PRODUCT INFORMATION



ML-290

Item No. 21134

CAS Registry No.: 1482500-76-4

Formal Name: 2-[[2-(1-methylethoxy)benzoyl]

amino]-N-[3-[(trifluoromethyl)

sulfonyl]phenyl]-benzamide

Synonym: RXFP1 Agonist 8 MF: $C_{24}H_{21}F_3N_2O_5S$

FW: 506.5 **Purity:**

UV/Vis.: λ_{max} : 212, 263 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥2 years

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

Laboratory Procedures

ML-290 is supplied as a crystalline solid. A stock solution may be made by dissolving the ML-290 in the solvent of choice, which should be purged with an inert gas. ML-290 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ML-290 in these solvents is approximately 0.14, 25, and 30 mg/ml, respectively.

ML-290 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ML-290 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ML-290 has a solubility of approximately 0.33 mg/ml in a 1:2 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-290 is an allosteric agonist of relaxin family peptide receptor 1 (RXFP1; EC_{50} = 0.094 μM for the human receptor in a cAMP produciton assay). It is selective for RXFP1 over RXFP2 ($EC_{50} = 1.5 \mu M$). ML-90 (250 nM) induces expression of VEGF in THP-1 cells expressing human RXFP1. It stimulates cAMP release from HEK293 cells expressing the human, macaque, pig, or rabbit receptors, but not the guinea pig or mouse receptors. ML-290 (5 µM) increases the expression of MMP1 and PPARGC1A and decreases COL1A1 expression in primary human hepatic stellate cells.³ It reduces carbon tetrachloride-induced liver fibrosis in transgenic mice expressing human RXFP1 when administered at a dose of 37 mg/kg.

References

- 1. Xiao, J., Chen, C.Z., Huang, Z., et al. Discovery, optimization, and biological activity of the first potent and selective small-molecule agonist series of human relaxin receptor 1 (RXFP1). Probe Reports from the NIH Molecular Libraries Program (2012).
- 2. Huang, Z., Myhr, C., Bathgate, R.A.D., et al. Activation of relaxin family receptor 1 from different mammalian species by relaxin peptide and small-molecule agonist ML290. Front. Endocrinol. (Lausanne) 6, 128 (2015).
- 3. Kaftanovskaya, E.M., Ng, H.H., Soula, M., et al. Therapeutic effects of a small molecule agonist of the relaxin receptor ML290 in liver fibrosis. FASEB J. 33(11), 12435-12446 (2019).

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

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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