

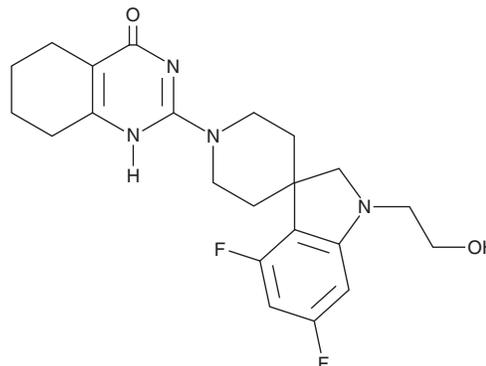
PRODUCT INFORMATION



RK-287107

Item No. 30998

CAS Registry No.: 2171386-10-8
Formal Name: 2-[4,6-difluoro-1-(2-hydroxyethyl)spiro[3H-indole-3,4'-piperidine]-1'(2H)-yl]-5,6,7,8-tetrahydro-4(3H)-quinazolinone
MF: C₂₂H₂₆F₂N₄O₂
FW: 416.5
Purity: ≥98%
UV/Vis.: λ_{max}: 236, 298 nm
Supplied as: A 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

RK-287107 is supplied as a solid. A stock solution may be made by dissolving the RK-287107 in the solvent of choice, which should be purged with an inert gas. RK-287107 is soluble in the organic solvent DMSO.

Description

RK-287107 is an inhibitor of the tankyrases TNKS1 and TNKS2 (IC₅₀s = 0.014 and 0.010 μM, respectively).¹ It is selective for TNKS1 and TNKS2 over poly(ADP-ribose) polymerase 1 (PARP1), PARP2, and PARP10 (IC₅₀s = >20, 2.7, and 19.8 μM, respectively). RK-287107 inhibits Wnt-dependent signaling with an IC₅₀ value of 0.077 μM in a reporter assay. It increases accumulation of Axin2, indicating inhibition of TNKS-mediated auto-PARsylation, in COLO 320DM colorectal cancer cells when used at a concentration of 0.1 μM. RK-287107 also inhibits cell growth in the same model (GI₅₀ = 0.45 μM). It reduces tumor growth in a COLO 320DM mouse xenograft model when administered at a dose of 150 mg/kg twice per day.

Reference

1. Shirai, F., Tsumura, T., Yashiroda, Y., *et al.* Discovery of novel spiroindoline derivatives as selective tankyrase inhibitors. *J. Med. Chem.* **62**(7), 3407-3427 (2019).

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.

WARRANTY AND LIMITATION OF REMEDY

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