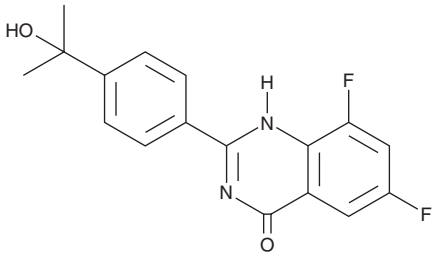
PRODUCT INFORMATION

Tankyrase-IN-2

Item No. 29808

CAS Registry No.:	1588870-36-3
Formal Name:	6,8-difluoro-2-[4-(1-hydroxy-1-methylethyl)phenyl]-4(3H)-quinazolinone
MF:	$C_{17}H_{14}F_2N_2O_2$
FW:	316.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 241, 291 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

Tankyrase-IN-2 is supplied as a crystalline solid. A stock solution may be made by dissolving the tankyrase-IN-2 in the solvent of choice, which should be purged with an inert gas. Tankyrase-IN-2 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of tankyrase-IN-2 in these solvents is approximately 10 mg/ml.

Tankyrase-IN-2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tankyrase-IN-2 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Tankyrase-IN-2 has a solubility of approximately 0.025 mg/ml in a 1:30 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tankyrase-IN-2 is an inhibitor of the tankyrases TNKS1 and TNKS2 (IC_{50}s = 7 and 9.2 nM, respectively).[1] It is selective for TNKS1 and TNKS2 over a panel of 10 additional poly(ADP-ribose) polymerases (PARPs; IC_{50}s = 710->10,000 nM for the human enzymes). Tankyrase-IN-2 increases accumulation of Axin2 and TNKS, indicating inhibition of TNKS-mediated auto-PARsylation, in DLD-1 colorectal cancer cells with EC_{50} values of 319 and 320 nM, respectively. It also increases tumor Axin2 and TNKS accumulation, as well as decreases tumor levels of active β-catenin, in a DLD-1 mouse xenograft model when administered at doses of 10, 30, and 90 mg/kg.

Reference

1. Buchstaller, H.-P., Anlauf, U., Dorsch, D., *et al.* Discovery and optimization of 2-arylquinazolin-4-ones into a potent and selective tankyrase inhibitor modulating Wnt pathway activity. *J. Med. Chem.* **62(17)**, 7897-7909 (2019).

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