

Imatinib Mesylate

【OGLE】 Leevk[®] 100mg/Tab

ATC Code : L01XE01

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中文名： 利伏抗膜衣錠 《中化》

適應症： **1.**治療正值急性轉化期(BLAST CRISIS)、加速期或經 ALPHA-干擾素治療無效之慢性期的慢性骨髓性白血病(CML)患者。**2.**治療成年人無法手術切除或轉移的惡性胃腸道基質瘤。**3.**用於治療初診斷為慢性骨髓性白血病(CML)的病人。**4.**治療初診斷為費城染色體陽性急性淋巴性白血病 (Ph+ ALL)且併用化療之成年人。4-1.做為治療成人復發性或難治性費城染色體陽性急性淋巴性白血病(Ph+ ALL)之單一療法。**5.**治療患有與血小板衍生生長因子受體 (PDGER)基因重組相關之骨髓發育不全症候群(MDS)/骨髓增生性疾病(MPD)之成人患者。**6.**治療患有系統性肥大細胞增生症(SM)，限具 FIP1L1-PDGFR 基因變異且不具有 c-Kit 基因 D816V 突變之成人患者。**7.**治療嗜伊紅性白血球增加症候群(HES)與或慢性嗜伊紅性白血病(CEL)且有血小板衍生生長因子受體(PDGER)基因重組之成人患者。作為成人 KIT(CD 117)陽性胃腸道基質瘤完全切除 (complete gross resection) 後之術後輔助治療。**8.**治療患有無法手術切除、復發性或轉移性且有血小板衍生生長因子受體(PDGER)基因重組之隆突性皮膚纖維肉瘤(DFSP)之成人患者。

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).

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-PDGFR α 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 400mg 或 600mg，則每日服用一次，若為 800mg，則每日二次，每次 400mg 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。

使用規定： 部分適應症須事前審查核准後使用。因篇幅關係請見健保規定詳文。