

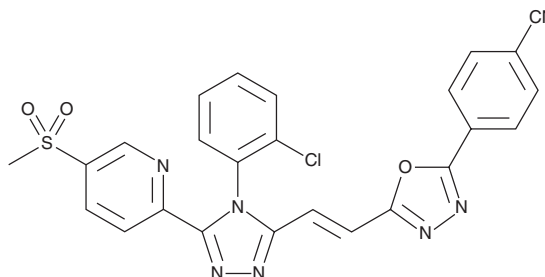
PRODUCT INFORMATION



G007-LK

Item No. 22934

CAS Registry No.: 1380672-07-0
Formal Name: 4-[5-[(1E)-2-[4-(2-chlorophenyl)-5-[5-(methylsulfonyl)-2-pyridinyl]-4H-1,2,4-triazol-3-yl]ethenyl]-1,3,4-oxadiazol-2-yl]-benzonitrile
Synonym: Tankyrase 1/2 Inhibitor VI
MF: C₂₅H₁₆ClN₇O₃S
FW: 530.0
Purity: ≥98%
UV/Vis.: λ_{max}: 330 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

G007-LK is supplied as a crystalline solid. A stock solution may be made by dissolving the G007-LK in the solvent of choice. G007-LK is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of G007-LK in these solvents is approximately 25 and 33 mg/ml, respectively.

G007-LK is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, G007-LK should first be dissolved in DMF and then diluted with the aqueous buffer of choice. G007-LK has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

G007-LK is an inhibitor of the tankyrases TNKS1 and TNKS2 (IC₅₀s = 46 and 25 nM, respectively).¹ It is selective for TNKS1 and TNKS2 over a panel of 90 kinases, 16 phosphatases, and 73 G protein-coupled receptors (GPCRs) when used at a concentration of 10 μM. G007-LK inhibits colony formation of COLO 320 DM and SW403 colorectal cancer cell lines when used at a concentration of 200 μM, as well as human hepatocellular carcinoma (HCC) cells in a dose-dependent manner.^{2,3} It increases expression of intestinal differentiation markers in COLO 320 DM and HCT15 cells when used at a concentration of 100 nM. G007-LK inhibits Wnt3A-induced signaling in human HEK293 and mouse 10T1/2 cells.² G007-LK (20 mg/kg, i.p., twice daily) also inhibits tumor growth in COLO 320 DM and SW403 mouse xenograft models, however, it leads to intestinal toxicity when administered at a dose of 30 mg/kg twice daily, leading to moribundity and death.

References

1. Voronkov, A., Holsworth, D.D., Waaler, J., *et al.* Structural basis and SAR for G007-LK, a lead stage 1,2,4-triazole based specific tankyrase 1/2 inhibitor. *J. Med. Chem.* **56**(7), 3012-3023 (2013).
2. Lau, T., Chan, E., Callow, M., *et al.* A novel tankyrase small-molecule inhibitor suppresses APC mutation-driven colorectal tumor growth. *Cancer Res.* **73**(10), 3132-3144 (2013).
3. Jia, J., Quao, Y., Pilo, M.G., *et al.* Tankyrase inhibitors suppress hepatocellular carcinoma cell growth via modulating the Hippo cascade. *PLoS One* **12**(9), e0184068 (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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