Overview of reteplase, a novel thrombolytic agent in Indian Context

Abhijit Trailokya
Abbott Healthcare Private Limited, India

Cardiovascular disorders are the major cause of concern in India with estimated mortality reaching approximately 64 million by 2015. Though two major treatment options i.e. primary angioplasty (PAMI) and intravenous thrombolysis are available for the management of ST elevation myocardial infarction (STEMI), primary angioplasty is not feasible for majority of STEMI patients in India, hence early reperfusion therapy is critical for rapidly restoring coronary blood flow and limit further myocardial necrosis in these patients. Early/prehospital administration of thrombolytic agent results in better outcome in STEMI. Reteplase, a third generation thrombolytic, because of the possibility of bolus administration provides this opportunity. Reteplase is a single-chain, non-glycosylated peptide, fibrin-specific recombinant plasminogen activator derived from t-PA. It is a mutant of alteplase tissue plasminogen activator.

Reteplase preferentially activate fibrin-bound plasminogen rather than fluid-phase plasminogen indicating their fibrin-selectivity. The selectivity is proved by pronounced stimulation of plasminogenolytic activity in the presence of fibrin. The starting dose is calculated based on the target AUC which provides sufficiently high patency rate of about 70% with acceptable low risk of bleeding.

In 1996, reteplase has been approved in Europe as well as United States. In India, reteplase is available as single use vial containing 10 units (18 mg)

Reteplase is a better fibrinolysis agent because of its multiple advantages including lesser amount of drug required to maintain therapeutic level, prolonged half life (13-16 min) and easy administration as no infusion required. The recommended dosage for reteplase is two IV bolus doses of 10 U over 2 min, 30 min apart. Unlike tenectaplastase, the dosing regimen is non weight based. The simple dosing regimen has potential to reduce fibrinolytic dosing errors resulting in improved outcome. Reteplase has enhanced thrombolytic and antithrombotic potency due to reversible binding, comparatively low fibrin binding which improves clot penetration and high resistance to inhibition by plasminogen activators. It is less effective in lysing platelet rich plasma clots and aged clots as haemostatic plugs are thought to be older clots that seals small vessel wall injuries.

abhijit.trailokya@abbott.com

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