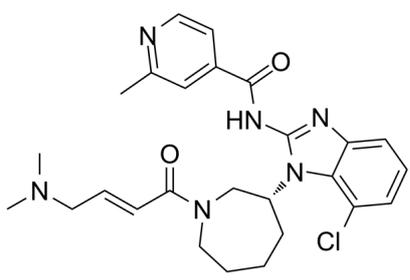


Certificate of Analysis

Catalog Number	BP10602
Product Name	Nazartinib

Physical and Chemical Properties

Synonyms	NVS-816, EGF816
CAS No.	1508250-71-2
Chemical Formula	C ₂₆ H ₃₁ ClN ₆ O ₂
Molecular Weight	495.02
Solubility	DMSO: 92 mg/mL (185.9 mM) Ethanol: 92 mg/mL (185.9 mM); H ₂ O: <1 mg/mL
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	 <p>The chemical structure of Nazartinib is shown. It features a central benzimidazole ring system. One nitrogen of the benzimidazole is substituted with a 4-methylpyridin-2-ylmethyl group. The other nitrogen is substituted with a 2-chlorophenyl group. The benzimidazole ring is further substituted at the 2-position with a (E)-2-(dimethylamino)acrylamide group.</p>

Product Information

Description	Nazartinib (EGF816, NVS-816) is a covalent, irreversible, mutant-selective EGFR inhibitor that has nanomolar inhibitory potency against activating mt (L858R, ex19del) and T790M mt, with up to 60-fold selectivity over wild type (wt) EGFR in vitro.
Targets&IC50	mutant EGFR: 0.031 μ M(Ki)
In vitro	H1975, H3255, HCC827, A431, and HaCaT cells are maintained in RPMI media supplemented with antibiotics and 10% FBS, maintained in a 37°C, 5% CO2 humidified incubator. After an overnight incubation in 384-well plates, serial diluted compounds are transferred to cells and incubated for 3 hours. HaCaT cells are stimulated with 10 ng/mL EGF (50 ng/mL EGF for A431) for 5 minutes. Cells are lysed in 1% Triton X-100 buffer containing protease and phosphatase inhibitors. Lysates are analyzed by sandwich ELISA utilizing goat anti-EGFR capture antibody, anti-phospho-EGFR(Y1173), and anti-rabbit HRP. Signal is measured by chemiluminescent detection.(Only for Reference)

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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