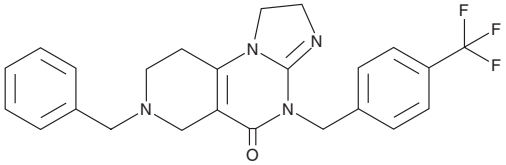
PRODUCT INFORMATION

ONC212

Item No. 30931

CAS Registry No.: 1807861-48-8
Formal Name: 2,4,6,7,8,9-hexahydro-7-(phenylmethyl)-4-[[4-(trifluoromethyl) phenyl]methyl]-imidazo[1,2-a] pyrido[3,4-e]pyrimidin-5(1H)-one
MF: $C_{24}H_{23}F_3N_4O$
FW: 440.5
Purity: ≥98%
UV/Vis.: λ_{max}: 232 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

ONC212 is supplied as a crystalline solid. A stock solution may be made by dissolving the ONC212 in the solvent of choice, which should be purged with an inert gas. ONC212 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ONC212 in these solvents is approximately 1 mg/ml.

ONC212 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ONC212 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ONC212 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

ONC212 is an agonist of the orphan G protein-coupled receptor GPR132 (EC_{50} = ~400 nM in a PathHunter® β-arrestin assay).[1] It inhibits cell growth in a panel of 62 human leukemia cell lines, including acute myeloid leukemia (AML), acute lymphoblastic leukemia (ALL), chronic myelogenous leukemia (CML), and hairy cell leukemias, with GI_{50} values ranging from less than 78 to 456 nM. ONC212 also reduces cell growth in a panel of 58 lymphoma cell lines (GI_{50}s = <78-261 nM). *In vivo*, ONC212 (50 mg/kg) reduces tumor volume in HT-29 and HCT116 mouse xenograft models.[2]

References

1. Prabhu, V.V., Madhukar, N., Tarapore, R., *et al*. Abstract 1155: Potent anti-cancer effects of selective GPR132/G2A agonist imipridone ONC212 in leukemia and lymphoma. *Cancer Res*. **77(13)**, (2017).
2. Wagner, J., Kline, C.L., Ralff, M.D., *et al*. Preclinical evaluation of the imipridone family, analogs of clinical stage anti-cancer small molecule ONC201, reveals potent anti-cancer effects of ONC212. *Cell Cycle* **16(19)**, 1790-1799 (2017).

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