

Product Data Sheet

Product Name: TAS6417

Cat. No.: GC32752

Chemical Properties

Cas. No. 1661854-97-2

SMILES NC1=C2C(N3C(C(C)=C[C@@H](C3)NC(C=C)=O)=C2C4=CC5=CC=CC=C5N=C4)=NC=N1

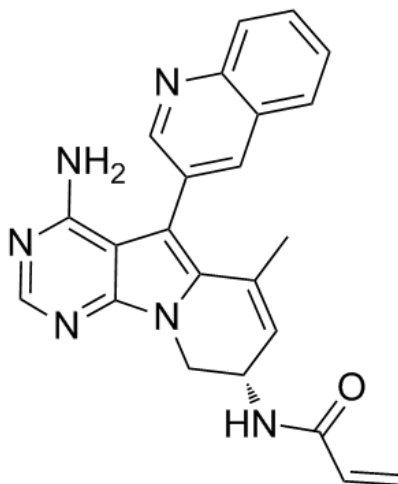
Formula $C_{23}H_{20}N_6O$ M.Wt 396.44

Solubility DMSO : ≥ 125 mg/mL (315.31 mM) Storage 4°C, protect from light, stored under nitrogen

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



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

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Protocol

Animal experiment: Mice[1] Mice bearing NCI-H1975 EGFR D770_N771insSVD xenografts are orally administered TAS6417 (50, 100, 200 mg/kg). One and 2 hours after administration of TAS6417, xenograft tumors and skin tissues are collected[1].

References:

[1]. Hasako S, et al. TAS6417, A Novel EGFR Inhibitor Targeting Exon 20 Insertion Mutations. Mol Cancer Ther. 2018 Aug;17(8):1648-1658.

Background

TAS6417 is an EGFR inhibitor and an efficacious drug candidate for patients with NSCLC, with IC50 values ranging from 1.1-8.0 nM.

TAS6417 inhibits the in vitro phosphorylation activity of EGFR and its mutants including an exon 20 insertion mutation, with IC50 values ranging from 1.1 ± 0.1 to 8.0 ± 1.1 nM. TAS6417 suppresses the growth of cells expressing exon 20 insertion mutations of the EGFR gene, with a GI50 value of 86.5 ± 28.5 nM for LXF 2478L cells and 45.4 ± 2.6 nM for NCI-H1975 EGFR D770_N771insSVD cells. TAS6417 also potently inhibits proliferation in other cell lines harboring activating mutations or acquired resistance mutations, with mean GI50 values of 1.92 ± 0.21 nM to 7.12 ± 0.60 nM. In contrast, the effect of TAS6417 on cell proliferation in normal human epidermal keratinocytes (NHEK-Neo), of which WT EGFR is implicated in the growth and survival, is moderate[1].

TAS6417 causes persistent tumor regression in vivo in EGFR exon 20 insertion-driven tumor models. TAS6417 inhibits mutant EGFR in tumors but not WT EGFR in skin tissues. TAS6417 prolongs survival of animals bearing lung cancer[1].

[1]. Hasako S, et al. TAS6417, A Novel EGFR Inhibitor Targeting Exon 20 Insertion Mutations. Mol Cancer Ther. 2018 Aug;17(8):1648-1658.

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