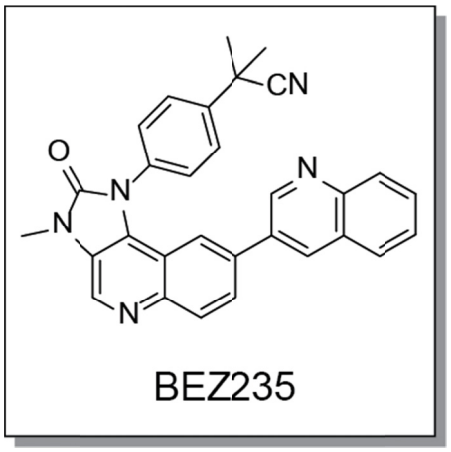
Product Specification Sheet

Product Name: BEZ235

Catalog Number: C2392-2 (powder)
C2392-2s (10 mM in DMSO)

Package Size: 2 mg



Technical information:

Chemical Formula:	$C_{30}H_{23}N_5O$
CAS #:	915019-65-7
Molecular Weight:	469.54
Purity:	>98%
Formulation:	Pale white solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	2-methyl-2-(4-(3-methyl-2-oxo-8-(quinolin-3-yl)-2,3-dihydro-1H-imidazo[4,5-c]quinolin-1-yl)phenyl)propanenitrile
Storage:	Store solid powder at 4°C desiccated, protect from light; Store DMSO solution at -20°C.

Handling:

1. For C2392-2 (powder), add 426 uL of DMSO to make 10 mM solution.
2. For C2392-2s, before open the vial, centrifuge the vial at 500rpm x 1 min in a 50 mL conical tube to ensure full recovery of sample.

Biological Activity: BEZ235 (NVP-BEZ235) is a dual inhibitor of the PI3K and the downstream mammalian target of rapamycin (mTOR) by binding to the ATP-binding cleft of these enzymes. It inhibited the activation of the downstream effectors Akt, S6 ribosomal protein, and 4EBP1 in breast cancer cells. For Class I PI3K family, its biochemical IC_{50} is 4nM against p110α, 75nM against p110β, 7nM against p110σ, 5nM against p110γ. Quantification of S473-Akt and T308P-Akt levels by ELISA revealed that 50% reduction occurred at a compound concentration of 8.0 nM and 30 nM.

Reference:

1. Sauveur-Michel Maira et al. Identification and characterization of NVP-BEZ235, a new orally available dual phosphatidylinositol 3-kinase/mammalian target of rapamycin inhibitor with potent in vivo antitumor activity. Mol. Cancer Ther. 7: 1851 (2008).
2. Violeta Serra et al. NVP-BEZ235, a Dual PI3K/mTOR Inhibitor, Prevents PI3K Signaling and Inhibits the Growth of Cancer Cells with Activating PI3K Mutations. Cancer Res. 68: 8022 (2008).

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