

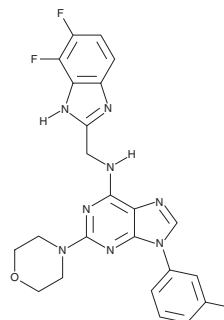
# PRODUCT INFORMATION



## SR 3029

Item No. 27048

**CAS Registry No.:** 1454585-06-8  
**Formal Name:** N-[(6,7-difluoro-1H-benzimidazol-2-yl)methyl]-9-(3-fluorophenyl)-2-(4-morpholinyl)-9H-purin-6-amine  
**MF:** C<sub>23</sub>H<sub>19</sub>F<sub>3</sub>N<sub>8</sub>O  
**FW:** 480.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 231, 281 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

SR 3029 is supplied as a solid. A stock solution may be made by dissolving the SR 3029 in the solvent of choice, which should be purged with an inert gas. SR 3029 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SR 3029 in these solvents is approximately 30 mg/ml.

### Description

SR 3029 is an inhibitor of casein kinase 1δ (CK1δ) and CK1ε (IC<sub>50</sub>s = 44 and 260 nM, respectively).<sup>1</sup> It is selective for CK1δ and CK1ε over 438 kinases in a panel but also inhibits MYLK4, FLT3, Cdk4/cyclin D1, and MARK2 by greater than 90% at 10 μM. SR 3029 inhibits Cdk4/cyclin D1, Cdk4/cyclin D3, Cdk6/cyclin D1, Cdk6/cyclin D3, and FLT3 with IC<sub>50</sub> values of 576, 368, 428, 427, and 3,000 nM, respectively. It inhibits proliferation of A375 human melanoma cells *in vitro* (EC<sub>50</sub> = 86 nM). SR 3029 (20 mg/kg per day) reduces tumor growth and increases lifespan in MDA-MB-231 and MDA-MB-468 mouse xenograft models.<sup>2</sup> It reduces the expression of the Wnt/β-catenin target CCND1 and decreases protein levels of nuclear β-catenin and cyclin D1 in mouse tumor tissue.

### References

1. Bibian, M., Rahaim, R.J., Choi, J.Y., *et al.* Development of highly selective casein kinase 1δ/1ε (CK1δ/ε) inhibitors with potent antiproliferative properties. *Bioorg. Med. Chem. Lett.* **23(15)**, 4374-4380 (2013).
2. Rosenberg, L.H., Lafitte, M., Quereda, V., *et al.* Therapeutic targeting of casein kinase 1δ in breast cancer. *Sci. Transl. Med.* **7(318)**, 318ra202 (2015).

#### 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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