

# PRODUCT INFORMATION



**BI-882370**

Item No. 24273

**CAS Registry No.:** 1392429-79-6  
**Formal Name:** N-[3-[5-[(1-ethyl-4-piperidinyl)methylamino]-3-(5-pyrimidinyl)-1H-pyrrolo[3,2-b]pyridin-1-yl]-2,4-difluorophenyl]-1-propanesulfonamide

**MF:** C<sub>28</sub>H<sub>33</sub>F<sub>2</sub>N<sub>7</sub>O<sub>2</sub>S

**FW:** 569.7

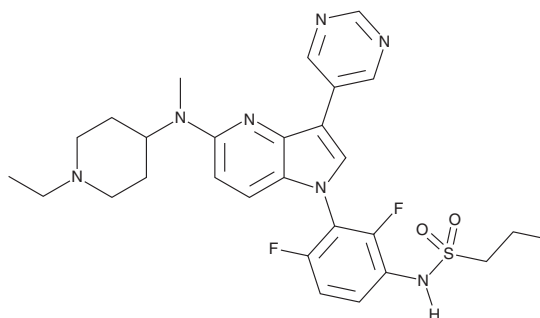
**Purity:** ≥95%

**UV/Vis.:** λ<sub>max</sub>: 235, 273, 350 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

BI-882370 is supplied as a crystalline solid. A stock solution may be made by dissolving the BI-882370 in the solvent of choice, which should be purged with an inert gas. BI-882370 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of BI-882370 in these solvents is approximately 0.1 mg/ml with warming.

## Description

BI-882370 is an orally bioavailable RAF inhibitor with IC<sub>50</sub> values of 0.8, 0.8, and 0.6 nM for B-RAF<sup>V600E</sup>, wild-type B-RAF, and C-RAF in the DFG-out inactive conformation, respectively.<sup>1</sup> It is selective for RAF over a panel of kinases, including LCK, KIT, Src, LYNA, LYNB, and PDGFR (IC<sub>50</sub>s = 49, 415, 485, 750, 715, and 1,220 nM, respectively). It inhibits proliferation of human B-RAF-mutant melanoma cells when used at concentrations ranging from 1 to 10 nM. It reduces tumor growth in multiple B-RAF-mutant melanoma and colorectal carcinoma mouse xenograft models when administered at a dose of 25 mg/kg twice per day. BI-882370, alone and in combination with trametinib (Item No. 16292), induces tumor regression in an A375 melanoma mouse xenograft model with no resistance developing within three or five weeks, respectively.

## Reference

1. Waizenegger, I.C., Baum, A., Steurer, S., *et al.* A novel RAF kinase inhibitor with DFG-out-binding mode: High efficacy in BRAF-mutant tumor xenograft models in the absence of normal tissue hyperproliferation. *Mol. Cancer Ther.* **15**(3), 354-365 (2016).

### 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.

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