# DS-1205b free base

**Cat. No.:** HY-114357A

**CAS No.:** 1855860-24-0

**Molecular Formula:** $C_{41}H_{42}FN_5O_7$

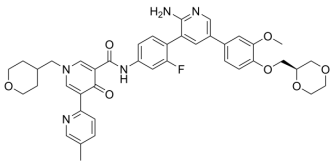
**Molecular Weight:** 735.8

**Target:** TAM Receptor; c-Met/HGFR; Trk Receptor

**Pathway:** Protein Tyrosine Kinase/RTK; Neuronal Signaling

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (67.95 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.3591 mL | 6.7953 mL | 13.5907 mL |
| | 5 mM | 0.2718 mL | 1.3591 mL | 2.7181 mL |
| | 10 mM | 0.1359 mL | 0.6795 mL | 1.3591 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.40 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

DS-1205b free base is a potent and selective inhibitor of AXL kinase, with an $IC_{50}$ of 1.3 nM. DS-1205b free base also inhibits MER, MET, and TRKA, with $IC_{50}$s of 63, 104, and 407 nM, respectively. DS-1205b free base can inhibit cell migration in vitro and tumor growth in vivo[1].

| $IC_{50}$ & Target | AXL | MER | TrkA | Met |
|---|---|---|---|---|
| | 1.3 nM ($IC_{50}$) | 63 nM ($IC_{50}$) | 407 nM ($IC_{50}$) | 104 nM ($IC_{50}$) |

**In Vitro**

DS-1205b (0.3-33 μM; 2-24 h) inhibits hGAS6-induced migration in NIH3T3-AXL cells ($EC_{50}$=2.7 nM)[1].
DS-1205b (1-10000 μM; 2-24 h) significantly inhibits the phosphorylation of AXL in NIH3T3-AXL cells. DS-1205b decreases NIH3T3 cell proliferation but not obviously inhibits growth ($GI_{50}$>10,000 nM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | NIH3T3-AXL cells |
| Concentration: | 1, 10, 100, 1000, 10000 μM |
| Incubation Time: | 2, 24 hours |
| Result: | Completely inhibited the phosphorylation of AXL at concentrations above 10 nM.<br>Slightly inhibited the phosphorylation of AKT serine/threonine kinase in a dose-dependent manner. |

**In Vivo**

DS-1205b (3.1-50 mg/kg; p.o. bid for 5 d) exhibits pAXL inhibition mediated antitumor effects in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Female NOD/Shi-scid IL-2Rγ KO Jic mice were implanted with NIH3T3-AXL tumor blocks[1] |
| Dosage: | 3.1, 6.3, 13, 25, 50 mg/kg |
| Administration: | P.o. twice daily for 5 days |
| Result: | Inhibited tumor growth by 39-94%.<br>Reduced the phosphorylation of both AXL and AKT in tumors. |

## REFERENCES

[1]. Jimbo T, et, al. DS-1205b, a novel selective inhibitor of AXL kinase, blocks resistance to EGFR-tyrosine kinase inhibitors in a non-small cell lung cancer xenograft model. Oncotarget. 2019 Aug 27;10(50):5152-5167.

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

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