



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten!  
See the following pages for more information!



### Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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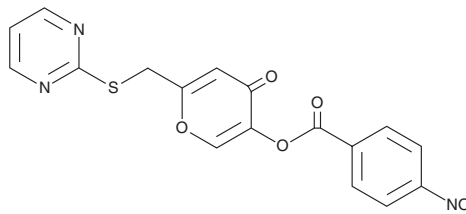
# PRODUCT INFORMATION



## ML-221

Item No. 27313

**CAS Registry No.:** 877636-42-5  
**Formal Name:** 5-[(4-nitrobenzoyl)oxy]-2-[(2-pyrimidinylthio)methyl]-4H-pyran-4-one  
**MF:** C<sub>17</sub>H<sub>11</sub>N<sub>3</sub>O<sub>6</sub>S  
**FW:** 385.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 249 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

ML-221 is supplied as a crystalline solid. A stock solution may be made by dissolving the ML-221 in the solvent of choice, which should be purged with an inert gas. ML-221 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of ML-221 in these solvents is approximately 1 and 10 mg/ml, respectively.

ML-221 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ML-221 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ML-221 has a solubility of approximately 0.03 mg/ml in a 1:30 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

ML-221 is an antagonist of the G protein-coupled receptor (GPCR) APJ (IC<sub>50</sub> = 4.8 μM).<sup>1</sup> It is selective for APJ over the angiotensin II type 1 (AT<sub>1</sub>) receptor (IC<sub>50</sub> = >78 μM). ML-221 antagonizes apelin 13-induced activation of APJ in cAMP and β-arrestin recruitment assays (IC<sub>50</sub>s = 0.7 and 1.75 μM, respectively). It inhibits proliferation and angiogenesis in Mz-ChA-1 cholangiocarcinoma cells when used at concentrations ranging from 5 to 15 μM.<sup>2</sup> *In vivo*, ML-221 (150 μg/kg) reduces tumor growth in a Mz-ChA-1 mouse xenograft model. Intrathecal injection of ML-221 (10 μg per animal) reduces mechanical allodynia and heat hyperalgesia induced by chronic constriction injury (CCI) of the sciatic nerve in rats.<sup>3</sup> ML-221 also inhibits pathological angiogenesis and enhances normal vessel recovery in retinal ischemic regions in a mouse model of oxygen-induced retinopathy.<sup>4</sup>

### References

1. Maloney, P.R., Khan, P., Hedrick, M., *et al.* Discovery of 4-oxo-6-((pyrimidin-2-ylthio)methyl)-4H-pyran-3-yl 4-nitrobenzoate (ML221) as a functional antagonist of the apelin (APJ) receptor. *Bioorg. Med. Chem. Lett.* **22(21)**, 6656-6660 (2012).
2. Hall, C., Ehrlich, L., Venter, J., *et al.* Inhibition of the apelin/apelin receptor axis decreases cholangiocarcinoma growth. *Cancer Lett.* **386**, 179-188 (2017).
3. Xiong, Q., He, W., Wang, H., *et al.* Effect of the spinal apelin APJ system on the pathogenesis of chronic constriction injury induced neuropathic pain in rats. *Mol. Med. Rep.* **16(2)**, 1223-1231 (2017).
4. Ishimaru, Y., Shibagaki, F., Yamamuro, A., *et al.* An apelin receptor antagonist prevents pathological retinal angiogenesis with ischemic retinopathy in mice. *Sci. Rep.* **7(1)**, 15062 (2017).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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