
Product Data Sheet

Product Name: BI-853520

Cat. No.: GC65886

Chemical Properties

Cas. No. 1227948-82-4

Formula $C_{28}H_{28}F_4N_6O_4$

M.Wt

588.55

Solubility

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**

BI 853520 (IN-10018) is an orally active and potent **focal adhesion kinase (FAK)** inhibitor (recombinant FAK **IC₅₀**=1 nM). BI 853520 shows anti-proliferative activity against cancer cells^{[1][2]}.

BI 853520 (0-3 μM; 2 h) inhibits cancer cells growth^[2].

BI 853520 (0-30 μM; 4-6 d) represses tumor cell proliferation and invasion only in 3D culture^[1].

BI 853520 (0-10 μM; 24 h) represses Y397-FAK autophosphorylation^[1].

BI 853520 (0.1 μM; 96 h) shows a fast and potent inhibition of FAK in this highly metastatic murine breast cancer cell line^[1].

Cell Viability Assay^[2]

Cell Line: PC-3 cells

Concentration: 0-3 μM

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

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Incubation
Time: 2 hours

Result: Resulted in a concentration-dependent reduction of the signal with a median EC₅₀ value of 1 nM.

Cell Proliferation Assay^[1]

Cell Line: 4T1, Py2T, and Py2T-LT cells

Concentration: 0-30 μ M

Incubation
Time: 4-6 days

Result: Indicated that the specific inhibition of cell proliferation and invasion at low doses is functional only in three-dimensional cell culture conditions, whereas cells cultured on plastic only respond to BI 853520 at very high, toxic doses.

Western Blot Analysis^[1]

Cell Line: 4T1, Py2T, and Py2T-LT cells

Concentration: 0-10 μ M

Incubation
Time: 24 hours

Result: Reduced Y397-FAK autophosphorylation in all cell types.

Western Blot Analysis^[1]

Cell Line: 4T1, Py2T, and Py2T-LT cells

Concentration: 0.1 μ M

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Incubation

Time: 96 hours

Result: Decreased Y397-FAK autophosphorylation following 0.1 μ M BI 853520 treatment occurred within 10 min and was substantially reduced at least for the following 48 h.

BI 853520 (oral gavage; 50 mg/kg; once daily; 0-8 weeks) treatment significantly suppresses primary tumor growth of all three cell lines in vivo^[1].

Animal Model: FVB/N, Balb/c, or immunodeficient nude (nu/nu) mice transplanted with Py2T, 4T1, or MTF1ECad cells, respectively^[1]

Dosage: 50 mg/kg

Administration: Oral gavage; 50 mg/kg; once daily; 0-8 weeks

Result: Decreased tumor volume significantly over time.

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