# PRODUCT INFORMATION

## BI-882370

*Item No. 24273*

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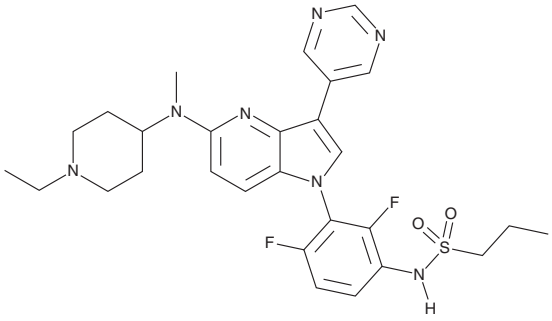
|---|---|
| **CAS Registry No.:** | 1392429-79-6 |
| **Formal Name:** | N-[3-[5-[(1-ethyl-4-piperidinyl) methylamino]-3-(5-pyrimidinyl)-1H-pyrrolo[3,2-b]pyridin-1-yl]-2,4-difluorophenyl]-1-propanesulfonamide |
| **MF:** | $C_{28}H_{33}F_2N_7O_2S$ |
| **FW:** | 569.7 |
| **Purity:** | ≥95% |
| **UV/Vis.:** | $\lambda_{max}$: 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 $IC_{50}$ values of 0.8, 0.8, and 0.6 nM for B-$RAF^{V600E}$, wild-type B-RAF, and C-RAF in the DFG-out inactive conformation, respectively.[1] It is selective for RAF over a panel of kinases, including LCK, KIT, Src, LYNA, LYNB, and PDGFR ($IC_{50}$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).





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