# PRODUCT INFORMATION

## TCS 1102

*Item No. 18495*

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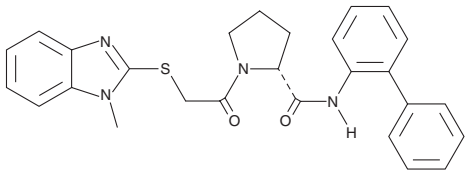
| **CAS Registry No.:** | 916141-36-1 |
| **Formal Name:** | (2S)-N-[1,1'-biphenyl]-2-yl-1-[2-[(1-methyl-1H-benzimidazol-2-yl)thio]acetyl]-2-pyrrolidinecarboxamide |
| **MF:** | $C_{27}H_{26}N_4O_2S$ |
| **FW:** | 470.6 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 205, 284, 291 nm |
| **Supplied 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

TCS 1102 is supplied as a crystalline solid. A stock solution may be made by dissolving the TCS 1102 in the solvent of choice. TCS 1102 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of TCS 1102 in these solvents is approximately 10, 14, and 25 mg/ml, respectively.

TCS 1102 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TCS 1102 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. TCS 1102 has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Orexin receptors 1 (OX1R) and OX2R mediate the action of the neuropeptides orexin A and orexin B. TCS 1102 is a dual antagonist of both OX1R and OX2R ($K_i$s = 0.2 and 3 nM, respectively).[1] It is a poor substrate for P-glycoprotein and, as a result, demonstrates good brain penetration when administered intraperitoneally.[1] Dual orexin receptor antagonists, including TCS 1102, promote sleep and prevent drug-induced plasticity and drug relapse.[2] Systemic injection of TCS 1102 (10 mg/kg i.p.) in rats decreases fear and anxiety in response to acute episodes of stress.[3]

### References


1. Bergman, J.M., Roecker, A.J., Mercer, S.P., *et al.* Proline bis-amides as potent dual orexin receptor antagonists. *Bioorg. Med. Chem. Lett.* **18(4)**, 1425-1430 (2008).
2. Winrow, C.J., Tanis, K.Q., Reiss, D.R., *et al.* Orexin receptor antagonism prevents transcriptional and behavioral plasticity resulting from stimulant exposure. *Neuropharmacology* **58(1)**, 185-194 (2010).
3. Chen, X., Wang, H., Lin, Z., *et al.* Orexins (hypocretins) contribute to fear and avoidance in rats exposed to a single episode of footshocks. *Brain Struct. Funct.* **219(6)**, 2103-2118 (2014).





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