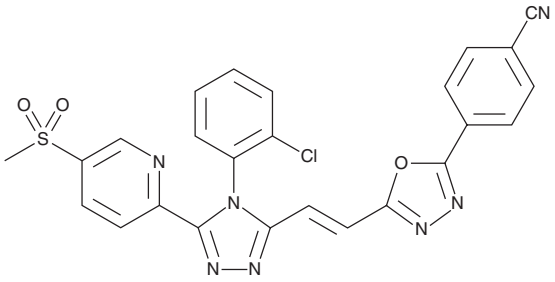
PRODUCT INFORMATION

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: $C_{25}H_{16}ClN_7O_3S$
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 (IC_{50}s = 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).

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