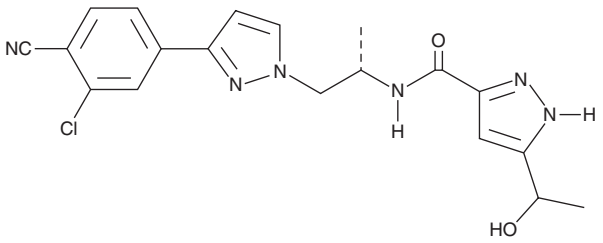
PRODUCT INFORMATION

ODM-201

Item No. 25643

CAS Registry No.: 1297538-32-9
Formal Name: N-[(1S)-2-[3-(3-chloro-4-cyanophenyl)-1H-pyrazol-1-yl]-1-methylethyl]-5-(1-hydroxyethyl)-1H-pyrazole-3-carboxamide
Synonym: BAY 1841788
MF: $C_{19}H_{19}ClN_6O_2$
FW: 398.9
Purity: ≥98%
UV/Vis.: λ_{max}: 288 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

ODM-201 is supplied as a crystalline solid. A stock solution may be made by dissolving the ODM-201 in the solvent of choice, which should be purged with an inert gas. ODM-201 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ODM-201 in ethanol is approximately 10 mg/ml and approximately 25 mg/ml in DMSO and DMF.

ODM-201 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ODM-201 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ODM-201 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ODM-201 is an androgen receptor (AR) antagonist (K_i = 11 nM).[1] It inhibits AR transactivation in U2OS osteosarcoma cells expressing human wild-type and mutant ARs (IC_{50}s = 65, 66, 1,500, and 1,782 nM for wild-type, AR^{F876L}, AR^{W741L}, and AR^{T877A}, respectively). ODM-201 prevents androgen-induced AR nuclear translocation in AR-overexpressing HS-HEK293 and LNCaP cells and suppresses androgen-induced proliferation of VCaP cells (IC_{50} = 230 nM). *In vivo*, ODM-201 (50 mg/kg per day) reduces tumor growth in a VCaP castrated mouse xenograft model. It also inhibits tumor growth without increasing serum testosterone levels in a VCaP intact mouse xenograft model.

Reference

1. Moilanen, A.M., Riikonen, R., Oksala, R., *et al.* Discovery of ODM-201, a new-generation androgen receptor inhibitor targeting resistance mechanisms to androgen signaling-directed prostate cancer therapies. *Sci. Rep.* **5:12007** (2015).

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