# Dasatinib hydrochloride

**Cat. No.:** HY-10181A

**CAS No.:** 854001-07-3

**Molecular Formula:** $C_{22}H_{27}Cl_2N_7O_2S$

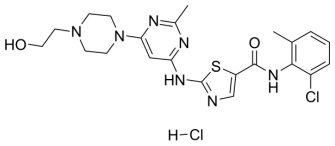
**Molecular Weight:** 524.47

**Target:** Bcr-Abl; Src; Autophagy; Apoptosis

**Pathway:** Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis

**Storage:** 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 15 mg/mL (28.60 mM; Need ultrasonic and warming)

$H_2O$ : 10 mg/mL (19.07 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.9067 mL | 9.5334 mL | 19.0669 mL |
| 5 mM | 0.3813 mL | 1.9067 mL | 3.8134 mL |
| 10 mM | 0.1907 mL | 0.9533 mL | 1.9067 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: ≥ 3.33 mg/mL (6.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.33 mg/mL (6.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.33 mg/mL (6.35 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Dasatinib (BMS-354825) hydrochloride is a highly potent, ATP competitive, orally active dual Src/Bcr-Abl inhibitor with potent antitumor activity. The $K_i$s are 16 pM and 30 pM for Src and Bcr-Abl, respectively. Dasatinib hydrochloride inhibits Bcr-Abl and Src with $IC_{50}$s of <1.0 nM and 0.5 nM, respectively[1]. Dasatinib hydrochloride also induces apoptosis and autophagy.

**$IC_{50}$ & Target**

| Bcr-Abl | Src | lck | Yes |
|---|---|---|---|
| 1.0 nM ($IC_{50}$) | 0.5 nM ($IC_{50}$) | 0.4 nM ($IC_{50}$) | 0.5 nM ($IC_{50}$) |

| | | | | |
|---|---|---|---|---|
| | c-kit<br>5.0 nM ($IC_{50}$) | PDGFRβ<br>28 nM ($IC_{50}$) | p38<br>100 nM ($IC_{50}$) | Her1<br>180 nM ($IC_{50}$) |
| | Her2<br>710 nM ($IC_{50}$) | FGFR-1<br>880 nM ($IC_{50}$) | MEK<br>1700 nM ($IC_{50}$) | |

**In Vitro**

Dasatinib demonstrates significant activity against Bcr-Abl, Src, Lck, Yes, c-Kit, PDGFRβ, p38, Her1, Her2, FGFR-1, and MEK with $IC_{50}$s of <1.0, 0.50, 0.40, 0.50, 5.0, 28, 100, 180, 720, 880, and 1700 nM, respectively[1].

?Dasatinib shows antiproliferative activities aversus K562 chronic myelogenous leukemia (CML), PC3 human prostate tumor, MDA-MB-231 human breast tumor, and WiDr human colon tumor cell lines with $IC_{50}$s of <1.0 nM, 9.4 nM, 12 nM, and 52 nM, respectively[1].

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

**In Vivo**

Dasatinib (5 mg/kg and 50 mg/kg, qd×10d, 5 on-2 off) possesses potent antitumor activity and a high safety margin in a K562 xenograft model of chronic myelogenous leukemia (CML), demonstrating complete tumor regressions and low toxicity at multiple dose levels[1].

?Dasatinib (10 mg/kg) has a pharmacokinetic profile appropriate for continued advancement into in vivo efficacy studies. Dasatinib (10 mg/kg) demonstrates favorable half-lives ($t_{1/2}$s) of 3.3 and 3.1 h for i.v. and oral, respectively. The oral bioavailability ($F_{po}$) in this study is 27%[1].

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

| | |
|---|---|
| Animal Model: | Nude mice bearing K562 xenografts |
| Dosage: | 5 mg/kg and 50 mg/kg |
| Administration: | Oral administration on a 5 day on and 2 day off schedule. |
| Result: | Showed partial tumor regressions after one treatment cycle and complete disappearance of the tumor mass by the end of drug treatment. No toxicity (animal deaths, lack of weight gain) was observed. |

| | |
|---|---|
| Animal Model: | Sprague-Dawley Rats |
| Dosage: | 10 mg/kg (Pharmacokinetic Analysis) |
| Administration: | Oral and i.v. |
| Result: | $C_{max}$ of 13.2 and 0.5 μM for i.v. and oral, respectively. |

## CUSTOMER VALIDATION

- Cell. 2021 Oct 28;184(22):5670-5685.e23.
- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Nat Cell Biol. 2023 Mar;25(3):493-507.
- J Hematol Oncol. 2022 Apr 29;15(1):46.
- J Hematol Oncol. 2018 Aug 29;11(1):109.

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## REFERENCES

[1]. Lombardo LJ, et al. Discovery of N-(2-chloro-6-methyl- phenyl)-2-(6-(4-(2-hydroxyethyl)- piperazin-1-yl)-2-methylpyrimidin-4- ylamino)thiazole-5-carboxamide (BMS-354825), a dual Src/Abl kinase inhibitor with potent antitumor activity in preclinical assays. J Med Chem. 2004 Dec 30;47(27):6658-61.



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