Imatinib Mesylate

中文名: 利伏抗膜衣錠 《中化》

適應症: 治療白血病、骨髓發育不全症候群/骨髓增生、系統性肥大細胞增生、胃腸道基

質瘤、隆突性皮膚纖維肉瘤等。

藥理分類: Antineoplastic Agent, BCR-ABL Tyrosine Kinase Inhibitor. 用法用量: Administration: orally, taken with meals, with a cup of water.

Note:

1. Treatment may be continued until disease progression or unacceptable toxicity.

- 2. The optimal duration of therapy for chronic myeloid leukemia (CML) in complete remission is not yet determined.
- 3. Discontinuing CML treatment is not recommended unless part of a clinical trial (Baccarani, 2009).
- 4. Imatinib is associated with a moderate emetic potential; antiemetics may be recommended to prevent nausea and vomiting (Roila, 2010).

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Indications and dosage regimens:

Philadelphia chromosome-positive (Ph+) chronic myeloid leukemia (CML):

Chronic phase: 400 mg once daily; may be increased to 600 mg daily, if tolerated, for disease progression, lack of hematologic response after 3 months, lack of cytogenetic response after 6-12 months, or loss of previous hematologic or cytogenetic response. An increase to 800 mg daily has been used (Cortes, 2010; Hehlmann, 2014).

Accelerated phase or blast crisis: 600 mg once daily; may be increased to 800 mg daily (400 mg twice daily), if tolerated, for disease progression, lack of hematologic response after 3 months, lack of cytogenetic response after 6-12 months, or loss of previous hematologic or cytogenetic response.

Ph+ acute lymphoblastic leukemia (ALL) (relapsed or refractory): 600 mg once daily

Gastrointestinal stromal tumors (GIST) (adjuvant treatment following complete resection):

400 mg once daily; recommended treatment duration: 3 years

GIST (unresectable and/or metastatic malignant):

400 mg once daily; may be increased up to 800 mg daily (400 mg twice daily), if tolerated, for disease progression.

Note: Significant improvement (progression-free survival, objective response rate) was demonstrated in patients with KIT exon 9 mutation with 800 mg (versus 400 mg), although overall survival (OS) was not impacted. The higher dose did not demonstrate a difference in time to progression or OS patients with Kit exon 11 mutation or wild-type status (Debiec-Rychter, 2006; Heinrich, 2009).

Aggressive systemic mastocytosis (ASM) with eosinophilia:

Initiate at 100 mg once daily; titrate up to a maximum of 400 mg once daily (if tolerated) for insufficient response to lower dose

ASM without D816V c-Kit mutation or c-Kit mutation status unknown:

400 mg once daily

Dermatofibrosarcoma protuberans (DFSP):

400 mg twice daily

Hypereosinophilic syndrome (HES) and/or chronic eosinophilic leukemia (CEL):

400 mg once daily

HES/CEL with FIP1L1-PDGFRα fusion kinase:

Initiate at 100 mg once daily; titrate up to a maximum of 400 mg once daily (if tolerated) if insufficient response to lower dose

Myelodysplastic/myeloproliferative disease (MDS/MPD):

400 mg once daily

不良反應: 噁心、腹瀉、皮疹、水腫、關節痛。

交互作用: Imatinib is a (moderate) CYP3A4 inhibitor.

- WARFARIN : ↑ risk of bleeding.
- GINSENG:
 † risk of hepatotoxicity.
- ACETAMINOPHEN: ↑ acetaminophen levels.
- DOMPERIDONE: ↑ domperidone exposure and ↑ risk of QT prolongation.
- PHENYTOIN, CARBAMAZEPINE, RIFAMPIN, PHENOBARBITAL, ST JOHN'S WORT: ↓ imatinib exposure.
- COLCHICINE: ↑ colchicine concentration and increased risk of fatal toxicity.
- AMIODARONE: † amiodarone exposure.

注意事項: 1.口服,配合一大杯水於餐中服用。

2.每日所需劑量若為 400 mg 或 600 mg ,則<u>每日服用一次</u>,若為 800 mg ,則<u>每日</u> 二次,每次 400 mg 於早晚服用。

3.無法吞服膜衣錠之病人,可將錠劑泡在一大杯水或蘋果汁中服用。可將所需服用的劑量的錠劑泡在適當體積之液體中(100mg之錠劑泡於大約50 mL之液體中,400mg之錠劑泡於大約200mL之液體中)並以湯匙攪拌。懸浮液應在錠劑**崩解後立即服用**。

- 4.可能懷孕之婦女,在治療期間必須採用安全的避孕措施。
- 5.服用本藥期間不建議施打活性疫苗,因可能增加感染機率。
- 6.避免併用含人參製品,因可能增加肝毒性。

懷 孕 期: 動物試驗顯示 Imatinib 會引起生育方面的毒性。除非必須,否則**懷孕期間不應** 使用 Imatinib,且必須告知使用 Imatinib 可能會對胎兒造成危險的可能性。

授 乳 期: **正在服用 Imatinib 的婦女不應授乳**。Imatinib 和其活性代謝物皆會分佈到人類 乳汁中,且此藥物的活性代謝物在乳汁中占較大的比例。