

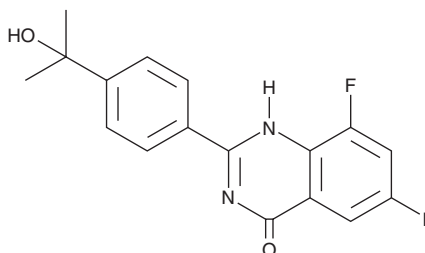
# PRODUCT INFORMATION



## 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<sub>17</sub>H<sub>14</sub>F<sub>2</sub>N<sub>2</sub>O<sub>2</sub>  
**FW:** 316.3  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 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<sub>50</sub>s = 7 and 9.2 nM, respectively).<sup>1</sup> It is selective for TNKS1 and TNKS2 over a panel of 10 additional poly(ADP-ribose) polymerases (PARPs; IC<sub>50</sub>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<sub>50</sub> 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).

#### 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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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM