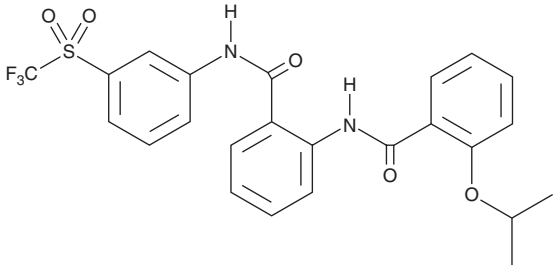
PRODUCT INFORMATION

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:	≥95%
UV/Vis.:	λ_{max}: 212, 263 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

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).[1] It is selective for RXFP1 over RXFP2 (EC_{50} = 1.5 µM). 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.[2] 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).

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