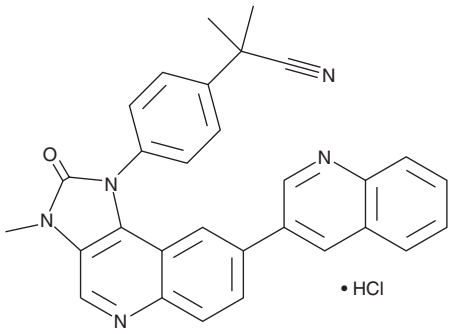
PRODUCT INFORMATION

NVP-BEZ235 (hydrochloride)

Item No. 21185

CAS Registry No.:	2319647-83-9
Formal Name:	4-[2,3-dihydro-3-methyl-2-oxo-8-(3-quinolinyl)-1H-imidazo[4,5-c]quinolin-1-yl]-α,α-dimethyl-benzeneacetonitrile, monohydrochloride
Synonym:	Dactolisib
MF:	$C_{30}H_{23}N_5O$ • HCl
FW:	506.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 267 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

NVP-BEZ235 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the NVP-BEZ235 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. NVP-BEZ235 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of NVP-BEZ235 (hydrochloride) in ethanol and DMF is approximately 0.5 mg/ml and approximately 1 mg/ml in DMSO.

Description

NVP-BEZ235 is a potent dual inhibitor of phosphatidylinositol 3-kinase (PI3K) and mTOR that is well tolerated, displays disease stasis when administered orally, and enhances the efficacy of other anticancer agents when used in *in vivo* combination studies.[1,2] It inhibits PI3K isoforms and mutants with low nanomolar IC_{50} values, leading to growth arrest in the G_1 phase.[1,3] Through its effects on PI3K, NVP-BEZ235 inhibits VEGF-induced angiogenesis.[4] By directly blocking cell growth and indirectly inhibiting angiogenesis, it has potential in both solid tumors and in metastatic melanoma therapy.[5,6]

References

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2. Zitzmann, K., von Rüden, J., Brand, S., *et al. Cancer Lett.* **295(1)**, 100-109 (2010).
3. Serra, V., Markman, B., Scaltriti, M., *et al. Cancer Res.* **68(19)**, 8022-8030 (2008).
4. Schnell, C.R., Stauffer, F., Allegrini, P.R., *et al. Cancer Res.* **68(16)**, 6598-6607 (2008).
5. Marone, R., Erhart, D., Mertz, A.C., *et al. Mol. Cancer Res.* **7(4)**, 601-613 (2009).
6. Brachmann, S.M., Hofmann, I., Schnell, C., *et al. Proc. Natl. Acad. Sci. USA* **106(52)**, 22299-22304 (2009).

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