

Product Data Sheet

Product Name: ASK120067

Cat. No.: GC62402

Chemical Properties

Cas. No. 1934259-00-3

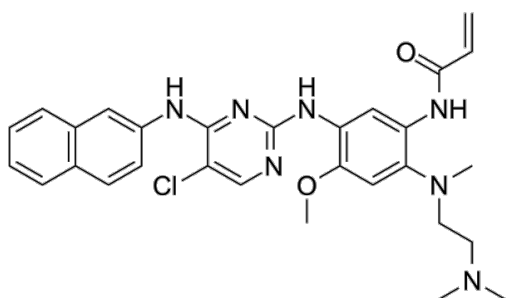
Formula $C_{29}H_{32}ClN_7O_2$ M.Wt 546.06

Solubility DMSO : 100 mg/mL (183.13 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

ASK120067 is a potent and orally active inhibitor of EGFR T790M (IC₅₀:0.3 nM) with selectivity over EGFR WT (IC₅₀:6.0 nM). ASK120067 is a third-generation EGFR-TKI for the research of non-small cell lung cancer (NSCLC)[1].

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

In the in vitro kinase assay ASK120067 potently inhibits the EGFR L858R/T790M and EGFR T790M resistant mutants with IC₅₀ values of 0.3 nM and 0.5 nM, respectively, as well as the EGFRexon19del sensitizing mutant (IC₅₀= 0.5 nM). The IC₅₀ of ASK120067 against wild-type EGFR (EGFRWT) is 6 nM[1]. ASK120067 selectively inhibits the growth of EGFR-mutant cell lines and exhibits potent antiproliferative activity in the mutant EGFR NSCLC cells, with IC₅₀ values of 12 nM, 6 nM and 2 nM against NCI-H1975 (T790M mutation), PC-9, and HCC827 cells (sensitizing mutations), respectively. However, it shows moderate or weak anti-growth activities in A431, LoVo and A549 cells (EGFRWT), with IC₅₀ values ranging from 338 nM to 1541 nM[1]. ASK120067 (0.1-100 nM) inhibits the phosphorylation of EGFR at Tyrosine residue 1068 and its downstream signaling proteins AKT and ERK in NCI-H1975 cells (EGFR L858R/T790M) even at low dosage (0.1-1 nM). Additionally, ASK120067 inhibits p-EGFR and p-Akt and p-erk in EGFR WT A431 cell until the concentration reaches 10 to 100 nM[1].

ASK120067 (oral gavage; 5-20 mg/kg; once daily; 21 days) results in significantly regressed tumor growth, with a tumor growth inhibition (TGI) rate of 85.7%, and administration of 10 mg/kg ASK120067 causes dramatic tumor shrinkage with a TGI rate of 99.3%, exhibiting a similar potency with Osimertinib[1].

[1]. Tao Zhang, et al. Discovery of a novel third-generation EGFR inhibitor and identification of a potential combination strategy to overcome resistance. Mol Cancer. 2020 May 13;19(1):90.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA