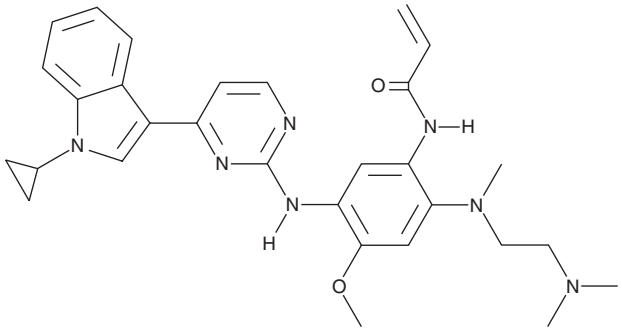
PRODUCT INFORMATION

Almonertinib

Item No. 45326

CAS Registry No.:	1899921-05-1
Formal Name:	N-[5-[[4-(1-cyclopropyl-1H-indol-3-yl)-2-pyrimidinyl]amino]-2-[[2-(dimethylamino)ethyl]methylamino]-4-methoxy phenyl]-2-propenamide
Synonyms:	Aumolertinib, HS-10296
MF:	$C_{30}H_{35}N_7O_2$
FW:	525.7
Purity:	≥98%
Supplied as:	A 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

Almonertinib is supplied as a solid. A stock solution may be made by dissolving the almonertinib in the solvent of choice, which should be purged with an inert gas. Almonertinib is sparingly soluble (1-10 mg/ml) in ethanol.

Description

Almonertinib is an inhibitor of mutant and wild-type EGFR (IC_{50}s = 0.18 and 2.6 nM for $EGFR^{L858R/T790M}$ and wild-type EGFR, respectively, in a cell-free assay).[1] It is selective for mutant $EGFR^{D761Y}$, $EGFR^{L747S}$, and $EGFR^{L861Q}$ (IC_{50}s = 0.84, 3.09, and 1.07 nM, respectively) over EGFR containing mutations at the G719 residue, $EGFR^{G719C}$, $EGFR^{G719D}$, and $EGFR^{G719S}$ (IC_{50}s = 17.25, 54.14, and 82.8 nM, respectively).[2] Almonertinib (100 nM) decreases proliferation and induces apoptosis in patient-derived non-small cell lung cancer (NSCLC) cells that express EGFR containing the exon 20 insertion mutation H773-V774insNPH. It reduces tumor growth in an H1975 NSCLC mouse xenograft model and a patient-derived xenograft (PDX) mouse model of NSCLC.[1,2] Formulations containing almonertinib have been used in the treatment of NSCLC.

References

1. Liu, Q., Luo, Y., Li, Z., *et al.* Structural modifications on indole and pyrimidine rings of osimertinib lead to high selectivity towards L858R/T790M double mutant enzyme and potent antitumor activity. *Bioorg. Med. Chem.* **36,** 116094 (2021).
2. Shi, C., Zhang, C., Fu, Z., *et al.* Antitumor activity of aumolertinib, a third-generation EGFR tyrosine kinase inhibitor, in non-small-cell lung cancer harboring uncommon EGFR mutations. *Acta Pharm. Sin. B* **13(6),** 2613-2627 (2023).

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

SAFETY DATA
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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