PRODUCT INFORMATION



BI-847325

Item No. 18301

CAS Registry No.: 1207293-36-4

Formal Name: 3-[3-[[[4-[(dimethylamino)methyl]

> phenyllaminolphenylmethylenel-2,3-dihydro-2-oxo-1H-indol-6-yl]-

N-ethyl-2-propynamide

MF: $C_{29}H_{28}N_4O_2$ FW: 464.6

Purity: >98%

UV/Vis.: λ_{max} : 298, 398 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BI-847325 is supplied as a crystalline solid. A stock solution may be made by dissolving the BI-847325 in the solvent of choice, which should be purged with an inert gas. BI-847325 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BI-847325 in these solvents is approximately 0.3, 5, and 16 mg/ml, respectively.

BI-847325 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BI-847325 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BI-847325 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BI-847325 is a selective dual MEK/Aurora kinase inhibitor with IC_{50} values of 3, 25, 15, 25, and 4 nM for X. laevis Aurora B, human Aurora A and Aurora C, and human MEK1 and MEK2, respectively. It can inhibit the growth and survival of both treatment-naive and drug-resistant melanoma cell lines, decreasing the expression of MEK and McI-1 while increasing the expression of pro-apoptotic protein Bim. 1 At 70 mg/kg, BI-847325 induces apoptosis in treatment-naive and drug-resistant xenografted melanoma in vivo. 1

Reference

1. Phadke, M.S., Sini, P., and Smalley, K.S.M. The novel ATP-competitive MEK/aurora kinase inhibitor BI-847325 overcomes acquired BRAF inhibitor resistance through suppression of Mcl-1 and MEK expression. Mol. Cancer Ther. 14(6), 1354-1364 (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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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