.-M., Mas, M.-V., *et al.* [^{3}H]SR 48692, the first nonpeptide neurotensin antagonist radioligand: Characterization of binding properties and evidence for distinct agonist and antagonist binding domains on the rat neurotensin receptor. *Mol. Pharmacol.* **47(5)**, 1050-1056 (1995).
3. Moody, T.W., Chiles, J., Casibang, M., *et al.* SR48692 is a neurotensin receptor antagonist which inhibits the growth of small cell lung cancer cells. *Peptides* **22(1)**, 109-115 (2001).
4. Horger, B.A., Taylor, J.R., Elsworth, J.D., *et al.* Preexposure to, but not cotreatment with, the neurotensin antagonist SR 48692 delays the development of cocaine sensitization. *Neuropsychopharmacology* **11(3)**, 215-222 (1994).

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