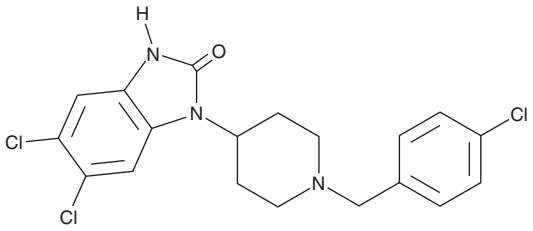
PRODUCT INFORMATION

SR 17018

Item No. 24480

CAS Registry No.:	2134602-45-0
Formal Name:	5,6-dichloro-1-[1-[(4-chlorophenyl) methyl]-4-piperidinyl]-1,3-dihydro-2H-benzimidazol-2-one
MF:	$C_{19}H_{18}Cl_3N_3O$
FW:	410.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 302 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

SR 17018 is supplied as a crystalline solid. A stock solution may be made by dissolving the SR 17018 in the solvent of choice, which should be purged with an inert gas. SR 17018 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SR 17018 in these solvents is approximately 1 mg/ml. SR 17018 is slightly soluble in ethanol.

SR 17018 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SR 17018 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SR 17018 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SR 17018 is an orally bioavailable and brain-penetrant agonist of μ-opioid receptors.[1] It is functionally selective for G protein-coupled receptor signaling (EC_{50} = 97 nM for GTPγS binding in CHO cells expressing μ-opioid receptors) over β-arrestin 2 recruitment (EC_{50} = >10,000 nM) at the μ-opioid receptor. SR 17018 increases latency to withdraw in the hot plate and warm water tail-flick assay (ED_{50}s = 6.9 and 7.7 mg/kg, respectively) without inducing respiratory depression in mice when administered at doses up to 48 mg/kg. SR 17018 was designed for this biased agonism at the μ-opioid receptor to potentially widen the therapeutic window and reduce adverse effects of μ-opioid receptors, such as respiratory depression.

Reference

1. Schmid, C.L., Kennedy, N.M., Ross, N.C., *et al.* Bias factor and therapeutic window correlate to predict safer opioid analgesics. *Cell* **171(5)**, 1165-1175 (2017).

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